

09/ 922,874

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NEWS 3 SEP 09 CA/CAPLUS records now contain indexing from 1907 to the
present
NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
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NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 10 SEP 22 DIPPR file reloaded
NEWS 11 SEP 25 INPADOC: Legal Status data to be reloaded
NEWS 12 SEP 29 DISSABS now available on STN
NEWS 13 OCT 10 PCTFULL: Two new display fields added
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced

NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:21:35 ON 04 NOV 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 16:21:44 ON 04 NOV 2003

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09/ 922,874

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STRUCTURE FILE UPDATES: 3 NOV 2003 HIGHEST RN 612478-18-9
DICTIONARY FILE UPDATES: 3 NOV 2003 HIGHEST RN 612478-18-9

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

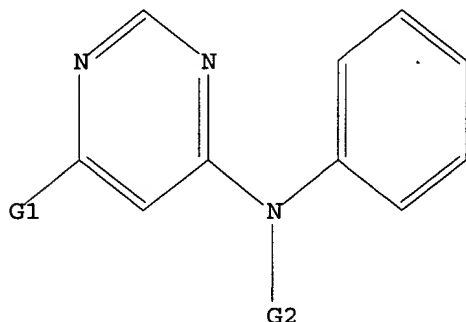
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>
Uploading 09922874.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,Cy
G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 16:22:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 46002 TO ITERATE

100.0% PROCESSED 46002 ITERATIONS 3973 ANSWERS
SEARCH TIME: 00.00.01

L2 3973 SEA SSS FUL L1

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 16:22:10 ON 04 NOV 2003
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FILE COVERS 1907 - 4 Nov 2003 VOL 139 ISS 19
 FILE LAST UPDATED: 3 Nov 2003 (20031103/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s 12
L3          353 L2
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```
=> s 13/biol
FIELD CODES CANNOT BE CHANGED HERE
You may have tried to apply a field code to a term that already has a
field code. You can only add a field code to a term that has no field
code appended to it.
```

```
=> s 12/biol
          353 L2
          5562217 BIOL/RL
L4          130 L2/BIOL
              (L2 (L) BIOL/RL)
```

```
=> d 13 and (furan? or benzofuran? or thien? or pyrrol? or phenyl or naphthyl or pyrid?
or pyrimid?)
'AND' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
'(FURAN?;OR;BENZOFURAN?;OR;THIEN?;OR;PYRROL?;OR;PHENYL;OR;NAPHTHYL;OR;PYRID?;OR;PYRIMID?)'
IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
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The following are valid formats:

```
ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL
```

IABS ----- ABS, indented with text labels
 IALL ----- ALL, indented with text labels
 IBIB ----- BIB, indented with text labels
 IMAX ----- MAX, indented with text labels
 ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
 OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
 SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
 HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
 containing hit terms
 HITRN ----- HIT RN and its text modification
 HITSTR ----- HIT RN, its text modification, its CA index name, and
 its structure diagram
 HITSEQ ----- HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 FHITSTR ----- First HIT RN, its text modification, its CA index name, and
 its structure diagram
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
 structure diagram, plus NTE and SEQ fields
 KWIC ----- Hit term plus 20 words on either side
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

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ENTER DISPLAY FORMAT (BIB):
 ENTER DISPLAY FORMAT (BIB):.

L3 ANSWER 1 OF 353 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 2003:796322 CAPLUS
 DN 139:286366
 TI PPAR.alpha. and PPAR.delta. agonists, compositions, and methods for
 modulating .beta.-amyloid production
 IN Connop, Bruce P.; Grant, Amelia; MacDonald, David; Nathwani, Parimal S.;
 Reiner, Peter B.; Zhang, Zaihui
 PA Active Pass Pharmaceuticals, Inc., Can.
 SO U.S. Pat. Appl. Publ., 54 pp., Cont.-in-part of U.S. Ser. No. 170,224.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003191144	A1	20031009	US 2002-325667	20021219
	US 2003125338	A1	20030703	US 2002-170224	20020612
PRAI	US 2001-297845P	P	20010612		
	US 2001-309257P	P	20010731		
	US 2002-170224	A2	20020612		

09/ 922,874

=> d his

(FILE 'HOME' ENTERED AT 16:21:35 ON 04 NOV 2003)

FILE 'REGISTRY' ENTERED AT 16:21:44 ON 04 NOV 2003

L1 STRUCTURE UPLOADED

L2 3973 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:22:10 ON 04 NOV 2003

L3 353 S L2

L4 130 S L2/BIOL

=> s l3 and (furan? or benzofuran? or thien? or pyrrol? or phenyl or naphthyl or pyrid? or pyrimid?)

70730 FURAN?

13839 BENZOFURAN?

32288 THIEN?

123767 PYRROL?

307300 PHENYL

49882 NAPHTHYL

332075 PYRID?

79545 PYRIMID?

L5 326 L3 AND (FURAN? OR BENZOFURAN? OR THIEN? OR PYRROL? OR PHENYL OR NAPHTHYL OR PYRID? OR PYRIMID?)

=> s l3 and 'benzo[b]thien'

55032 'BENZO'

1388875 'B'

1105 'THIEN'

280 'BENZO[B]THIEN'

('BENZO' (W) 'B' (W) 'THIEN')

L6 2 L3 AND 'BENZO[B]THIEN'

=> s l5 or l6

L7 326 L5 OR L6

=> d l7 1- ibib abs fhitstr

YOU HAVE REQUESTED DATA FROM 326 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:796322 CAPLUS

DOCUMENT NUMBER: 139:286366

TITLE: PPAR.alpha. and PPAR.delta. agonists, compositions, and methods for modulating .beta.-amyloid production

INVENTOR(S): Connop, Bruce P.; Grant, Amelia; MacDonald, David; Nathwani, Parimal S.; Reiner, Peter B.; Zhang, Zaihui

PATENT ASSIGNEE(S): Active Pass Pharmaceuticals, Inc., Can.

SOURCE: U.S. Pat. Appl. Publ., 54 pp., Cont.-in-part of U.S. Ser. No. 170,224.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003191144	A1	20031009	US 2002-325667	20021219
US 2003125338	A1	20030703	US 2002-170224	20020612
PRIORITY APPLN. INFO.:			US 2001-297845P	P 20010612
			US 2001-309257P	P 20010731
			US 2002-170224	A2 20020612

AB Methods and compns. useful in the treatment of amyloidosis and conditions

and diseases assocd. therewith, such as Alzheimer's disease, are provided. The methods involve administering to a subject in need thereof a pharmaceutical compn. including one or more agents that modulate PPAR.alpha. and/or PPAR.delta. activity, resulting in an inhibition of .beta.-amyloid prodn. and/or release from cells of the subject, particularly brain cells.

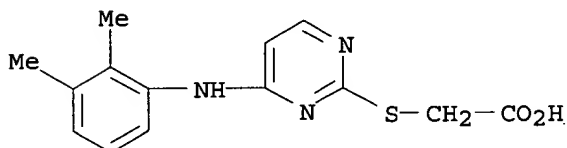
IT 609789-19-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR.alpha. and PPAR.delta. agonists, compns., and methods for modulating .beta.-amyloid prodn.)

RN 609789-19-7 CAPLUS

CN Acetic acid, [[4-[(2,3-dimethylphenyl)amino]-2-pyrimidinyl]thio]- (9CI)
(CA INDEX NAME)



L7 ANSWER 2 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:777399 CAPLUS

DOCUMENT NUMBER: 139:292151

TITLE: Preparation of pyridine derivatives as protein kinase inhibitors

INVENTOR(S): Li, Qun; Woods, Keith W.; Zhu, Gui-Dong; Fischer, John P.; Gong, Jianchun; Li, Tongmei; Gandhi, Virajkumar; Thomas, Sheela A.; Packard, Garrick K.; Song, Xiaohong; Abrams, Jason N.; Diebold, Robert; Dinges, Jurgen; Hutchins, Charles; Stoll, Vincent S.; Rosenberg, Saul H.; Giranda, Vincent L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 120 pp., Cont.-in-part of U.S. Ser. No. 23,363, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003187026	A1	20031002	US 2002-295833	20021118
WO 2003051366	A2	20030626	WO 2002-US39915	20021212

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

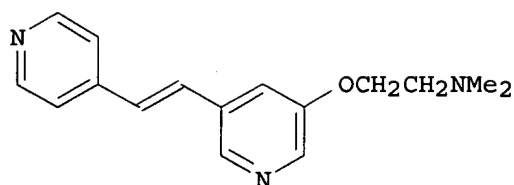
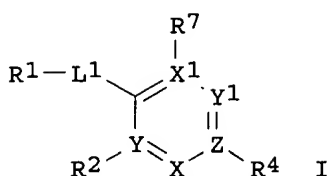
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-23363 B2 20011213

US 2002-295833 A 20021118

GI



AB The title compds. I [X = CR₈, N (R₈ = H, alkyl, NH₂, etc.); X₁, Y, Z = C, N; Y₁ = CR₉, N (R₉ = H, L₂L₃(R₃)(R₆)); provided that 0-2 of X, X₁, Y, Y₁ and Z are N; L₁ = a bond, CO, S, etc.; L₂ = a bond, O, S, etc.; L₃ = a bond, alkylidene, alkylene; R₁ = aryl, heteroaryl, heterocyclyl; R₂ and R₄ are absent or selected from H, alkenyl, alkyl, etc.; R₂ and L₁, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; R₂ and L₂, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; R₃ = absent, H, aryl, arylalkoxy, etc.; R₆ = H, aryl, arylalkoxy, etc.; R₇ = absent, H, alkyl, cyanoalkenyl, etc.; R₇ and L₁, together with the carbon atoms to which they are attached, form aryl, heteroaryl, heterocyclyl; with the provisos] were prepd. for use as kinase inhibitors with 77-100% inhibition of Akt at 1 .mu.M. Thus, 3,5-dibromopyridine was treated with HOCH₂CH₂NMe₂, followed by 4-vinylpyridine to give the **pyridinylethenylpyridine** (E)-II. Pharmaceutical compn. comprising the compd. I was claimed.

IT 552323-88-3P

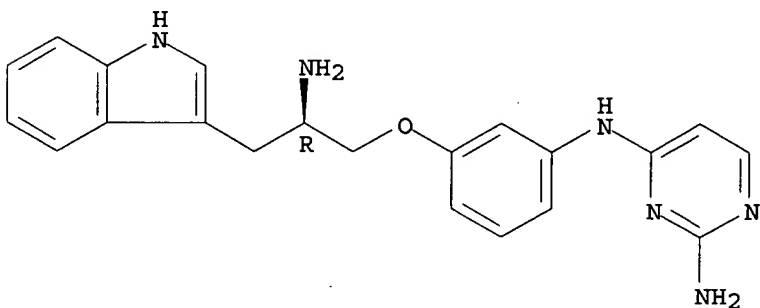
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **pyridine** derivs. as protein kinase inhibitors)

RN 552323-88-3 CAPLUS

CN 2,4-Pyrimidinediamine, N4-[3-[(2R)-2-amino-3-(1H-indol-3-yl)propoxy]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

L7 ANSWER 3 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757684 CAPLUS

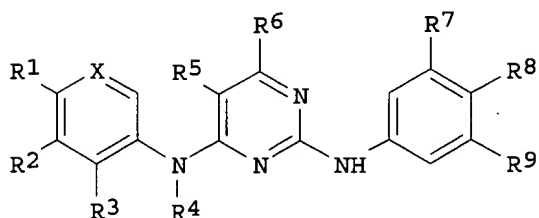
DOCUMENT NUMBER: 139:292258

TITLE: **Pyrimidine** derivatives

INVENTOR(S): Baenteli, Rolf; Zenke, Gerhard; Cooke, Nigel Graham; Duthaler, Rudolf; Thoma, Gebhard; Von Matt, Anette;

Honda, Toshiyuki; Matsuura, Naoko; Nonomura, Kazuhiko;
 Ohmori, Osamu; Umemura, Ichiro; Hinterding, Klaus;
 Papageorgiou, Christos
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078404	A1	20030925	WO 2003-EP2710	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
PRIORITY APPLN. INFO.:			GB 2002-6215	A 20020315
GI				



I

AB The pyrimidine derivs. (I) are claimed, wherein X = =CR or =N, R, R1, R2, R3, R4 independently is H, OH, C1-8alkyl, C2-8alkenyl, C3-8cycloalkyl, C3-8cycloalkyl-C1-8alkyl, hydroxyC1-8alkyl, C1-8alkoxyC1-8alkyl, hydroxyC1-8alkoxyC1-8alkyl, arylC1-8alkyl which optionally may be substituted on the ring by OH, C1-8alkoxy, carboxy, C1-8alkoxycarbonyl or R3 and R4 form together with N and C atoms to which they are attached to a 5-10 membered heterocyclic ring contg. 1, 2 or 3 heteroatoms of N, O or S; R1 and R2 form together with C atoms to which they are attached aryl of 5-10 membered heteroaryl moiety contg. 1-2 heteroatoms of N, O, S; R and R6 independently is H, halo, CN, C1-8alkyl, haloC1-8alkyl, C2-8alkenyl, C2-8alkynyl, C3-8cycloalkyl, C3-8cycloalkylC1-8alkyl, C5-10arylC1-8alkyl; R7, R8 and R9 is independently H, OH, C1-8alkyl, C2-8alkenyl, haloC1-8alkyl, C1-8alkoxy, C3-8cycloalkyl, C3-8cycloalkylC1-8, arylC1-8alkyl. disorders where ZAP-70 and/or Syk inhibition plays a role or caused by a malfunction of signal cascades connected with FAK. I are useful in disorders where ZAP-70 and/or Syk inhibition plays a role or caused by a malfunction of signal cascades connected with FAK. Pharmaceutical compns. contg. I are claimed.

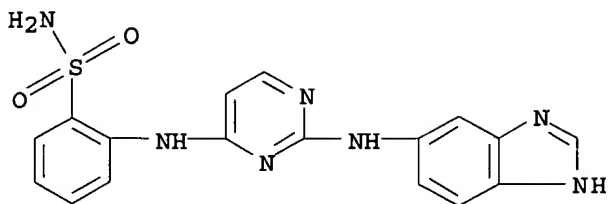
IT 604800-86-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. as protein kinase inhibitor)

RN 604800-86-4 CAPLUS

CN Benzenesulfonamide, 2-[[2-(1H-benzimidazol-6-ylamino)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:737756 CAPLUS

DOCUMENT NUMBER: 139:261319

TITLE: Preparation of 5-bromo-2,4-pyrimidinediamines and related compounds as cyclin dependent kinase inhibitors

INVENTOR(S): Luecking, Ulrich; Krueger, Martin; Jautelat, Rolf; Prien, Olaf; Siemeister, Gerd; Ernst, Alexander

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

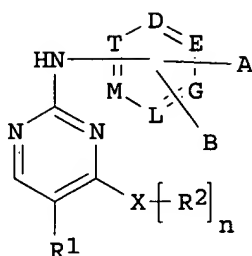
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

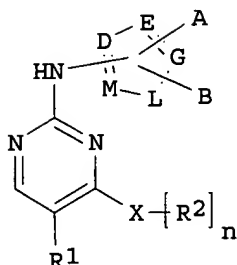
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076437	A1	20030918	WO 2003-EP1995	20030226
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10212100	A1	20031023	DE 2002-10212100	20020311
PRIORITY APPLN. INFO.:				
			DE 2002-10212100 A	20020311
			DE 2002-10255984 A	20021126

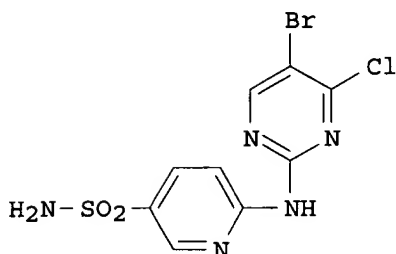
GI



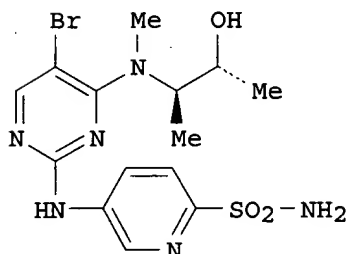
I



II



III



IV

AB Title compds. I and II [D, E, G, L, M, T = C, O, N, S atom whereby at least a heteroatom must be contained in the ring; R1 = H, halo, alkyl, etc.; R2 = H, alkyl, alkenyl, etc.; A, B = H, OH, halo, etc.; n = 0, 1 with provisos] and their pharmaceutically acceptable salts were prepd. For example, condensation of chloropyrimidine III, e.g., prepd. from 5-bromo-2-chloro-4-hydroxypyrimidine in 2-steps, and threo-3-methylaminobutan-2-ol afforded **pyrimidinediamine** IV in 75% yield. In CDK2/CycE inhibition studies, 24-examples of compds. I exhibited IC50 values ranging from 6-74 nM. Compds. I are claimed useful as cardiovascular, antiviral, antitumor, etc. agents.

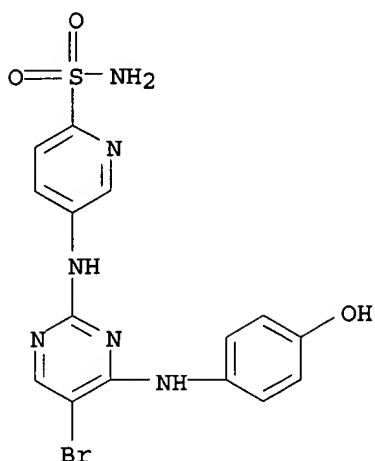
IT **600733-57-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of 5-bromo-2,4-pyrimidinediamines and related compds. as cyclin dependent kinase inhibitors)

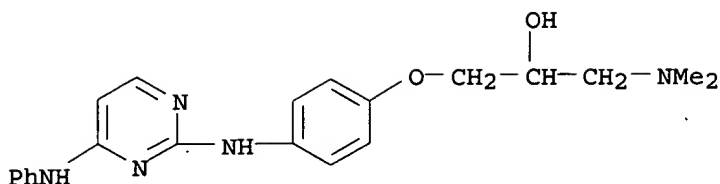
RN 600733-57-1 CAPLUS

CN 2-Pyridinesulfonamide, 5-[[5-bromo-4-[(4-hydroxyphenyl)amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:665537 CAPLUS
 TITLE: Imidazo[1,2-a]pyridines: A potent and selective class of cyclin-Dependent kinase inhibitors identified through structure-Based hybridization
 AUTHOR(S): Anderson, Malcolm; Beattie, John F.; Breault, Gloria A.; Breed, Jason; Byth, Kate F.; Culshaw, Janet D.; Ellston, Rebecca P. A.; Green, Stephen; Minshull, Claire A.; Norman, Richard A.; Pauptit, Richard A.; Stanway, Judith; Thomas, Andrew P.; Jewsbury, Philip J.
 CORPORATE SOURCE: AstraZeneca, Cheshire, SK10 4TG, UK
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(18), 3021-3026
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB High-throughput screening identified the imidazo[1,2-a]pyridine and bisanilinopyrimidine series as inhibitors of the cyclin-dependent kinase CDK4. Comparison of their exptl.-detd. binding modes and emerging structure-activity trends led to the development of potent and selective imidazo[1,2-a]pyridine inhibitors for CDK4 and in particular CDK2.
 IT 260044-97-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (imidazopyridines and bisanilinopyrimidines as inhibitors of cyclin-dependent kinase CDK4)
 RN 260044-97-1 CAPLUS
 CN 2-Propanol, 1-(dimethylamino)-3-[4-[[4-(phenylamino)-2-pyrimidinyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:645300 CAPLUS

DOCUMENT NUMBER: 139:292224

TITLE: Traceless solid-phase synthesis of

AUTHOR(S): 2,4,6-chlorodiamino- and triaminopyrimidines
Montebugnoli, Dario; Bravo, Pierfrancesco; Brenna, Elisabetta; Mioskowski, Charles; Panzeri, Walter; Viani, Fiorenza; Volonterio, Alessandro; Wagner, Alain; Zanda, Matteo

CORPORATE SOURCE: Dipartimento di Chimica, Materiali ed Ingegneria Chimica "G. Natta", Politecnico di Milano, Milan, I-20131, Italy

SOURCE: Tetrahedron (2003), 59(36), 7147-7156

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An effective traceless solid-phase synthesis of chlorodiaminopyrimidines via an amino-dechlorination reaction of polymer-bound 4-alkoxycarbonylamino-2,6-dichloropyrimidines has been developed. After release from the polymer the target mols. were obtained in good to excellent purity, although with modest regiocontrol. Further reaction of solid-supported N-(alkoxycarbonyl)chlorodiaminopyrimidines with secondary amines afforded triaminopyrimidines in good purity under mild conditions, whereas less nucleophilic primary amines did not perform well under the conditions explored so far.

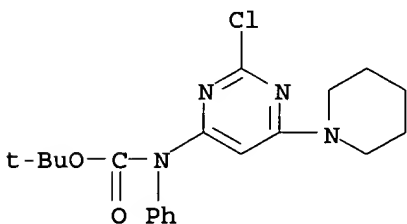
IT 607691-37-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(soln.-phase and traceless solid-phase synthesis of chlorodiamino- and triaminopyrimidines by amino-dechlorination reaction of aminodichloropyrimidines)

RN 607691-37-2 CAPLUS

CN Carbamic acid, [2-chloro-6-(1-piperidinyl)-4-pyrimidinyl]phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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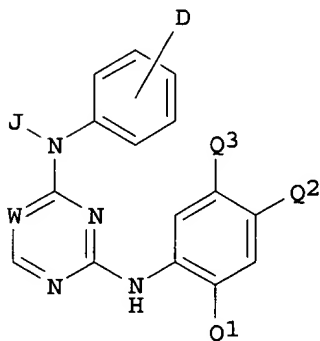
L7 ANSWER 7 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:633670 CAPLUS
DOCUMENT NUMBER: 139:180077
TITLE: Preparation of (phenylamino)pyrimidine
derivatives as TIE-2 and/or VEGFR-2 inhibitors for
treatment of hyperproliferative diseases
INVENTOR(S): Cheung, Mui; Nailor, Kristen Elizabeth; Sammond,
Douglas Mccord; Veal, James Marvin
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066601	A1	20030814	WO 2003-US3816	20030207
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

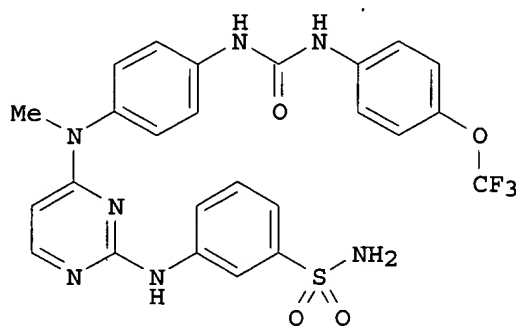
PRIORITY APPLN. INFO.: US 2002-355046P P 20020208

OTHER SOURCE(S): MARPAT 139:180077

GI



I



II

AB The title pyrimidine derivs. with general formula of I [wherein
W = N or CR; R = H, halo, or CN; J = H, alkyl, haloalkyl, aralkyl,
cyanoalkyl, (CH₂)_pC=CH(CH₂)_qH, (CH₂)_pC.tplbond.C(CH₂)_qH, or cycloalkyl; p
= 1-3; q = 0-1; D = (un)substituted amino; Q1 = H, halo, haloalkyl, alkyl,
alkoxy, or haloalkoxy; Q2 = A1 or A2; Q3 = A1 when Q2 = A2 or A2 when Q2 =
A1; A1 = H, halo, alkyl, haloalkyl, or (un)substituted OH; A2 =
(Z)m-(Z1)-(Z2); Z = CH₂ where m = 0-3, (un)substituted amino where m =
0-1, O where m = 0-1, or (un)substituted CH₂-amino where m = 0-1; Z1 =
SO₂, SO, or CO; Z2 = alkyl, cycloalkyl, heterocyclyl, aryl, arylamino,
aralkyl, aralkoxy, heteroaryl, or (un)substituted amino] and salts,
solvates, and phys. functional derivs. thereof, which are useful as

tyrosine kinase TIE-2 and/or VEGFR-2 inhibitors for the treatment of hyperproliferative diseases, are prepd. For example, 3-[[4-[(4-aminophenyl)(methyl)amino]pyrimidin-2-yl]amino]benzenesulfonamide (prepn. given) was reacted with (4-trifluoromethoxy)phenyl isocyanate in MeCOMe to give II. Some of compds. I showed "-log(IC50)" of >7.0 against human TIE2-FP, VEGF-E, and VEGF-C.

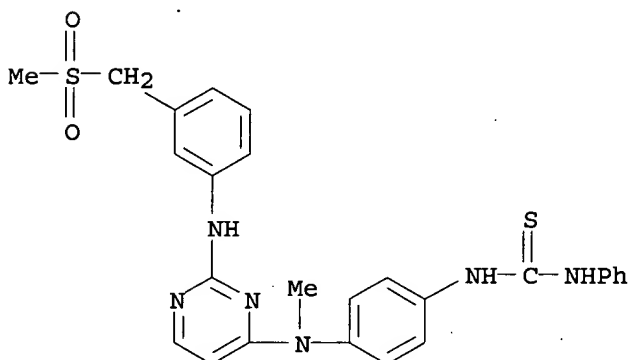
IT 579516-12-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; prepn. of (phenylamino)pyrimidine derivs. as TIE-2 and/or VEGFR-2 inhibitors for treatment of hyperproliferative diseases)

RN 579516-12-4 CAPLUS

CN Thiourea, N-[4-[methyl[2-[[3-[(methylsulfonyl)methyl]phenyl]amino]-4-pyrimidinyl]amino]phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:610204 CAPLUS

DOCUMENT NUMBER: 139:164801

TITLE: Preparation of 2,4-pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction

INVENTOR(S): Singh; Rajinder; Argade, Ankush; Payan, Donald G.; Molineaux, Susan; Holland, Sacha J.; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar; Sylvain, Catherine; Li, Weigun; Rossi, Alexander B.

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 648 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063794	A2	20030807	WO 2003-US3022	20030131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
 ML, MR, NE, SN, TD, TG

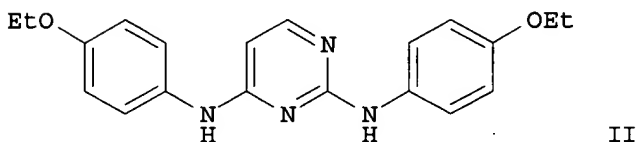
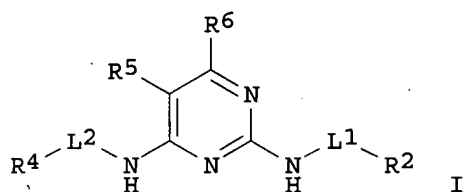
PRIORITY APPLN. INFO.:

US 2002-353267P P 20020201
 US 2002-353333P P 20020201
 US 2002-399673P P 20020729
 US 2002-434277P P 20021217

OTHER SOURCE(S):

MARPAT 139:164801

GI



AB Title compds. I [wherein L1 and L2 = independently a bond or a linker; R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl; R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl; R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NO2, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl), etc.; with provisos and exclusions; and salts, hydrates, solvates, N-oxides, and prodrugs thereof] were prepd. as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chem. mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxyphenyl)-2,4-pyrimidinediamine (II). The latter inhibited degranulation of bone marrow derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5 .mu.M and 4.4 .mu.M, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or assocd. with the release of chem. mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IgE and/or IgG receptor signaling cascades. The treatment and prevention of allergic diseases, low grade scarring, diseases assocd. with tissue destruction, diseases assocd. with tissue inflammation, inflammation, and scarring are targeted uses (no data).

IT 575474-82-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

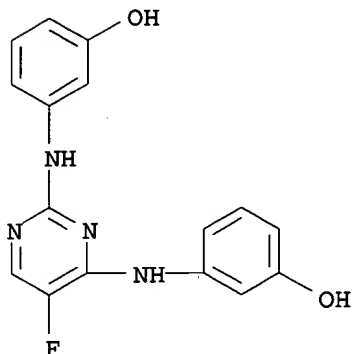
(IgE and/or IgG receptor modulator; prepn. of
pyrimidinediamines as IgE and/or IgG receptor modulators for
 treatment of allergic diseases, inflammatory conditions, and tissue

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destruction)

RN 575474-82-7 CAPLUS

CN Phenol, 3,3'-[(5-fluoro-2,4-pyrimidinediyl)diimino]bis- (9CI) (CA INDEX NAME)



L7 ANSWER 9 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:591171 CAPLUS

DOCUMENT NUMBER: 139:149645

TITLE: Preparation of **pyrimidine** derivatives for use in pharmaceutical compositions as Rho-kinase inhibitors

INVENTOR(S): Nagarathnam, Dhanapalan; Dumas, Jacques; Hatoum-Mokdad, Holia; Boyer, Stephen; Pluempe, Hans

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062227	A1	20030731	WO 2003-US1840	20030123

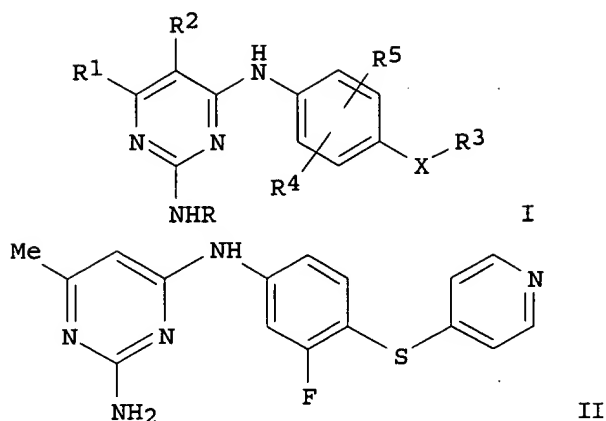
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-349986P P 20020123

GI



AB **Pyrimidine** derivs., such as I [R = H, Ph; R1 = H, alkyl, aryl, heteroaryl, halogen; R2 = H, alkyl, halogen; R1R2 = (CH2)3-5; R3 = heteroaryl, such as **pyridinyl**, **quinolinyl** or **isoquinolinyl**; X = O, S; R4, R5 = H, Cl, F], were prepd. for therapeutic use as Rho-kinase inhibitors. These **pyrimidine** derivs. are useful for inhibiting tumor growth in cancer of the breast, colon, prostate, ovaries, brain or lung, and for treatment of other disorders mediated by Rho-kinase, such as erectile dysfunction, coronary heart disease, hypertension, atherosclerosis, restenosis, cerebral ischemia, cerebral vasospasm, neuronal degeneration, spinal cord injury, asthma, glaucoma and osteoporosis. Thus, II was prepd. in 18% yield by reacting 2-amino-4-chloro-6-methylpyrimidine with 3-fluoro-4-(4-**pyridinylthio**)aniline using K2CO3 in o-xylene at 100.degree. overnight. The prepd. **pyrimidine** derivs. were assayed for inhibition of ROCK-I phosphorylation of myelin basic protein.

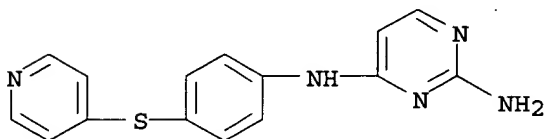
IT **570415-08-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **pyrimidine** derivs. for use in pharmaceutical compns. as Rho-kinase inhibitors)

RN 570415-08-6 CAPLUS

CN 2,4-Pyrimidinediamine, N4-[4-(4-pyridinylthio)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:591169 CAPLUS

DOCUMENT NUMBER: 139:149643

TITLE: Preparation of **pyrimidinamines** as Rho-kinase inhibitors for inhibiting tumor growth, treating erectile dysfunction, and other therapeutic uses

INVENTOR(S): Nagarathnam, Dhanapalan; Dumas, Jacques; Hatoum-mokdad, Holia; Boyer, Stephen; Wang, Chunguang;

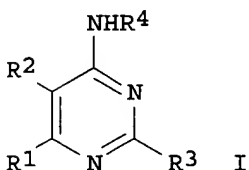
PATENT ASSIGNEE(S): Pluempe, Hans; Feurer, Achim; Bennabi, Samir
 SOURCE: Bayer Corporation, USA
 PCT Int. Appl., 91 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062225	A1	20030731	WO 2003-US1839	20030123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-349987P P 20020123

OTHER SOURCE(S): MARPAT 139:149643

GI



AB Disclosed are **pyrimidinamines** (shown as I; variables defined below; e.g. 4-[[4-[(2-amino-6-ethyl-4-pyrimidinyl)amino]phenyl]sulfanyl]phenol), their synthesis, and their use as Rho-kinase inhibitors (no data). These compds. are useful for inhibiting tumor growth, treating erectile dysfunction, and treating other indications mediated by Rho-kinase, e.g., coronary heart disease. For I: R1 and R2 = H, halo, alkyl (un)substituted by halo up to perhalo, cycloalkyl, alkenyl, alkynyl, NO₂, NH₂, NR₆R₇, or furyl, **thienyl**, **pyridyl**, trifluoromethyl or Ph each (un)substituted with NH₂, NO₂ trifluoromethyl or alkoxy; or R1 and R2 may be taken together to form a ring of = 5-7 members optionally interrupted by N and (un)substituted on N by benzyl. R3 = NH₂ or -NH- Ph (un)substituted with halo, C1-C4 alkyl, trifluoromethyl, nitro or amino; R4 = X-A- and R5_n-substituted Ph, R5_n-substituted 6-X-Apyridin-3-yl or indol-5-yl (un)substituted on N with **pyridyl**; X is a linker substituted at the 3 or 4 position of the ring and is O, S, -S-CH₂-, -(CH₂)_m-, or -C(O)-; A is Ph (un)substituted with alkylthio or OH, **pyridyl**, quinolyl or isoquinolyl. Each R5 independently is halo, alkyl (un)substituted by halo up to perhalo, cycloalkyl, alkoxy, alkenyl, alkynyl, NO₂, NH₂, or trifluoromethyl; n is 0-4; m is 1 or 2; and R6 and R7 are each independently H, alkyl, cycloalkyl, or Ph (un)substituted with halo, CF₃, alkyl, nitro or amino; or R6 and R7 may form, together with the N atom to which they are attached, a heterocyclic ring (un)substituted with alkyl, optionally interrupted by O, or optionally fused to **phenyl**; addnl. details including provisos are given in the claims. More than 30 example preps. of I plus many preps. of intermediates are included. For example,

4-[[4-[(2-amino-6-ethyl-4-pyrimidinyl)amino]phenyl]mercaptol]phenol (0.11 mmol, 51% yield) was prepd. from 2-amino-4-chloro-6-ethylpyrimidine (0.23 mmol) and 4-[(4-aminophenyl)sulfanyl]phenol (0.25 mmol) suspended in a mixt. of 0.01M aq. HCl (230 .mu.L) and 1-butanol (230 .mu.L); the mixt. was refluxed overnight.

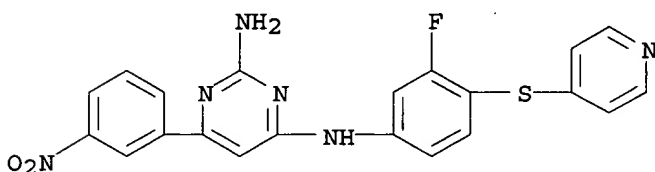
IT 569658-30-6P, N-[2-Amino-6-(3-nitrophenyl)-4-pyrimidinyl]-N-[3-fluoro-4-[(4-pyridinyl)sulfanyl]phenyl]amine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; prepn. of pyrimidinamines as Rho-kinase inhibitors for inhibiting tumor growth, treating erectile dysfunction, and other therapeutic uses)

RN 569658-30-6 CAPLUS

CN 2,4-Pyrimidinediamine, N4-[3-fluoro-4-(4-pyridinylthio)phenyl]-6-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:551510 CAPLUS

DOCUMENT NUMBER: 139:117434

TITLE: Aminopyrimidines as adenosine receptor antagonists, processes for their preparation and pharmaceutical compositions

INVENTOR(S): Tsutsumi, Hideo; Yonishi, Satoshi; Akahane, Atsushi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 220 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

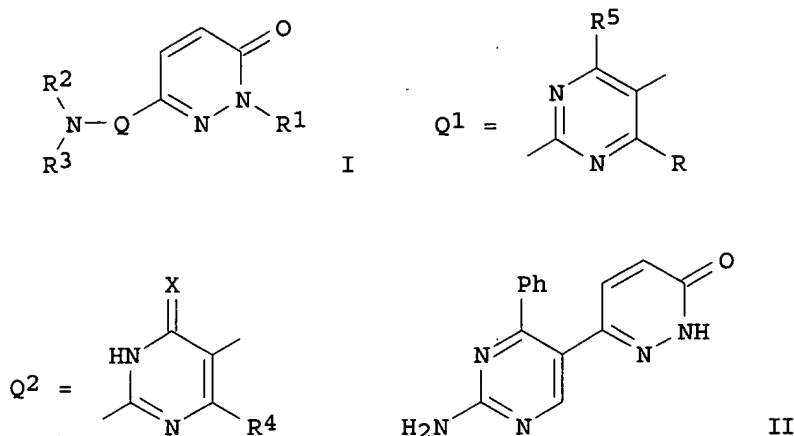
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057689	A1	20030717	WO 2002-JP13796	20021227
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

AU 2002-9796	A	20020102
AU 2002-1724	A	20020412
AU 2002-2002	A	20020916



AB Title compd. I [wherein Q = Q¹, Q²; R, R₄ = (un)substituted aryl, heterocyclyl; R₅ = H, halogen, alkyl, (un)substituted hydroxy, amino, mercapto, alkylsulfinyl, alkylsulfonyl, X = O, S; R₁ = H, (un)substituted alkyl and cycloalkyl optionally interrupted by an O; R₂, R₃ = independently H, alkyl, acyl, aryl, heterocyclylalkyl; NR₂R₃ = N-heterocyclyl] and their salts were prepd. as adenosine receptor antagonists. For example, compd. II was prepd. from 3-(phenylethynyl)-6-(phenylsulfonyl)pyridazine in five steps by methanolysis, water addn. to the triple bond, condensation with N,N-dimethylformamide di-Me acetal, cyclocondensation with guanidine hydrochloride and demethylation. II showed binding to the human A₁ adenosine receptor with K_i = 11.35 nM and to the human A_{2a} adenosine receptor with K_i = 3.85 nM. Thus, I are useful as A₁ receptor and A_{2a} receptor dual antagonists and for the prevention and/or treatment of depression, dementia (e.g. Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.), Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure and the like (no data).

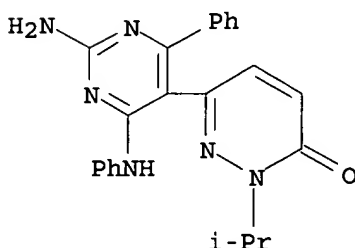
IT 560113-21-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(A₁ and A_{2a} adenosine receptor ligand; prepn. of aminopyrimidines as adenosine receptor antagonists)

RN 560113-21-5 CAPLUS

CN 3(2H)-Pyridazinone, 6-[2-amino-4-phenyl-6-(phenylamino)-5-pyrimidinyl]-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

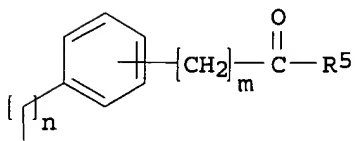
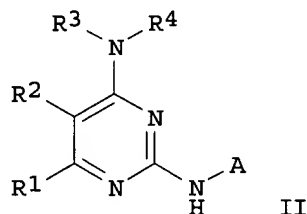
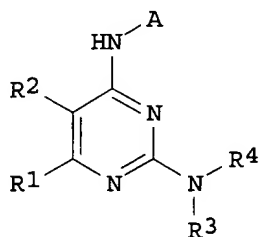


RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

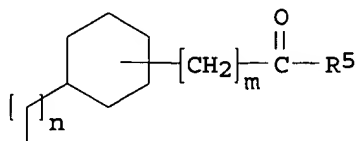
L7 ANSWER 12 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:532524 CAPLUS
 DOCUMENT NUMBER: 139:101141
 TITLE: Preparation of 2,4-diaminopyrimidines as inhibitors of
 prolylpeptidase, inducers of apoptosis and cancer
 treatment agents
 INVENTOR(S): Dumas, Jacques; Dixon, Julie; Sibley, Robert; Wood,
 Jill
 PATENT ASSIGNEE(S): Bayer Corporation, USA
 SOURCE: PCT Int. Appl., 47 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055489	A1	20030710	WO 2002-US41146	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-343047P P 20011221
 OTHER SOURCE(S): MARPAT 139:101141
 GI



III



IV

AB The title compds. [I or II; R1, R2 = H, halo, OH, etc.; R3 = H; R4 =
 (un)substituted alkyl, cycloalkyl, aryl, alkylaryl; or NR3R4 = (un)satd.
 4-8 membered heterocyclyl which optionally contains 1-3 addnl. heteroatoms

selected from N, O and S; A = III or IV; R5 = OH, OR6, NR8R9; R6 = alkyl, haloalkyl, aryl, haloaryl; R8, R9 = H, alkyl, aryl, etc.; n, m = 0-1], useful for the inhibiting prolylpeptidase, inducing apoptosis and treating cancer, were prepd. E.g., a 3-step synthesis of I [A = 4-(HO2C)C6H4CH2; R1 = H; R2 = Me; R3 = H; R4 = 2-thienylmethyl], starting from Me 4-(aminomethyl)benzoate and 2,4-dichloro-5-methylpyrimidine, was given. All exemplified compds. I were found to inhibit prolylpeptidase at or below of 10 .mu.M.

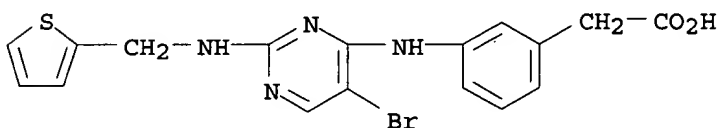
IT 557789-93-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2,4-diaminopyrimidines as inhibitors of prolylpeptidase, inducers of apoptosis and cancer treatment agents)

RN 557789-93-2 CAPLUS

CN Benzeneacetic acid, 3-[[5-bromo-2-[(2-thienylmethyl)amino]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:491046 CAPLUS

DOCUMENT NUMBER: 139:69152

TITLE: Preparation of **pyridine** derivatives as protein kinase inhibitors

INVENTOR(S): Li, Qun; Woods, Keith W.; Zhu, Gui-Dong; Fischer, John P.; Gong, Jianchun; Li, Tongmei; Gandhi, Viraj; Thomas, Sheela A.; Packard, Garrick; Song, Xiaohong; Abrams, Jason N.; Diebold, Robert; Dinges, Jurgen; Hutchins, Charles; Stoll, Vincent S.; Rosenberg, Saul H.; Giranda, Vincent L.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 261 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051366	A2	20030626	WO 2002-US39915	20021212
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p> <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
US 2003187026	A1	20031002	US 2002-295833	20021118

09/ 922,874

PRIORITY APPLN. INFO.:

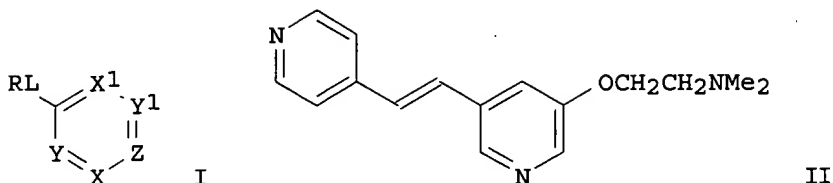
US 2001-23363 A 20011213

US 2002-295833 A 20021118

OTHER SOURCE(S):

MARPAT 139:69152

GI



AB **Pyridines I** [X, X1, Y, Y1, Z = N, (un)substituted CH; L = O, alkenyl, alkynyl, CO, S, s(O), SO2, (un)substituted NH, SO2NH, NHSO2, CH2, CH2NH, NHCN, CONH; R = aryl, heteroaryl, heterocyclic] were prepd. for use as kinase inhibitors with 77-100% inhibition of Akt at 1 .mu.M. Thus, 3,5-dibromopyridine was treated with HOCH2CH2NMe2, followed by 4-vinylpyridine to give the **pyridinylethenylpyridine (E)-II**.

IT **552330-63-9P**

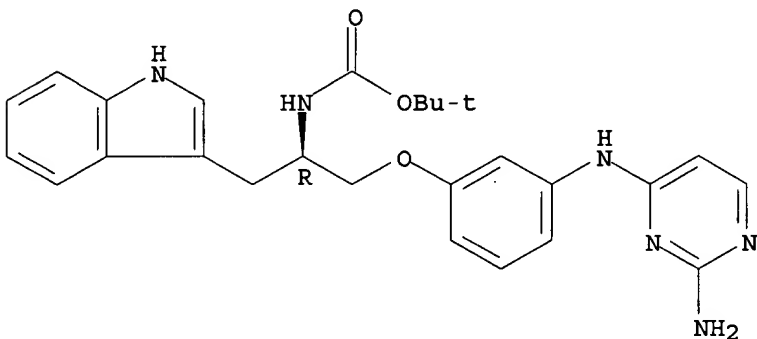
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of **pyridine** derivs. as protein kinase inhibitors)

RN 552330-63-9 CAPLUS

CN Carbamic acid, [(1R)-2-[3-[(2-amino-4-pyrimidinyl)amino]phenoxy]-1-(1H-indol-3-ylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 14 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:434539. CAPLUS

DOCUMENT NUMBER: 139:22228

TITLE: Preparation of aryldiamine derivatives as amyloid protein fibrosis inhibitors for treatment of Alzheimer's disease

INVENTOR(S): Meguro, Masaki; Oda, Tomiichiro; Nakagami, Yasuhiro; Marumoto, Shinji; Koyama, Kazuo; Kaneko, Isao

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045923	A1	20030605	WO 2002-JP12265	20021125

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

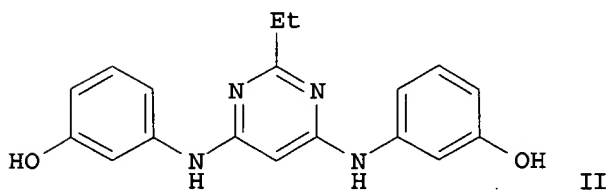
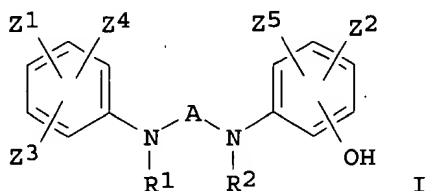
JP 2001-361847 A 20011128

JP 2002-192777 A 20020702

OTHER SOURCE(S):

MARPAT 139:22228

GI



AB The title compds. I [wherein R1 and R2 = independently H or alkyl; Z1 and Z2 = independently H, alkyl, alkoxy, haloalkyl, or halo; Z3 = alkoxy, SH, alkylthio, NH2, alkylamino, dialkylamino, OH, or halo; Z4 and Z5 = independently H or halo; A = (un)substituted **pyrimidine**, pyrazine, 1,3,5-triazine, or **pyridazine**] and pharmaceutically acceptable salts thereof are prepd as amyloid protein fibrosis inhibitors for the treatment of Alzheimer's disease. For example, 2-ethyl-1H-**pyrimidine**-4,6-dione was treated with phosphoryl chloride to give 4,6-dichloro-2-ethylpyrimidine (95%). The **pyrimidine** obtained was reacted with 3-aminophenol in 2-ethoxyethanol to afford II (60%). II showed IC50 of 1.9 .mu.M against 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide (MTT) reducibility deterioration. Formulations contg. I as an active ingredient were also described.

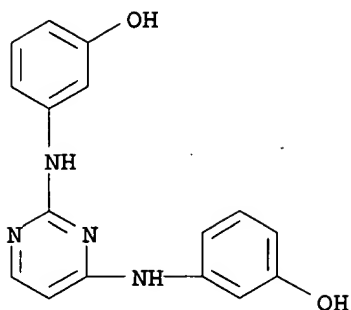
IT 536993-42-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of aryldiamine derivs. as amyloid protein fibrosis inhibitors for treatment of Alzheimer's disease)

RN 536993-42-7 CAPLUS

CN Phenol, 3,3'-(2,4-pyrimidinediylldiimino)bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:384411 CAPLUS

DOCUMENT NUMBER: 139:230713

TITLE: A convenient synthesis of trisubstituted **pyrido[2,3-d]pyrimidin-7-ones**

AUTHOR(S): Kaspavec, Jiri; Adams, Jerry L.; Sisko, Joseph; Silva, Domingos J.

CORPORATE SOURCE: Medicinal Chemistry Department, GlaxoSmithKline, Collegeville, PA, 19426, USA

SOURCE: Tetrahedron Letters (2003), 44(24), 4567-4570

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel, highly efficient and scalable route for the synthesis of trisubstituted **pyrido[2,3-d]pyrimidin-7-ones** was developed. The target compds. were synthesized in five steps from readily available reagents in about 40% overall yield.

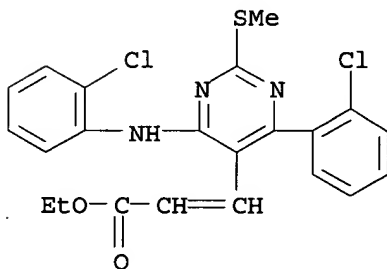
IT 593277-84-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of trisubstituted **pyrido[2,3-d]pyrimidin-7-ones** via nucleophilic displacement followed by Suzuki coupling as the key steps)

RN 593277-84-0 CAPLUS

CN 2-Propenoic acid, 3-[4-(2-chlorophenyl)-6-[(2-chlorophenyl)amino]-2-(methylthio)-5-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:356443 CAPLUS

DOCUMENT NUMBER: 138:368916
 TITLE: Preparation of heteroarylamines as glycogen synthase kinase 3beta inhibitors
 INVENTOR(S): Freyne, Eddy Jean Edgard; Buijnsters, Peter Jacobus Johannes Antonius; Willems, Marc; Embrechts, Werner Constant Johan; Love, Christopher John; Janssen, Paul Adriaan Jan; Lewi, Paulus Joannes; Heeres, Jan; De Jonge, Marc Rene; Koymans, Lucien Maria Henricus; Vinkers, Hendrik Maarten; Van Aken Koen, Jeanne Alfons; Diels, Gaston Stanislas Marcella
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037891	A1	20030508	WO 2002-EP12077	20021029
WO 2003037891	C1	20030904		

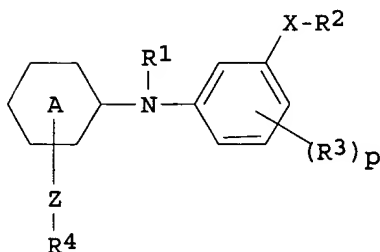
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2001-204196 A 20011101

OTHER SOURCE(S): MARPAT 138:368916

GI



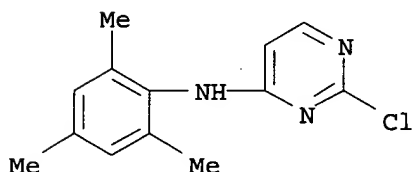
I

AB This invention concerns compds. of formula (I), N-oxides, pharmaceutically acceptable addn. salts, quaternary amines and stereochem. isomeric forms thereof [wherein ring A = pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl; R¹ = H, aryl, formyl, C1-6 alkylcarbonyl, C1-6 alkyl, formyl-C1-6 alkyl, C1-6 alkyloxycarbonyl, C1-6 alkylcarbonyloxy, C1-6 alkyloxy-C1-6 alkylcarbonyl optionally substituted with C1-6 alkyloxycarbonyl; X, Z = a direct bond or a linker atom or group; R² = H, each (un)substituted C1-10 alkyl, C2-10alkenyl, C2-10 alkynyl, or carbocycle or heterocycle group; R³ = H, HO, halo, each optionally substituted C1-6 alkyl, C1-6 alkenyl, or C2-6alkynyl, C1-6 alkyloxy, C1-6 alkylthio, C1-6 alkyloxycarbonyl, C1-6 alkylcarbonyloxy,

CO₂H, cyano, nitro, amino, mono- or di(C1-6 alkyl)amino, polyhalo-C1-6 alkyl, polyhalo-C1-6 alkyloxy, polyhalo-C1-6 alkylthio, R₂₁, R₂₁-C1-6 alkyl, R₂₁O, R₂₁S, R₂₁CO, R₂₁S(O)_n, R₂₁S(O)_nNH, NHCHO, CONHNH₂, R₂₁CONH, C(:NH)R₂₁, etc.; wherein n = 1,2; R₂₁ = each (un)substituted satd., partially satd., or arom. mono-, di-, or tricyclic carbocycle or heterocycle group; R₄ = (un)substituted satd., partially satd., or arom. mono-, di-, or tricyclic carbocycle or heterocycle provided that -X-R₂ and/or R₃ is other than hydrogen; p = 1-3]. These compds. are useful for the prevention or the treatment of diseases mediated through glycogen synthase kinase 3.β. (GSK3.β.) including bipolar disorder (in particular manic depression), diabetes, Alzheimer's disease, leukopenia, FTDP-17 (fronto-temporal dementia assocd. with Parkinson's disease), cortico-basal degeneration, progressive supranuclear palsy, multiple system atrophy, Pick's disease, Niemann Pick's disease type C, dementia pugilistica, dementia with tangles only, dementia with tangles and calcification, Down syndrome, myotonic dystrophy, Parkinsonism-dementia complex of Guam, AIDS related dementia, postencephalic Parkinsonism, prion diseases with tangles, subacute sclerosing panencephalitis, frontal lobe degeneration (FLD), argyrophilic grains disease, subacute sclerotizing panencephalitis (SSPE) (late complication of viral infections in the central nervous system), inflammatory diseases, cancer, dermatol. disorders, neuronal damage, schizophrenia, and pain. Thus, a mixt. of 0.002 mol 2-[(4-cyano-3-benzyloxyphenyl)amino]pyrimidine-4-carboxylic acid Et ester and 0.002 mol piperazine in 15 mL MeOH was stirred at room temp. for 1 day to give 0.32 g N-[2-[(4-cyano-3-benzyloxyphenyl)amino]pyrimidin-4-ylcarbonyl]piperazine (II). II and 2-(1,3-benzodioxol-5-ylamino)-4-(2,4,6-trimethylphenylamino)pyrimidine showed pIC₅₀ of 5.53 and 5.30, resp., against GSK3.β.

IT 244768-44-3P, 2-Chloro-N-(2,4,6-trimethylphenyl)-4-pyrimidinamine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of heteroarylamine derivs. as glycogen synthase kinase 3.β. (GSK3.β.) inhibitors for preventing or treating GSK3.β.-mediated diseases)

RN 244768-44-3 CAPLUS
 CN 4-Pyrimidinamine, 2-chloro-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:356429 CAPLUS
 DOCUMENT NUMBER: 138:368902
 TITLE: Preparation of aminobenzamide derivatives as glycogen synthase kinase 3.β. inhibitors
 INVENTOR(S): Freyne, Eddy Jean Edgard; Buijnsters, Peter Jacobus Johannes Antonius; Willems, Marc; Embrechts, Werner Constant Johan; Janssen, Paul Adriaan Jan; Lewi, Paulus Joannes; Heeres, Jan; De Jonge, Marc Rene; Koymans, Lucien Maria Henricus; Daeyaert, Frederik Frans Desire; Kukla, Michael Joseph; Geerts, Hugo

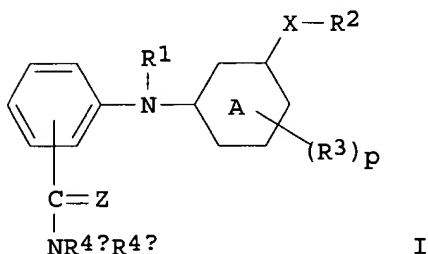
Alfons Gabriel; Nuydens, Rony Maria; Mercken, Marc
 Hubert; Ludovici, Donald William
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037877	A1	20030508	WO 2002-EP12079	20021029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2001-204192 A 20011101

OTHER SOURCE(S): MARPAT 138:368902

GI



AB Aminobenzamide derivs. (I), N-oxides, pharmaceutically acceptable addn. salts, quaternary amines, and stereochem. isomeric forms thereof [wherein ring A = **pyridyl**, **pyrimidinyl**, **pyrazinyl**, **pyridazinyl**; R1 = H, aryl, CHO, C1-6 alkylcarbonyl, optionally substituted C1-6 alkyl, C1-6 alkyloxycarbonyl, optionally substituted C1-6 alkyloxy-C1-6 alkylcarbonyl; X = a direct bond or a linker atom or group; Z = O, S; R2 = H, each (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, or carbocycle or heterocycle group; R3 = H, HO, halo, each optionally substituted C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, C1-6 alkyloxy, C1-6 alkylthio; C1-6 alkyloxycarbonyl, C1-6 alkylcarbonyloxy, carboxyl, cyano, nitro, amino, mono- or di(C1-6 alkyl)amino, polyhalo-C1-6 alkyl, polyhalo-C1-6 alkyloxy, polyhalo-C1-6 alkylthio, R21, R21-C1-6 alkyl, R21O, R21S, R21CO, R21S(O)n, NHCHO, CONHNH2, etc.; R4a, R4b = H, R8, Y1-NR9-Y2-NR10R11, Y1-NR9-Y1-R8, Y1-NR9R10; wherein n = 1,2; R21 = (un)substituted monocyclic, bicyclic or tricyclic (partially) satd. carbocycle or heterocycle, monocyclic, bicyclic or tricyclic arom. carbocycle or heterocycle; Y1, Y2 = a direct bond, a linker group; R8 = C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, etc.; R9, R10, R11 = H, R8, etc.; p = 1-3] are prepd. These compds. are useful for the prevention or the treatment of diseases mediated through GSK3 including bipolar disorder (in

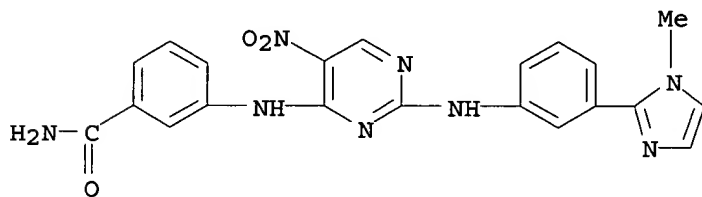
particular manic depression), diabetes, Alzheimer's disease, leukopenia, FTDP-17 (fronto-temporal dementia assocd. with Parkinson's disease), cortico-basal degeneration, progressive supranuclear palsy, multiple system atrophy, Pick's disease, Niemann Pick's disease type C, Dementia Pugilistica, dementia with tangles only, dementia with tangles and calcification, Down syndrome, myotonic dystrophy, Parkinsonism-dementia complex of Guam, AIDS related dementia, Postencephalic Parkinsonism, prion diseases with tangles, subacute sclerosing panencephalitis, frontal lobe degeneration (FLD), argyrophilic grains disease, subacute sclerotizing panencephalitis (SSPE) (late complication of viral infections in the central nervous system), inflammatory diseases, cancer, dermatol. disorders, neuronal damage, schizophrenia, and pain. Thus, a soln. of 2-chloro-5-nitro-N-(phenylmethyl)-4-pyrimidinamine (0.012 mol), 3-aminobenzamide (0.012 mol) and Et₃N (0.012 mol) in DMF (50 mL) was stirred for 2 h at 60.degree. to give 77% 3-[[4-benzylamino-5-nitropyrimidin-2-yl]amino]benzamide (II). II and 3-[(4-benzoyloxypyrimidin-2-yl)amino]benzamide showed pIC₅₀(M) of 6.74 and 5.85, resp., against GSK3.beta..

IT 521304-18-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of aminobenzamide derivs. as glycogen synthase kinase 3.beta. (GSK3.beta.) inhibitors for preventing or treating GSK3.beta.-mediated diseases)

RN 521304-18-7 CAPLUS

CN Benzamide, 3-[[2-[[3-(1-methyl-1H-imidazol-2-yl)phenyl]amino]-5-nitro-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:326011 CAPLUS

DOCUMENT NUMBER: 139:230704

TITLE: 2,4-Disubstituted pyrimidines: A novel class of KDR kinase inhibitors

AUTHOR(S): Manley, Peter J.; Balitza, Adrienne E.; Bilodeau, Mark T.; Coll, Kathleen E.; Hartman, George D.; McFall, Rosemary C.; Rickert, Keith W.; Rodman, Leonard D.; Thomas, Kenneth A.

CORPORATE SOURCE: Departments of Medicinal Chemistry and Cancer Research, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(10), 1673-1677

CODEN: BMCLE8; ISSN: 0960-894X

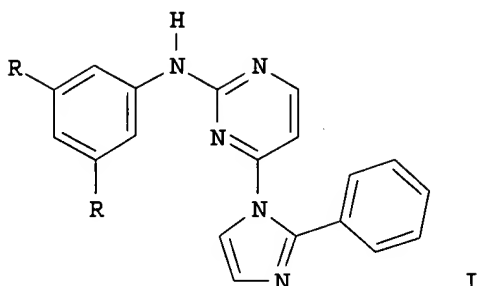
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:230704

GI



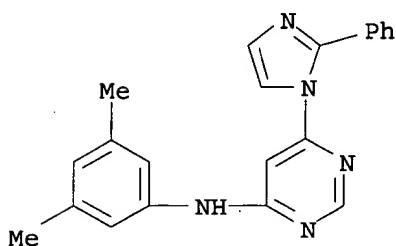
AB 2,4-Disubstituted **pyrimidines** were synthesized as a novel class of KDR kinase inhibitors. Evaluation of the SAR of the screening lead compd. I (R = H) (KDR IC₅₀=105 nM, Cell IC₅₀=8% inhibition at 500 nM) led to the potent 3,5-dimethylaniline deriv. I (R = Me) (KDR IC₅₀=6 nM, cell IC₅₀=19 nM).

IT 591754-05-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 2,4-disubstituted **pyrimidines** as a novel class of KDR kinase inhibitors)

RN 591754-05-1 CAPLUS

CN 4-Pyrimidinamine, N-(3,5-dimethylphenyl)-6-(2-phenyl-1H-imidazol-1-yl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:319458 CAPLUS

DOCUMENT NUMBER: 138:321291

TITLE: Preparation of **pyrimidine** and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

INVENTOR(S): Blackburn, Thomas P.; Konkell, Michael J.; Boteju, Lakmal W.; Talisman, Ian Jamie; Wetzell, John M.; Packiarajan, Mathivanan; Chen, Heidi; Jimenez, Hermo

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 265 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

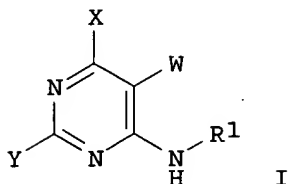
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003078271	A1	20030424	US 2002-66175	20020131
PRIORITY APPLN. INFO.:			US 2001-265586P	P 20010131

09/ 922,874

OTHER SOURCE(S) :
GI

MARPAT 138:321291



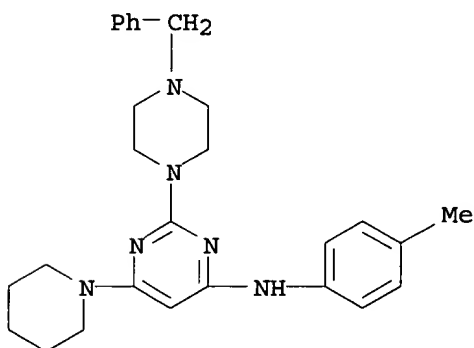
AB Title compds. I [W = H, halo, CN, etc.; X = substituted NH₂, (un)substituted piperidino, 4-oxopiperidino, piperazino; R₁ = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH₂, (un)substituted 2-isoquinolinyl, morpholino, etc]. and analogs are selective antagonists for the GAL3 receptor and are useful in treating depression and/or anxiety are prepd. Various general procedures for synthesis of I and biol. data, are given. E.g., exemplified compd. I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R₁ = 4-MeC₆H₄] showed K_i of 35 nM against GalR3 receptor binding vs. K_i of 668 nM and K_i of 188 nM against GalR1 and GalR2, resp.

IT 445452-77-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445452-77-7 CAPLUS

CN 4-Pyrimidinamine, N-(4-methylphenyl)-2-[4-(phenylmethyl)-1-piperazinyl]-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 20 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:300895 CAPLUS

DOCUMENT NUMBER: 138:321288

TITLE: Preparation of 2- and 4-aminopyrimidines N-substituted by a bicyclic ring for use as kinase inhibitors in the treatment of cancer

INVENTOR(S) : Nagarathnam, Dhanapalan; Wang, Chunguang; Chen, Yuanwei; Yi, Lin; Chen, Jianqing; Weber, Olaf; Boyer, Stephen; Clark, Roger B.; Phillips, Barton; Meahl, Jennifer; Ladouceur, Gaetan; Bi, Cheng; Burke, Michael J.; Cook, James; Verma, Sharad K.; Fan, Jianmei

PATENT ASSIGNEE(S) : Bayer Corporation, USA

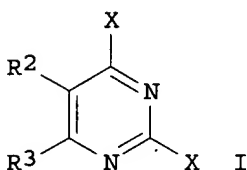
SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003030909	A1	20030417	WO 2002-US30616	20020925
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2001-324276P P 20010925
 US 2002-352509P P 20020131

OTHER SOURCE(S): MARPAT 138:321288
 GI



AB The title compds. [I; X = NR1R6, NR4R5, R4, with the proviso that at least one X must be NR1R6; R1 = (un)substituted fused bicyclic unsatd. ring contg. 9 or 10 atoms optionally contg. 1-4 heteroatoms selected from the group consisting of N, S and O; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, thio; R4 = (un)substituted -Yn-mono-ring group or -Yn-multi-ring group (each ring contg. 4-18 atoms in the ring and optionally contg. 1-4 heteroatoms selected from N, S, and O; n = 0-1; Y = alkylene, C(CN); R4 can also be hydrogen or alkyl when R5 is present); R5 = (un)substituted -Yn-mono-ring group or -Yn-multi-ring group (each ring contg. 4-18 atoms in the ring and optionally contg. 1-4 heteroatoms selected from N, S, and O; n = 0-1; Y = alkylene, N:CH, N:CHMe; with the proviso that the multi-ring group cannot be benzimidazolyl); R6 = H, alkyl] which are kinase inhibitors useful in the treatment of cancer and viral infections, were prep'd. and formulated. Thus, heating 6-aminoquinoline with 2,4-dichloro-5-trifluoromethylpyrimidine (prepn. given) in the presence of Na2CO3 in BuOH to 120.degree.C for 3 days afforded I [X = 6-quinolinylamino; R2 = CF3; R3 = H] which showed IC50 of 0.48 .mu.M in in vitro proliferation inhibition assay (HCT 116 human colorectal carcinoma cells).

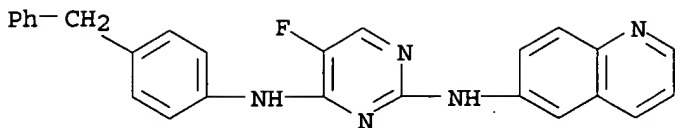
IT 511244-90-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2- and 4-aminopyrimidines as kinase inhibitors in the treatment of cancer)

RN 511244-90-9 CAPLUS

CN 2,4-Pyrimidinediamine, 5-fluoro-N4-[4-(phenylmethyl)phenyl]-N2-6-quinolinyl- (9CI) (CA INDEX NAME)



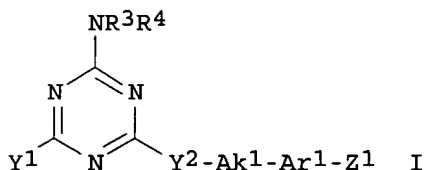
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:242160 CAPLUS
 DOCUMENT NUMBER: 138:271705
 TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase
 INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raepfel, Stephane; Frechette, Sylvie; Bouchain, Giliane
 PATENT ASSIGNEE(S): Methylgene, Inc., Can.
 SOURCE: PCT Int. Appl., 347 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024448	A2	20030327	WO 2002-US29017	20020912
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-322402P P 20010914
 US 2002-391728P P 20020626

OTHER SOURCE(S): MARPAT 138:271705
 GI



AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy3-X1-Ar2-(C(R5):C(R6))qC(O)NH-Ay2 (II; variables defined below; e.g.), many of which are N-(o-aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. The invention also

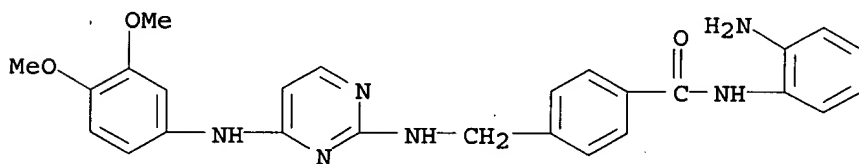
provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R3 and R4 = H, L1, Cy1 and -L1-Cy1 (L1 = C1-C6 alkyl, C2-C6 heteroalkyl, or C3-C6 alkenyl; Cy1 = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R3 and R4 are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two satd. or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y1 = -N(R1)(R2), -CH₂-C(O)-N(R1)(R2), halogen, and H (R1 and R2 = H, L1, Cy1, and -L1-Cy1). Y2 = chem. bond or N(R0) (R0 = H, alkyl, aryl, aralkyl, and acyl); Ak1 = C1-C6 alkylene, C1-C6-heteroalkylene (preferably, in which one -CH₂- is replaced with -NH-, and more preferably -NH-CH₂), C2-C6 alkenylene or C2-C6 alkynylene; Ar1 = arylene or heteroarylene, either of which is optionally substituted; and Z1 = C(O)NH-Ay1 and CH:CHC(O)NH-Ay1 (Ay1 = aryl or heteroaryl, each of which is optionally substituted). For II: Cy2 = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X1 = covalent bond, M1-L2-M1, and L2-M2-L2 (L2 = chem. bond, C1-C4 alkylene, C2-C4 alkenylene, and C2-C4 alkynylene, provided that L2 is not a chem. bond when X1 is M1-L2-M1; M1 = -O-, -N(R7)-, -S-, -S(O)-, S(O)₂-, -S(O)₂N(R7)-, -N(R7)S(O)₂-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R7 = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M2 = M1, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar2 = arylene or heteroarylene, each of which is optionally substituted; R5 and R6 = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay2 is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay2 is attached) and further optionally substituted; provided that when Cy2 is naphthyl, X1 is -CH₂-, Ar2 is Ph, R5 and R6 are H, and q is 0 or 1, Ay2 is not Ph or o-hydroxyphenyl. Although the methods of prepn. are not claimed, hundreds of example prepn. are included.

IT 503043-82-1P, N-(2-Aminophenyl)-4-(((4-((3,4-dimethoxyphenyl)amino)pyrimidin-2-yl)amino)methyl)benzamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503043-82-1 CAPLUS

CN Benzamide, N-(2-aminophenyl)-4-[[[4-[(3,4-dimethoxyphenyl)amino]-2-pyrimidinyl]amino]methyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 22 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:154426 CAPLUS
 DOCUMENT NUMBER: 138:205077
 TITLE: Preparation of pyrimidines as HIV

inhibitors.

INVENTOR(S): Guillemont, Jerome Emile Georges; Palandjian, Patrice; De Jonge, Marc Rene; Koymans, Lucien Maria Henricus; Vinkers, Hendrik Maarten; Daeyaert, Frederik Frans Desire; Heeres, Jan; Van Aken, Koen Jeanne Alfons; Lewi, Paulus Joannes; Janssen, Paul Adriaan Jan

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

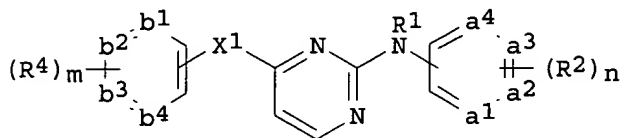
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016306	A1	20030227	WO 2002-EP8953	20020809
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2001-203090 A 20010813
EP 2002-77748 A 20020610

OTHER SOURCE(S): MARPAT 138:205077
GI



I

AB Title compds. [I; a1:a2a3:a4, b1:b2b3:b4 = atoms to form Ph, **pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl** rings; n = 0-5; m = 1-4; R1 = H, aryl, CHO, alkylcarbonyl, alkyl, alkyloxycarbonyl, substituted alkyl, alkylcarbonyl; R2 = OH, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, alkoxy carbonyl, carboxyl, cyano, NO2, amino, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, SopR6, NHSopR6, COR6, NHCOH, CONHNH2, NHCOR6, C(:NH)R6, 5-membered heterocycle; X1 = NR5, NHNH, N:N, O, CO, alkanediyl, CH(OH), S, Sop, X2-alkanediyl, alkanediyl-X2; X2 = NR5, NHNH, N:N, O, CO, CH(OH), S, Sop; R3 = NHR13, NR13R14, CONHR13, CONR13R14, COR15, CH:NNHCOR16, substituted alkyl, (substituted) alkoxyalkyl, substituted alkenyl, alkynyl, alkyl substituted with OH and a second substituent, C(:NOR8)-alkyl, R7, X3R7; R4 = halo, OH, alkyl, cycloalkyl, alkoxy, cyano, nitro, polyhaloalkyl, polyhaloalkoxy, aminocarbonyl, alkyloxycarbonyl, alkylcarbonyl, CHO, amino; R5 = H, aryl, CHO, alkylcarbonyl, alkyl, alkoxy carbonyl, etc.; R6 = alkyl, amino, polyhaloalkyl; R7 = mono-, bi-, or tricyclic (arom.) carbocyclyl, heterocyclyl; R13, R14 = alkyl, alkenyl, alkynyl optionally substituted by cyano, aminocarbonyl; R15 = cyanoalkyl, aminocarbonylalkyl; R16 = R15, R7; p = 1, 2], were prepd. Thus, 4-[(4-chloro-2-pyrimidinyl)amino]benzonitrile (prepn. given) and 4-(2-cyanoethenyl)-2,6-dimethylaniline were stirred together at

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150.degree. for 1 h to give 4-[[4-[[4-(2-cyanoethenyl)-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]benzonitrile. The latter inhibited HIV-induced cytopathic effect in MT-4 cells with pIC50 = 9.4.

IT 500287-72-9P

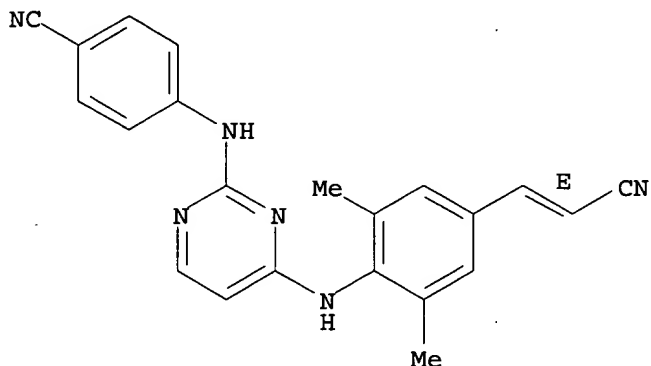
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **pyrimidines** as HIV inhibitors)

RN 500287-72-9 CAPLUS

CN Benzonitrile, 4-[[4-[[4-[(1E)-2-cyanoethenyl]-2,6-dimethylphenyl]amino]-2-pyrimidinyl]amino]- (9CI) (CA. INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:91221 CAPLUS

DOCUMENT NUMBER: 139:22278

TITLE: Organic **phenyl** arsonic acid compounds with potent antileukemic activity

AUTHOR(S): Liu, Xing-Ping; Narla, Rama Krishna; Uckun, Fatih M.
CORPORATE SOURCE: Parker Hughes Cancer Center, Parker Hughes Institute, St. Paul, MN, 55113, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(3), 581-583

CODEN: BMCLE8; ISSN: 0960-894X

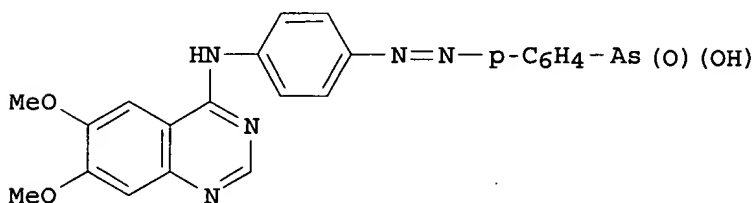
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:22278

GI



I

AB 12 Org. arsonic acid compds., e.g. I, were synthesized and evaluated against human B-lineage (NALM-6) and T-lineage (MOLT-3) acute

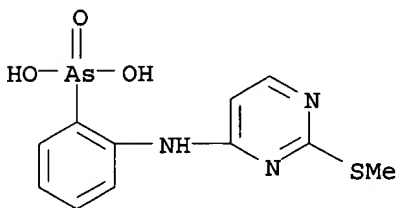
lymphoblastic leukemia (ALL) cell lines. E.g., I was prepd. from condensation of 4-chloro-6,7-dimethoxyquinazoline and 4-(4'-aminophenylazo)phenylarsonic acid. The lead compds. 2-trichloromethyl-4-[4'-(4''-phenylazo)phenylarsonic acid]aminoquinazoline (compd. 19, PHI-P518; IC50=1.1 +/- 0.5 .mu.M against NALM-6 and 2.0 +/- 0.8 .mu.M against MOLT-3) and 2-methylthio-4-(2'-phenylarsonic acid)aminopyrimidine (compd. 15, PHI-P381; IC50=1.5 +/- 0.3 .mu.M against NALM-6 and 2.3 +/- 0.5 .mu.M against MOLT-3) exhibited potent antileukemic activity at low micromolar concns.

IT 296235-23-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of Ph arsonic acid compds. with potent antileukemic activity)

RN 296235-23-9 CAPLUS

CN Arsonic acid, [2-[[2-(methylthio)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:58088 CAPLUS

DOCUMENT NUMBER: 138:122637

TITLE: Preparation of [1,8]naphthyridines as GABA ligands for treating anxiety

INVENTOR(S): Carling, William Robert; Mitchinson, Andrew; Russell, Michael Geoffrey Neil; Street, Leslie Joseph

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

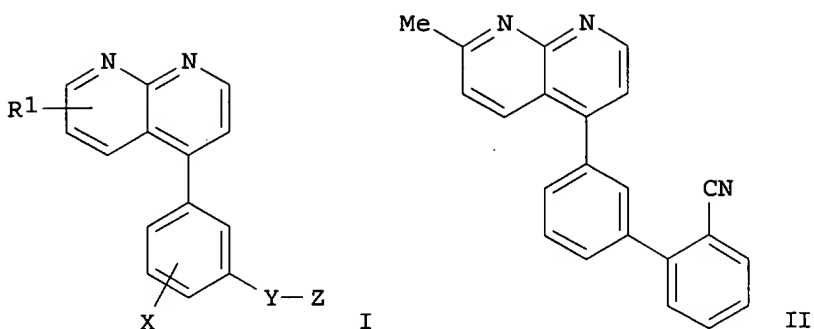
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006464	A1	20030123	WO 2002-GB3077	20020703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2001-17060 A 20010712

OTHER SOURCE(S): MARPAT 138:122637

GI

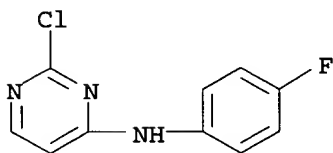


AB The title [1,8]naphthyridine analogs which are substituted in the 4-position by a substituted Ph ring [I; X = H, halo; Y = a bond, O, NH; Z = (un)substituted (hetero)aryl; R1 = H, alkyl, halo, etc.] which are ligands for GABAA receptors and useful in the therapy of deleterious mental states such as anxiety, were prepd. E.g., a 5-step synthesis of II, starting from 2-bromobenzonitrile and 3-aminobenzeneboronic acid, was given. The exemplified compds. I were found to possess a Ki of .1toeq. 100 nM for displacement of [3H]-flumazenil from the .alpha.2 and/or .alpha.3 subunit of the human GABAA receptor.

IT **260046-12-6P**, 3-(2-Chloropyrimidin-4-yl)-4-fluorophenylamine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of [1,8]naphthyridines as GABA ligands for treating anxiety)

RN 260046-12-6 CAPLUS

CN 4-Pyrimidinamine, 2-chloro-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:22859 CAPLUS

DOCUMENT NUMBER: 138:89818

TITLE: Preparation of **pyridine** and **pyrimidine** N-heterocyclic p38 kinase inhibitors for treating TNF-.alpha. mediated disorders
 INVENTOR(S): Ahmed, Gulzar; Metzger, Axel; Wroblewski, Stephen T.; Henderson, Ian; Wen, James; Diller, David J.; Leftheris, Katerina

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Pharmacoepia, Inc.

SOURCE: PCT Int. Appl., 134 pp.

CODEN: PIXXD2

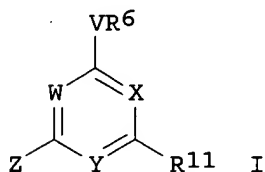
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

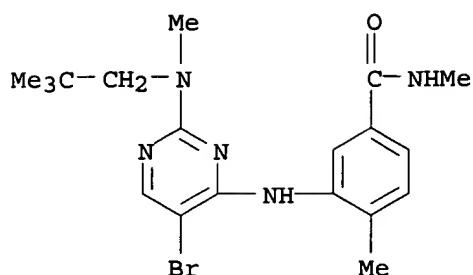
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002544	A1	20030109	WO 2002-US20341	20020626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003139435	A1	20030724	US 2002-183179	20020626
PRIORITY APPLN. INFO.:			US 2001-301020P P 20010626	
OTHER SOURCE(S):			MARPAT 138:89818	
GI				



AB N-heterocyclic compds. (shown as I; variables defined below; e.g. 3-[3-cyano-6-[(2,2-dimethylpropyl)methylamino]-5-fluoropyridin-2-ylamino]-N-methoxy-4-methylbenzamide and 3-[5-cyano-6-[(2,2-dimethylpropyl)methylamino]-2-methylsulfanylpurimidin-4-ylamino]-4,N-dimethylbenzamide) that block cytokine prodn. via inhibition of p38 kinase (no data) are disclosed. In one embodiment, compds. of the present invention are represented by Formula (I). Methods of prodn., pharmaceutical compns. and methods of treating conditions assocd. with inappropriate p38 kinase activity or TNF-.alpha. expression using compds. of the present invention are also disclosed. For I: 1 or 2 of W, Y and X are :N-; 1 of W, Y and X = :C-CN, :C-F, :C-NO₂, :C-Br, :C-NH₂, :C-NHC(O)CH₃ and :C-Cl; the remaining W, Y or X is :CH-; V is -NR₅-; Z is halogen or -N(R₁)(R₂); R₁ and R₂ = H, alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl; R₅ is H or alkyl; R₆ = (un)substituted aryl; R₁₁ is H, halogen, O-R₃₅ or -N(R₁₂)(R₁₃); R₁₂ is H, alkyl, or substituted alkyl; R₁₃ is -(CH₂)_mR₁₄; -N(R₁₂)(R₁₃) taken together may form a heterocyclyl or substituted heterocyclyl; m = 0-3; other variables are defined in the claims. Although the methods of prepn. are not claimed, .apprx.30 example prepn. are included.

IT 482344-80-9P, 3-[5-Bromo-2-[(2,2-dimethylpropyl)methylamino]pyrimidin-4-yl]amino]-4,N-dimethylbenzamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; prepn. of pyridine and pyrimidine
 N-heterocyclic p38 kinase inhibitors for treating TNF-.alpha. mediated disorders)

RN 482344-80-9 CAPLUS
 CN Benzamide, 3-[5-bromo-2-[(2,2-dimethylpropyl)methylamino]-4-pyrimidinyl]amino]-N,4-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:5951 CAPLUS

DOCUMENT NUMBER: 138:73265

TITLE: Preparation of (pyrimidyl)(phenyl)
)substituted fused heteroaryl p38 inhibiting and
cGMP-dependent protein kinase inhibiting compounds
with therapeutic uses

INVENTOR(S): Biftu, Tesfaye; Colletti, Steven L.; McIntyre, Charles
J.; Schmatz, Dennis M.; Feng, Dennis D.; Doherty,
James B.; Liang, Gui-Bai; Liverton, Nigel J.; Beresis,
Richard; Berger, Richard; Claremon, David A.; Kovacs,
Ernest W.; Qian, Xiaoxia

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 280 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

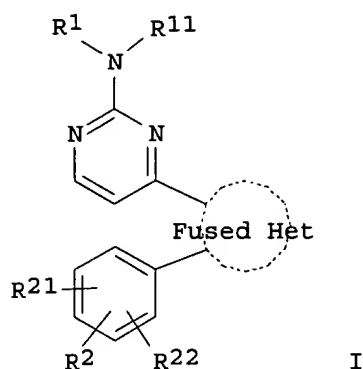
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000682	A1	20030103	WO 2002-US19507	20020621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-300748P P 20010625

OTHER SOURCE(S): MARPAT 138:73265

GI

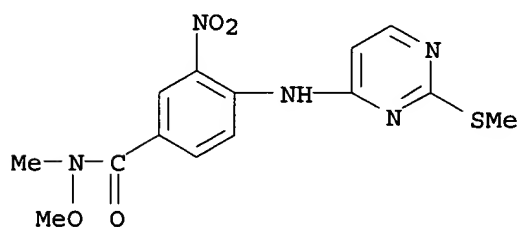


AB (pyrimidyl) (phenyl)substituted fused heteroaryl compds. (shown as I; variables define below; e.g. (2-(4-fluorophenyl)-3-(2-[(S)-1-phenylethyl]amino]pyrimidin-4-yl)imidazo[1,2-a]pyridin-7-yl)methanol) and pharmaceutically acceptable salts thereof are useful in the treatment of cytokine mediated diseases such as arthritis and in the treatment and/or prevention of protozoal diseases such as coccidiosis. I suppress TNF-.alpha. in monocytes and also IL-1.beta., IL-6 and PGE2 prodn. with IC50 <5 .mu.M. The 'Fused Het' in I may be optionally substituted radicals derived from imidazo[1,2-a]pyridine, imidazo[1,2-a]pyrimidine, imidazo[2,1-b]thiazole, benzimidazole, etc. R1 is H, -C1-6alkyl, -C(O) (C1-6alkyl), -C(O)-C1-6-alkylaryl, -C(O)-4alkylaryl, -C(O)-4alkylindanyl, -C(O)-4alkylimidazolyl, -C(O)-4alkylthiazolyl, -C(O)-4alkylpyrazolyl, -C(O)-4alkyloxadiazolyl, -C(O)-4-alkyl-C3-6-cycloalkyl, -C(O)-4alkyl-C1-4-alkoxy, -C1-4-alkyl-N(CO-4-alkyl)(-CO-4-alkyl), -C1-4-alkyl-N(-CO-4alkyl)-CO-C1-4-alkoxy, -C1-4-alkylpiperidinyl, -C(O)-4alkyltriazolyl, -C1-4-alkylimidazothiazolyl, -C1-4-alkylbenzimidazolyl, -C1-4-alkylbenzothiazolyl, -C1-4-alkylbenzotetrahydrofuran, -C1-4-alkylbenzodioxolyl, -C1-4-alkyl-(heterocycloC4O2alkyl), -C1-4-alkyl-(heterocycloC5O1alkyl), -C1-4-alkyltetrahydrofuran, or -C1-4-alkyloxetanyl; R11 is H or -C1-6-alkyl; or R1 and R11, together with the N to which they are attached, form a morpholinyl; R2, R21, R22 each independently is H, halogen, or -C1-4alkyl;. Although the methods of prepn. are not claimed, many example preps. are included.

IT 480454-69-1P, N-Methyl-N-methoxy-3-nitro-4-[[2-(methylthio)pyrimidin-4-yl]amino]benzamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of (pyrimidyl) (phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compds. with therapeutic uses)

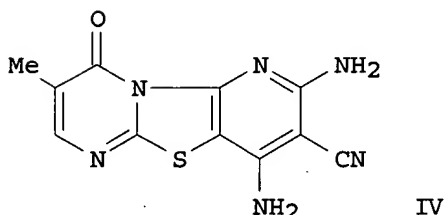
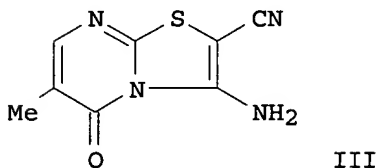
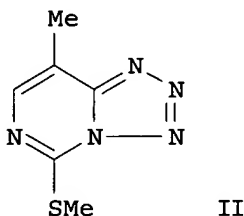
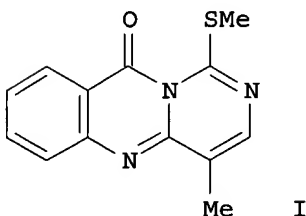
RN 480454-69-1 CAPLUS

CN Benzamide, N-methoxy-N-methyl-4-[[2-(methylthio)-4-pyrimidinyl]amino]-3-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:979749 CAPLUS
 DOCUMENT NUMBER: 139:6823
 TITLE: Reactions with 2-Thiothymine; Selective Cyclization of S-Substituted 2-Thiothymine
 AUTHOR(S): Youssef, Mohamed M.; Youssef, Ayman M. S.
 CORPORATE SOURCE: Cairo University, Giza, Egypt
 SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (2003), 178(1), 67-81
 CODEN: PSSLEC; ISSN: 1042-6507
 PUBLISHER: Taylor & Francis Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 139:6823
 GI



AB 2-Thiothymine undergoes S-alkylation when treated with some halo compds. such as Me and Et iodides. The S-alkyl derivs. are treated with hydrazine hydrate to produce the hydrazine deriv., which condensed with p-chlorobenzaldehyde to give the p-chlorobenzaldehyde pyrimidinehydrazone deriv. 2-(Methylthio)-3,4-dihydro-5-methylpyrimidin-4-one reacts with phosphorus oxychloride to give 4-chloro deriv. which undergoes nucleophilic substitution with p-chloroaniline and anthranilic acid to produce corresponding 4-anilino derivs. Dehydrative cyclization of the o-carboxyanilino derivs. yields the **pyrimido** [6,1-b]quinazolin-10-one deriv. I. Reaction of the 4-chloro deriv. with sodium azide produces the tetrazolo[1,5-c]**pyrimidine** deriv. II. 2-Thiothymine undergoes S-alkylation with .alpha.-haloketones followed by cyclization to produce the thiazolo[3,2-a]**pyrimidine** derivs. Reaction of I with bromomalononitrile produces thiazolo[3,2-a]**pyrimidine**-2-carbonitrile deriv. III. Treatment of III with formic acid, formamide and ammonium thiocyanate produces thiazolo[3,2-a:4,5-d]dipyrimidine derivs. Finally, reacting III with malononitrile yields **pyrido**[2',3':4,5]thiazolo[3,2-a]**pyrimidine**-3-carbonitrile deriv. IV.

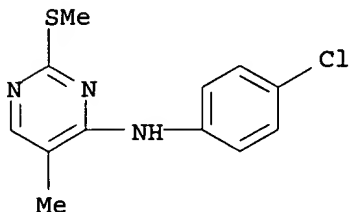
IT 500351-98-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(selective cyclization reactions of S-substituted 2-thiothymines)

09/ 922,874

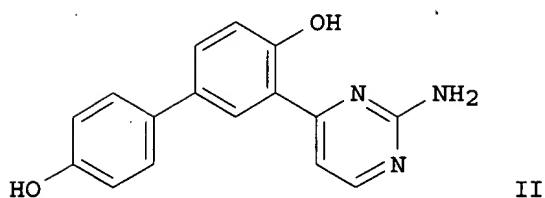
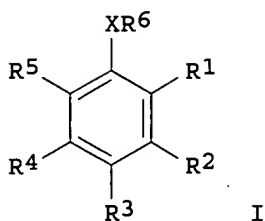
RN 500351-98-4 CAPLUS
CN 4-Pyrimidinamine, N-(4-chlorophenyl)-5-methyl-2-(methylthio)- (9CI) (CA
INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:927396 CAPLUS
DOCUMENT NUMBER: 138:13955
TITLE: Preparation of phenol and hydroxynaphthalene based
inhibitors of protein kinase for the treatment of
disease
INVENTOR(S): Cao, Sheldon Xiaodong; Bounaud, Pierre-Yves; Chen,
Xiaohua; Chung, Hyun-Ho; Dumas, David Paul; Kc, Sunil
Kumar; Min, Changhee; Yang, Jae Young; Long, Mellissa
C.
PATENT ASSIGNEE(S): LG Biomedical Institute, USA
SOURCE: PCT Int. Appl., 286 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096867	A2	20021205	WO 2002-US16920	20020528
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003187007	A1	20031002	US 2002-158030	20020528
PRIORITY APPLN. INFO.: US 2001-294792P P 20010530				
OTHER SOURCE(S): MARPAT 138:13955				
GI				



AB Phenol and hydroxynaphthalene derivs. I [X = O, S, amine, alkylamine, alkynylamine, arylamine, and heteroarylamine; R1 = (un)substituted 5- or 6-membered arom. or heteroarom. ring, -(X1)mCOX2-, wherein X1 = alkylene, alkenylene, alkynylene, aryl and heteroaryl, X2 = H, alkyl, aryl, heteroaryl, OH, alkoxy, amino, substituted amine, m = 0 or 1, or R1 = -C(X3)=N-NX4-C(=E)-NX5X6 wherein X3 = H, alkyl, aryl, alkylaryl, heteroaryl, and amino and E = O, S, and substituted amine with X4, X5, and X6 independently equal to H, alkyl, aryl, and heteroaryl; R2, R3, and R4 = H, alkyl, alkylene, halo, alkoxy, etc.; or R2 and R3 or R3 and R4 may be taken together to form an (un)substituted arom. or heteroarom. ring; R5 = H, (un)substituted-alkyl, -aryl, -heterocycle, etc.; R6 = H, alkyl, alkene, alkyne, aryl, and heteroaryl] are prepd. and disclosed as inhibitors of protein kinase. Thus, II was prepd. by cyclocondensation of 5'-bromo-2'-methoxyacetophenone with N,N-dimethylformamide di-Et acetal with subsequent Suzuki coupling with 4-methoxyphenylboronic acid. In assays to det. cyclin dependent kinase activity, specifically against CDK2 and CDK5, II possessed IC50 values of 0-0.5 .mu.M. II proved highly specific for CDK2 and CDK5 and was further evaluated by in vitro tumor cell efficacy tests against numerous cancers. The present invention is directed in part towards methods of modulating the function of protein kinases with phenol- and hydroxynaphthalene-based compds. The methods incorporate cells that express a protein kinase. In addn., the invention describes methods of preventing and treating protein kinase-related abnormal conditions in organisms with a compd. identified by the invention. Furthermore, the invention pertains to phenol- and hydroxynaphthalene-based compds. and pharmaceutical compns. comprising these compds.

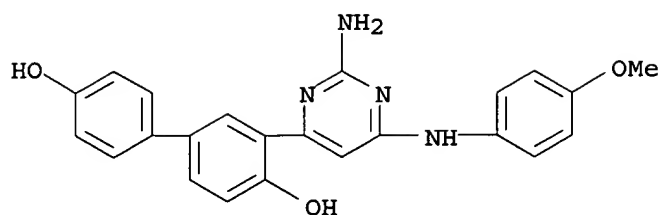
IT 477727-07-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of phenol and hydroxynaphthalene based inhibitors of protein kinase)

RN 477727-07-4 CAPLUS

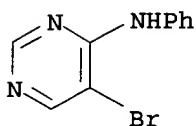
CN [1,1'-Biphenyl]-4,4'-diol, 3-[2-amino-6-[(4-methoxyphenyl)amino]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 29 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:869496 CAPLUS
 DOCUMENT NUMBER: 137:363033
 TITLE: Peptidomimetic modulators of cell adhesion
 INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenzian
 PATENT ASSIGNEE(S): Can.
 SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002168761	A1	20021114	US 2001-769145	20010124

PRIORITY APPLN. INFO.: US 2000-491078 A2 20000124
 OTHER SOURCE(S): MARPAT 137:363033
 AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.
 IT 69193-20-0, 4-Pyrimidinamine, 5-bromo-N-phenyl
 -
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)
 RN 69193-20-0 CAPLUS
 CN 4-Pyrimidinamine, 5-bromo-N-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 30 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:814853 CAPLUS
 DOCUMENT NUMBER: 137:325431
 TITLE: Preparation of aminopyrimidines and -pyridines

as glycogen synthase kinase 3 inhibitors

INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

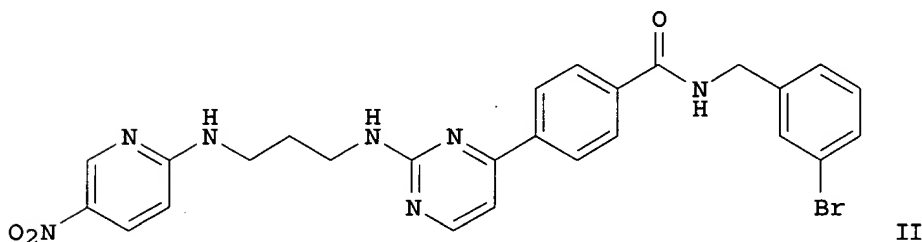
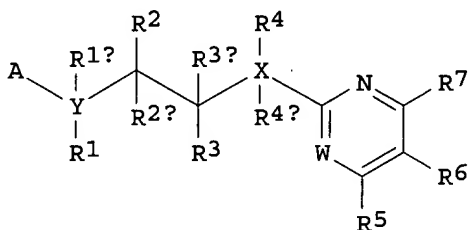
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002156087	A1	20021024	US 2001-949035	20010906
US 6417185	B1	20020709	US 1999-336038	19990618

PRIORITY APPLN. INFO.:

US 1999-336038	A2	19990618
US 2000-230480P	P	20000906
US 1998-89978P	P	19980619

OTHER SOURCE(S): MARPAT 137:325431

GI



AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidiny, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidiny, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the **pyrimidinamine** II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell

free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

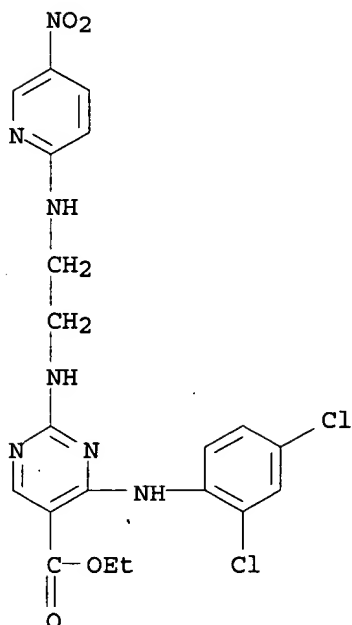
IT 252916-61-3P, 5-Pyrimidinecarboxylic acid, 4-[(2,4-dichlorophenyl)amino]-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-, ethyl ester

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252916-61-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2,4-dichlorophenyl)amino]-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 31 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:808686 CAPLUS

DOCUMENT NUMBER: 138:205007

TITLE: Synthesis of **pyrimido**[4,5-b]indoles and benzo[4,5]furo[2,3-d]**pyrimidines** via palladium-catalyzed intramolecular arylation

AUTHOR(S): Zhang, Yue-Mei; Razler, Thomas; Jackson, Paul F.

CORPORATE SOURCE: Johnson & Johnson Pharmaceutical Research and Development, LLC, Raritan, NJ, 08869, USA

SOURCE: Tetrahedron Letters (2002), 43(46), 8235-8239

CODEN: TELEAY; ISSN: 0040-4039

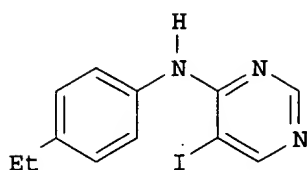
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

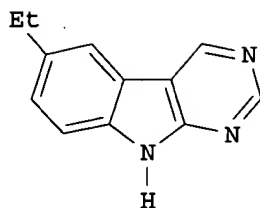
LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:205007

GI



I



II

AB Various **pyrimido**[4,5-b]indoles and benzo[4,5]furo[2,3-d]**pyrimidines** were synthesized via a palladium-catalyzed intramol. arylation of **pyrimidine** substrates. Thus, 4-aryloxy- or 4-anilino-5-iodopyrimidines, e.g. I, were treated with Pd(OAc)₂(PPh₃)₂ and base in DMF to give the regioselective cyclized heterocycles, e.g. II.

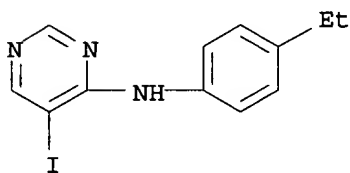
IT 500228-16-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of **pyrimidoindoles** and benzofuopyrimidines via palladium-catalyzed regioselective intramol. arylation of aryloxy- or anilino-iodopyrimidines)

RN 500228-16-0 CAPLUS

CN 4-Pyrimidinamine, N-(4-ethylphenyl)-5-iodo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:805370 CAPLUS

DOCUMENT NUMBER: 138:255189

TITLE: Synthesis and characterization of stable betainic **pyrimidinaminides**

AUTHOR(S): Schmidt, Andreas

CORPORATE SOURCE: Institute of Organic Chemistry, Technical University of Clausthal, Clausthal-Zellerfeld, D-38678, Germany

SOURCE: Journal of Heterocyclic Chemistry (2002), 39(5), 949-956

CODEN: JHTCAD; ISSN: 0022-152X

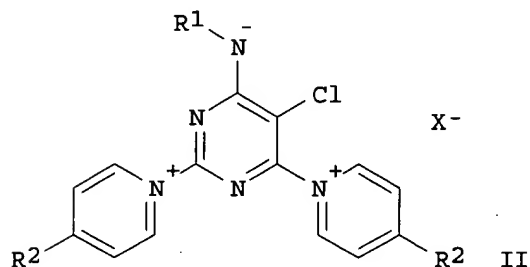
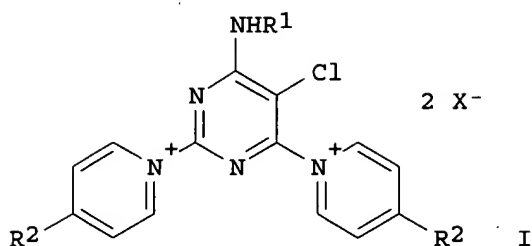
PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:255189

GI



AB Depending on electronically or kinetically stabilizing effects detd. by the substitution pattern or the reaction conditions, 6-amino substituted (5-chloropyrimidine-2,4-diyl)bis(pyridinium) salts I ($R_1 = H$, Ph, 4-O₂NC₆H₄; $R_2 = Me_2N$, pyrrolidino; $X = Cl$, BPh₄) or 5-chloro-2,6-bis-(pyridinio)-pyrimidin-4-aminides II were formed on nucleophilic substitution of 4-(dimethylamino)pyridine or 4-(1-pyrrolidinyl)pyridine with 4-amino substituted 2,5,6-trichloropyrimidines (III). Analogous nucleophilic substitution of III with 1-methylimidazole gave the corresponding (5-chloropyrimidine-2,4-diyl)bis(1-methylimidazolium) salts.

IT 210041-14-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (pyrimidinediyl)bis[pyridinium] salts and stable betainic pyrimidinaminides)

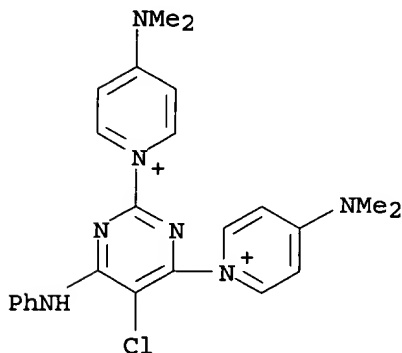
RN 210041-14-8 CAPLUS

CN Pyridinium, 1,1'-[5-chloro-6-(phenylamino)-2,4-pyrimidinediyl]bis[4-(dimethylamino)-, bis[tetraphenylborate(1-)] (9CI) (CA INDEX NAME)

CM 1

CRN 210041-13-7

CMF C24 H26 Cl N7

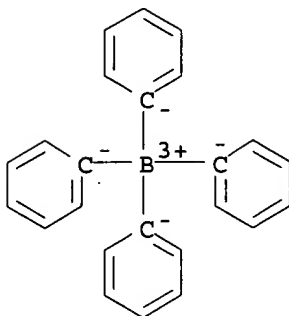


CM 2

CRN 4358-26-3

CMF C24 H20 B

CCI CCS



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:736893 CAPLUS

DOCUMENT NUMBER: 137:247719

TITLE: Preparation of s-triazines and pyrimidines for pharmaceutical use as cytokine, especially TNF-.alpha., inhibitors

INVENTOR(S): Moriarty, Kevin Joseph; Shimshock, Yvonne; Ahmed, Gulzar; Wu, Junjun; Wen, James; Li, Wei; Erickson, Shawn David; Letourneau, Jeffrey John; McDonald, Edward; Leftheris, Katerina; Wroblewski, Stephen T.; Hussain, Zahid; Henderson, Ian; Metzger, Axel; Baldwin, John J.; Dyckman, Alaric J.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 161 pp., Cont.-in-part of U.S. Ser. No. 747,195.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002137747	A1	20020926	US 2001-891750	20010626
US 2002065270	A1	20020530	US 2000-747195	20001222
WO 2003002542	A1	20030109	WO 2002-US20212	20020625

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

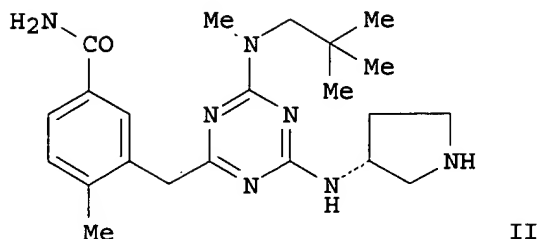
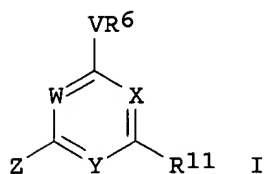
PRIORITY APPLN. INFO.:

US 1999-173227P P 19991228

US 2000-747195 A2 20001222

OTHER SOURCE(S):
GI

MARPAT 137:247719



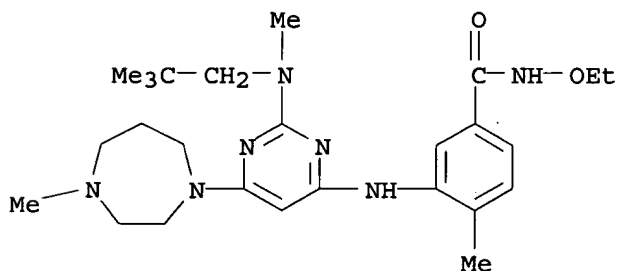
AB N-heterocyclic compds. that block cytokine prodn. via inhibition of p38 kinase are disclosed. In one embodiment, compds. of the present invention are represented by Formula I: Methods of prodn., pharmaceutical compns. and methods of treating conditions assocd. with inappropriate p38 kinase activity or TNF-.alpha. expression using compds. of the present invention are also disclosed. N-heterocycles, such as I [V = CHR5, NR5, S; W, X, Y = CH, N; Z = halogen, alkyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, etc.; R5 = H, alkyl; R6 = substituted benzene; R11 = halogen alkyloxy, alkylamino, etc.], were prepd. to block cytokine prodn. via inhibition of p38 kinase for pharmaceutical use as anti-inflammatory agents and for the treatment of conditions assocd. with TNF-.alpha. expression, such as bone resorption, graft/host reaction, atherosclerosis, arthritis, psoriasis, etc. Thus, triazine II was prepd. via a series of synthetic steps starting from (R)-3-amino-1-tert-butoxycarbonylpyrrolidine, cyanuric chloride and N-methylneopentylamine hydrochloride. The prepd. heterocycles were assayed for p38 kinase and TNF-.alpha. inhibiting activity.

IT 348092-67-1P, Benzamide, 3-[[2-[(2,2-dimethylpropyl)methylamino]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-4-pyrimidinyl]amino]-N-ethoxy-4-methyl-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of s-triazines and pyrimidines for pharmaceutical use as cytokine, esp. TNF-.alpha., inhibitors)

RN 348092-67-1 CAPLUS

CN Benzamide, 3-[[2-[(2,2-dimethylpropyl)methylamino]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-4-pyrimidinyl]amino]-N-ethoxy-4-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 34 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:673851 CAPLUS
 DOCUMENT NUMBER: 138:214865
 TITLE: Carbonic anhydrase inhibitors with strong topical antiglaucoma properties incorporating a 4-(2-amino-pyrimidin-4-yl-amino)-benzenesulfonamide scaffold
 AUTHOR(S): Casini, Angela; Mincione, Francesco; Vullo, Daniela; Menabuoni, Luca; Scozzafava, Andrea; Supuran, Claudiu T.
 CORPORATE SOURCE: Universita degli Studi di Firenze, Polo Scientifico, Laboratorio di Chimica Bioinorganica, Florence, I-50019, Italy
 SOURCE: Journal of Enzyme Inhibition and Medicinal Chemistry (2002), 17(1), 9-18
 CODEN: JEIMAZ; ISSN: 1475-6366
 PUBLISHER: Taylor & Francis Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:214865

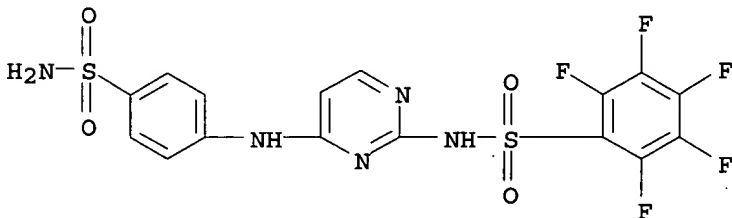
AB Reaction of 4-(2-amino-pyrimidin-4-yl-amino)-benzene-sulfonamide with alkyl/aryl-sulfonyl halides, acyl halides or arylsulfonyl isocyanates afforded a series of derivs. which were tested for inhibition of three carbonic anhydrase (CA) isoenzymes. These compds. were designed in such a way as to (i) strongly inhibit several CA isoenzymes involved in aq. humor secretion within the eye (such as CA II and CA IV), and (ii) to possess a pharmacol. profile that allows easy penetration through the cornea, when administered as eye drops in soln. or suspension, constituting thus a valuable therapeutic approach for glaucoma. Several of the obtained inhibitors showed low nanomolar affinities for the two isoenzymes involved in aq. humor secretion, CA II and CA IV. Furthermore, in normotensive and hypertensive rabbits, some of them showed an effective and prolonged intraocular pressure (IOP) lowering when administered topically, as 2% suspensions/solns.

IT 316826-98-9P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (carbonic anhydrase inhibitors with strong topical antiglaucoma properties incorporating a 4-(2-amino-pyrimidin-4-yl-amino)-benzenesulfonamide scaffold)

RN 316826-98-9 CAPLUS

CN Benzenesulfonamide, N-[4-[[4-(aminosulfonyl)phenyl]amino]-2-pyrimidinyl]-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:594639 CAPLUS
 DOCUMENT NUMBER: 137:154941
 TITLE: Preparation of pyrimidine and indol-2-one

derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

INVENTOR(S): Blackburn, Thomas P.; Konkell, Michael
 PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
 SOURCE: PCT Int. Appl., 832 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

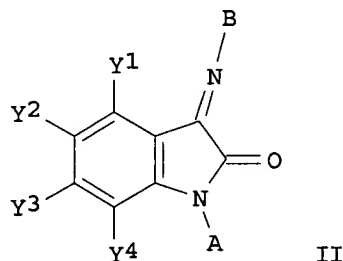
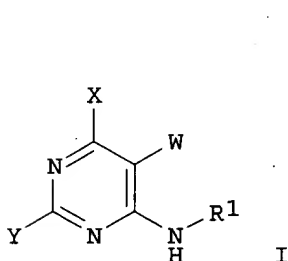
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060392	A2	20020808	WO 2002-US4608	20020131
WO 2002060392	A3	20030925		

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PRIORITY APPLN. INFO.: US 2001-775341 A 20010131

OTHER SOURCE(S): MARPAT 137:154941

GI



AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH₂, (un)substituted piperidino, 4-oxopiperidino, piperazino; R₁ = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH₂, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y₁-Y₄ = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepd. Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compd. I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R₁ = 4-MeC₆H₄] showed K_i of 35 nM against GalR3 receptor binding vs. K_i of 668 nM and K_i of 188 nM against GalR1 and GalR2, resp.

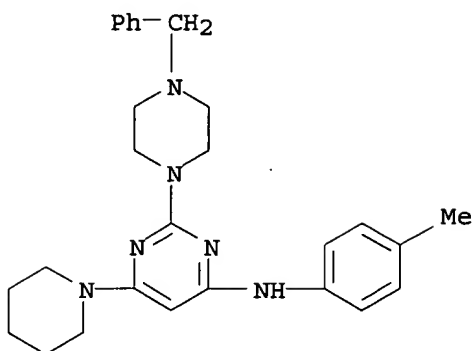
IT 445452-77-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445452-77-7 CAPLUS

CN 4-Pyrimidinamine, N-(4-methylphenyl)-2-[4-(phenylmethyl)-1-piperazinyl]-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 36 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:575047 CAPLUS

DOCUMENT NUMBER: 137:140533

TITLE: Preparation of 2,4,8-trisubstituted-8H-pyrido
[2,3-d]pyrimidin-7-ones as CSBP/RK/p38
kinase inhibitorsINVENTOR(S): Adams, Jerry L.; Boehm, Jeffrey C.; Hall, Ralph; Jin,
Qi; Kaspavec, Jiri; Silva, Domingos J.; Taggart, John
J.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 203 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

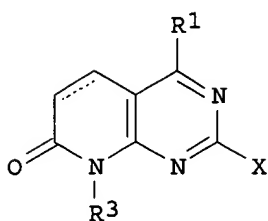
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

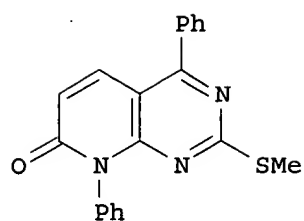
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059083	A2	20020801	WO 2001-US50493	20011023
WO 2002059083	A3	20030410		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1333833	A2	20030813	EP 2001-994463	20011023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001014829	A	20030923	BR 2001-14829	20011023
NO 2003001794	A	20030623	NO 2003-1794	20030422
PRIORITY APPLN. INFO.:				
			US 2000-242461P	P 20001023
			US 2001-310349P	P 20010806
			US 2001-326618P	P 20011002
			WO 2001-US50493	W 20011023

OTHER SOURCE(S): MARPAT 137:140533

GI



I



II

AB Title compds. I [wherein X = R₂, OR₂, SOO-2R₂, (CH₂)_nNR₁₀SOO-2R₂, (CH₂)_nNR₁₀COR₂, (CH₂)_nNR₄R₁₄, or (CH₂)_nN(R₂)₂; R₁ = (un)substituted (hetero)aryl; R₂ = H, (un)substituted alkyl, cycloalkyl(alkyl), (hetero)aryl(alkyl), heterocyclyl(alkyl), alkylamino, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, etc.; R₃ = (un)substituted alkyl, cycloalkyl(alkyl), (hetero)aryl(alkyl), or heterocyclyl; R₄ and R₁₄ = independently H or (un)substituted alkyl, cycloalkyl(alkyl), or aryl(alkyl); or NR₄R₁₄ = (un)substituted heterocyclyl; R₁₀ = H or alkyl; n = 0-10] were prepd. as CSBP/p38 kinase inhibitors. For example, sequential coupling of 4,6-dichloro-2-methylsulfanylpurimidine-5-carbaldehyde with aniline (76%) and phenylboronic acid (70%) gave 2-methylsulfanyl-4-phenyl-6-phenylaminopyrimidine-5-carbaldehyde. Cyclization with bis(2,2,2-trifluoroethyl) (methoxycarbonylmethyl)phosphonate in the presence of 18-crown-6 and bis(trimethylsilyl)amide in THF afforded the 8H-pyrido[2,3-d]pyrimidin-7-one II (91%). The latter exhibited pos. inhibitory activity in the CSBP/p38 kinase binding assay with IC₅₀ < 10 .mu.M. I are useful for the treatment of a variety of CSBP/p38 kinase mediated diseases, such as arthritis, sepsis, stroke, asthma, pulmonary disease, osteoporosis, congestive heart failure, the common cold or respiratory viral infections, etc. (no data).

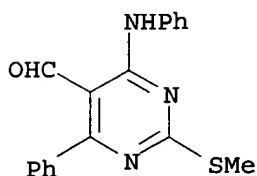
IT 444605-28-1P, 2-Methylsulfanyl-4-phenyl-6-(phenylamino)pyrimidine-5-carboxaldehyde

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of pyridopyrimidinones as CSBP/RK/p38 kinase inhibitors by cyclization reactions of (phenylamino)pyrimidinecarbaldehyde derivs.)

RN 444605-28-1 CAPLUS

CN 5-Pyrimidinecarboxaldehyde, 2-(methylthio)-4-phenyl-6-(phenylamino)- (9CI)
(CA INDEX NAME)



L7 ANSWER 37 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:546802 CAPLUS

DOCUMENT NUMBER: 138:221538

TITLE: Reactions with 6-phenyl-2-thiouracil and preparation of substituted and fused pyrimidine derivatives

AUTHOR(S): Al-Haiza, Mohammed A.

CORPORATE SOURCE: Chemistry Department, College of Science, King Khalid University, Abha, Saudi Arabia

SOURCE: Journal of Saudi Chemical Society (2002), 6(1), 71-81
 CODEN: JSCSFO; ISSN: 1319-6103
 PUBLISHER: Saudi Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:221538

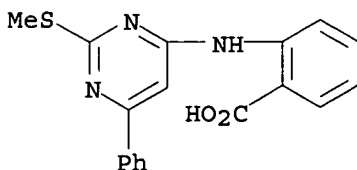
AB Alkylation of 6-phenyl-2-thiouracil (1) gave the S-alkyl derivs. 2a,b. Compd. 2a could also be prepd. by a different method via the reaction of S-methylisothiurea with Et benzoylacetate. Desulphurization of 2a with hydrazine yielded the 2-hydrazino deriv. 3, which condensed with arom. aldehydes to produce the Schiff's bases 4a-c. The reaction of 3 with each of carbon disulfide and nitrous acid resulted in the formation of s-triazolo[4,3-a]- and tetrazolo[1,5-a]pyrimidine derivs. 5 and 7, resp. Treatment of 2a,b with phosphorus oxychloride formed the 4-chloropyrimidine derivs. 11a,b. Compds. 11a,b reacted the thiophenol, benzylamine, hydrazine, anthranilic acid and Et anthranilate to give the trisubstituted pyrimidine derivs. 12a-e. Compd. 12d was obtained by the hydrolysis of its ester deriv. 12e, since the reaction of anthranilic acid with 11a produced directly the pyrido [6,1-b]quinazoline 13. The latter compd. could also be synthesized via an alternative route by cyclization of compd. 12d. Similarly, the reaction of glycine with 11a afforded directly imidazo[1,2-c]pyrimidine 14. Moreover, the reaction of the dihydrazinopyrimidine deriv. 12c with each of carbon disulfide and nitrous acid formed the ditriazolo[4,3-a:4,3-c]- and the ditetrazolo[1,5-:1,5-c]pyrimidines 15 and 16, resp. Compd. 1 reacted with 1,3-dichloroacetone to give compd. 17. Oxidn. of 1 afforded the expected disulfide product 18.

IT 19573-68-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (in prepn. of substituted and fused pyrimidine derivs. from 6-phenylthiouracil)

RN 19573-68-3 CAPLUS

CN Benzoic acid, 2-[[2-(methylthio)-6-phenyl-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 38 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:465821 CAPLUS

DOCUMENT NUMBER: 137:47211

TITLE: Substituted 2-aryl-4-arylaminopyrimidines and analogs as activators of caspases and inducers of apoptosis, their preparation, and the use thereof as, e.g., anticancer agents

INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, P. Sanjeeva; Pervin, Azra

PATENT ASSIGNEE(S): Cytovia, Inc., USA

SOURCE: PCT Int. Appl., 210 pp.

CODEN: PIXXD2

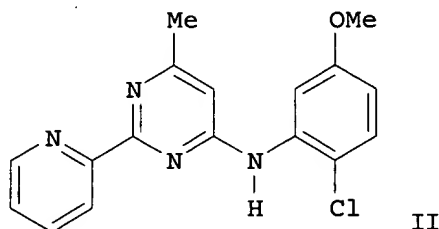
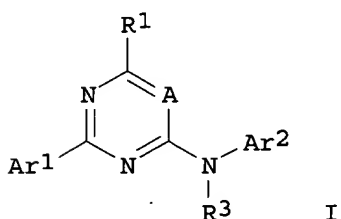
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047690	A1	20020620	WO 2001-US47498	20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002028922	A5	20020624	AU 2002-28922	20011212
US 2003069239	A1	20030410	US 2001-12444	20011212
EP 1351691	A1	20031015	EP 2001-990048	20011212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-254581P	P 20001212
			WO 2001-US47498	W 20011212
OTHER SOURCE(S):		MARPAT 137:47211		
GI				



AB The invention is directed to substituted 2-aryl-4-(arylamino) **pyrimidines** I and analogs thereof [Ar1, Ar2 = (independently) optionally substituted aryl or heteroaryl; A = N or C-R2; R1, R2 = (independently) H, halo, haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl, nitro, amino, cyano, acylamido, OH, SH, acyloxy, N3, alkoxy, aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or alkylthio; and R3 = H, optionally substituted alkyl or cycloalkyl]. The invention also relates to the discovery that compds. I are activators of caspases and inducers of apoptosis. I may be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. In particular, a method of treating disorders responsive to the induction of apoptosis, comprising administration of I, or a pharmaceutically acceptable salt or prodrug thereof, is claimed. Over 200 specific examples of I are described. For instance, condensation of 4-chloro-6-methyl-2-(2-pyridinyl)pyrimidine with 2-chloro-5-methoxyaniline gave title compd. II in 44% yield. This compd. induced apoptosis and activated caspase cascade in human breast cancer cell lines T-47D and ZR-75-1. Another compd. I also showed marked selectivity for human breast cancer cells over other, non-breast cancer cell lines.

IT **438247-45-1P**, 6-(4-Chlorophenyl)-5-cyano-4-(4-methoxyanilino)-2-(2-pyridinyl)pyrimidine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

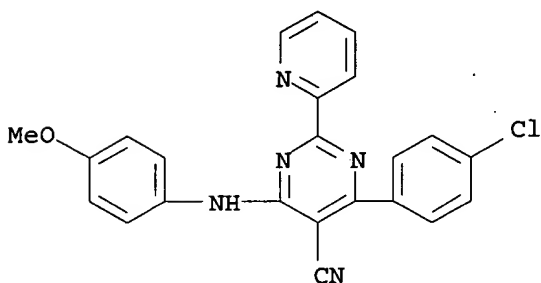
09/ 922,874

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of substituted aryl(aryl amino) pyrimidines and analogs as caspase activators, apoptosis inducers, and anticancer agents)

RN 438247-45-1 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(4-methoxyphenyl)amino]-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:368476 CAPLUS

DOCUMENT NUMBER: 136:369745

TITLE: Preparation of 7-phenylimidazo[1,2-b][1,2,4]triazines as ligands for GABA receptors

INVENTOR(S): Bettati, Michela; Blurton, Peter; Carling, William Robert; Chambers, Mark Stuart; Hallett, David James; Jennings, Andrew; Lewis, Richard Thomas; Russell, Michael Geoffrey Neil; Street, Leslie Joseph; Szekeres, Helen Jane; Van Niel, Monique Bodil

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

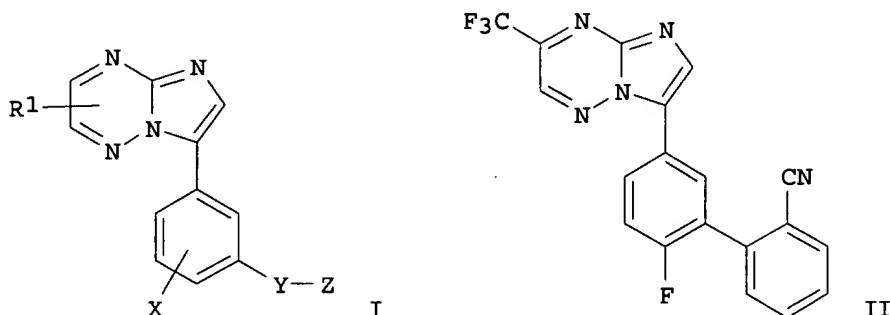
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038568	A1	20020516	WO 2001-GB4948	20011108
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002012530	A5	20020521	AU 2002-12530	20011108
EP 1343788	A1	20030917	EP 2001-980742	20011108
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRIORITY APPLN. INFO.: GB 2000-27562 A 20001110
GB 2001-17277 A 20010716
WO 2001-GB4948 W 20011108

OTHER SOURCE(S): MARPAT 136:369745

GI



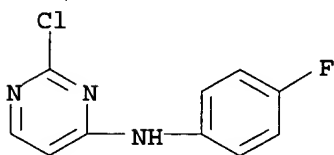
AB The title compds. [I; X = H, halo; Y = a bond, O, NH; Z = (un)substituted (hetero)aryl; R1 = H, alkyl, heterocyclyl, etc.] which are selective ligands for GABAA receptors, in particular having good affinity for the .alpha.2 and/or .alpha.3 and/or .alpha.5 subunit thereof, and therefore useful in the treatment and/or prevention of adverse conditions of the central nervous system, including anxiety, convulsions and cognitive disorders, were prepd. E.g., a multi-step synthesis of II, was given. All exemplified compds. I were found to possess a Ki of .ltoreq. 100 nM for displacement of [3H]-flumazenil from the .alpha.2 and/or .alpha.3 and/or .alpha.5 subunit of the human GABAA receptor.

IT **260046-12-6P**, 3-(2-Chloropyrimidin-4-yl)-4-fluorophenylamine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of imidazo-triazines as ligands for GABA receptors)

RN 260046-12-6 CAPLUS

CN 4-Pyrimidinamine, 2-chloro-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 40 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:249774 CAPLUS

DOCUMENT NUMBER: 136:397142

TITLE: Human sperm immobilizing activity of aminophenyl arsenic acid and its N-substituted quinazoline, pyrimidine, and purine derivatives: protective effect of glutathione

AUTHOR(S): Uckun, Fatih M.; Liu, Xing-Ping; D'Cruz, Osmond J.

CORPORATE SOURCE: Drug Discovery Program, Parker Hughes Institute, St. Paul, MN, 55113, USA

SOURCE: Reproductive Toxicology (2002), 16(1), 57-64

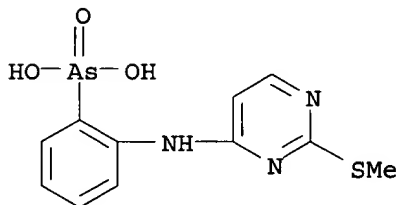
CODEN: REPTED; ISSN: 0890-6238

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

- AB The authors examd. the potential toxicity of pentavalent org. arsenicals for human sperm. The authors used computer-assisted sperm anal. to examine the effects of three aminophenyl arsenicals and their nine N-substituted quinazoline, **pyrimidine**, and purine derivs. on human sperm motility and kinematics in human semen and medium. Among the arsenicals examd., (aminophenylazo)-Ph arsonic acid and its N-substituted **pyrimidine** deriv. PHI-370 (2-methylthio-4-[(4'-aminophenylazo)-phenylarsonic acid] **pyrimidine**) exhibited rapid sperm immobilizing activity in medium with EC50 values of 77 and 82 .mu.M, resp., and t1/2 of < 3 min. Mol. modeling anal. indicated that sperm-immobilizing org. arsenicals exhibit high dipole moments (>7 Debyes). Sperm immobilizing activity of these arsenicals was completely abrogated in the presence of seminal plasma. Furthermore, coincubation of motile sperm with PHI-370 in the presence of reduced glutathione (GSH) resulted in dose-dependent protection of sperm motility and sperm motion parameters. Coincubation of the arsenical with GSH at a molar ratio of 1:20 resulted in 95% retention of sperm progressive motility. The mean values of the other sperm movement characteristics also showed > 90% protection. These observations suggest that the rapid sperm immobilizing activity of these pentavalent arsenicals may be as a result of direct binding of the arsenical with the sperm thiol components essential for sperm motility as well as induction of oxidative damage by disruption of sperm cell's antioxidant system. Sodium arsanilate and its N-substituted **pyrimidine** deriv., PHI-370, are useful probes to further evaluate the mechanism of pentavalent arsanilate-induced human sperm dysfunction.
- IT 296235-23-9P, PHI 381
 RL: ADV (Adverse effect, including toxicity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (aminophenyl arsenic acid and its N-substituted quinazoline, **pyrimidine**, and purine derivs. immobilization of human sperm and protective effect of glutathione)
- RN 296235-23-9 CAPLUS
- CN Arsonic acid, [2-[[2-(methylthio)-4-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

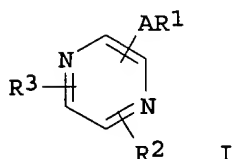


REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 41 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:240758 CAPLUS
 DOCUMENT NUMBER: 136:279477
 TITLE: Preparation of pyrazines as modulators of vascular endothelial growth factor (VEGF) receptor tyrosine kinase.
 INVENTOR(S): Kuo, Gee Hong; Connolly, Peter; Prouty, Catherine; Deangelis, Alan; Wang, Aihua; Jolliffe, Linda; Middleton, Steve; Emanuel, Stuart
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 202 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024681	A2	20020328	WO 2001-US29175	20010919
WO 2002024681	A3	20020620		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001094584	A5	20020402	AU 2001-94584	20010919
US 2003060629	A1	20030327	US 2001-955780	20010919
EP 1330452	A2	20030730	EP 2001-975243	20010919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-233968P	P 20000920
			WO 2001-US29175	W 20010919
OTHER SOURCE(S):			MARPAT 136:279477	
GI				



AB The present invention also provides pharmaceutical formulations contg. the pyrazine derivs. and methods of use of these formulations as anti-tumor agents and to treat solid-tumor cancers, angiogenesis, diabetic retinopathy, rheumatoid arthritis, endometriosis and psoriasis. Title compds. [I; R¹ = (substituted) cycloalkyl, (bi)heterocyclyl, (bi)aryl, (bi)heteroaryl; A = N(R⁴)(CH₂)_x, O(CH₂)_x, S(CH₂)_x, SO₂(CH₂)_x, SO₂N(CH₂)_x, NSO₂(CH₂)_x, N(R⁴)CONH(CH₂)_x, etc.; x = 0-4; R⁴ = H, alkyl, hydroxyalkyl, alkoxyalkyl, arylalkyl, alkenyl, (substituted) aryl, heteroaryl; R² = (substituted) (bi)heteroaryl; R³ = H, alkyl, alkoxy, alkenyl, alkynyl, heterocyclyl, heterocyclylalkyl, heterocyclylalkoxy, aryl, aralkyl, aralkoxy, OH, hydroxyalkyl, halo, cyano, NO₂, amino, (hydroxyalkyl)amino, di(hydroxyalkyl)amino, carbamoyl, acyl, acylalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, acylamino, alkylsulfonyl, alkylsulfonylamino, (substituted) arylsulfonylamino], were prepd. Thus, a mixt. of Et 5-bromonicotinate, bis(tributyltin), Pd(OAc)₂, tri-*o*-tolylphosphine, and Et₃N in MeCN was stirred at 95-100.degree. for 22 h. to give 40% Et 5-trimethylstannyl nicotinate. The latter with 2,6-dichloropyrazine, Pd(PPh₃)₂Cl₂, and LiCl were stirred in PhMe at 100.degree. for 23 h to give 60% Et 5-(6-chloropyrazin-2-yl)nicotinate. The latter with 3-chloroaniline, Pd₂(dba)₃, DPPF, and Cs₂CO₃ were stirred in dioxane at 110.degree. for 46 h to give Et 5-[6-(3-chlorophenylamino)]pyrazin-2-yl nicotinate. This was converted to 3-[[5-[6-[(3-chlorophenyl)amino]pyrazinyl]-3-pyridinyl]amino]-1-propanol in several steps. The latter inhibited HeLa cell proliferation with IC₅₀ = 4.56 .mu.M.

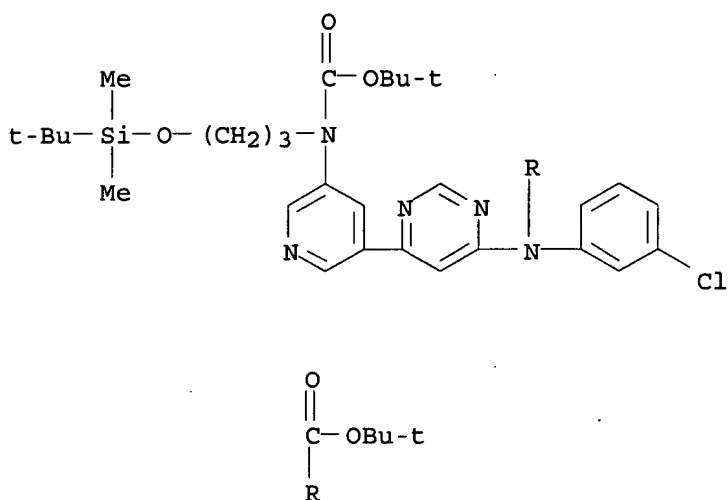
09/ 922,874

IT 405939-05-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of)

RN 405939-05-1 CAPLUS

CN Carbamic acid, [5-[6-[(3-chlorophenyl)[(1,1-dimethylethoxy)carbonyl]amino]-4-pyrimidinyl]-3-pyridinyl][3-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 42 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:185092 CAPLUS

DOCUMENT NUMBER: 136:247598

TITLE: Preparation of aminopyrimidines and -pyridines
as glycogen synthase kinase 3 inhibitors

INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.;
Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.;
Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.;
Desai, Manoj; Levine, Barry H.

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 268 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020495	A2	20020314	WO 2001-US42081	20010906
WO 2002020495	A3	20020620		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001095026	A5	20020322	AU 2001-95026	20010906
EP 1317433	A2	20030611	EP 2001-975734	20010906

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:

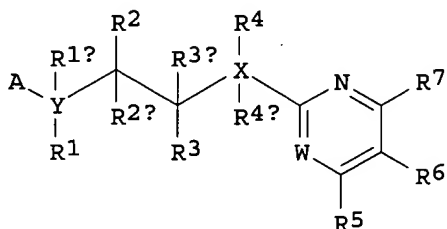
US 2000-230480P P 20000906

WO 2001-US42081 W 20010906

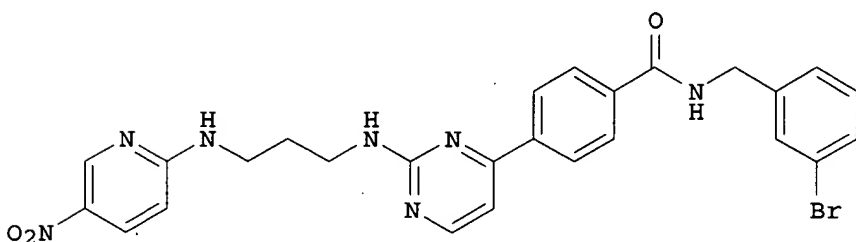
OTHER SOURCE(S):

MARPAT 136:247598

GI



I



II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidiny, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidiny, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the **pyrimidinamine** II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.β. in a cell free assay with IC50 values of < 1 .μM. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **252916-61-3P**, 5-Pyrimidinecarboxylic acid, 4-[(2,4-dichlorophenyl)amino]-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-, ethyl ester

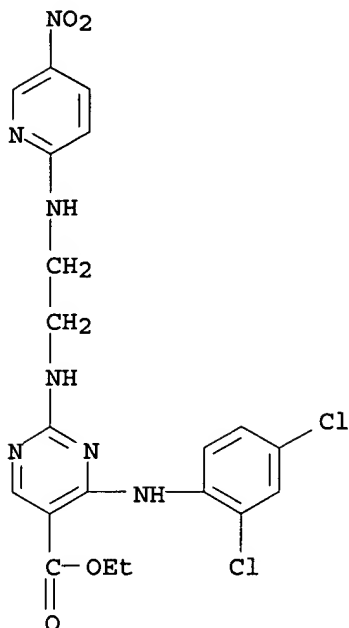
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252916-61-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2,4-dichlorophenyl)amino]-2-[[2-[(5-nitro-

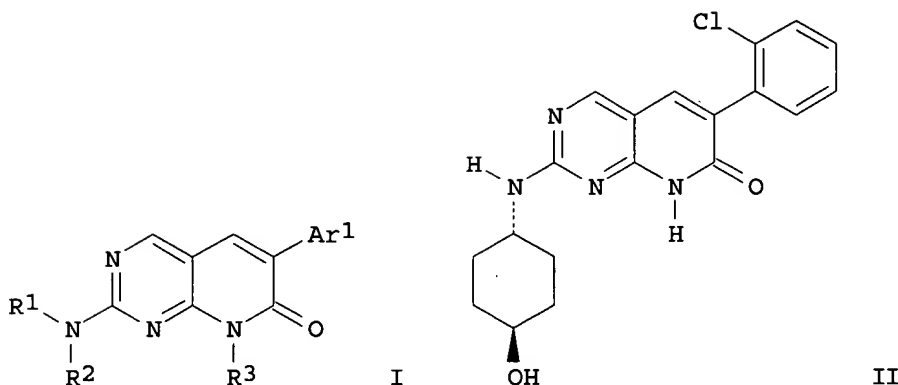
2-pyridinyl)amino]ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 43 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:171896 CAPLUS
 DOCUMENT NUMBER: 136:232316
 TITLE: 7-Oxopyridopyrimidines as inhibitors of cellular proliferation, and particularly as inhibitors of p38 kinase, for treatment of p38-related conditions
 INVENTOR(S): Chen, Jian Jeffrey; Dunn, James Patrick; Goldstein, David Michael; Lim, Julie Anne
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
 SOURCE: PCT Int. Appl., 135 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018380	A1	20020307	WO 2001-EP9689	20010822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001093784	A5	20020313	AU 2001-93784	20010822
EP 1315726	A1	20030604	EP 2001-974206	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013628	A	20030701	BR 2001-13628	20010822
US 2002055513	A1	20020509	US 2001-943338	20010830
US 6518276	B2	20030211		

US 2002137756	A1	20020926	US 2001-943407	20010830
US 6506749	B2	20030114		
US 2003153586	A1	20030814	US 2002-230723	20020829
US 2003144307	A1	20030731	US 2002-315633	20021210
PRIORITY APPLN. INFO.:			US 2000-229584P	P 20000831
			US 2000-229577P	P 20000831
			WO 2001-EP9689	W 20010822
			US 2001-943338	A3 20010830
			US 2001-943407	A1 20010830
OTHER SOURCE(S):		MARPAT 136:232316		
GI				

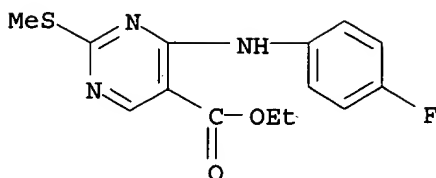


AB Compds. I are disclosed [wherein: R1 = H or alkyl; R2 = substituted cycloalkyl, hetero-substituted cycloalkyl, heteroalkyl-substituted cycloalkyl, hetero-substituted cycloalkyl-aryl, heterocyclyl, heterocyclylspirocycloalkyl, aralkoxy, alkoxy, -alkylene-S(O)_n-alkyl (where n = 1 or 2) or SO₂Ar₂; R3 = H, amino, monoalkylamino, dialkylamino, acylamino, NRaC(:O)R_b (where Ra = H or alkyl, and R_b = heterocyclyl or heteroalkyl), alkyl, cycloalkyl, aryl, aralkyl, haloalkyl, heteroalkyl, cyanoalkyl, -alkylene-C(O)R (where R = H, alkyl, OH, alkoxy, amino, monoalkylamino or dialkylamino), acyl, or phthalimidoalkyl; and each of Ar₁ and Ar₂ = aryl]. Also disclosed in claims is their use for treatment of disorders selected from the group consisting of arthritis, Crohn's disease, Alzheimer's disease, irritable bowel syndrome, adult respiratory distress syndrome, and chronic obstructive pulmonary disease. A list of 151 compds. I is given, as well as approx. 100 synthetic examples. For instance, cyclocondensation of 4-amino-2-(methylthio)pyrimidine-5-carboxaldehyde with Et (2-chlorophenyl)acetate, followed by oxidn. of the sulfide to a sulfone with Oxone, and displacement of the Me sulfone with trans-4-aminocyclohexanol, gave 78% title compd. II. In an in vitro p38 assay, I had IC₅₀ values ranging from about 4.76 .mu.M to about 0.0003 .mu.M.

IT 2251-06-1P, Ethyl 4-[(4-fluorophenyl)amino]-2-(methylthio)pyrimidine-5-carboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; prepn. of oxopyridopyrimidines as p38 kinase inhibitors)

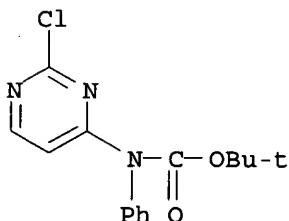
RN 2251-06-1 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-fluorophenyl)amino]-2-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 44 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:150061 CAPLUS
 DOCUMENT NUMBER: 137:140489
 TITLE: Regioselective 4-amino-de-chlorination of trichloro- and dichloro-pyrimidines with N-sodium carbamates
 AUTHOR(S): Montebugnoli, Dario; Bravo, Pierfrancesco; Corradi, Eleonora; Dettori, Giovanna; Mioskowski, Charles; Volonterio, Alessandro; Wagner, Alain; Zanda, Matteo
 CORPORATE SOURCE: Dipartimento di Chimica, Politecnico di Milano, Milan, I-20131, Italy
 SOURCE: Tetrahedron (2002), 58(11), 2147-2153
 CODEN: TETRAB; ISSN: 0040-4020
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:140489
 AB 4-N-Alkoxycarbonylamino-2,6-dichloro- and -2-chloropyrimidines have been synthesized in good to excellent regioselectivity and yields from N-sodium carbamates and, resp., 2,4,6-trichloropyrimidine and 2,4-dichloropyrimidine, in DMF, rt, 15-30 min. The reaction is effective also with 4,6-dichloropyrimidine, producing 4-N-alkoxycarbonylamino-6-chloropyrimidines in good yields. Some conformational features of 4-N-alkoxycarbonylamino-2,6-dichloro-pyrimidines have been investigated by X-ray diffraction and ¹H NMR spectroscopy.
 IT 444814-81-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (regioselective aminodechlorination of trichloro- and dichloropyrimidines with N-sodium carbamates)
 RN 444814-81-7 CAPLUS
 CN Carbamic acid, (2-chloro-4-pyrimidinyl)phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



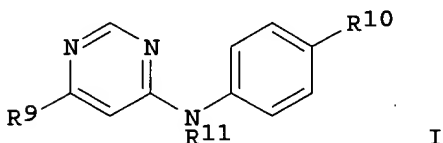
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 45 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:122964 CAPLUS
 DOCUMENT NUMBER: 136:167384
 TITLE: Preparation of 4-pyrimidinamines as

09/ 922,874

neuroprotectants.
INVENTOR(S): Grant, Elfrida R.; Brown, Frank K.; Zivin, Robert
Allan; McMillan, Michael; Zhong, Zhong; Scott,
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012198	A2	20020214	WO 2001-US24659	20010806
WO 2002012198	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001081120	A5	20020218	AU 2001-81120	20010806
US 2003008883	A1	20030109	US 2001-922874	20010806
EP 1313713	A2	20030528	EP 2001-959581	20010806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013165	A	20030715	BR 2001-13165	20010806
PRIORITY APPLN. INFO.:			US 2000-223791P	P 20000808
			WO 2001-US24659	W 20010806
OTHER SOURCE(S):		MARPAT 136:167384		
GI				

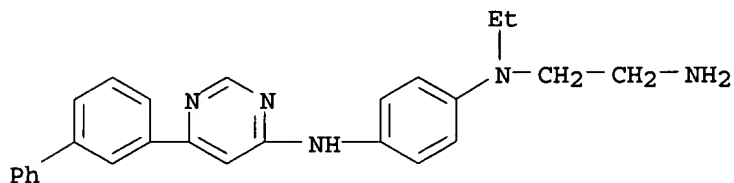


AB Pharmaceutical compns. comprising a pharmaceutically acceptable carrier
[I; R9 = H, **thienyl**, **furanyl**, **pyrrolyl**,
(substituted) Ph, **pyridinyl**, **pyridinyl**,
naphthyl, **benzo[b]thien-2-yl**, 2-
benzofuranyl, **pyrimidinyl**, 2,4-bis(methoxyphenyl)-5-
pyrimidinyl; R10 = cyanoalkyl, alkylamino, dialkylamino,
hydroxyalkylamino, hydroxydialkylamino; R11 = H, alkyl], are claimed.
Thus, a mixt. of N-(2-aminoethyl)-N'-(6-biphenyl-3-ylpyrimidin-4-yl)-N-
ethylbenzene-1,4-diamine (prepn. given), N-benzoylalanine,
diisopropylethylamine, HBTU, and DMF was stirred overnight at room temp.
to give N-[1-[[2-[4-(6-biphenyl-3-ylpyrimidin-4-ylamino)**phenyl**
]ethylamino]ethylcarbonyl]ethyl]benzamide. Tested compds. in a
differentiated P19 cell assay using 3 mM glutamate showed neuroprotectant
activity with IC50 = 0.07 .mu.M to >1 .mu.M.
IT **397850-40-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 4-pyrimidinamines as neuroprotectants)

RN 397850-40-7 CAPLUS

CN 1,4-Benzenediamine, N-(2-aminoethyl)-N'-(6-[1,1'-biphenyl]-3-yl-4-pyrimidinyl)-N-ethyl- (9CI) (CA INDEX NAME)



L7 ANSWER 46 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:97583 CAPLUS

DOCUMENT NUMBER: 137:93720

TITLE: The 'Eenie-Meenie reaction'. Displacement reactions of bisanilinopyrimidines

AUTHOR(S): Pearson, Stuart E.; Wood, Robin

CORPORATE SOURCE: AstraZeneca, Macclesfield, Cheshire, SK10 4TG, UK

SOURCE: Tetrahedron Letters (2002), 43(7), 1303-1306

CODEN: TELEAY; ISSN: 0040-4039

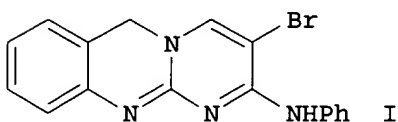
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:93720

GI



AB A novel acid-catalyzed nucleophilic displacement reaction of **pyrimidines** is described, involving quinone-methide type chem. A wide range of nucleophiles can be tolerated. A similar mechanism is also applied to the synthesis of a tricyclic system (I).

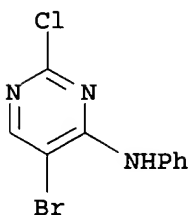
IT 280581-50-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(nucleophilic substitution reaction of bisanilinopyrimidines)

RN 280581-50-2 CAPLUS

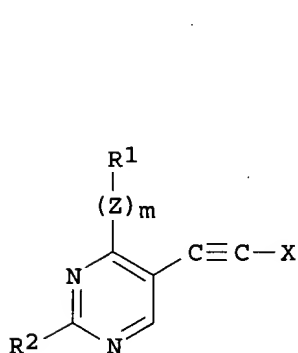
CN 4-Pyrimidinamine, 5-bromo-2-chloro-N-phenyl- (9CI) (CA INDEX NAME)



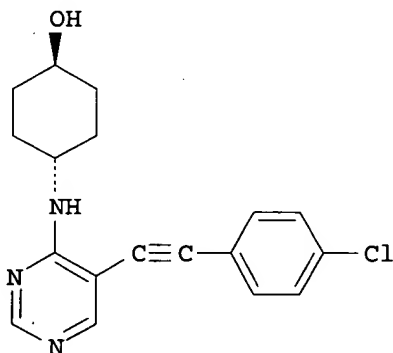
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 47 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:90025 CAPLUS
 DOCUMENT NUMBER: 136:151172
 TITLE: Preparation of 5-(arylalkynyl)pyrimidines
 having neurotrophic activity for the treatment of
 neurodegenerative and other neurological disorders
 INVENTOR(S): Beauchamp, Lilia; Krenitsky, Thomas A.; Kelley, James
 L.
 PATENT ASSIGNEE(S): Krenitsky Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008205	A1	20020131	WO 2001-US23088	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1303495	A1	20030423	EP 2001-952859	20010720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-220348P	P 20000724
			WO 2001-US23088	W 20010720
OTHER SOURCE(S):			MARPAT 136:151172	
GI				



I



II

AB Title compds. I [wherein Z = O, NH, or S; m = 0-1; R¹ = (un)substituted (alkyl)a((hetero)cycloalkyl or (hetero)aryl)b(alkyl)c; a, b, and c = independently 0-1 and a + b + c .gtoreq. 1, with provisos; R² = H, NH₂, or NHCOR₃; R₃ = H or alkyl; X = (un)substituted aryl; and pharmaceutically

acceptable esters, amides, salts, or solvates thereof] were prepd. Pharmaceutical compns. which contain I, methods for their prepn., and their use in therapy, particularly in the treatment of neurodegenerative or other neurol. disorders of the central and peripheral nervous systems, including age related cognitive disorders such as senility and Alzheimer's disease, nerve injuries, peripheral neuropathies, and seizure disorders such as epilepsy, are disclosed. For example, 4-chloro-5-(4-chlorophenylethynyl)pyrimidine (prepn. given) was coupled with (trans)-4-aminocyclohexanol.bul.HCl using TEA and MeCN in CH₂Cl₂ to afford II. The latter increased the choline acetyltransferase (ChAT) activity relative to nerve growth factor (NGF) alone with EC_{2x} of 0.2 .mu.M.

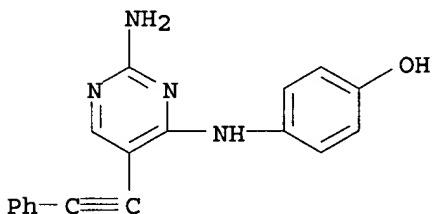
IT 393856-07-0P, 2-Amino-4-(4-hydroxyanilino)-5-phenylethynylpyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(CNS agent; prepn. of (arylalkynyl)pyrimidines having neurotrophic activity for the treatment of neurodegenerative and other neurol. disorders)

RN 393856-07-0 CAPLUS

CN Phenol, 4-[[2-amino-5-(phenylethynyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 48 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:31438 CAPLUS

DOCUMENT NUMBER: 136:102370

TITLE: Preparation of tetrahydropyridine or piperidine heterocyclic derivatives and their affinity for CRF receptors

INVENTOR(S): Nakazato, Atsuro; Kumagai, Toshihito; Okubo, Taketoshi; Kameo, Kazuya

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002549	A1	20020110	WO 2001-JP5806	20010704

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,

09/ 922,874

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1299378 A1 20030409 EP 2001-947819 20010704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2001012166 A 20030902 BR 2001-12166 20010704
NO 2002006125 A 20030204 NO 2002-6125 20021219
PRIORITY APPLN. INFO.: JP 2000-204021 A 20000705
JP 2000-270535 A 20000906
WO 2001-JP5806 W 20010704

OTHER SOURCE(S): MARPAT 136:102370

AB Tetrahydropyridine or piperidine heterocyclic derivs. with high affinity
for CRF receptors were prepd. E.g., 5-(4-carbamoyl-1,2,3,6-
tetrahydropyridin-1-yl)-2-(N-ethyl-2,4-dichloroanilino)-4-methylthiazole
was prepd. by bromination of 2-(N-ethyl-2,4-dichloroanilino)-4-
methylthiazole hydrochloride, followed by reaction with
5-carbamoyl-1,2,3,6-tetrahydropyridine hydrochloride.

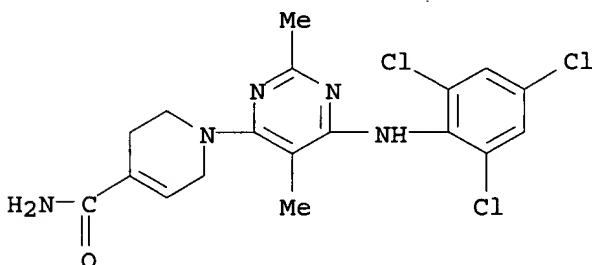
IT 388123-20-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of tetrahydropyridine or piperidine heterocyclic derivs. and
their affinity for CRF receptors)

RN 388123-20-4 CAPLUS

CN 4-Pyridinecarboxamide, 1-[2,5-dimethyl-6-[(2,4,6-trichlorophenyl)amino]-4-
pyrimidinyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 49 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:851122 CAPLUS

DOCUMENT NUMBER: 135:371759

TITLE: Preparation of N-imidazolylphenyl-5,6-
dihydrobenzo[h]quinazolin-4-amines and other
N-containing heterocyclic amines as
5-hydroxytryptamine antagonists for treatment of CNS
disorders

INVENTOR(S): Yamada, Akira; Spears, Glen; Hayashida, Hisashi;
Tomishima, Masaki; Ito, Kiyotaka; Imanishi, Masashi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 154 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001087845 A2 20011122 WO 2001-JP4002 20010514
 WO 2001087845 A3 20020829

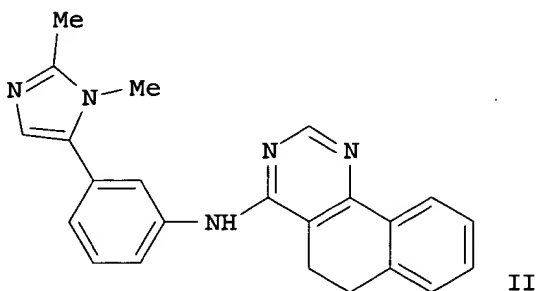
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001056728 A5 20011126 AU 2001-56728 20010514
 US 2003176454 A1 20030918 US 2002-258582 20021101

PRIORITY APPLN. INFO.: AU 2000-7501 A 20000515
 AU 2000-1955 A 20001207
 WO 2001-JP4002 W 20010514

OTHER SOURCE(S): MARPAT 135:371759
 GI



AB Title compds. AMQNHZ [I; wherein A = H, (un)substituted, unsatd., N-contg. heterocyclic group, or C(NH)NHR; R = (un)substituted aryl or heterocyclic group; M = (CH₂)_n, (CH₂)_nO(CH₂)_m, or (CH₂)_nNH(CH₂)_m; n and m = independently 0-2; Q = (un)substituted cycloalkylene group, arylene, or divalent heterocyclic group; Z = (un)substituted, unsatd., mono-, di-, tri-, or tetra-cyclic, N-contg. heterocyclic group which may contain addnl. N, O, and S atoms as the ring member(s), e.g. indeno[1,2,3-delphthalazinyl or 5,6-dihydrobenzo[h]quinazolinyl; and the prodrugs or pharmaceutically acceptable salts thereof] were prepd. For example, a mixt. of 4-chloro-5,6-dihydrobenzo[h]quinazoline, 3-(1,2-dimethyl-1H-imidazol-5-yl)aniline, and 1,3-dimethyl-2-imidazolidinone was heated for an hour at 200.degree.C, cooled, treated with 1N aq. NaOH and water, and worked up to give II. I are 5-hydroxytryptamine (5-HT) antagonists useful for the prevention and/or treatment of central nervous system (CNS) disorders, such as anxiety, depression, obsessive compulsive disorders, migraine, anorexia, Alzheimer's disease, sleep disorders, bulimia, panic attacks, withdrawal from drug abuse, schizophrenia, and disorders assocd. with spinal trauma and/or head injury (no data).

IT 374556-01-1P, [3-(2,3-Dimethyl-3H-imidazol-4-yl)phenyl] (6-phenylpyrimidin-4-yl)amine

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

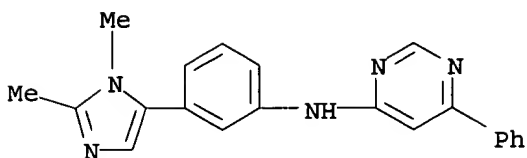
(prepn. of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and other N-contg. heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders)

RN 374556-01-1 CAPLUS

CN 4-Pyrimidinamine, N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-6-phenyl-

09/ 922,874

(9CI) (CA INDEX NAME)



L7 ANSWER 50 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:833289 CAPLUS

DOCUMENT NUMBER: 135:371756

TITLE: Preparation of prodrugs of HIV replication inhibiting
pyrimidines

INVENTOR(S): Kukla, Michael Joseph; Ludovici, Donald William;
Kavash, Robert W.; De Corte, Bart Lieven Daniel;
Heeres, Jan; Janssen, Paul Adriaan Jan; Koymans,
Lucien Maria Henricus; De Jonge, Marc Rene; Van Aken
Koen, Jeanne Alfons; Krief, Alain

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

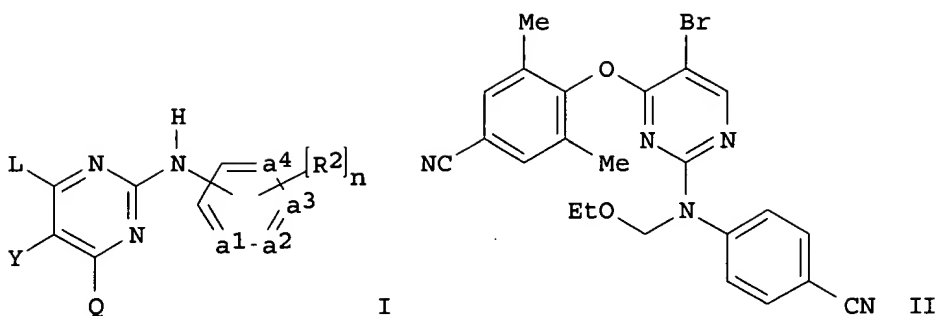
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085699	A2	20011115	WO 2001-EP4990	20010503
WO 2001085699	A3	20020228		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1282607	A2	20030212	EP 2001-933925	20010503
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003186990	A1	20031002	US 2002-275333	20021107
PRIORITY APPLN. INFO.:			US 2000-202471P P	20000508
			WO 2001-EP4990 W	20010503

OTHER SOURCE(S): MARPAT 135:371756

GI



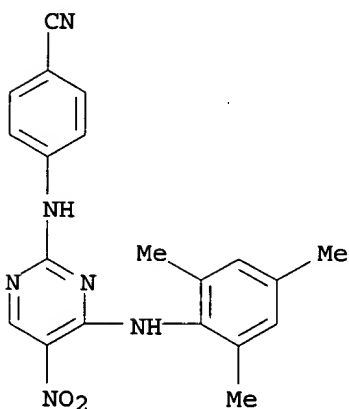
AB The title compds. A1A2NR1 [I; R1 = alkyl, SOR8, SO2R8, etc.; R8 = alkyl, (un)substituted Ph, (un)satd. heterocyclyl; A1A2N- is the covalently bonded form of the corresponding intermediate of the formula A1A2NH, which is a HIV replication inhibiting **pyrimidine** II (wherein a1:a2a3:a4 = CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, N:CHCH:N, N:NCH:CH; n = 0-5; R2 = OH, halo, alkyl, etc.; L = alkyl, alkenyl, cycloalkyl, etc.; Q = H, alkyl, halo, etc.; Y = H, OH, halo, etc.)], were prepd. Thus, reacting 4-{[5-bromo-4-(4-cyano-2,6-dimethylphenoxy)-2-**pyrimidinyl** amino]benzonitrile (prepn. given) with (chloromethoxy)ethane in the presence of NaH in THF afforded 19% III. Anti-HIV activity of compds. I was tested and results were given.

IT 269055-21-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of prodrugs of HIV replication inhibiting **pyrimidines**)

RN 269055-21-2 CAPLUS

CN Benzonitrile, 4-[[5-nitro-4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 51 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:661424 CAPLUS

DOCUMENT NUMBER: 135:211051

TITLE: Preparation of 1,5-disubstituted-3,4-dihydro-1H-pyrimido[4,5-d]pyrimidin-2-ones for treatment of CSBP/p38 kinase mediated diseases

INVENTOR(S): Adams, Jerry L.; Boehm, Jeffrey C.; Hall, Ralph F.; Taggart, John J.

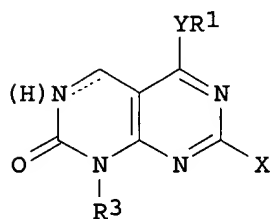
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA

SOURCE: PCT Int. Appl., 102 pp.

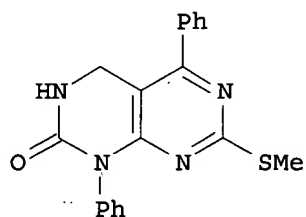
CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064679	A1	20010907	WO 2001-US6688	20010302
W:			AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
EP 1265900	A1	20021218	EP 2001-914625	20010302
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	
JP 2003525295	T2	20030826	JP 2001-564176	20010302
US 2003100756	A1	20030529	US 2002-220103	20020828
NO 2002004134	A	20021024	NO 2002-4134	20020830
PRIORITY APPLN. INFO.:			US 2000-186419P P	20000302
			WO 2001-US6688 W	20010302
OTHER SOURCE(S):		MARPAT 135:211051		
GI				



I



II

AB The title compds. (I) [wherein R1 = (un)substituted (hetero)aryl; R2 = H or (un)substituted (cyclo)alkyl(alkyl), (hetero)aryl(alkyl), or heterocycl(alkyl); R3 = (un)substituted (cyclo)alkyl(alkyl), (hetero)aryl(alkyl), or heterocycl(alkyl); Y = a bond, CRb, CO, NRd, O, or SOM; Rb = H, alkyl, NRc, OH, SH, alkoxy, or SOM-alkyl; Rc and Rd = independently H or alkyl; X = R2, OR2, SOMR2, or (un)substituted (CH2)nNH2; m = 0-2; n = 0-10; or pharmaceutically acceptable salts thereof] were prep'd. as CSBP/p38 kinase inhibitors. For example, 4,6-dichloro-2-methylsulfanylpurimidine-5-carbonitrile was condensed with aniline, followed by arylation with PhB(OH)2, redn. of the nitrile using LAH in Et2O, and cyclocondensation of the diamine with COCl2 in toluene and **pyridine**, to give II. Representative compds. I inhibited CSBP/p38 kinase with IC50 values of < 100 .mu.M. Applications of I to a wide variety of arthritic, inflammatory, proliferative, and viral conditions are specifically claimed.

IT 68473-05-2P

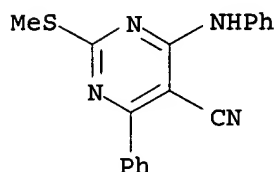
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of 1,5-disubstituted-3,4-dihydro-1H-pyrimido[4,5-d]pyrimidin-2-ones for treatment of CSBP/p38 kinase mediated diseases)

RN 68473-05-2 CAPLUS

09/ 922,874

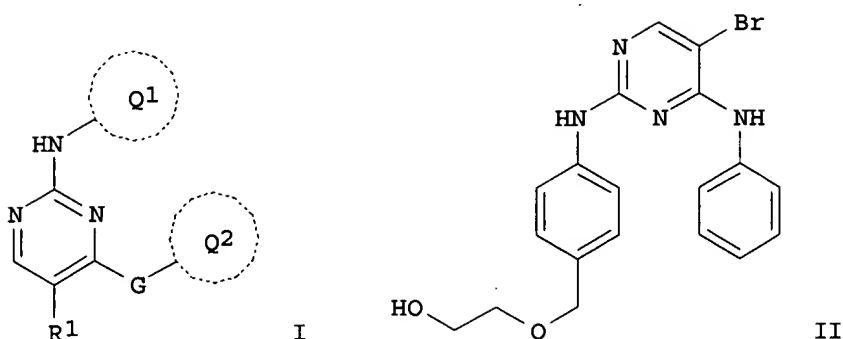
CN 5-Pyrimidinecarbonitrile, 2-(methylthio)-4-phenyl-6-(phenylamino)- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 52 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:661404 CAPLUS
DOCUMENT NUMBER: 135:227011
TITLE: Preparation of 2,4-di(hetero)arylamino(oxy)-5-
substituted **pyrimidines** as antineoplastic
agents
INVENTOR(S): Pease, Elizabeth Janet; Williams, Emma Jane; Bradbury,
Robert Hugh; Pearson, Stuart Eric
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064656	A1	20010907	WO 2001-GB829	20010226
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1278735	A1	20030129	EP 2001-906021	20010226
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001008879	A	20030429	BR 2001-8879	20010226
JP 2003525279	T2	20030826	JP 2001-563498	20010226
US 2003181474	A1	20030925	US 2002-203025	20020805
NO 2002004126	A	20020829	NO 2002-4126	20020829
PRIORITY APPLN. INFO.:			GB 2000-4887	A 20000301
			WO 2001-GB829	W 20010226
OTHER SOURCE(S):	MARPAT 135:227011			
GI				



AB The title compds. [I; Q1, Q2 = (un)substituted aryl, carbon linked heteroaryl; one of Q1 and Q2 or both is substituted on a ring carbon by one substituent selected from N-(di)alkylamino, Ph, heterocyclyl, etc.; G = O, NR₂; R₂ = H, alkyl, alkenyl, etc.; R₁ = H, halo, OH, etc.] and their pharmaceutically acceptable salts, useful as cyclin-dependent serine/threonine kinase (CDK) and focal adhesion kinase (FAK) inhibitors, were prepd. and formulated. Thus, reacting 4-anilino-5-bromo-2-chloropyrimidine with 4-aminobenzyl alc. in the presence of ethereal HCl in BuOH/MeOH followed by treatment of the intermediate with ethylene glycol afforded 19% II which showed IC₅₀ of 0.679 .mu.M when tested in vitro assay for the CDK4 inhibitory activity.

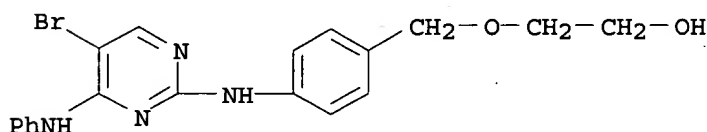
IT 358789-56-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 2,4-di(hetero)arylamino(oxy)-5-substituted pyrimidines as antineoplastic agents)

RN 358789-56-7 CAPLUS

CN Ethanol, 2-[[4-[[5-bromo-4-(phenylamino)-2-pyrimidinyl]amino]phenyl]methoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 53 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:661403 CAPLUS

DOCUMENT NUMBER: 135:227010

TITLE: Preparation of 2,4-di(hetero)arylamino(oxy)-5-substituted pyrimidines as antineoplastic agents

INVENTOR(S): Pease, Elizabeth Janet; Breault, Gloria Anne; Williams, Emma Jane; Bradbury, Robert Hugh; Morris, Jeffrey James

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 74 pp.

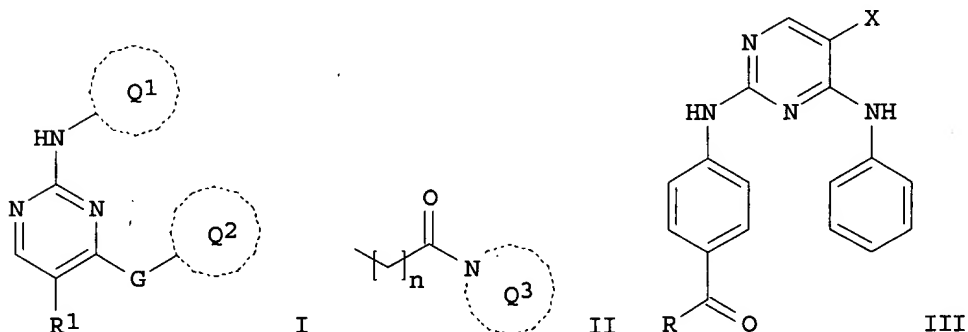
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064655	A1	20010907	WO 2001-GB824	20010226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001008834	A	20021210	BR 2001-8834	20010226
EP 1268444	A1	20030102	EP 2001-906018	20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525278	T2	20030826	JP 2001-563497	20010226
US 2003149266	A1	20030807	US 2002-203154	20020806
NO 2002004153	A	20021029	NO 2002-4153	20020830
PRIORITY APPLN. INFO.:			GB 2000-4890	A 20000301
			WO 2001-GB824	W 20010226
OTHER SOURCE(S):		MARPAT 135:227010		
GI				



AB The title compds. [I; Q1, Q2 = (un)substituted aryl or carbon linked heteroaryl; and one or both Q1 and Q2 are substituted on a ring carbon by (CH₂)_nY(CH₂)_mZ or II (Y = NHCO, CONH; Z = (un)substituted cycloalkyl, Ph, heterocyclyl, etc.; n = 0-1; m = 1-3; Q3 = (un)substituted nitrogen linked heterocycle); G = O, NR₂; R₂ = H, alkyl, alkenyl, etc.; R1 = H, halo, OH, etc.] and their pharmaceutically acceptable salts, useful as cyclin-dependent serine/threonine kinase (CDK) and focal adhesion kinase (FAK) inhibitors, were prepd. and formulated. Thus, reacting 4-anilino-2,5-dichloropyrimidine with 4-aminobenzoic acid followed by amidation of the resulting 4-anilino-2-(4-carboxyanilino)-5-chloropyrimidine with 1-(3-aminopropyl)imidazole afforded III [X = Cl; R = 3-(imidazol-1-yl)propylamino]. E.g., the title compd. III [X = Br; R = 2-(piperidino)ethylamino] showed IC₅₀ of 0.235 .mu.M when tested in vitro assay for the CDK4 inhibitory activity.

IT 358787-83-4P

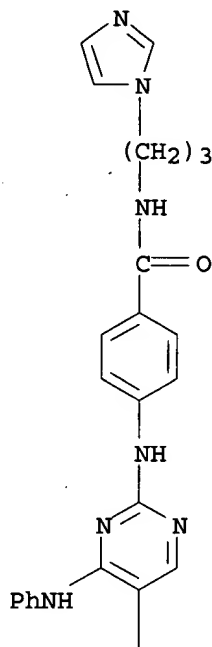
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2,4-di(hetero)arylamino(oxy)-5-substituted
pyrimidines as antineoplastic agents)

RN 358787-83-4 CAPLUS

CN Benzamide, 4-[[5-chloro-4-(phenylamino)-2-pyrimidinyl]amino]-N-[3-(1H-imidazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 54 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:661402 CAPLUS

DOCUMENT NUMBER: 135:227009

TITLE: Preparation of **pyrimidin-2-amines** as cyclin-dependent serine/threonine kinase (CDK) inhibitors

INVENTOR(S): Pease, Elizabeth Janet; Breault, Gloria Anne; Morris, Jeffrey James

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

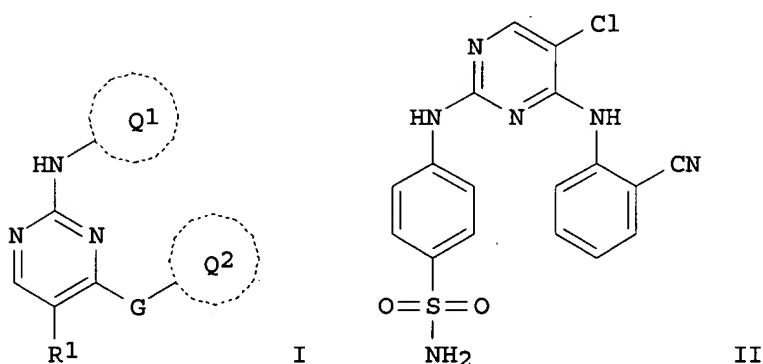
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001064654 A1 20010907 WO 2001-GB782 20010226
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1272477 A1 20030108 EP 2001-905990 20010226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2001008841 A 20030506 BR 2001-8841 20010226
JP 2003525277 T2 20030826 JP 2001-563496 20010226
US 2003149064 A1 20030807 US 2002-220139 20020828
NO 2002004154 A 20021028 NO 2002-4154 20020830
PRIORITY APPLN. INFO.: GB 2000-4888 A 20000301
WO 2001-GB782 W 20010226
OTHER SOURCE(S) : MARPAT 135:227009
GI

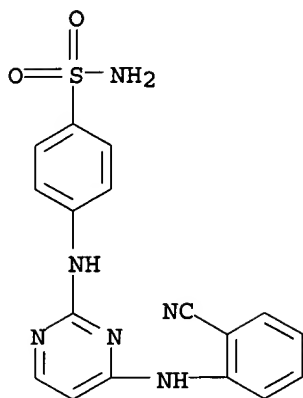


AB The title compds. [I; Q1, Q2 = (un)substituted aryl, carbon linked heteroaryl; one of Q1 and Q2 or both is substituted on a ring carbon by sulfamoyl, N-alkylsulfamoyl, alkylsulfonyl, etc.; G = O, S, NR₂; R₁ = H, halo, OH, etc.; R₂ = H, alkyl, alkenyl, etc.], useful for their anticancer activity, were prepd. and formulated. Thus, reacting 2,5-dichloro-4-(2-cyanoanilino)**pyrimidine** with sulfanilamide in BuOH afforded II which showed IC₅₀ of 0.347 .mu.M when tested in vitro assay for the CDK2 inhibitory activity.

IT **359010-21-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of **pyrimidin**-2-amines as cyclin-dependent serine/threonine kinase (CDK) inhibitors)

RN **359010-21-2 CAPLUS**

CN Benzenesulfonamide, 4-[[4-[(2-cyanophenyl)amino]-2-pyrimidinyl]amino]-(9CI) (CA INDEX NAME)

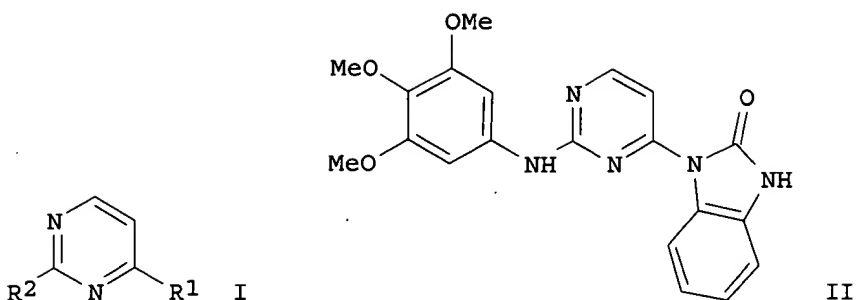


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 55 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:617995 CAPLUS
 DOCUMENT NUMBER: 135:180783
 TITLE: Preparation of arylaminopyrimidines as Kinase inhibitors
 INVENTOR(S): Armistead, David M.; Bemis, Jean E.; Di Pietro, Lucian V.; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Kim, Joseph L.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia M.
 PATENT ASSIGNEE(S): Amgen Inc., USA
 SOURCE: PCT Int. Appl., 91 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060816	A1	20010823	WO 2001-US4983	20010216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002052386	A1	20020502	US 2001-785599	20010216
US 2003004174	A9	20030102		
EP 1257546	A1	20021120	EP 2001-909266	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003199534	A1	20031023	US 2003-353507	20030128
PRIORITY APPLN. INFO.:				
			US 2000-183256P	P 20000217
			US 2001-785599	B1 20010216
			WO 2001-US4983	W 20010216

OTHER SOURCE(S): MARPAT 135:180783
 GI



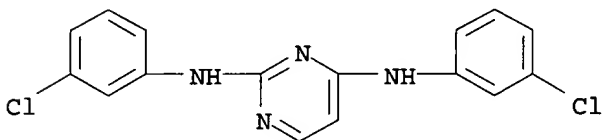
AB Arylamino pyrimidines I wherein R¹ and R² are independently aryl, 5-8 membered monocyclic, 11-14 membered bicyclic, 1-9-heteroatoms tricyclic, substituted amine, sulfide, alkoxy, acyl, heterocycle, were prepd. as Kinase inhibitors useful for treating disease or disease symptoms. Thus, **pyrimidine II** was prepd. and tested in vitro as kinases inhibitor (FGFR1-1, IC₅₀ < 1.5 .mu.M).

IT 5301-24-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Prepn. of triazine Kinase inhibitors)

RN 5301-24-6 CAPLUS

CN 2,4-Pyrimidinediamine, N,N'-bis(3-chlorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 56 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:565041 CAPLUS

DOCUMENT NUMBER: 135:152818

TITLE: Preparation of 2-amino-8H-pyrido[2,3-d]pyrimidin-7-ones as cyclin dependent kinase inhibitors for treatment of neurodegenerative disease

INVENTOR(S): Booth, Richard John; Chatterjee, Arindam; Malone, Thomas Charles

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 232 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

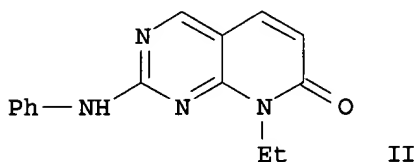
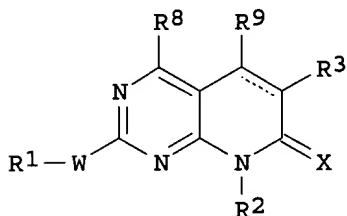
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055148	A1	20010802	WO 2000-US32572	20001130
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 BR 2000017075 A 20021105 BR 2000-17075 20001130
 EP 1255755 A1 20021113 EP 2000-980883 20001130
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2003523358 T2 20030805 JP 2001-561007 20001130
 PRIORITY APPLN. INFO.: US 2000-178400P P 20000127
 WO 2000-US32572 W 20001130
 OTHER SOURCE(S): MARPAT 135:152818
 GI



AB This invention provides a method for treating neurodegenerative diseases in mammals comprising administering an effective amt. of a cyclin-dependent kinase (cdk) inhibitor (I) [wherein W = NH, S, SO, or SO₂; X = O or NH; R₁ and R₂ = independently H or (un)substituted (CH₂)_nAr, (CH₂)_nheteroaryl, (CH₂)_nheterocyclyl, (cyclo)alkyl, alkenyl, or alkynyl; R₃ = H or alkyl; R₄ and R₅ = independently H, (un)substituted alkyl, alkenyl, alkynyl, (CH₂)_nAr, cycloalkyl, heterocyclyl, or heteroaryl; or R₄ and R₅ together with the N to which they are attached may form a heterocycle; R₆ = alkyl; R₈ and R₉ = independently H, (thio)alkyl, NR₄R₅, N(O)R₄R₅, NR₄R₅R₆Y, OH, alkoxy, SH, halo, COR₄, CO₂R₄, CONR₄R₅, SO₂NR₄R₅, SO₃R₄, PO₃R₄, CHO, CN, nor NO₂; Y = halo counterion; n = 0-3]. Examples include prepn. and/or enzyme assay data for over 600 invention compds. For instance, 4-ethylamino-2-phenylaminopyrimidine-5-carboxaldehyde (multi-step prepn. given) was heated with (carbethoxymethylene)triphenylphosphorane at reflux to give the acrylate (86%), which was cyclized using 1,8-diazabicyclo[5.4.0]undec-7-ene in TEA to afford II. The latter inhibited cdk4/D, cdk2/E, cdk2/A, cdk1/B, and cdk5 with IC₅₀ values of 0.752 .mu.M, 0.41 .mu.M, 0.129 .mu.M, 1.015 .mu.M, and 0.065 .mu.M, resp. Due to their relative selectivity for inhibition of cdk5 over other cdk enzymes, I are particularly useful for the treatment of neurodegenerative diseases.

IT 106475-47-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

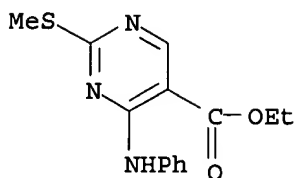
(intermediate; prepn. of 2-amino-8H-pyrido[2,3-d]

pyrimidinones as cyclin-dependent kinase inhibitors by

cyclization of 3-[2-(methylsulfinyl)-4-aminopyrimidin-5-yl]acrylates or acrylonitriles)

RN 106475-47-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(methylthio)-4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 57 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:545724 CAPLUS
 DOCUMENT NUMBER: 135:147398
 TITLE: Peptidomimetic modulators of cell adhesion
 INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shoameng; Hu, Zengjian
 PATENT ASSIGNEE(S): Adherex Technologies, Inc., Can.
 SOURCE: PCT Int. Appl., 416 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053331	A2	20010726	WO 2001-US2508	20010124
WO 2001053331	A3	20020711		
WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-491078 A 20000124

OTHER SOURCE(S): MARPAT 135:147398

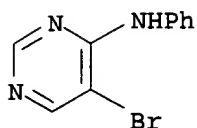
AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT 69193-20-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (peptidomimetic modulators of cell adhesion)

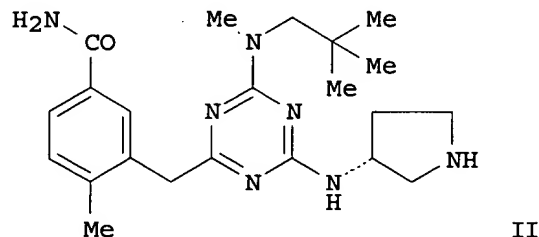
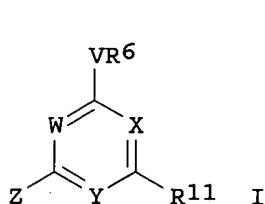
RN 69193-20-0 CAPLUS

CN 4-Pyrimidinamine, 5-bromo-N-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 58 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:489377 CAPLUS
 DOCUMENT NUMBER: 135:92655
 TITLE: Preparation of s-triazines and pyrimidines
 for pharmaceutical use as cytokine, especially
 TNF-.alpha., inhibitors
 INVENTOR(S): Moriarty, Kevin Joseph; Shimshock, Yvonne; Ahmed,
 Gulzar; Wu, Junjun; Wen, James; Li, Wei; Erickson,
 Shawn David; Letourneau, Jeffrey John; McDonald,
 Edward; Leftheris, Katerina; Wroblewski, Stephen T.
 PATENT ASSIGNEE(S): Pharmacoepia, Inc., USA; Bristol-Myers Squibb Company
 SOURCE: PCT Int. Appl., 123 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047897	A1	20010705	WO 2000-US35289	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1242385	A1	20020925	EP 2000-988358	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003519130	T2	20030617	JP 2001-549369	20001222
PRIORITY APPLN. INFO.: US 1999-173227P P 19991228				
WO 2000-US35289 W 20001222				
OTHER SOURCE(S): MARPAT 135:92655				
GI				



AB N-heterocycles, such as I [V = CHR5, NR5, S; W, X, Y = CH, N; Z = halogen, alkyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, etc.; R5 = H, alkyl; R6

= substituted benzene; R11 = halogen alkyloxy, alkylamino, etc.], were prepd. to block cytokine prodn. via inhibition of p38 kinase for pharmaceutical use as anti-inflammatory agents and for the treatment of conditions assocd. with TNF-.alpha. expression, such as bone resorption, graft/host reaction, atherosclerosis, arthritis, psoriasis, etc. Thus, triazine II was prepd. via a series of synthetic steps starting from (R)-3-amino-1-tert-butoxycarbonylpyrrolidine, cyanuric chloride and N-methylneopentylamine hydrochloride. The prepd. heterocycles were assayed for p38 kinase and TNF-.alpha. inhibiting activity.

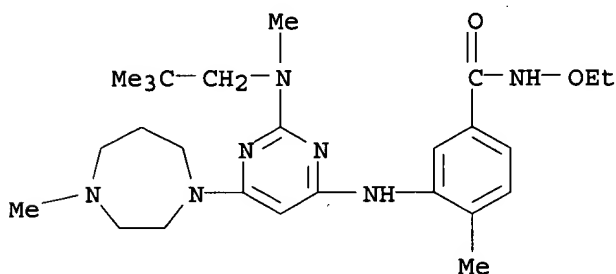
IT 348092-67-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of s-triazines and **pyrimidines** for pharmaceutical use as cytokine, esp. TNF-.alpha., inhibitors)

RN 348092-67-1 CAPLUS

CN Benzamide, 3-[[2-[(2,2-dimethylpropyl)methylamino]-6-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)-4-pyrimidinyl]amino]-N-ethoxy-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 59 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:335201 CAPLUS

DOCUMENT NUMBER: 135:137457

TITLE: Mesomeric betainium salts. Synthesis, X-ray analysis, and ESIMS studies of tripolar **pyrimidin**-4-olates and **pyrimidin**-4-aminides

AUTHOR(S): Schmidt, Andreas; Nieger, Martin

CORPORATE SOURCE: Technische Universitat Clausthal, Institut fur Organische Chemie, Clausthal-Zellerfeld, D-38678, Germany

SOURCE: Heterocycles (2001), 55(5), 827-834

CODEN: HTCYAM; ISSN: 0385-5414

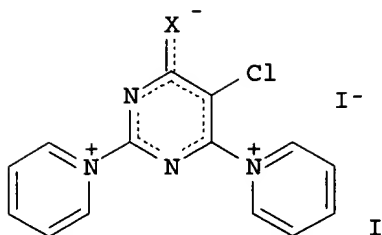
PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:137457

GI



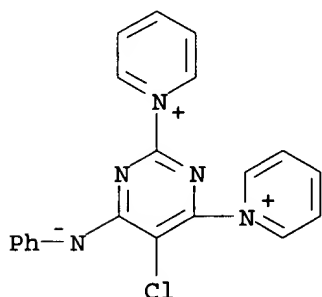
AB Reaction of tetrachloropyrimidine with **pyridine** in acetone in the presence of NaI yielded the **pyrimidin-4-olate** (3; shown as I, X = O). Applying analogous reaction conditions to 4-amino substituted 2,5,6-trichloropyrimidine gave bispyridinium salts which yield aminides (6a,b; shown as I, X = NH, NPh) on deprotonation. As evidenced by UV spectroscopy and electrospray MS spectrometry, the title compds. 3 and 6a,b form .pi.-sandwich complexes in soln.

IT 351535-54-1

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(identification by electrospray mass spectrometry)

RN 351535-54-1 CAPLUS

CN Pyridinium, 1,1'-[5-chloro-6-(phenylamino)-2,4-pyrimidinediyl]bis-, inner salt, iodide (2:1) (9CI) (CA INDEX NAME)



● 1/2 I⁻

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 60 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:300721 CAPLUS

DOCUMENT NUMBER: 134:326540

TITLE: Preparation of alkylamino substituted bicyclic nitrogen heterocycles for pharmaceutical use as inhibitors of p38 protein kinase

INVENTOR(S): Dunn, James Patrick; Fisher, Lawrence Emerson; Goldstein, David Michael; Harris, William; Hill, Christopher Huw; Smith, Ian Edward David; Welch, Teresa Rosanne

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 177 pp.

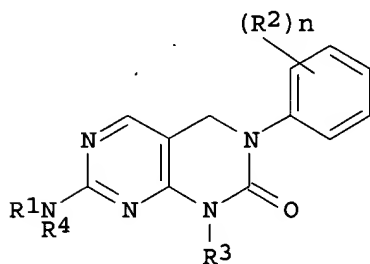
CODEN: PIXXD2

DOCUMENT TYPE: Patent

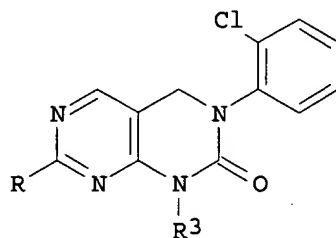
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029042	A1	20010426	WO 2000-EP10088	20001013
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000015243	A	20020716	BR 2000-15243	20001013
EP 1228070	A1	20020807	EP 2000-967864	20001013
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003512378	T2	20030402	JP 2001-531840	20001013
US 6451804	B1	20020917	US 2000-693337	20001020
NO 2002001781	A	20020418	NO 2002-1781	20020416
PRIORITY APPLN. INFO.:			US 1999-160803P	P 19991021
			US 2000-213743P	P 20000622
			WO 2000-EP10088	W 20001013
OTHER SOURCE(S):			MARPAT 134:326540	
GI				



I



II

AB Alkylamino-substituted dihydropyrimido[4,5-d]pyrimidinone derivs., such as I [R1 = H, alkyl, alkenyl, alkynyl, acyl, cycloalkyl, etc.; R2 = vinyl, alkyl, halogen, heteroalkyl; R3 = alkyl, heteroalkyl, cycloalkyl, heterocyclyl, etc.; R4 = H, alkyl, etc.; n = 0-3], were prepd. for pharmaceutical use. The compds. are p38 inhibitors and may be used in the treatment of arthritis, Crohn's disease, irritable bowel syndrome, adult respiratory distress syndrome, chronic obstructive pulmonary disease, osteoporosis, or Alzheimer's disease. Thus, dihydropyrimido[4,5-d]pyrimidinone II (R = NHCMe2CH2OH, R3 = Me) was prepd. via a substitution reaction of H2NCMe2CH2OH with sulfone II (R = SO2Me, R3 = Me) when combined and heated to 100-110.degree. for 1 h. The prepd. dihydropyrimido[4,5-d]pyrimidinone derivs. showed 50% p38 inhibitory activity at concns. < 10 .mu.M.

IT 106475-47-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

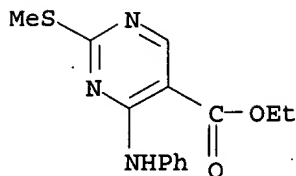
(prepn. of alkylamino substituted pyrimidino[4,5-d]pyrimidines for pharmaceutical use as inhibitors of p38 protein kinase)

RN 106475-47-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(methylthio)-4-(phenylamino)-, ethyl ester

09/ 922,874

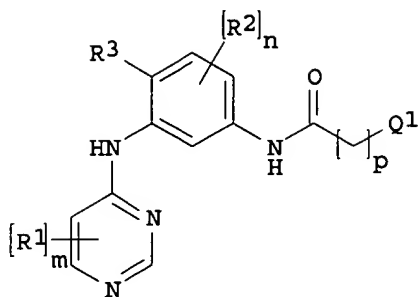
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 61 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:283933 CAPLUS
DOCUMENT NUMBER: 134:295834
TITLE: Preparation of 4-anilinopyrimidines as p38 kinase inhibitors
INVENTOR(S): Cumming, John Graham
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027089	A1	20010419	WO 2000-GB3929	20001010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014596	A	20020611	BR 2000-14596	20001010
EP 1226126	A1	20020731	EP 2000-968084	20001010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003511442	T2	20030325	JP 2001-530109	20001010
NO 2002001728	A	20020612	NO 2002-1728	20020412
PRIORITY APPLN. INFO.:				
			GB 1999-24092	A 19991013
			WO 2000-GB3929	W 20001010
OTHER. SOURCE(S): MARPAT 134:295834				
GI				



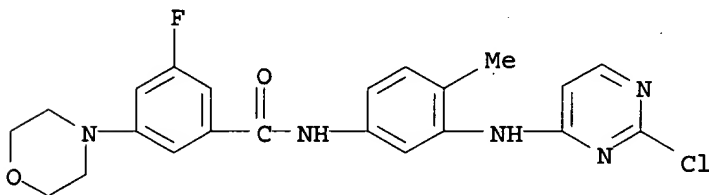
I

AB The title compds. [I; m = 0-3; R1 = OH, halo, CF3, CN; R3 = H, halo, alkyl; n = 0-2; R2 = OH, halo, CF3, CN; p = 0-4; Q1 = aryl, heteroaryl], useful in the treatment of diseases or medical conditions mediated by cytokines, were prep'd. and formulated. E.g., a multi-step synthesis of I [R1 = 2-Cl, 6-(H2NCO); R2 = H; R3 = Me; p = 0; Q1 = 3-fluoro-5-morpholinophenyl] which showed IC50 of 0.03 .mu.M against p38.alpha. and IC50 of 16 .mu.M in the Human Whole Blood test, was given.

IT **334893-06-0P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of 4-anilinopyrimidines as p38 kinase inhibitors)

RN 334893-06-0 CAPLUS

CN Benzamide, N-[3-[(2-chloro-4-pyrimidinyl)amino]-4-methylphenyl]-3-fluoro-5-(4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 62 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:247156 CAPLUS

DOCUMENT NUMBER: 134:280865

TITLE: Preparation of azinylaminobenzonitriles and related compounds as virucides.

INVENTOR(S): Verreck, Geert; Baert, Lieven

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 89 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022938	A1	20010405	WO 2000-EP8522	20000831

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000014271 A 20020521 BR 2000-14271 20000831

EP 1225874 A1 20020731 EP 2000-964080 20000831

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL

JP 2003510264 T2 20030318 JP 2001-526150 20000831

EE 200200151 A 20030415 EE 2002-151 20000831

NZ 517025 A 20030725 NZ 2000-517025 20000831

BG 106521 A 20021229 BG 2002-106521 20020314

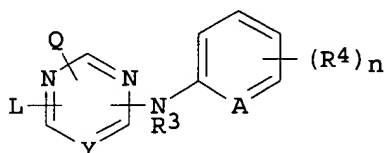
NO 2002001443 A 20020322 NO 2002-1443 20020322

PRIORITY APPLN. INFO.: EP 1999-203128 A 19990924

WO 2000-EP8522 W 20000831

OTHER SOURCE(S): MARPAT 134:280865

GI



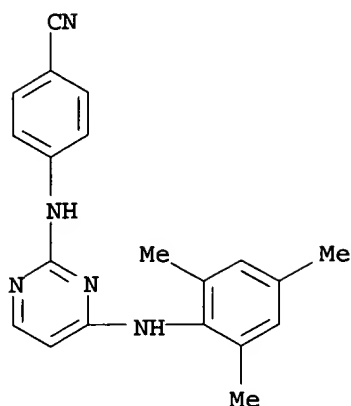
AB A particle consisting of a solid dispersion comprising .gtoreq.1 pharmaceutically acceptable H₂O-sol. polymers and a title compd., e.g., [I; Y = CR₅, N; A = CH, CR₄, N; n = 0-4; Q = NR₁R₂, H; R₁, R₂ = H, OH, (substituted) alkyl, alkoxy, alkylcarbonyl, alkoxy carbonyl, aryl, etc.; or R₁R₂ = atoms to form **pyrrolidinyl**, piperidinyl, morpholinyl, azido, alkylaminoalkylidene; R₃ = H, aryl, alkylcarbonyl, alkyl, alkoxy carbonyl, alkoxy carbonylalkyl; R₄ = OH, halo, alkyl, alkoxy, cyano, aminocarbonyl, NO₂, amino, trihalomethyl, trihalomethoxy, etc.; R₅ = H, alkyl; L = X₁R₆, X₂AR₇, etc.; R₆, R₇ = (substituted) Ph, indanyl, indolyl; X₁, X₂ = NR₃, NHNH, N:N, O, S, SO, SO₂; A = C₁₋₄ alkylene; with provisos], is claimed. Thus, 5-bromo-2-chloro-N-(2,4,6-trimethylphenyl)-4-**pyrimidineamine** (prepn. given) was stirred with HCl in Et₂O followed by evapn. of solvent, addn. of 4-aminobenzonitrile and dioxane, and reflux for 4 days to give 2% 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)amino]-4-**pyrimidinyl**]amino]benzonitrile. Tested title compds. showed anti-HIV activity with IC₅₀ = 0.0004-0.030 .mu.M. A title compd. melt extrudate was prepd. using hydroxypropyl methylcellulose with no degrdn. of the active ingredient.

IT 244767-67-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of azinylaminobenzonitriles and related compds. as virucides)

RN 244767-67-7 CAPLUS

CN Benzonitrile, 4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]-
 (9CI) (CA INDEX NAME)

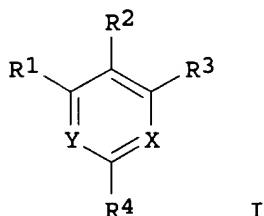


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 63 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:235559 CAPLUS
 DOCUMENT NUMBER: 134:266319
 TITLE: CD40 function inhibitors containing (hetero)aryl compounds and their preparation
 INVENTOR(S): Saito, Shoichi; Akane, Katsura; Fujimoto, Katsumi; Shiraishi, Akio; Kurakata, Shinichi; Maeda, Hiroaki; Tatsuta, Toru
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 139 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089452	A2	20010403	JP 1999-267909	19990922
PRIORITY APPLN. INFO.:			JP 1999-267909	19990922
OTHER SOURCE(S):		MARPAT 134:266319		

GI



AB Title inhibitors, useful for prevention and treatment of allergy, rheumatoid, autoimmune disease, and arteriosclerosis, contain arom. compds. I [R1, R3, R4 = H, OH, halo, C1-15 alkyl(oxy), C1-15 alkylthio, (un)substituted (hetero)aryl, etc.; R2 = NO2, nitrile, CO2H, C2-6 alkoxy carbonyl; R1CCR2 may form (un)substituted (hetero)aryl; X, Y = N, CH] or their salts as active ingredients. Thus, MeOCPh:C(CO2Et)2 was refluxed with benzamidine HCl salt and NaH in EtOH for 5 h, evapd., neutralized, extd. with AcOEt, the org. phase concd., and treated with

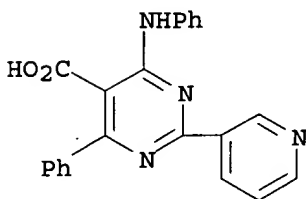
POCl₃ and morpholine to give 52% I (R₁ = R₄ = Ph, R₂ = CO₂Et, R₃ = 4-morpholino, X = Y = N), which at 25 .mu.M inhibited 88% formation of IL-12.

IT 332071-34-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (hetero)aryl compds. as CD40 function inhibitors)

RN 332071-34-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-phenyl-6-(phenylamino)-2-(3-pyridinyl)-, monopotassium salt (9CI) (CA INDEX NAME)



● K

L7 ANSWER 64 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:225202 CAPLUS

DOCUMENT NUMBER: 134:256875

TITLE: Controlled-release microparticle formulation for antiviral drugs

INVENTOR(S): Hantke, Thomas; Rehbock, Bettina; Rosenberg, Joerg; Breitenbach, Joerg

PATENT ASSIGNEE(S): Knoll A.-G., Germany

SOURCE: Ger. Offen., 16 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945982	A1	20010329	DE 1999-19945982	19990924
WO 2001023362	A2	20010405	WO 2000-EP9149	20000919
WO 2001023362	A3	20011206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

EP 1214300	A2	20020619	EP 2000-964201	20000919
EP 1214300	B1	20030903		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

JP 2003518012	T2	20030603	JP 2001-526516	20000919
AT 248822	E	20030915	AT 2000-964201	20000919
NO 2002001409	A	20020321	NO 2002-1409	20020321

PRIORITY APPLN. INFO.:

DE 1999-19945982 A 19990924

WO 2000-EP9149 W 20000919

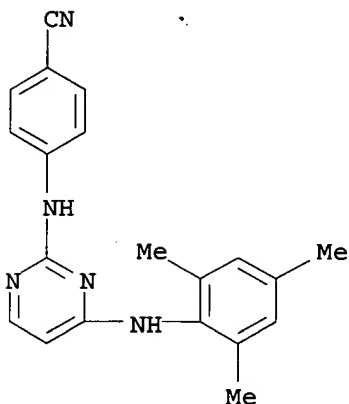
AB The invention concerns the controlled-release formulations of antiviral, antifungal and apolipoprotein B synthesis inhibitory drugs (no data on activity) composed of polyvinylpyrrolidone and detergent contg. matrix. Drugs are **pyrimidinyl**-amino-benzonitrile, triazine-amino-benzonitrile, imidazolidinone, triazolone derivs. Particles are prepd. by extrusion. Thus the powder was formulated (wt./wt.%): 4-[4-[(2,4,6-trimethyl)amino]-2-**pyrimidyl**]aminobenzonitrile 30; Kollidon VA64 65; PEG-castor oil 5; and extruded at 145.degree.C. The soly. was compared with similar comps.

IT **244767-67-7**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (controlled-release microparticle formulation for antiviral drugs)

RN 244767-67-7 CAPLUS

CN Benzonitrile, 4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]-(9CI) (CA INDEX NAME)



L7 ANSWER 65 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:812111 CAPLUS

DOCUMENT NUMBER: 134:115611

TITLE: Fourier transform ion cyclotron resonance mass spectrometry study of mesomeric heterocyclic betainium ions

AUTHOR(S): Makinen, Marko; Vainiotalo, Pirjo; Schmidt, Andreas

CORPORATE SOURCE: Department of Chemistry, University of Joensuu, FIN-80101, Finland

SOURCE: European Journal of Mass Spectrometry (2000), 6(3), 259-265

CODEN: EJMSCL; ISSN: 1469-0667

PUBLISHER: IM Publications

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Mesomeric heterocyclic betainium ions derived from 4-aminopyrimidine-2,6-bis(**pyridinium**) salts, were examd. in the gas phase by Fourier transform ion cyclotron resonance mass spectrometry (FT-ICR MS) with electrospray ionization. The purpose of the study was to clarify the general gas-phase properties and reactions of these novel ions. Collision-induced dissocn. (CID) was used to investigate fragment ion formation and fragmentation pathways. The ions have an unusual tripolar structure with one neg. and two pos. charges that are in cross-conjugation within a common -electron system. Resonance stabilization within the ions makes them relatively unreactive in ion-mol. reactions under the

conditions in the FT-ICR cell. CID spectra showed that the charged **pyridinium** groups are easily lost as neutral **pyridine**. Otherwise the fragmentation depends on the substituent on the aniline moiety.

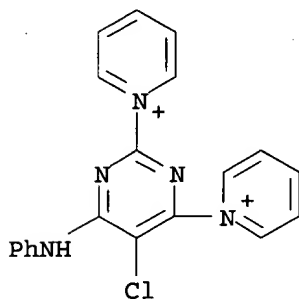
IT 236126-15-1

RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)

(Fourier transform ion cyclotron resonance mass spectrometry study of mesomeric heterocyclic betainium ions)

RN 236126-15-1 CAPLUS

CN Pyridinium, 1,1'-[5-chloro-6-(phenylamino)-2,4-pyrimidinediyl]bis-, dichloride (9CI) (CA INDEX NAME)



● 2 Cl⁻

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 66 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:742077 CAPLUS

DOCUMENT NUMBER: 133:296446

TITLE: Preparation of neurotrophic substituted **pyrimidines**

INVENTOR(S): Kelley, James L.; Krenitsky, Thomas A.; Beauchamp, Lilia M.

PATENT ASSIGNEE(S): Krenitsky Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

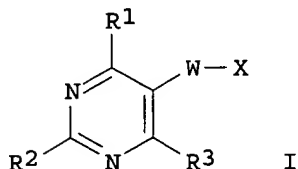
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061562	A1	20001019	WO 2000-US9108	20000406
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6583148	B1	20030624	US 1999-288495	19990408
EP 1165523	A1	20020102	EP 2000-923138	20000406

09/ 922,874

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

JP 2002541245 T2 20021203 JP 2000-610837 20000406
PRIORITY APPLN. INFO.: US 1999-288495 A 19990408
WO 2000-US9108 W 20000406

OTHER SOURCE(S): MARPAT 133:296446
GI

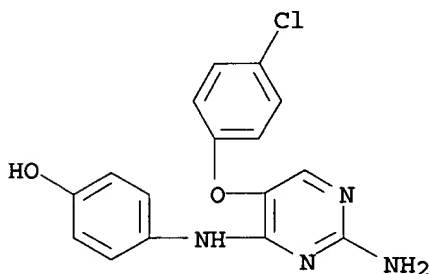


AB The title compds. [I; W = O, CH₂, (CH₂)₂, etc.; R1 = **pyrrolidino**, 3-oxopiperidino, 4-oxopiperidino, etc.; R2 = H, NH₂; R3 = H; X = (un)substituted aryl, heteroaryl] and their pharmaceutically acceptable salts, useful in therapy, particularly in the treatment of neurodegenerative or other neurol. disorders of the central and peripheral systems, were prepd. and formulated. E.g., a multi-step synthesis of the **pyrimidine I** [W = O; R1 = trans-4-hydroxycyclohexylamino; R2 = NH₂; R3 = H; X = 4-ClC₆H₄] which was tested for NGF-like activity and showed EC₅₀ of 0.4 .mu.M (concn. at which the test compd. doubled the ChAT activity over the activity with NGF alone), was given.

IT **301526-88-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of neurotrophic substituted **pyrimidines**)

RN 301526-88-5 CAPLUS

CN Phenol, 4-[[2-amino-5-(4-chlorophenoxy)-4-pyrimidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 67 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

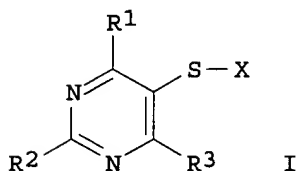
ACCESSION NUMBER: 2000:725622 CAPLUS

DOCUMENT NUMBER: 133:296442

09/ 922,874

TITLE: Preparation of neurotrophic thio substituted
pyrimidines
INVENTOR(S): Kelley, James L.; Krenitsky, Thomas A.; Beauchamp,
Lilia M.
PATENT ASSIGNEE(S): Krenitsky Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

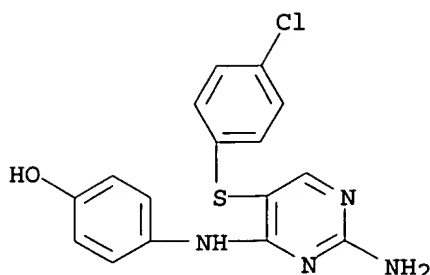
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059893	A1	20001012	WO 2000-US9004	20000405
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1165522	A1	20020102	EP 2000-921705	20000405
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRIORITY APPLN. INFO.:			US 1999-127923P P 19990406	
			US 1999-128842P P 19990412	
			WO 2000-US9004 W 20000405	
OTHER SOURCE(S):	MARPAT 133:296442			
GI				



AB The title compds. [I; R₁ = NHR₄ (R₄ = aryl, alkyl, etc.), (un)substituted piperazino, homopiperazino, etc.; R₂ = H, NH₂; R₃ = H; X = substituted aryl] and their pharmaceutically acceptable salts, useful in therapy, particularly in the treatment of neurodegenerative or other neurol. disorders of the central and peripheral systems, were prepd. and formulated. E.g., a multi-step synthesis of I [R₁ = trans-4-hydroxycyclohexylamino; R₂ = NH₂; R₃ = H; X = 4-ClC₆H₄] which doubled the ChAT activity over the activity with NGF alone at 0.04 .mu.M, was given.

IT 300854-82-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of neurotrophic thio substituted **pyrimidines**)

RN 300854-82-4 CAPLUS
CN Phenol, 4-[[2-amino-5-[(4-chlorophenyl)thio]-4-pyrimidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

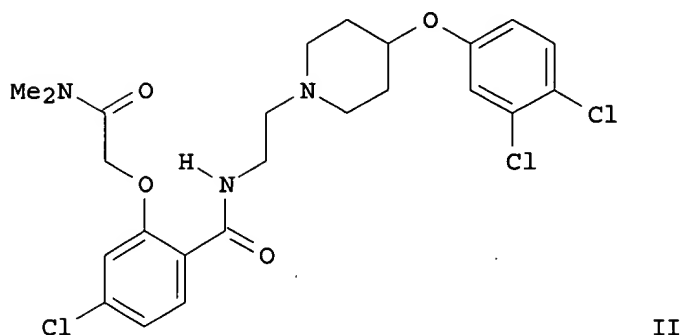
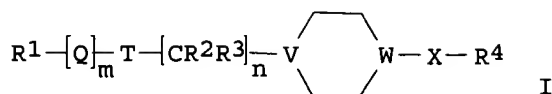


● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 68 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:707161 CAPLUS
 DOCUMENT NUMBER: 133:266738
 TITLE: Preparation of piperidinyl compounds as modulators of chemokine receptor activity
 INVENTOR(S): Baxter, Andrew; Brough, Stephen; Kindon, Nicholas; McInally, Thomas; Roberts, Bryan; Thom, Stephen
 PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK; Astrazeneca AB
 SOURCE: PCT Int. Appl., 183 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058305	A1	20001005	WO 2000-SE563	20000322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000009338	A	20011226	BR 2000-9338	20000322
EP 1165545	A1	20020102	EP 2000-921237	20000322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002540204	T2	20021126	JP 2000-608007	20000322
EE 200100502	A	20021216	EE 2001-502	20000322
US 6518286	B1	20030211	US 2000-555565	20000601
ZA 2001006858	A	20021120	ZA 2001-6858	20010820
NO 2001004518	A	20010917	NO 2001-4518	20010917
US 2003134840	A1	20030717	US 2003-339261	20030109
PRIORITY APPLN. INFO.:			SE 1999-1117	A 19990326
			SE 1999-2194	A 19990610
			WO 2000-SE563	W 20000322
			US 2000-555565	A1 20000601
OTHER SOURCE(S):		MARPAT 133:266738		
GI				



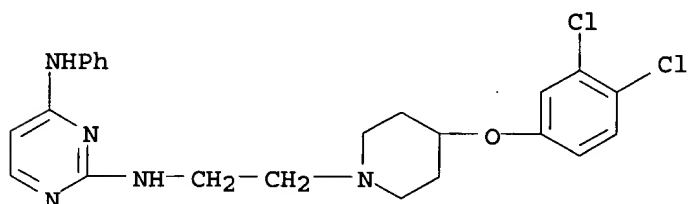
AB The title compds. [I; R¹ = (un)substituted alkyl, (un)substituted 3-10 membered (un)satd. ring system comprising up to two ring carbon atoms that form carbonyl groups and comprising up to 4 ring heteroatoms independently selected from N, O, and S; m = 0-1; Q = OCH₂, alkylene, alkenylene; T = CONH, or when m = 0, T may addnl. represent a bond, NH, or when m = 1 and Q = alkylene, T may addnl. represent NH; n = 1-4; R², R³ = H, alkyl; V = N; W = N, CH; X = O, CO, CHOH, etc.; provided that when W = N, then X = either CO or SO₂ and when W = CH, then X = other than SO₂; R⁴ = (un)substituted Ph], modulators of chemokine receptor activity (no data) useful as antiinflammatories, were prepd. E.g., a multi-step synthesis of benzamide II was given.

IT 298697-25-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinyl compds. as modulators of chemokine receptor activity)

RN 298697-25-3 CAPLUS

CN 2,4-Pyrimidinediamine, N2-[2-[4-(3,4-dichlorophenoxy)-1-piperidinyl]ethyl]-N4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 69 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:646004 CAPLUS
DOCUMENT NUMBER: 133:238016
TITLE: Preparation of pyrimidinamines as

anti-cancer agents
 INVENTOR(S): Breault, Gloria Anne; James, Stewart Russell; Pease, Jane Elizabeth
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053595	A1	20000914	WO 2000-GB737	20000302
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
NZ 513893	A	20010928	NZ 2000-513893	20000302
EP 1161428	A1	20011212	EP 2000-906531	20000302
EP 1161428	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008770	A	20020108	BR 2000-8770	20000302
JP 2002539120	T2	20021119	JP 2000-604033	20000302
AU 754967	B2	20021128	AU 2000-28187	20000302
AT 241617	E	20030615	AT 2000-906531	20000302
ZA 2001007252	A	20021202	ZA 2001-7252	20010831
NO 2001004317	A	20011101	NO 2001-4317	20010905
PRIORITY APPLN. INFO.:			GB 1999-5075	A 19990306
			WO 2000-GB737	W 20000302
OTHER SOURCE(S):		MARPAT 133:238016		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

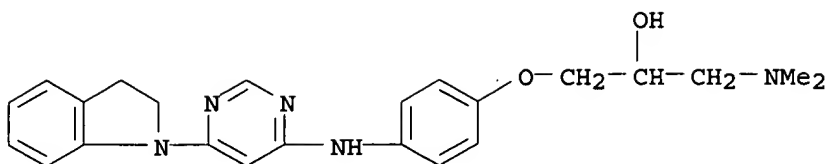
AB The title compds. [I or II; R1 = H, halo, OH, etc.; Q1 = (un)substituted Ph; Q1 bears X(CH₂)_nCY1Y2(CH₂)_mZ (wherein X = CH₂, O, NH, etc.; Y1 = H, alkyl, Z; Y2 = H, alkyl; Z = RaO, RbRcN, RdS, etc.; Ra-Rd = H, alkyl, alkenyl, etc.; n, m = 1-3); NQ2 = (un)substituted heterocyclic moiety contg. one N atom and optionally contg. a further heteroatom] and their pharmaceutically acceptable salts, useful as anti-cancer agents, were prepd. E.g., a multi-step synthesis of the **pyrimidinamine** IIII was given. CDK4 and FAK inhibitory activity of compds. I and II was tested.

IT 293292-21-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of **pyrimidinamines** as anti-cancer agents)

RN 293292-21-4 CAPLUS

CN 2-Propanol, 1-[4-[[6-(2,3-dihydro-1H-indol-1-yl)-4-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 70 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:598962 CAPLUS
DOCUMENT NUMBER: 134:162935
TITLE: Synthesis of 4-(phenylamino)pyrimidine
derivatives as ATP-competitive protein kinase
inhibitors with potential for cancer chemotherapy
AUTHOR(S): Rewcastle, G. W.; Denny, W. A.; Showalter, H. D. H.
CORPORATE SOURCE: Auckland Cancer Society Research Centre, The
University of Auckland, Auckland, N. Z.
SOURCE: Current Organic Chemistry (2000), 4(7), 679-706
CODEN: CORCFE; ISSN: 1385-2728
PUBLISHER: Bentham Science Publishers
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 82 refs. The 4-(phenylamino)pyrimidine pharmacophore is found in a variety of different compds. that function as ATP-competitive inhibitors of several important protein kinase enzymes. Specific inhibitors of the epidermal growth factor receptor (EGFR) tyrosine kinase have received the most attention, and several elaborations of the fundamental 4-(phenylamino)pyrimidine pharmacophore have now been reported as potent and selective inhibitors of this class of enzyme. Three sep. pharmaceutical companies have now entered quinazoline EGFR inhibitors into clin. trials for the treatment of cancer, demonstrating the competitive nature of this area. Recent work with vascular endothelial growth factor (VEGF) and cyclin-dependent kinase (CDK) inhibitors has shown that the field is still expanding, and will undoubtedly continue to show potential for some time to come. This review article concs. on the synthetic approaches and chem. procedures that have been used for the prodn. of these novel pharmaceutical agents.

IT 50827-24-2DP, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

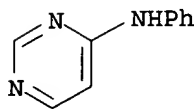
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RN 50827-24-2 CAPLUS

CN 4-Pyrimidinamine, N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 71 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:477836 CAPLUS
DOCUMENT NUMBER: 133:252386

TITLE: Carbonic anhydrase inhibitors: synthesis of sulfonamides incorporating 2,4,6-trisubstituted-pyridinium-ethylcarboxamido moieties possessing membrane-impermeability and in vivo selectivity for the membrane-bound (CA IV) versus the cytosolic (CA I and CA II) isozymes

AUTHOR(S): Supuran, Claudiu T.; Scozzafava, Andrea; Ilies, Marc A.; Briganti, Fabrizio

CORPORATE SOURCE: Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi, Florence, I-50121, Italy

SOURCE: Journal of Enzyme Inhibition (2000), 15(4), 381-401
CODEN: ENINEG; ISSN: 8755-5093

PUBLISHER: Harwood Academic Publishers

DOCUMENT TYPE: Journal

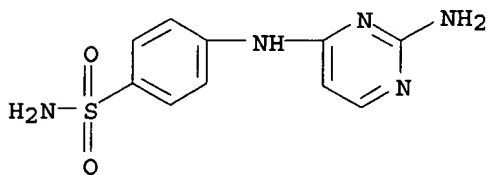
LANGUAGE: English

AB A new approach is proposed for the selective in vivo inhibition of membrane-bound vs. cytosolic carbonic anhydrase (CA, EC 4.2.1.1) isoenzymes with a class of pos.-charged, membrane-impermeant sulfonamides. Arom./heterocyclic sulfonamides acting as strong (but unselective) inhibitors of this zinc enzyme were derivatized by the attachment of trisubstituted-pyridinium-ethylcarboxy moieties (obtained from 2,4,6-trisubstituted pyrylium salts and .beta.-alanine) to the amino, imino, hydrazino or hydroxyl groups present in their mols. Efficient in vitro inhibition (in the nanomolar range) was obsd. with some of the new derivs. against three investigated CA isoenzymes, i.e., human CA I, human CA II (cytosolic forms) and bovine CA IV. Due to their salt-like character, the new type of inhibitors reported here, unlike the classical, clin. used compds. (such as acetazolamide, methazolamide, ethoxzolamide), are unable to penetrate biol. membranes, as shown by ex vivo and in vivo perfusion expts. in rats. The level of bicarbonate excreted into the urine of the exptl. animals perfused with solns. of the new and classical inhibitors suggest that: (i) when using the new type of pos.-charged sulfonamides, only the membrane-bound enzyme (CA IV) was inhibited, whereas the cytosolic isoenzymes (CA I and II) were not affected, (ii) in the expts. in which the classical compds. (acetazolamide, benzolamide, etc.) were used, unselective inhibition of all CA isoenzymes (I, II and IV) occurred.

IT 2153-13-1, 4-[(2-Amino-4-pyrimidinyl)aminobenzenesulfonamide]
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of [[(aminosulfonyl)aryl]oxopropyl]pyridinium perchlorates and their selectivity for membrane-bound and cytosolic carbonic anhydrase)

RN 2153-13-1 CAPLUS

CN Benzenesulfonamide, 4-[(2-amino-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

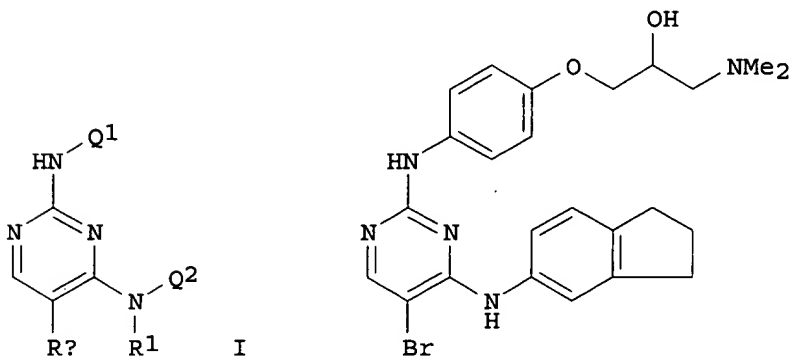
L7 ANSWER 72 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:457043 CAPLUS

DOCUMENT NUMBER: 133:89537

TITLE: Preparation of 2,4-pyrimidinediamine derivatives as anticancer agents
 INVENTOR(S): Bradbury, Robert Hugh; Breault, Gloria Anne; Jewsbury, Philip John; Pease, Janet Elizabeth
 PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
 SOURCE: PCT Int. Appl., 137 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039101	A1	20000706	WO 1999-GB4325	19991220
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140860	A1	20011010	EP 1999-962375	19991220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916590	A	20011023	BR 1999-16590	19991220
JP 2002533446	T2	20021008	JP 2000-591012	19991220
AU 763091	B2	20030710	AU 2000-18743	19991220
NZ 512118	A	20030829	NZ 1999-512118	19991220
ZA 2001004413	A	20020829	ZA 2001-4413	20010529
NO 2001003038	A	20010822	NO 2001-3038	20010619
US 6593326	B1	20030715	US 2001-868602	20010823
PRIORITY APPLN. INFO.:			GB 1998-28511	A 19981224
			WO 1999-GB4325	W 19991220
OTHER SOURCE(S):		MARPAT 133:89537		
GI				



AB The present invention relates to the title compds. (I) [wherein R¹ = H, (un)substituted alkyl, alkenyl, or alkynyl, benzyl, 2-phenylethyl, phthalimidoalkyl, or cycloalkylalkyl; R_x = halo, OH, NO₂, NH₂, CN, SH, CO₂H, SO₂NH₂, NHCHO, ureido, etc.; Q¹ and Q² = independently (un)substituted aryl, 5- or 6-membered monocycle, or 9- or 10-membered

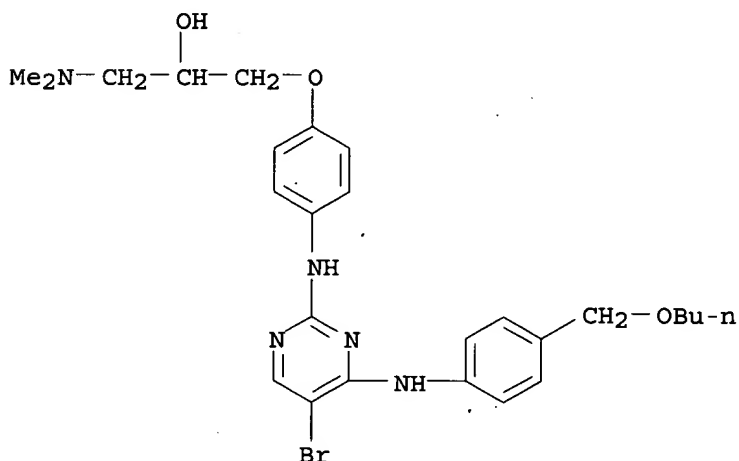
bicyclic heterocycle], processes for their manuf., and pharmaceutical compns. contg. them. For example, addn. of 4-[2-hydroxy-3-(N,N-dimethylamino)propoxy]aniline.bul.HCl in MeOH to 5-bromo-2-chloro-4-(indan-5-ylamino)pyrimidine in BuOH (prepn. given) and heating to 100.degree.C for 18 h gave II (42%). I inhibited the effects of cyclin-dependent serine/threonine kinases (CDKs), showing selectivity for CDK2 (no data), CDK4 (IC50 ranging from 0.02 .mu.M to 0.07 .mu.M), and CDK6 (no data). In a tyrosine kinase activity assay using Sf21 cells transfected with plaque-pure FAK recombinant virus, I also inhibited focal adhesion kinase 3 (FAK3) with IC50 ranging from 0.032 .mu.M to 0.07 .mu.M. Typical IC50 values for I when tested for inhibition of cell growth in an Sulforhodamine B (SRB) assay were in the range of 1 mM to 1 nM. Thus, I possess anti-cancer properties, including anti-cell-migration, antiproliferation and/or apoptotic properties. Such properties are expected to be of value in the treatment of disease states assocd. with aberrant cell cycles and cell proliferation such as cancers (solid tumors and leukemias), fibroproliferative and differentiative disorders, psoriasis, rheumatoid arthritis, Kaposi's sarcoma, hemangioma, acute and chronic nephropathies, atheroma, atherosclerosis, arterial restenosis, autoimmune diseases, acute and chronic inflammation, bone diseases, and ocular diseases with retinal vessel proliferation.

IT 280579-18-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BYP (Byproduct); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2,4-pyrimidinediamine anticancer agents by coupling halopyrimidines with anilines and optional derivatization)

RN 280579-18-2 CAPLUS

CN 2-Propanol, 1-[4-[[5-bromo-4-[[4-(butoxymethyl)phenyl]amino]-2-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 73 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:395946 CAPLUS

DOCUMENT NUMBER: 133:144485

TITLE: Design, synthesis and structure-affinity relationships of 4-methylidenepiperidine and 4-aryl-1,2,3,6-tetrahydropyridine derivatives as corticotropin-releasing factor1 receptor antagonists

AUTHOR(S): Nakazato, A.; Kumagai, T.; Okubo, T.; Tanaka, H.; Chaki, S.; Okuyama, S.; Tomisawa, K.

CORPORATE SOURCE: Medicinal Research Laboratories, 1st Laboratory,

SOURCE: Taisho Pharmaceutical Co., Ltd., Saitama, 330-8530, Japan
 Bioorganic & Medicinal Chemistry (2000), 8(5), 1183-1193
 CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

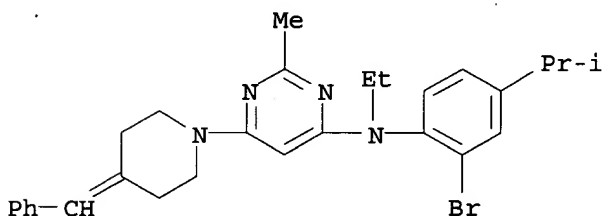
LANGUAGE: English

AB Recently, various non-peptide corticotropin-releasing factor1 (CRF1) receptor antagonists have been reported. Structure-affinity relationships (SARs) of non-peptide CRF1 antagonists suggest that such antagonists can be constructed of three units: a hydrophobic unit (Up-Area), a proton accepting unit (Central-Area), and an arom. unit (Down-Area). Our interest focused on the Up-Area in deriving novel methylidenepiperidine and 4-aryl-1,2,3,6-tetrahydropyridine derivs. as non-peptide CRF1 receptor antagonists which have high affinity and selectivity for CRF1 receptors with potent anxiolytic-like and antidepressant-like properties in some exptl. animal models. These findings suggest that the hydrophobic unit (Up-Area) may be useful for design of CRF1 antagonists.

IT 287491-68-3P
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (design, synthesis and structure-affinity relationships of methylidenepiperidine and aryltetrahydropyridine derivs. as corticotropin-releasing factor1 receptor antagonists)

RN 287491-68-3 CAPLUS

CN 4-Pyrimidinamine, N-[2-bromo-4-(1-methylethyl)phenyl]-N-ethyl-2-methyl-6-[4-(phenylmethylene)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 74 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:335393 CAPLUS

DOCUMENT NUMBER: 132:347578

TITLE: Preparation of arylaminopyrimidines as inhibitors of HIV replication.

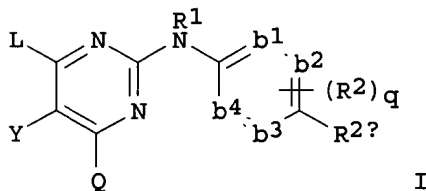
INVENTOR(S): De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Paul Adriaan Jan; Kavash, Robert W.; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; et al.

SOURCE: PCT Int. Appl., 49 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027825	A1	20000518	WO 1999-EP7417	19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9962008	A1	20000529	AU 1999-62008	19990924
AU 762523	B2	20030626		
BR 9915552	A	20010814	BR 1999-15552	19990924
EE 200100252	A	20021015	EE 2001-252	19990924
NZ 511116	A	20030829	NZ 1999-511116	19990924
EP 1002795	A1	20000524	EP 1999-203590	19991101
EP 1002795	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1270560	A1	20030102	EP 2002-18455	19991101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 233740	E	20030315	AT 1999-203590	19991101
US 2003114472	A1	20030619	US 1999-430966	19991101
HR 2001000161	A1	20020228	HR 2001-161	20010307
NO 2001001696	A	20010404	NO 2001-1696	20010404
BG 105418	A	20011130	BG 2001-105418	20010406
ZA 2001003769	A	20020812	ZA 2001-3769	20010509
PRIORITY APPLN. INFO.:			US 1998-107792P	P 19981110
			US 1999-143962P	P 19990715
			WO 1999-EP7417	W 19990924
			EP 1999-203590	A3 19991101
OTHER SOURCE(S):			MARPAT 132:347578	
GI				



AB Title compds. [I; b1:b2CR2a:b3b4 = CH:CHCR2a:CHCH, N:CHCR2a:CHCH, CH:NCR2a:CHCH, N:NCR2a:CHCH, CH:NCR2a:NCH, etc.; q = 0-4; R1 = H, aryl, CHO, formylalkyl, alkylcarbonyl alkyl, alkoxycarbonyl, etc.; R2a = cyano, aminocarbonyl, cyanoalkyl, cyanoalkenyl, cyanoalkynyl, etc.; R2 = OH, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, alkoxy, etc.; L = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, XR3; R3 = (substituted) Ph, pyridyl, pyrimidyl, pyrazinyl, pyridazinyl; X = NR1, NHNH, N:N, O, CO, S, SO, SO2, CHO; Q = H, alkyl, halo, polyhaloalkyl, amino; Y = OH, halo, cycloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, substituted alkyl, etc.], were prepd.

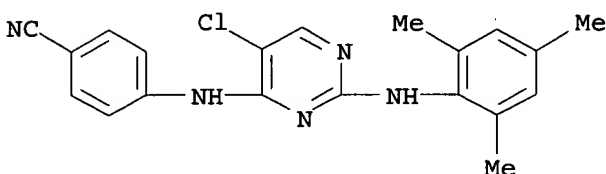
Thus, 5-bromo-4-chloro-N-(2,4,6-trimethylphenyl)-2-pyrimidineamine (prepn. given) was treated with HCl in Et₂O followed by solvent evapn.; 4-aminobenzonitrile and 1,4-dioxane were added and the mixt. was refluxed 4 days to give 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)amino]-4-pyrimidinyl]amino]benzonitrile. The latter inhibited HIV-1 infection of MT-4 cells with IC₅₀ = 0.004 .mu.M.

IT 269054-87-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylaminopyrimidines as inhibitors of HIV replication)

RN 269054-87-7 CAPLUS

CN Benzonitrile, 4-[[5-chloro-2-[(2,4,6-trimethylphenyl)amino]-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 75 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:291041 CAPLUS

DOCUMENT NUMBER: 132:308352

TITLE: Preparation of **pyrimidopyrimidinones** as T-cell tyrosine kinase inhibitors

INVENTOR(S): Harris, William; Hill, Christopher Huw; Smith, Ian Edward David

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

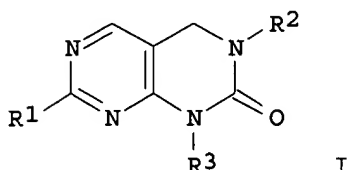
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024744	A1	20000504	WO 1999-EP7675	19991013
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 9914677	A	20010717	BR 1999-14677	19991013
EP 1123295	A1	20010816	EP 1999-953796	19991013
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528455	T2	20020903	JP 2000-578314	19991013
NZ 510760	A	20030829	NZ 1999-510760	19991013
US 6150373	A	20001121	US 1999-422451	19991021
ZA 2001002652	A	20020930	ZA 2001-2652	20010330
HR 2001000274	A1	20020630	HR 2001-274	20010412

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NO 2001001929 A 20010419 NO 2001-1929 20010419
PRIORITY APPLN. INFO.: GB 1998-23277 A 19981023
GB 1999-20044 A 19990824
WO 1999-EP7675 W 19991013
OTHER SOURCE(S): MARPAT 132:308352
GI

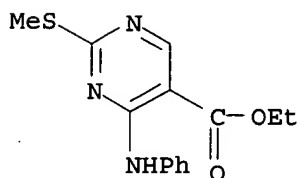


AB Title compds. [I; R1 = NH₂, alkylamino, (hetero)aryl(alkyl)amino; R2 = alkyl (hetero)aryl(alkyl); R3 = H, alkyl, (hetero)aryl(alkyl), cycloalkenyl] were prepd. Thus, Et 4-chloro-2-methylthiopyrimidine-5-carboxylate was aminated by MeNH₂ and the product converted to the aldehyde which was condensed with 2,6-Cl₂C₆H₃NH₂ to give 2,6-Cl₂C₆H₃NHCH₂ZNHMe (Z = 2-methylthiopyrimidine-5,4-diyl). The latter was cyclocondensed with COCl₂ and the product oxidized to give I (R2 = 2,6-Cl₂C₆H₃NHCH₂, R3 = Me) (II; R1 = SO₂Me) which was aminated by 4-(H₂N)C₆H₄OCH₂CH₂NEt₂ (prepn. given) to give II [R1 = 4-(Et₂NCH₂CH₂O)C₆H₄NH]. Data for biol. activity of I were given.

IT 106475-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of **pyrimidopyrimidinones** as T-cell tyrosine kinase inhibitors)

RN 106475-47-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(methylthio)-4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)

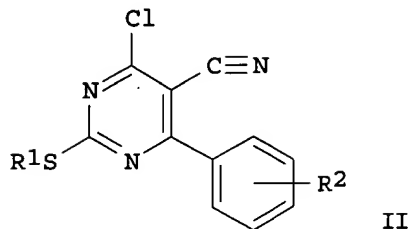
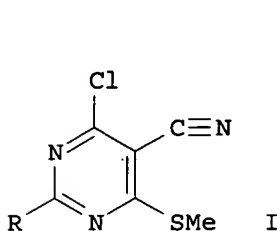


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 76 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:269107 CAPLUS
DOCUMENT NUMBER: 133:58774
TITLE: Suitably functionalised **pyrimidines** as potential antimycotic agents
AUTHOR(S): Agarwal, Nidhi; Raghuwanshi, Sandeep K.; Upadhyay, D. N.; Shukla, P. K.; Ram, Vishnu J.
CORPORATE SOURCE: Division of Medicinal Chemistry, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(8), 703-706
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.

09/ 922,874

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:58774
GI



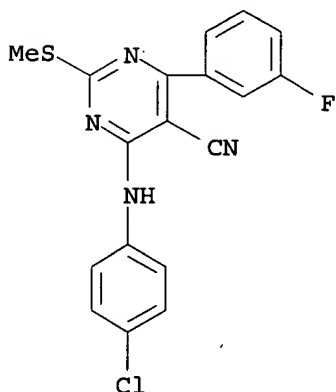
AB Various suitably functionalized **pyrimidine** derivs. I (R = H, Et, Ph, MeS, PhCH₂S) and II (R₁ = Me, Et; R₂ = 3-F, 4-F, 3-Cl, 4-Cl, 4-MeO) were prepd. as potential antimycotic agents. Some of these compds., e.g. I (R = Ph, MeS) and II (R₁ = Me, Et; R₂ = Cl, F) possess highly significant in vitro antifungal activity against five human pathogenic fungi.

IT **128641-36-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of cyanopyrimidines with antifungal activities)

RN 128641-36-1 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-chlorophenyl)amino]-6-(3-fluorophenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 77 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:191092 CAPLUS

DOCUMENT NUMBER: 132:222659

TITLE: Preparation of aminoalkylphosphonic ester derivatives as cell adhesion inhibitors

INVENTOR(S): Kono, Yasushi; Sawada, Takayuki; Nomura, Masahiro; Takahashi, Yukie; Tsubuki, Takeshi; Sakoe, Yasuhiko; Kuriyama, Kazuhiko

PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan

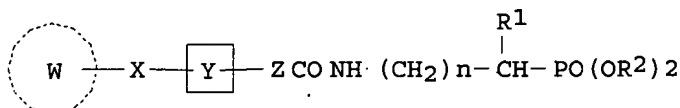
SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

09/ 922,874

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015645	A1	20000323	WO 1999-JP4913	19990910
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9956485	A1	20000403	AU 1999-56485	19990910
PRIORITY APPLN. INFO.:			JP 1998-258841	A 19980911
			WO 1999-JP4913	W 19990910
OTHER SOURCE(S):			MARPAT 132:222659	
GI				



AB Phosphonic ester derivs. represented by general formula [I; W = thiazole ring, (un)substituted benzothiazole, **pyridothiazole**, **pyridine**, quinoline, **pyridazine**, phthalazine, quinoxaline, **pyrimidine**, quinazoline, **thienopyrimidine**, benzimidazole, purine, or indole ring; X = NH(CH₂)_m (wherein m = 0-2), CONH; Y = (un)substituted benzene, or naphthalene, **pyridine**, or quinoline, or **benzofuran**, coumarin, chroman, or chromanone, 1,3-thiazole ring; Z = (CH₂)_q (wherein q = 0-2), CH:CH, OCH₂, OMe₂, SCH₂, SOCH₂, SO₂CH₂, NHCO(CH₂)_r (wherein r = 02); R₁ = H, C1-4 alkoxy carbonyl, CO₂H, C1-4 alkoxyphosphoryl; R₂ = C1-4 alkyl; n = 0-2] and pharmacol. acceptable salts thereof are prepd. These compds. have an activity of inhibiting a ICAM-1 or VCAM-1 mediated binding of cell adhesion mols. without inhibiting the expression of cell adhesion mols. and thus, are useful as immunosuppressants, anti-inflammatory agents, antiallergic agents and tumor metastasis inhibitors. Thus, 4'-(benzothiazol-2-yl)cinnamic acid was condensed with aminomethanephosphonic acid di-Et ester using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-dimethylaminopyridine and Et₃N in DMF at room temp. for 10 h to give [4'-(benzothiazol-2-yl)cinnamoyl]aminomethanephosphonic di-Et ester. A title compd. (II) in vitro inhibited by 88% the binding of U937 cell to human umbilical vein endothelial cells (HUVEC) which were treated with human interleukin-1.β. to induce ICAM-1 and VCAM-1.

IT 261616-85-7P

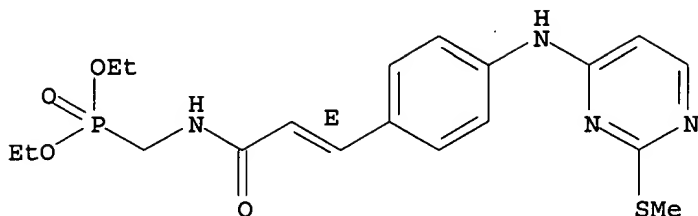
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of aminoalkylphosphonic ester derivs. as cell adhesion inhibitors and drugs)

RN 261616-85-7 CAPLUS

CN Phosphonic acid, [[[(2E)-3-[4-[[2-(methylthio)-4-pyrimidinyl]amino]phenyl]-1-oxo-2-propenyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

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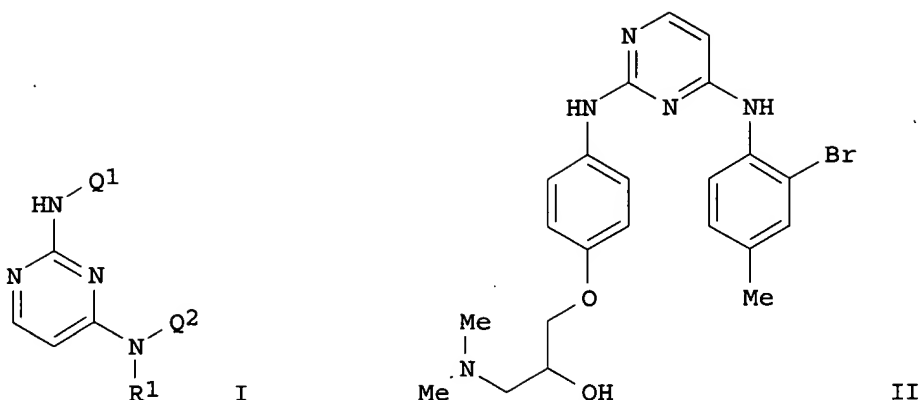
Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 78 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:161263 CAPLUS
DOCUMENT NUMBER: 132:194385
TITLE: Preparation of bis(arylamino)pyrimidine derivatives as anticancer agents
INVENTOR(S): Breault, Gloria Anne; Pease, Janet Elizabeth
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012485	A1	20000309	WO 1999-GB2790	19990824
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9954382	A1	20000321	AU 1999-54382	19990824
EP 1107957	A1	20010620	EP 1999-940401	19990824
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523497	T2	20020730	JP 2000-567515	19990824
PRIORITY APPLN. INFO.:				
			GB 1998-18989	A 19980829
			GB 1998-28433	A 19981224
			WO 1999-GB2790	W 19990824
OTHER SOURCE(S): MARPAT 132:194385				
GI				



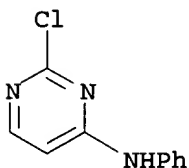
AB The title compds. (I) [wherein R1 = H or (un)substituted alkyl, alkenyl or alkynyl; Q1 and Q2 = independently (un)substituted Ph, **naphthyl**, indanyl, or 1,2,3,4-tetrahydronaphthyl, and one or both of Q1 and Q2 is substituted with -X(CH₂)_nCHY(CH₂)_mZ; X = CH₂, O, S, or NH; Y = H or as defined for Z; Z = OH, SH, NH₂, alkoxy, alkylthio, (cyclo)alkylamino, or dialkylamino; n = 1-3; m = 1-3] were prepd. as cyclin dependent kinase (CDK) and focal adhesion kinase (FAK) inhibitors. Examples include over 100 syntheses, descriptions of a no. of biol. assays with some data, and 7 pharmaceutical formulations. For instance, 2-chloro-4-(2-bromo-4-methylanilino)**pyrimidine** (prepn. given) was coupled with 4-[3-(N,N-dimethylamino)-2-hydroxypropoxy]aniline (prepn. given) in BuOH to give II. The latter inhibited CDK4 with IC₅₀ = 0.6 .mu.M and FAK with IC₅₀ = 3.3 .mu.M. Typical IC₅₀ values for compds. of the invention when tested in the Sulforhodamine B (SRB) cell growth inhibition assay were in the range of 1 mM to 1 nM. I and their pharmaceutically-acceptable salts and in-vivo-hydrolyzable esters are useful as anticancer agents, antiproliferatives, cell migration inhibitors, and apoptotic agents.

IT 191728-83-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of bis(arylamino)**pyrimidine** derivs. as anticancer agents, antiproliferatives, cell migration inhibitors, and apoptotic agents)

RN 191728-83-3 CAPLUS

CN 4-Pyrimidinamine, 2-chloro-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

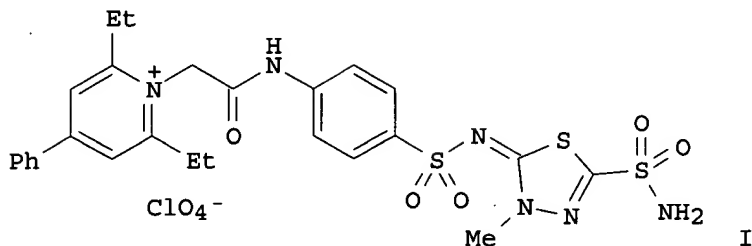
L7 ANSWER 79 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:816220 CAPLUS

DOCUMENT NUMBER: 132:166100

TITLE: Carbonic Anhydrase Inhibitors: Synthesis of Membrane-Impermeant Low Molecular Weight Sulfonamides Possessing in Vivo Selectivity for the Membrane-Bound versus Cytosolic Isozymes

AUTHOR(S): Scozzafava, Andrea; Briganti, Fabrizio; Ilies, Marc A.; Supuran, Claudiu T.
 CORPORATE SOURCE: Laboratorio di Chimica Inorganica e Bioinorganica, Università degli Studi, Florence, I-50121, Italy
 SOURCE: Journal of Medicinal Chemistry (2000), 43(2), 292-300
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Arom./heterocyclic sulfonamides act as strong inhibitors of the zinc enzyme carbonic anhydrase (CA; EC 4.2.1.1), but the presently available compds. do not generally discriminate between the 14 isoenzymes isolated in higher vertebrates; clin. used drugs from this class of pharmacol. agents show many undesired side effects due to unselective inhibition of all CA isoenzymes present in a tissue/organ. Here we propose a new approach for the selective in vivo inhibition of membrane-bound vs. cytosolic CA isoenzymes with a new class of pos. charged, membrane-impermeant sulfonamides. This approach is based on the attachment of trisubstituted-pyridinium-methylcarboxy moieties (obtained from 2,4,6-trisubstituted-pyrylium salts and glycine) to the mols. of classical arom./heterocyclic sulfonamides possessing free amino, imino, hydrazino, or hydroxyl groups in their mols. Efficient in vitro inhibition (in the nanomolar range) was obsd. with some of the new derivs. such as I against three investigated CA isoenzymes: i.e., hCA I, hCA II (cytosolic forms), and bCA IV (membrane-bound isoenzyme) (h = human isoenzyme; b = bovine isoenzyme). Due to their salt-like character, the new type of inhibitors reported here, unlike the classical, clin. used compds. (such as acetazolamide, methazolamide, and ethoxzolamide), are unable to penetrate through biol. membranes, as shown by ex vivo and in vivo perfusion expts. in rats. The level of bicarbonate excreted into the urine of the exptl. animals perfused with solns. of the new and classical inhibitors undoubtedly proved that: (i) when using the new type of pos. charged sulfonamides, only the membrane-bound enzyme (CA IV) was inhibited, whereas the cytosolic isoenzymes (CA I and II) were not affected; (ii) in the expts. in which the classical compds. (acetazolamide, benzolamide, etc.) were used, unselective inhibition of all CA isoenzymes (I, II, and IV) has been evidenced.

IT 259156-53-1

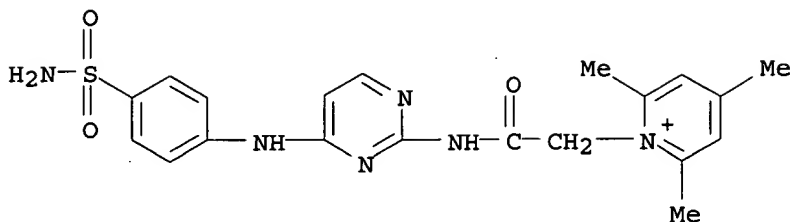
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (prepn. of pos. charged sulfonamides as selective inhibitors of membrane-bound carbonic anhydrase)

RN 259156-53-1 CAPLUS

CN Pyridinium, 1-[2-[[4-[[4-(aminosulfonyl)phenyl]amino]-2-pyrimidinyl]amino]-2-oxoethyl]-2,4,6-trimethyl-, perchlorate (9CI) (CA INDEX NAME)

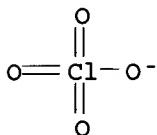
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CRN 259156-52-0
CMF C20 H23 N6 O3 S



CM 2

CRN 14797-73-0
CMF Cl O4



REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 80 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:811233 CAPLUS
DOCUMENT NUMBER: 132:64265
TITLE: Preparation of aminopyrimidines and -pyridines
as glycogen synthase kinase 3 inhibitors
INVENTOR(S): Nuss, John M.; Harrison, Stephen D.; Ring, David B.;
Boyce, Rustum S.; Brown, Sean P.; Goff, Dane; Johnson,
Kirk; Pfister, Keith B.; Ramurthy, Savithry; Renhowe,
Paul A.; Seely, Lynn; Subramanian, Sharadha; Wagman,
Allan S.; Zhou, Xiaohui A.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965897	A1	19991223	WO 1999-US13809	19990618
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9949566	A1	20000105	AU 1999-49566	19990618
EP 1087963	A1	20010404	EP 1999-933522	19990618

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

US 6489344 B1 20021203 US 1999-336098 19990618

JP 2003527303 T2 20030916 JP 2000-554722 19990618

US 2003130289 A1 20030710 US 2002-309535 20021203

PRIORITY APPLN. INFO.:

US 1998-89978P P 19980619

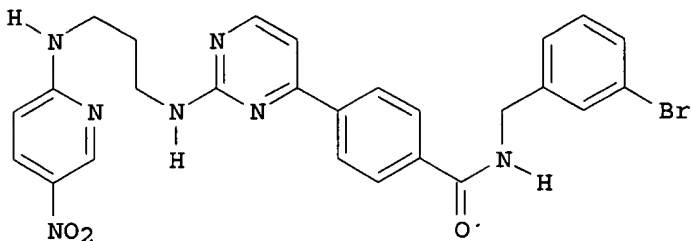
US 1999-336098 A3 19990618

WO 1999-US13809 W 19990618

OTHER SOURCE(S):

MARPAT 132:64265

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II

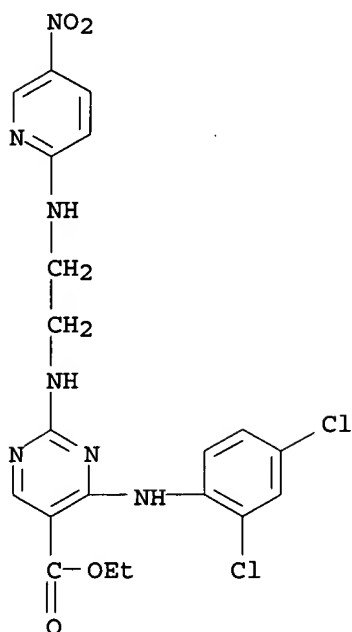
AB RZCR2R12CR3R13Z1R5 [I; R = (un)substituted (hetero)aryl; Z = O, NR1, CR1R11; Z1 = O, NR4, CR4R14; R1-R4 = H, OH, NH2, alkyl, alkoxy, etc.; R5 = (un)substituted 2-pyridyl or -pyrimidyl; R11-R14 = H or alkyl] were prepd. Thus, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine which was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to give, after resin cleavage, title compd. II. Data for biol. activity of I were given.

IT 252916-61-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252916-61-3 CAPLUS

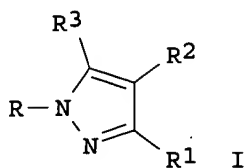
CN 5-Pyrimidinecarboxylic acid, 4-[(2,4-dichlorophenyl)amino]-2-[[2-[(5-nitro-2-pyridinyl)amino]ethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 81 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:784082 CAPLUS
 DOCUMENT NUMBER: 132:22963
 TITLE: Preparation of N-(pyrazolylphenyl)alkanamides and analogs as IL-2 production inhibitors
 INVENTOR(S): Betageri, Rajashekhar; Cywin, Charles L.; Hargrave, Karl; Hoerrmann, Mary Ann; Kirrane, Thomas M.; Parks, Thomas M.; Patel, Usha R.; Proudfoot, John R.; Sharma, Rajiv; Sun, Sanxing; Wang, Xiao-Jun
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 130 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962885	A1	19991209	WO 1999-US12295	19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
CA 2332957	AA	19991209	CA 1999-2332957	19990603
AU 9942299	A1	19991220	AU 1999-42299	19990603
JP 2002516909	T2	20020611	JP 2000-552097	19990603
US 6506747	B1	20030114	US 1999-324933	19990603
PRIORITY APPLN. INFO.:			US 1998-88154P	P 19980605
			WO 1999-US12295	W 19990603
OTHER SOURCE(S):		MARPAT 132:22963		
GI				



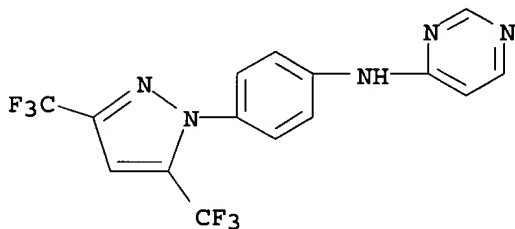
AB Title compds. [I; R = R⁴Z¹Z; R¹, R³ = halo, CF₃, alkyl, alkoxy, etc.; R² = H, halo, Me; R⁴ = (cyclo)alkyl, alkoxy, alkylamino, etc.; Z = 1,4-phenylene; Z¹ = CONH, CO₂NH, NH, etc.] were prepd. Thus, I [R = 4-(R⁵NH)C₆H₄, R¹ = R³ = CF₃, R² = H] (II; R⁵ = H) was amidated by cyclohexanecarboxylic acid to give II (R⁵ = cyclohexylcarbonyl). Data for biol. activity of I were given.

IT 251658-15-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-(4-aminophenyl)pyrazoles and their use as anti-inflammatory agents)

RN 251658-15-8 CAPLUS

CN 4-Pyrimidinamine, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 82 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:657758 CAPLUS

DOCUMENT NUMBER: 132:151761

TITLE: Synthesis of some new **pyrimidine** and fused **pyrimidine** derivatives

AUTHOR(S): El-Assiery, S. A.; Al-Haiza, M. A.

CORPORATE SOURCE: Chemistry Department, College of Education, King Saud University, Abha, Saudi Arabia

SOURCE: Journal of King Saud University, Science (1998), 10(2), 101-117

CODEN: JKSSD; ISSN: 1018-3647

PUBLISHER: King Saud University, Academic Publishing and Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 2-Mercapto- and 2-hydroxy-3,4-dihydro-4-oxo-6-(4-tolyl)**pyrimidine** -5-carbonitriles (3a, b) were synthesized by two different routes. Compd. 3a could be converted into 3b by the action of hydrogen peroxide. Alkylation of 3a with alkyl halides gave the S-alkyl derivs. 4a-c. Compd. 4a could also be prepd. by two other different methods. The reaction of 4a-c with phosphorus oxychloride yielded the 4-chloropyrimidine derivs. 6a-c. Compds. 6a-c reacted with ammonia, glycine, anthranilic acid, and hydrazine hydrate to form the tetrasubstituted **pyrimidine** derivs. 7a-d. Compd. 7a could also be produced via two other alternative routes. The reaction of 6a with phenylhydrazine gave directly a

pyrazolo[3,4-d]pyrimidine deriv. The 2,4-dihydrazinopyrimidine deriv. 7d reacted with nitrous acid to give ditetrazolo[1,5-a:1',5'-c]pyrimidine. It also reacted with carbon disulfide to form pyrazolo[3,4-d]-s-triazolo[3,4-b]pyrimidine. Compds. 7b,c could be cyclized into imidazo[1,2-c]pyrimidine and pyrimido[6,1-b]quinazoline derivs. Product 13 could be directly obtained by the reaction of 6c with glycine in acetic acid.

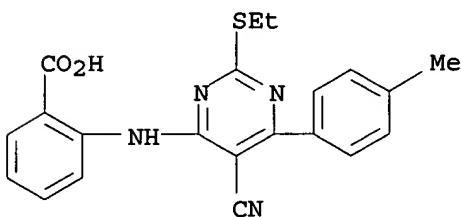
IT 257908-23-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyrimidines and fused pyrimidines)

RN 257908-23-9 CAPLUS

CN Benzoic acid, 2-[[5-cyano-2-(ethylthio)-6-(4-methylphenyl)-4-pyrimidinyl]amino] - (9CI) (CA INDEX NAME)



L7 ANSWER 83 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:640840 CAPLUS

DOCUMENT NUMBER: 131:257576

TITLE: Preparation of HIV inhibiting pyrimidine derivatives

INVENTOR(S): Andries, Koenraad Jozef Lodewijk Marcel; De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Marcel August Constant; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; et al.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

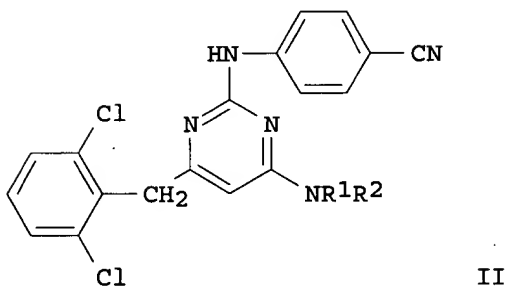
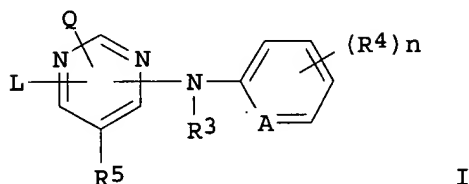
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950250	A1	19991007	WO 1999-EP2043	19990324
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 945442	A1	19990929	EP 1998-201587	19980514
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
CA 2324919	AA	19991007	CA 1999-2324919	19990324
AU 9935996	A1	19991018	AU 1999-35996	19990324

AU 751573	B2	20020822		
BR 9909191	A	20001205	BR 1999-9191	19990324
EE 200000532	A	20020215	EE 2000-532	19990324
BG 104738	A	20010430	BG 2000-104738	20000830
HR 2000000620	A1	20010630	HR 2000-620	20000919
NO 2000004810	A	20000926	NO 2000-4810	20000926
PRIORITY APPLN. INFO.:			US 1998-79632P	P 19980327
			EP 1998-201587	A 19980514
			EP 1998-203948	A 19981125
			WO 1999-EP2043	W 19990324
OTHER SOURCE(S):		MARPAT 131:257576		
GI				



AB This invention concerns the use of the N oxides, the pharmaceutically acceptable addn. salts and the stereochem. isomeric forms of title compds I [A = CH, CR₄ or N; n = 0 - 4; Q = hydrogen or NR₁R₂; R₁, R₂ = H, OH, C₁-12alkyl, C₁-12alkyloxy, C₁-12alkylcarbonyl, C₁-12alkyloxycarbonyl, aryl, amino, mono or di(C₁-12alkyl)amino, mono or di(C₁-12alkyl)aminocarbonyl wherein each C₁-12alkyl may optionally be substituted; or R₁ and R₂ taken together may form **pyrrolidinyl**, piperidinyl, morpholinyl, azido or mono or di(C₁-12alkyl)aminoC₁-4alkylidene; R₃ = hydrogen, aryl, C₁-6alkylcarbonyl, optionally substituted C₁-6alkyl, C₁-6alkyloxycarbonyl; and R₄ = OH, halo, optionally substituted C₁-6alkyl, C₁-6alkyloxy, CN, aminocarbonyl, NO₂, NH₂, trihalomethyl, trihalomethyloxy; R₅ = hydrogen or C₁-4alkyl; L is optionally substituted C₁-10alkyl, C₃-10alkenyl, C₃-10alkynyl, C₃-7cycloalkyl; or L = X₁-R₆ or X₂-Alk-R₇ wherein R₆ and R₇ are optionally substituted **phenyl**; X₁, X₂ = NR₃, NHNH, N:N, O, S, S(=O) or S(=O)₂; Alk = C₁-4alkanediyl; aryl = optionally substituted **phenyl**; Het = an optionally substituted aliph. or arom. heterocyclic radical] for the manuf. of a medicine for the treatment of subjects suffering from HIV (Human Immunodeficiency Virus) infection. It further relates to new compds. being a subgroup of the compds. of formula I, their prepn. and compds. comprising them. Formulations are given. The title compd. II in vitro showed IC₅₀ of 0.003.mu.M against HIV-1 virus.

IT 244767-53-1P

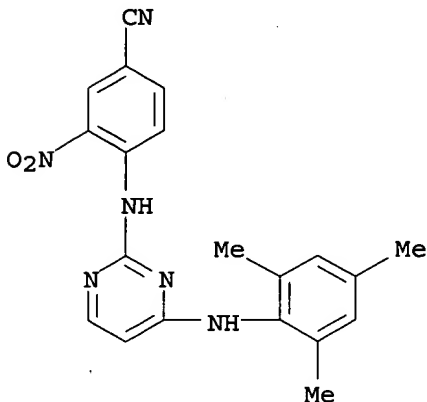
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

09/ 922,874

(prepn. of HIV inhibiting pyrimidine derivs.)

RN 244767-53-1 CAPLUS

CN Benzonitrile, 3-nitro-4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 84 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:631415 CAPLUS

DOCUMENT NUMBER: 131:257575

TITLE: Preparation of arylaminopyrimidines for treatment of human immunodeficiency virus infection.

INVENTOR(S): Andriès, Koenraad Jozef Lodewijk Marcel; De Corte, Bart; De Jonge, Marc Rene; Heeres, Jan; Ho, Chih Yung; Janssen, Marcel August Constant; Janssen, Paul Adriaan Jan; Koymans, Lucien Maria Henricus; Kukla, Michael Joseph; Ludovici, Donald William; Van Aken, Koen Jeanne Alfons

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

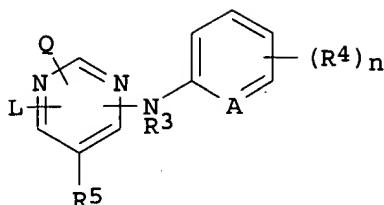
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 945443	A1	19990929	EP 1999-200918	19990324
EP 945443	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 945442	A1	19990929	EP 1998-201587	19980514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1245567	A1	20021002	EP 2002-14566	19990324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.: US 1998-79632P P 19980327
EP 1998-201587 A 19980514
EP 1998-203948 A 19981125
EP 1999-200918 A3 19990324

OTHER SOURCE(S): MARPAT 131:257575

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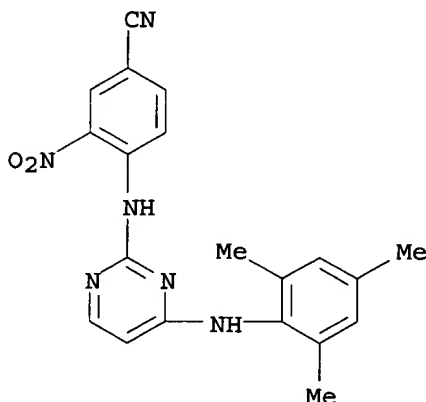
AB Use of title compds. [I; A = CH, CR₄, N; n = 0-4; Q = H, NR₁R₂; R₁, R₂ = H, OH, alkyl, alkyloxy, alkylcarbonyl, alkyloxycarbonyl, aryl, amino, etc.; R₁R₂N = pyrrolidinyl, piperidinyl, morpholinyl, N₃, diaminoalkylidene; R₃ = H, aryl, alkylcarbonyl, (substituted) alkyl, alkyloxycarbonyl; R₄ = OH, halo, (substituted) alkyl, alkyloxy, cyano, aminocarbonyl, NO₂, amino, trihalomethyl, trihalomethyloxy; R₅ = H, alkyl; L = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, etc.] for the manuf. of a medicine for the treatment of HIV (Human Immunodeficiency Virus) infection is claimed. 4-[(4-Chloro-2-pyrimidinyl)amino]benzonitrile, 2,6-dibromo-4-methylbenzeneamine, and HCl in Et₂O were heated at 170.degree. in dioxane in a sealed tube to give 15.9% 4-[[4-[(2,6-dibromo-4-methylphenyl)amino]-2-pyrimidinyl]amino]benzonitrile. The latter showed IC₅₀ = 0.0007 .mu.M for protection of MT-4 cells against HIV-1 infection.

IT 244767-53-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylaminopyrimidines for treatment of HIV infection)

RN 244767-53-1 CAPLUS

CN Benzonitrile, 3-nitro-4-[[4-[(2,4,6-trimethylphenyl)amino]-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 85 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:404941 CAPLUS

DOCUMENT NUMBER: 131:44844

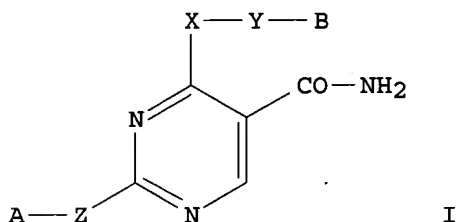
TITLE: preparation of novel pyrimidine

-5-carboxamide derivatives as tyrosinase inhibitors

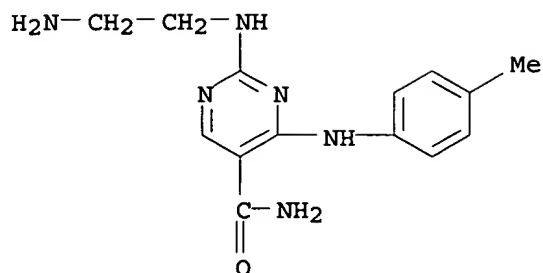
INVENTOR(S): Hisamichi, Hiroyuki; Naito, Ryo; Kawazoe, Souichirou; Toyoshima, Akira; Tanabe, Kazuhito; Nakai, Eiichi;

PATENT ASSIGNEE(S): Ichikawa, Atsushi; Orita, Akiko; Takeuchi, Makoto
 SOURCE: Yamanouchi Pharmaceutical Co., Ltd., Japan
 PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931073	A1	19990624	WO 1998-JP5643	19981214
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9915071	A1	19990705	AU 1999-15071	19981214
EP 1054004	A1	20001122	EP 1998-959197	19981214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6432963	B1	20020813	US 2000-581595	20000615
PRIORITY APPLN. INFO.:			JP 1997-344588	A 19971215
			WO 1998-JP5643	W 19981214
OTHER SOURCE(S):			MARPAT 131:44844	
GI				

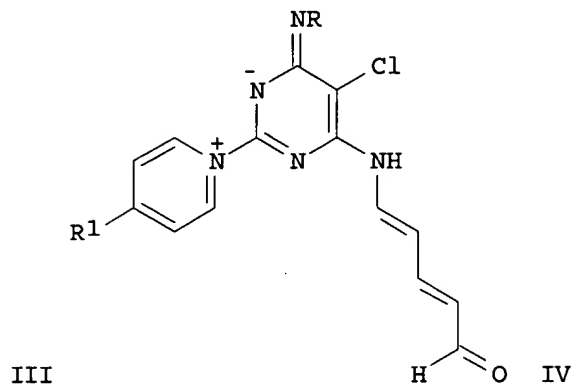
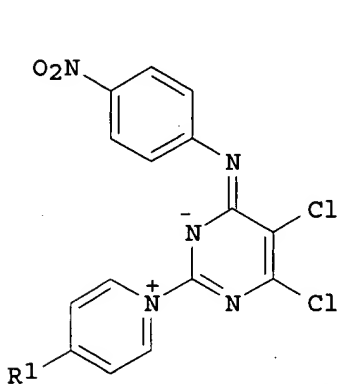
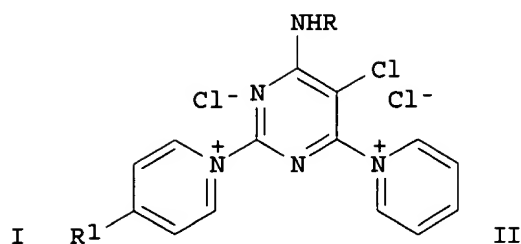
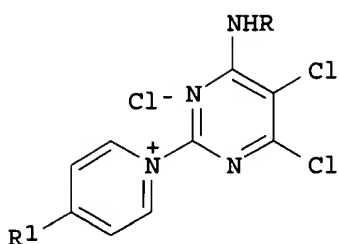


- AB **Pyrimidine-5-carboxamide derivs. or salts** [I; X = O, S, NR1, CO, NR1CO, CONR1, C=NOR1, a bond; Y = lower alkylene optionally substituted by OR1 or NHR1, a bond; Z = O, NR2, a bond; A = H, optionally substituted lower alkyl, lower alkyl optionally having CO, optionally substituted aryl or heteroaryl, optionally substituted cycloalkyl, optionally substituted and satd. N heterocycle; B = optionally substituted aryl or heteroaryl; R1, R2 = H or lower alkyl optionally contg. CO], effective tyrosinase inhibitors useful as 5-HT antagonists, antiallergics, were prepd. I showed IC50 < 0.1 .mu.M in scintillation proximity assay. I were effective at 0.1-10 mg/kg-day p.o.
- IT **227449-68-5P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of novel **pyrimidine-5-carboxamide derivs.** as tyrosinase inhibitors)
- RN 227449-68-5 CAPLUS
- CN 5-Pyrimidinecarboxamide, 2-[(2-aminoethyl)amino]-4-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 86 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:303371 CAPLUS
 DOCUMENT NUMBER: 131:144569
 TITLE: New vinylogous mesomeric betaines: synthesis and tautomerism of **pyridiniopyrimidine** appended 5-iminopenta-1,3-dienolates
 AUTHOR(S): Schmidt, Andreas; Nieger, Martin
 CORPORATE SOURCE: Institut für Chemie und Biochemie, Ernst-Moritz-Arndt-Universität Greifswald, Greifswald, D-17487, Germany
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (10), 1325-1332
 CODEN: JCPRB4; ISSN: 0300-922X
 PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The **pyrimidine-pyridinium** salts I (R = H, Ph, 4-O₂NC₆H₄; R₁ = H, 4-**pyridinyl**) and II (R = H, Ph, 4-O₂NC₆H₄; R₁ = H, 4-**pyridinyl**) were regioselectively prep'd. by nucleophilic substitution on the corresponding 4-amino-2,5,6-trichloropyrimidines. The mol. structure of I (R = H; R₁ = 4-**pyridinyl**) was established by x-ray crystallog. The cross-conjugated mesomeric betaines III (R₂ = H, 4-**pyridinyl**) were formed smoothly on treatment of I (R = 4-O₂NC₆H₄; R₁ = H, 4-**pyridinyl**) in aq. EtOH with the anion exchange resin Amberlite IRA-400 in its hydroxy form. Under similar conditions, pericyclic ring-cleavage of the bispyridinium salts II yielded the title pentadienolates IV (R = H; Ph, 4-O₂NC₆H₄; R₁ = H, 4-**pyridinyl**) as a mixed population of tautomers in rapid equil.

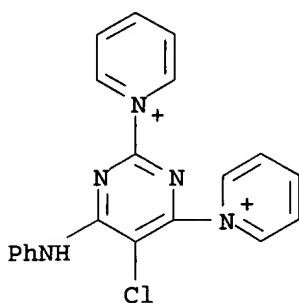
IT 236126-15-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and mol. structure of cross-conjugated mesomeric betaine **pyridiniopyrimidinyliminopentadienolates** and **pyridiniopyrimidinylaminides**)

RN 236126-15-1 CAPLUS

CN Pyridinium, 1,1'-[5-chloro-6-(phenylamino)-2,4-pyrimidinediyl]bis-, dichloride (9CI) (CA INDEX NAME)

●2 Cl⁻

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 87 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:271340 CAPLUS

DOCUMENT NUMBER: 130:296691

TITLE: Preparation of substituted **pyrimidines** for the treatment of neurodegenerative or neurological disorders of the central nervous system

INVENTOR(S): Kelley, James L.; Krenitsky, Thomas A.; Beauchamp, Lilia M.

PATENT ASSIGNEE(S): Krenitsky Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

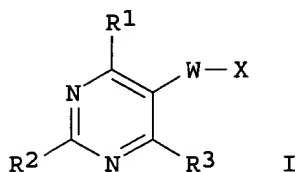
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9919305	A2	19990422	WO 1998-US21517	19981013
WO 9919305	A3	19990624		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DE, DK, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2305255	AA	19990422	CA 1998-2305255	19981013
AU 9896939	A1	19990503	AU 1998-96939	19981013
EP 1025091	A1	20000809	EP 1998-951046	19981013
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001519416	T2	20011023	JP 2000-515878	19981013
US 6440965	B1	20020827	US 2000-529559	20000414
PRIORITY APPLN. INFO.: US 1997-62339P P 19971015				
WO 1998-US21517 W 19981013				

OTHER SOURCE(S): MARPAT 130:296691
GI



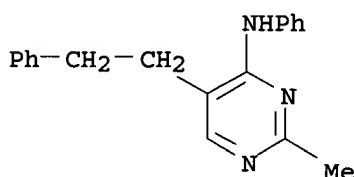
AB The title compds. [I; W = O, CH₂, CH₂CH₂, OCH₂, CH₂CH₂CH₂, a bond; R¹ = hydroxyalkyloxyalkylamino, dialkylamino, morpholino, etc.; R² = H, halo, N₃, etc.; R³ = H, CF₃, alkyl, etc.; X = (un)substituted C₆-10 aryl or heteroaryl] and their salts, useful in therapy, particularly in the treatment of neurodegenerative or other neurol. disorders of the central such as Alzheimer's disease, peripheral neuropathy and senile dementia (no data), were prepd. and formulated. E.g., treatment of oxalyl chloride with diisopropylformamide in CH₂Cl₂ followed by addn. of 5-(4-chlorophenoxy)isocytosine, and reaction of the intermediate chloropyrimidine with piperazine afforded 76% I [W = O; X = 4-ClC₆H₄; R¹ = piperazino; R² = NH₂; R³ = H]. Compds. I are effective at 30-800 mg/kg/day when administered by injection.

IT 223434-59-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted **pyrimidines** for the treatment of neurodegenerative or neurol. disorders of the central nervous system)

RN 223434-59-1 CAPLUS

CN 4-Pyrimidinamine, 2-methyl-N-phenyl-5-(2-phenylethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 88 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:48709 CAPLUS

DOCUMENT NUMBER: 130:125084

TITLE: Aryl- and arylamino-substituted heterocycles as corticotropin releasing hormone (CRF) antagonists

INVENTOR(S): Cocuzza, Anthony J.; Hobbs, Frank W.; Beck, James P.; Gilligan, Paul J.

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901439	A1	19990114	WO 1998-US13840	19980702
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

09/ 922,874

AU 9881810

A1 19990125

AU 1998-81810

19980702

EP 994860

A1 20000426

EP 1998-931783

19980702

R: CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV,
FI, RO

US 6103737

A 20000815

US 1998-109395

19980702

JP 2002510322

T2 20020402

JP 1999-507408

19980702

PRIORITY APPLN. INFO.:

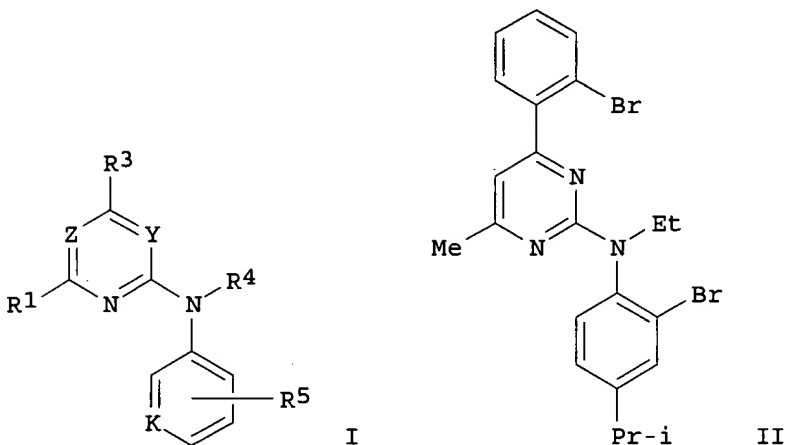
US 1997-51745P P 19970703

WO 1998-US13840 W 19980702

OTHER SOURCE(S):

MARPAT 130:125084

GI



AB Corticotropin releasing factor (CRF) antagonists I and their stereoisomers and pharmaceutically acceptable salts are disclosed [wherein Y = CR₂ or N; Z = CH or N; K = CR₅ or N; R₁ = alk(en/yn)yl, Cl, F, cyano, CF₃; R₂R₄ = E-F where E and F = CR₉ and/or CR_{9'}; or R₂R₄ = A:D where A and D = CH, CR₁₀, or N, provided that A:D is oriented to form imidazole but not pyrazole; or R₂R₄ = A-D where A = NR₉ and D = CO, oriented to form an imidazolone; R₃ = Ph, naphthyl, pyridinyl, or pyrimidinyl, all substituted by R₈; R₄ = (un)substituted alkyl, allyl, or propargyl; R₅ = 1-4 of alk(en/yn)yl, cycloalkyl, halo, NO₂, cyano, NR₆R₇, OR₇, COR₇, C(:NOR₉)R₇, SONR₇, etc.; or 2 R₅ moieties may form CR₉R_{9'}CR₉R_{9'}O, CR₉:CR_{9'}O, etc.; R₆, R₇ = H or (un)substituted alkyl, cycloalkyl, (CH₂)_mPh or (CH₂)_m-heteroaryl; R₈ = alk(en/yn)yl, cycloalkyl, Ph, heteroaryl, halo, NO₂, cyano, NR₆R₇, OR₇, etc., with provisos; R₉, R_{9'} = H, alkyl; n = 0-2; m = 0-6]. Also disclosed is their use in treating psychiatric disorders and neurol. diseases, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy and feeding disorders, as well as treatment of immunol., cardiovascular or heart-related diseases, and colonic hypersensitivity assocd. with psychopathol. disturbance and stress in mammals. For example, condensation of 2-BrC₆H₄COCH₃ with MeC(OMe)2NMe₂ gave 2-BrC₆H₄COCH:MeNMe₂, which underwent cyclocondensation with (2-bromo-4-isopropylphenyl)guanidine-HCl, followed by N-alkylation of the resultant aminopyrimidine with EtI and NaH in DMSO, to give title compd. II. Some I were active (no data) in an assay for inhibition of CRF-stimulated adenylate cyclase activity.

IT 199728-09-1P

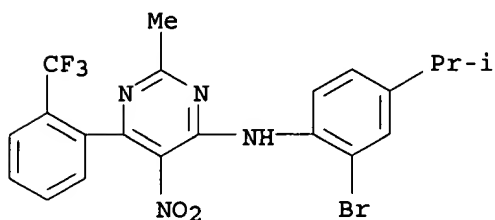
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of aryl- and arylamino-substituted heterocycles as corticotropin releasing hormone antagonists)

RN 199728-09-1 CAPLUS

09/ 922,874

CN 4-Pyrimidinamine, N-[2-bromo-4-(1-methylethyl)phenyl]-2-methyl-5-nitro-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 89 OF 326 CAPLUS .COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:604709 CAPLUS

DOCUMENT NUMBER: 129:245162

TITLE: Preparation of diacylphenylaminopyrimidines as inhibitors of nuclear localization of the HIV preintegration complex.

INVENTOR(S): Pan, Senliang; Bukrinsky, Michael; Haffar, Omar K.

PATENT ASSIGNEE(S): The Picower Institute for Medical Research, USA

SOURCE: U.S., 10 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

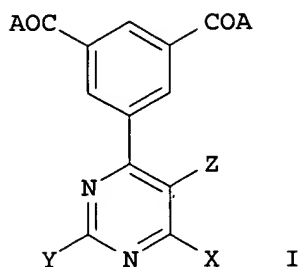
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5808068	A	19980915	US 1997-912076	19970815
CA 2300424	AA	19990225	CA 1998-2300424	19980813
WO 9909014	A1	19990225	WO 1998-US16814	19980813
W: AU, CA, IL, JP, NZ				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9889054	A1	19990308	AU 1998-89054	19980813
AU 758464	B2	20030320		
EP 1012146	A1	20000628	EP 1998-940874	19980813
EP 1012146	B1	20030730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001515069	T2	20010918	JP 2000-509697	19980813
AT 245983	E	20030815	AT 1998-940874	19980813
PRIORITY APPLN. INFO.: US 1997-912076 A 19970815				
WO 1998-US16814 W 19980813				

OTHER SOURCE(S): MARPAT 129:245162

GI



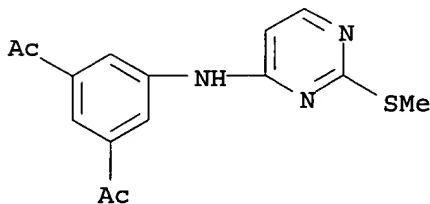
AB Title compds. [I; A = alkyl, alkenyl, alkoxy; Y = SA; X, Z = H, (CH₂)_nNH₂, alkyl, alkenyl, alkoxy; n = 0-6], were prepd. Thus, 4-amino-6-chloro-2-methylthiopyrimidine and 3,5-diacetylaniline were added to a soln. prepd. from AcCl in EtOH and the mixt. was refluxed 24 h to give 39.8% 2-methylthio-4-amino-6-(3,5-diacetylphenylamino)pyrimidine. The latter showed anti-HIV activity in H9 cell cultures.

IT 213119-78-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diacylphenylaminopyrimidines as inhibitors of nuclear localization of the HIV preintegration complex)

RN 213119-78-9 CAPLUS

CN Ethanone, 1,1'-[5-[[2-(methylthio)-4-pyrimidinyl]amino]-1,3-phenylene]bis-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 90 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:543072 CAPLUS

DOCUMENT NUMBER: 129:161569

TITLE: Preparation of pyrido[2,3-d]pyrimidines and 4-aminopyrimidines as inhibitors of cellular proliferation

INVENTOR(S): Boschelli, Diane Harris; Dobrusin, Ellen Myra; Doherty, Annette Marian; Fattacy, Ali; Fry, David W.; Barvian, Mark R.; Kallmeyer, Susanne Trumpp; Wu, Zhipei

PATENT ASSIGNEE(S): Warner Lambert Company, USA

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9833798	A2	19980806	WO 1998-US1343	19980126

WO 9833798 A3 19981105

W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9866480 A1 19980825 AU 1998-66480 19980126

AU 749750 B2 20020704

EP 964864 A2 19991222 EP 1998-908442 19980126

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

BR 9807305 A 20000502 BR 1998-7305 19980126

NZ 335666 A 20001027 NZ 1998-335666 19980126

JP 2001509805 T2 20010724 JP 1998-532971 19980126

ZA 9800914 A 19981109 ZA 1998-914 19980204

US 6498163 B1 20021224 US 1999-355681 19990802

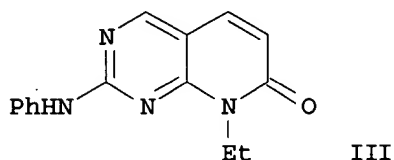
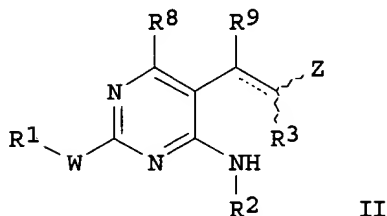
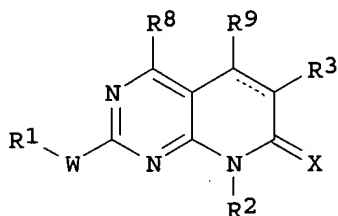
PRIORITY APPLN. INFO.: US 1997-37220P P 19970205

US 1997-69743P P 19971216

WO 1998-US1343 W 19980126

OTHER SOURCE(S): MARPAT 129:161569

GI



AB The title compds. [I and II; W = NH, S, SO, SO₂; X = O, NH; R₁, R₂ = H, C₁-10 alkyl, C₃-10 cycloalkyl, etc.; R₃ = H, alkyl; R₈, R₉ = H, C₁-3 alkyl, OH, etc.; Z = CO₂H] which inhibit a cyclin-dependent kinase (cdc2, cdk2, cdk4, cdk6) and a growth factor-mediated tyrosine kinase (FGF and PDGF) and therefore are useful for treating cell proliferatives disorders, such as cancer and restenosis, were prepd. and formulated. Thus, treatment of Et 3-(4-ethylamino-2-phenylaminopyrimidin-5-yl)acrylate with 1,8-diazabicyclo[5.4.0]undec-7-ene in Et₃N afforded the title compd. III which showed IC₅₀ of 0.41 and 0.752 .mu.M against cdk2/E and cdk4/D, resp.

IT 211245-57-7P

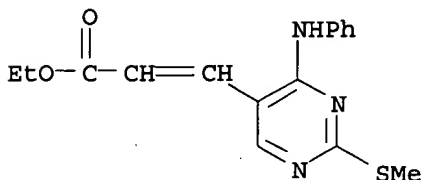
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of **pyrido[2,3-d]pyrimidines** and 4-aminopyrimidines as inhibitors of cellular proliferation)

09/ 922,874

RN 211245-57-7 CAPLUS

CN 2-Propenoic acid, 3-[2-(methylthio)-4-(phenylamino)-5-pyrimidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 91 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:426689 CAPLUS

DOCUMENT NUMBER: 129:175588

TITLE: Vicinal bromostannanes as novel building blocks for the preparation of di- and trisubstituted imidazoles
AUTHOR(S): Revesz, Laszlo; Bonne, Frederique; Makavou, Paschalia
CORPORATE SOURCE: Preclinical Research, Novartis Pharma Ltd., Basel, CH-4002, Switz.

SOURCE: Tetrahedron Letters (1998), 39(29), 5171-5174

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:175588

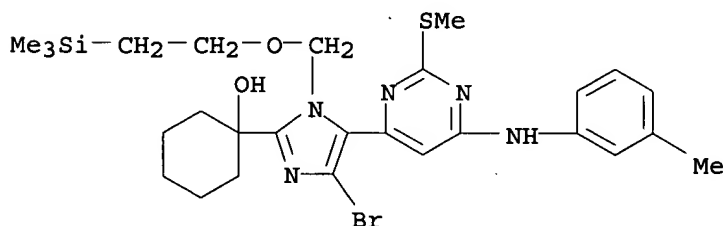
AB Three novel imidazole-based vicinal bromostannanes 5a-c have been developed for the regioselective prepn. of 2,4,5-tri- and 4,5-disubstituted imidazoles. The novel building blocks are particularly attractive for Stille and Suzuki couplings that involve valuable aryl or heteroaryl halides, where conversion to the equiv. stannanes or boranes/boronic acids would represent an inviable option.

IT 211615-78-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 211615-78-0 CAPLUS

CN Cyclohexanol, 1-[4-bromo-5-[6-[(3-methylphenyl)amino]-2-(methylthio)-4-pyrimidinyl]-1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-imidazol-2-yl]- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

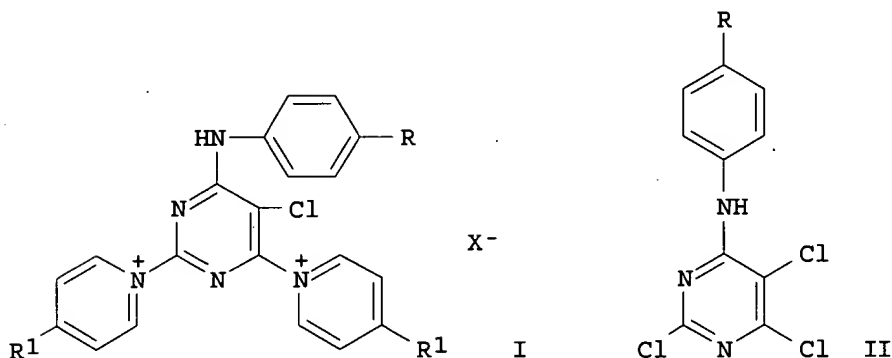
L7 ANSWER 92 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:361724 CAPLUS

DOCUMENT NUMBER: 129:109055

TITLE: Heteroaromatic tripoles. Syntheses of aminopyrimidine-bispyridinium salts and bispyridiniopyrimidinaminides

AUTHOR(S): Schmidt, Andreas
 CORPORATE SOURCE: Inst. Organische Chemie, Ernst-Moritz-Arndt-Univ.
 Greifswald, Greifswald, D-17487, Germany
 SOURCE: Heterocycles (1998), 48(5), 865-868
 CODEN: HTCYAM; ISSN: 0385-5414
 PUBLISHER: Japan Institute of Heterocyclic Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Title compds. I [R = H, NO₂; R₁ = NMe₂, pyrrolidino; X = Cl, BPh₄] were obtained by treating the trichloropyrimidines II with the 4-substituted pyridines. I [X = Cl] were obtained directly and could be deprotonated to the betainium salts, whereas I [X = BPh₄] were obtained via protonation of the betainium salts.

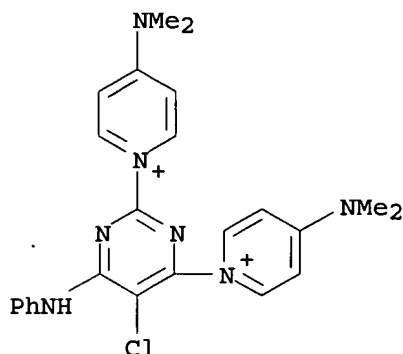
IT 210041-12-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of aminopyrimidinebispyridinium salts and bispyridiniopyrimidinaminides)

RN 210041-12-6 CAPLUS

CN Pyridinium, 1,1'-[5-chloro-6-(phenylamino)-2,4-pyrimidinediyl]bis[4-(dimethylamino)-, dichloride (9CI) (CA INDEX NAME)



2 Cl⁻

REFERENCE COUNT:

25

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 93 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:197493 CAPLUS

DOCUMENT NUMBER: 128:217383

TITLE: Preparation of **pyrimidine** compounds as pesticides

INVENTOR(S): Hamamoto, Isami; Ishimitsu, Keiichi; Ihori, Yoichi; Takahashi, Hidemitsu; Nakamura, Takehiko; Iwasa, Takao

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan; Hamamoto, Isami; Ishimitsu, Keiichi; Ihori, Yoichi; Takahashi, Hidemitsu; Nakamura, Takehiko; Iwasa, Takao

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

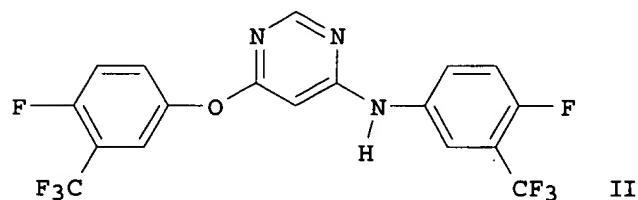
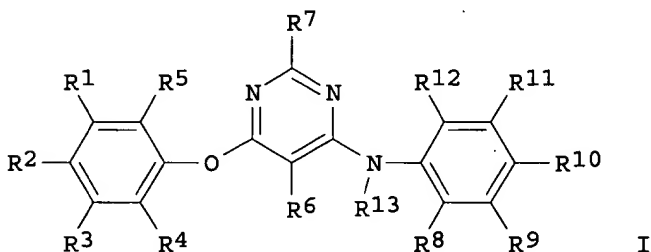
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9812184	A1	19980326	WO 1997-JP3292	19970918
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9742217	A1	19980414	AU 1997-42217	19970918
PRIORITY APPLN. INFO.:			JP 1996-269309	19960919
			JP 1996-356867	19961226
			WO 1997-JP3292	19970918
OTHER SOURCE(S):		MARPAT 128:217383		
GI				



AB The title compds. (I; R1-R5, R8-R12 = H, halo, C1-6 alkyl, haloalkyl, alkoxy, alkylthio, or haloalkoxy, etc.; R6, R7 = H, halo, C1-6 alkyl or haloalkyl; R13 = H, optionally substituted C1-6 alkyl, C2-6 alkenyl, or alkynyl, optionally substituted carbamoyl, etc.) are prepd. I are useful

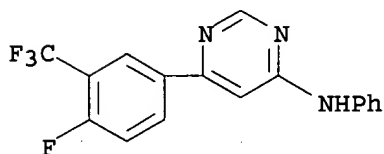
as pesticides. Thus, 4-chloro-6-(4-fluoro-3-trifluoromethylphenoxy) **pyrimidine** (prepn. given) was reacted with 4-fluoro-3-trifluoromethylaniline in the presence of Et₃N to give 67% the title compd. (II). II at 125 ppm showed 100% insecticidal effect for *Pseudaletia separata* after 6 days.

IT 204121-08-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of **pyrimidine** compds. as pesticides)

RN 204121-08-4 CAPLUS

CN 4-Pyrimidinamine, 6-[4-fluoro-3-(trifluoromethyl)phenyl]-N-phenyl- (9CI)
(CA INDEX NAME)



L7 ANSWER 94 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:42399 CAPLUS

DOCUMENT NUMBER: 128:102083

TITLE: Preparation of N-[4-(heteroarylmethyl)**phenyl**]heteroarylamines as inhibitors of retinoic acid metabolism

INVENTOR(S): Venet, Marc Gaston; Mabire, Dominique Jean-pierre;

Lacrampe, Jean Fernand Armand; Sanz, Gerard Charles
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Venet, Marc Gaston;
Mabire, Dominique Jean-Pierre; Lacrampe, Jean Fernand
Armand; Sanz, Gerard Charles

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9749704	A1	19971231	WO 1997-EP3248	19970619
W:	AL, AM, AU, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2258165	AA	19971231	CA 1997-2258165	19970619
AU 9734356	A1	19980114	AU 1997-34356	19970619
AU 711575	B2	19991014		
EP 907650	A1	19990414	EP 1997-930378	19970619
EP 907650	B1	20021204		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
CN 1223654	A	19990721	CN 1997-195865	19970619
CN 1102593	B	20030305		
BR 9710002	A	19990810	BR 1997-10002	19970619
JP 2000503670	T2	20000328	JP 1998-502321	19970619

JP 3404749	B2	20030512		
NZ 333382	A	20000526	NZ 1997-333382	19970619
IL 127740	A1	20010913	IL 1997-127740	19970619
EE 3688	B1	20020415	EE 1998-437	19970619
RU 2190611	C2	20021010	RU 1999-101902	19970619
SK 282769	B6	20021203	SK 1998-1781	19970619
AT 229019	E	20021215	AT 1997-930378	19970619
ES 2188957	T3	20030701	ES 1997-930378	19970619
TW 490464	B	20020611	TW 1997-86108726	19970623
ZA 9705698	A	19990120	ZA 1997-5698	19970626
KR 2000016196	A	20000325	KR 1998-709764	19981130
BG 63545	B1	20020430	BG 1998-103013	19981214
NO 9806017	A	19990219	NO 1998-6017	19981221
US 6124330	A	20000926	US 1999-214080	19990429
US 6486187	B1	20021126	US 2000-624966	20000725
US 2003176419	A1	20030918	US 2002-238686	20020910

PRIORITY APPLN. INFO.:

EP 1996-201781	A	19960627
WO 1997-EP3248	W	19970619
US 1999-214080	A1	19990429
US 2000-624966	A3	20000725

OTHER SOURCE(S): MARPAT 128:102083

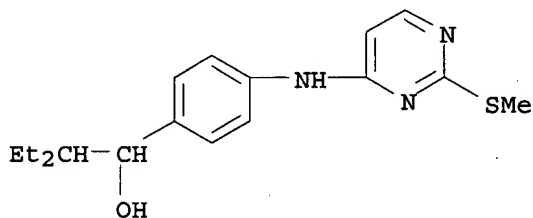
AB 4-RNR3C6H4CR1R2 (Het) [I, R = mono- or bicyclic heterocyclyl; R1 = H, hydroxy, C1-6alkyl or aryl; R2 = H, optionally substituted C1-12alkyl, C3-7cycloalkyl, C2-8alkenyl, optionally substituted **pyrrolidinyl** or aryl; R3 = H, optionally substituted C1-6alkyl or aryl; Het is an optionally substituted unsatd. heterocycle selected from imidazolyl, triazolyl, tetrazolyl, and **pyridinyl**] and their N-oxides or salts were prepd. E.g., reaction of 4-(2-benzothiazolylamino)-.alpha.-(1-ethylpropyl)benzenemethanol methanesulfonate with 1H-1,2,4-triazole gave N-[4-[2-ethyl-1-(1H-1,2,4-triazol-1-yl)butyl]**phenyl**]-2-benzothiazolamine. The inhibitory activity of I on the metab. of retinoic acid in human breast cancer cells was investigated. I were also effective in suppressing induced vaginal keratinization effects in ovariectomized rates.

IT 201410-58-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of N-[(heteroaryl)methyl]**phenyl**]heteroarylamines as inhibitors of retinoic acid metab.)

RN 201410-58-4 CAPLUS

CN Benzenemethanol, .alpha.-(1-ethylpropyl)-4-[[2-(methylthio)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 95 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:772646 CAPLUS

DOCUMENT NUMBER: 128:34777

TITLE: Preparation of tetrahydropteridines and **pyridylpiperazines** for treatment of neurological disorders

INVENTOR(S): Wilde, Richard Gerald

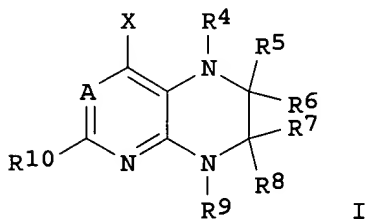
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9744038	A1	19971127	WO 1997-US8448	19970519
W: AU, CA, IL, JP, MX, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9703884	A	19981106	ZA 1997-3884	19970506
US 6083948	A	20000704	US 1997-857349	19970516
AU 9731316	A1	19971209	AU 1997-31316	19970519
AU 739269	B2	20011011		
EP 901374	A1	19990317	EP 1997-926590	19970519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
NZ 332704	A	20000526	NZ 1997-332704	19970519
JP 2000511183	T2	20000829	JP 1997-542618	19970519
MX 9809730	A	20000531	MX 1998-9730	19981119
US 6399609	B1	20020604	US 2000-570775	20000511
US 2003064993	A1	20030403	US 2002-59910	20020129
PRIORITY APPLN. INFO.:			US 1996-18198P	P 19960523
			US 1997-857349	A3 19970516
			WO 1997-US8448	W 19970519
			US 2000-570775	A3 20000511

OTHER SOURCE(S): MARPAT 128:34777
 GI



AB The title compds. [I; A = N, CR11 (wherein R11 = H, C1-4 alkyl, halo); X = H, (un)substituted Ph, heteroaryl, etc.; R4 = H, C1-12 alkyl, allyl, etc.; R5-R8 = H, C1-4 alkyl, allyl, etc.; R4R5R6 = along with two interconnecting atoms may form (un)substituted imidazole or tetrazole ring; R5R6 = O, S, NR12 (wherein R12 = H, C1-4 alkyl, Ph); R9 = (un)substituted Ph, **pyridyl**, **pyrimidinyl**; R10 = H, C1-4 alkyl, CN], corticotropin releasing factor (CRF) antagonists useful in treating anxiety, depression, and other psychiatric and neurol. disorders, were prepd. and formulated. Thus, reaction of 4,6-dichloro-2-methyl-5-nitropyrimidine with EtBuNH followed by reacting the resulting 4-chloro-6-(ethylbutylamino)-2-methyl-5-nitropyrimidine with 2-bromo-4-isopropylaniline, redn. of 6-(2-bromo-4-isopropylphenylamino)-4-(ethylbutylamino)-2-methyl-5-nitropyrimidine with sodium dithionite, treatment of 5-amino-6-(2-bromo-4-isopropylphenylamino)-4-(ethylbutylamino)-2-methylpyrimidine with NaH in DMF, and addn. of BrCH2CO2Et afforded I [A = N; X = BuEtN; R4 = R7 = R8 = H; R5R6 = O; R9 = 2-Br-4-iPrC6H3; R10 = Me]. Compds. I are effective at 0.002-200 mg/kg/day.

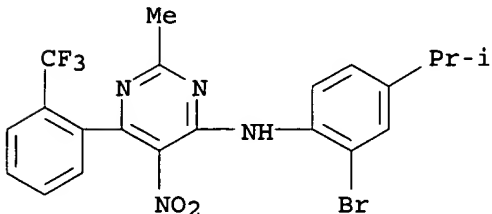
IT **199728-09-1P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of tetrahydropteridines and **pyridylpiperazines** for

09/ 922,874

treatment of neurol. disorders)

RN 199728-09-1 CAPLUS

CN 4-Pyrimidinamine, N-[2-bromo-4-(1-methylethyl)phenyl]-2-methyl-5-nitro-6-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 96 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:457074 CAPLUS

DOCUMENT NUMBER: 127:81461

TITLE: Preparation of substituted 2-anilinopyrimidines as protein kinase inhibitors

INVENTOR(S): Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive

PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK; Davis, Peter David; Moffat, David Festus Charles; Davis, Jeremy Martin; Hutchings, Martin Clive

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

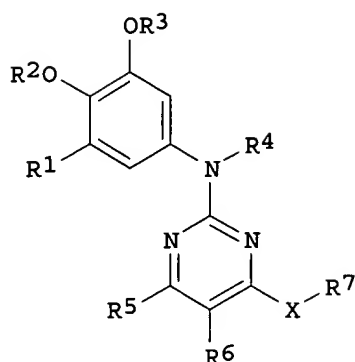
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719065	A1	19970529	WO 1996-GB2854	19961120
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 5958935	A	19990928	US 1996-753041	19961119
AU 9676314	A1	19970611	AU 1996-76314	19961120
EP 862560	A1	19980909	EP 1996-939171	19961120
EP 862560	B1	20030402		
R:	CH, DE, ES, FR, GB, IT, LI			
US 6235746	B1	20010522	US 1999-249760	19990216
PRIORITY APPLN. INFO.:			GB 1995-23675	A 19951120
			US 1996-753041	A3 19961119
			WO 1996-GB2854	W 19961120

OTHER SOURCE(S): MARPAT 127:81461

GI



I

AB The title compds. [I; R1 = H, halo, (un)substituted alkyl, etc.; R2, R3 = (un)substituted alkyl, alkenyl, alkynyl; R4 = H, alkyl; R5 = H, (un)substituted alkyl, alkenyl, alkynyl; R6 = H, halo, (un)substituted NH2, etc.; X = a direct bond, a linker atom, group; R7 = (un)substituted aliph., cycloaliph., heteroaliph., heterocycloaliph., arom. or heteroarom. group], selective protein kinase inhibitors, particularly the kinases p56lck, p59fyn, ZAP-70 and protein kinase C, and useful in the prophylaxis and treatment of immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to have a role, were prepd. Thus, treatment of 4-[3-(3-phthalimidopropoxy)phenyl]-N-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine with N2H4.H2O in EtOH afforded I.2HCl [R1 = MeO; R2, R3 = Me; R4-R6 = H; R7 = H2N(CH2)3; X = O] which showed IC50 of 22 nM in the protein kinase assay.

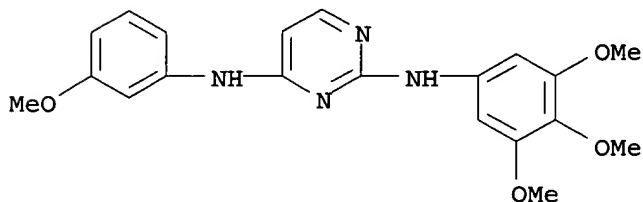
IT **191728-32-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of substituted 2-anilinopyrimidines as protein kinase inhibitors)

RN 191728-32-2 CAPLUS

CN 2,4-Pyrimidinediamine, N4-(3-methoxyphenyl)-N2-(3,4,5-trimethoxyphenyl)-(9CI) (CA INDEX NAME)



L7 ANSWER 97 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:340691 CAPLUS

DOCUMENT NUMBER: 127:23774

TITLE: 4-Amino-5-pyrimidinecarboxylic acid derivatives as antimicrobial agents and compositions containing 4-amino-5-pyrimidinecarboxylic acid derivatives

INVENTOR(S): Shimamura, Masahiro; Kamisaki, Toshiaki; Nishikawa, Atsushi; Terajima, Koji; Ishizuka, Yasuhiro

PATENT ASSIGNEE(S): Morishita Pharmaceutical Co., Ltd., Japan

09/ 922,874

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09077766	A2	19970325	JP 1995-264869	19950918
PRIORITY APPLN. INFO.:			JP 1995-264869	19950918

OTHER SOURCE(S): MARPAT 127:23774

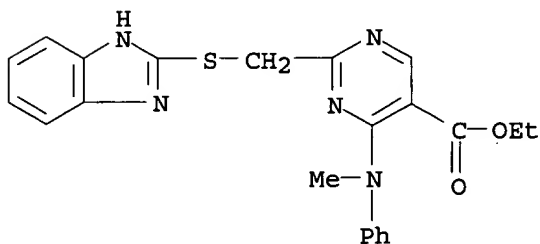
AB 4-Amino-5-pyrimidinecarboxylic acid derivs. or their pharmaceutically acceptable salts as antimicrobial agents (esp. active against *Helicobacter pylori*) and compns. contg. 4-amino-5-pyrimidinecarboxylic acid derivs. or their pharmaceutically acceptable salts for treating *H. pylori*-related digestive ulcer are claimed. Tablets were formulated contg. a 4-amino-5-pyrimidinecarboxylic acid deriv. 50, lactose 60, corn starch 40, microcryst. cellulose 30, hydroxypropylcellulose 8, magnesium stearate 1, CM-cellulose Ca 10, and talc 1 mg.

IT 150064-68-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antimicrobial compns. contg. 4-amino-5-pyrimidinecarboxylic acid derivs. for *Helicobacter pylori*-related digestive ulcer)

RN 150064-68-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[(1H-benzimidazol-2-ylthio)methyl]-4-(methylphenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 98 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:743723 CAPLUS

DOCUMENT NUMBER: 126:18874

TITLE: Preparation of benzimidazoles as modulators of the GABAA receptor complex

INVENTOR(S): Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro

PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.; Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro

SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

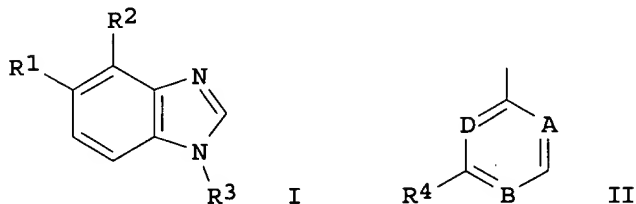
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9633194 A1 19961024 WO 1996-EP1606 19960417
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
CA 2218493 AA 19961024 CA 1996-2218493 19960417
AU 9656891 A1 19961107 AU 1996-56891 19960417
AU 695957 B2 19980827
EP 821684 A1 19980204 EP 1996-914932 19960417
EP 821684 B1 20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI
CN 1182427 A 19980520 CN 1996-193419 19960417
CN 1072669 B 20011010
JP 11501320 T2 19990202 JP 1996-531464 19960417
JP 3342874 B2 20021111
RU 2135493 C1 19990827 RU 1997-119173 19960417
BR 9608048 A 19991130 BR 1996-8048 19960417
CZ 287545 B6 20001213 CZ 1997-3292 19960417
AT 210132 E 20011215 AT 1996-914932 19960417
EP 1164134 A1 20011219 EP 2001-112476 19960417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
SK 282425 B6 20020107 SK 1997-1399 19960417
PL 183853 B1 20020731 PL 1996-322892 19960417
CA 2217601 AA 19961024 CA 1996-2217601 19960419
CA 2217601 C 20020416
CN 1182426 A 19980520 CN 1996-193420 19960419
NO 9704844 A 19971216 NO 1997-4844 19971020
US 5922724 A 19990713 US 1998-945023 19980205
PRIORITY APPLN. INFO.: DK 1995-460 A 19950421
EP 1996-914932 A3 19960417
WO 1996-EP1606 W 19960417
OTHER SOURCE(S): MARPAT 126:18874
GI

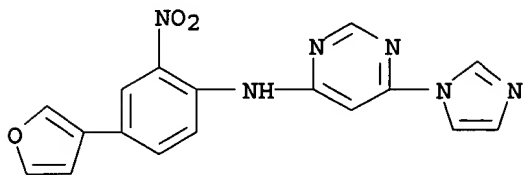


AB The title compds. [I; R¹, R² = H, (un)substituted **furanyl**, isoxazolyl; R³ = II (wherein A, B, D = each CH, or one or two of A, B and D = N and the others are CH; R⁴ = (un)substituted Ph, benzimidazolyl, or monocyclic heteroaryl)], useful for the treatment of various CNS disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders, were prepd. Thus, cyclization of N-[3-(1-imidazolyl)**phenyl**]-2-amino-4-(3-**furanyl**)aniline with HCOOH afforded 84% I [R¹ = 3-**furanyl**; R² = H; A, B, D = CH; R⁴ = 1-imidazolyl] which showed IC₅₀ of 0.4 nM against the specific binding of 3H-FNM.

IT **184097-97-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzimidazoles as modulators of the GABAA receptor complex)

09/ 922,874

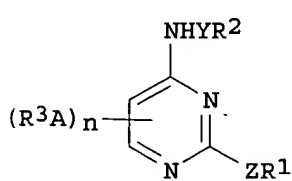
RN 184097-97-0 CAPLUS
CN 4-Pyrimidinamine, N-[4-(3-furanyl)-2-nitrophenyl]-6-(1H-imidazol-1-yl)-
(9CI) (CA INDEX NAME)



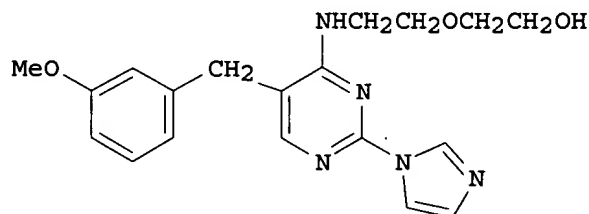
L7 ANSWER 99 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:411057 CAPLUS
DOCUMENT NUMBER: 125:114708
TITLE: 4-Aminopyrimidine derivatives
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.;
Kondo, Kigen; Yu, Dingwei T.; Miskowski, Tamara A.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 111,906,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5525604	A	19960611	US 1994-295377	19940824
AT 163647	E	19980315	AT 1994-305973	19940812
ES 2114662	T3	19980601	ES 1994-305973	19940812
JP 07089958	A2	19950404	JP 1994-222654	19940824
CA 2130878	AA	19950227	CA 1994-2130878	19940825
CA 2130878	C	19990323		
CN 1109055	A	19950927	CN 1994-109363	19940825
PRIORITY APPLN. INFO.:			US 1993-111906	19930826
OTHER SOURCE(S):	MARPAT 125:114708			

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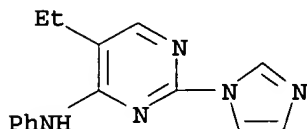
I



II

AB 4-Aminopyrimidines I [A = bond, alkylene, oxyalkylene; Y = bond, alkylene, alkyleneoxy, alkoxyphenylene, phenylalkylene; Z = bond, CH:CH; R1 = heterocyclic contg. 1 or 2 N atom; R2 = heterocyclic contg. 1 or 2 N, 1 or 2 O or 1 S atom, carbocyclic, alkoxy, hydroxy alkoxy, OH; R3 = heterocyclic contg. 1 or 2 N, 1 O, 1 S, or 1 N and 1 S atom, carbocyclic, halovinyl, H; n = 1, 2] with some exceptions, and acid addn. salts thereof, inhibit cGMP-PDE, or TXA2 synthetase. Thus, 5-(3-methoxybenzyl)tetrahydro-2,4-pyrimidinedione was chlorinated, treated with H2NCH2CH2OCH2CH2OH and then imidazole to give the

pyrimidine II, which had an IC50 against cGMP-PDE of 21.0 .mu.M.
 IT 179336-24-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 4-aminopyrimidine derivs. as cGMP phosphodiesterase and TXA2 synthase inhibitors)
 RN 179336-24-4 CAPLUS
 CN 4-Pyrimidinamine, 5-ethyl-2-(1H-imidazol-1-yl)-N-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 100 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1996:333008 CAPLUS
 DOCUMENT NUMBER: 125:127644
 TITLE: Method for obtaining improved image contrast in migration imaging members
 INVENTOR(S): Limburg, William W.; Mammino, Joseph; Liebermann, George; Griffiths, Clifford H.; Shahin, Michael M.; Malhotra, Shadi L.; Chen, Liqin; Perron, Marie-Eve
 PATENT ASSIGNEE(S): Xerox Corp., USA
 SOURCE: U.S., 147 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5514505	A	19960507	US 1995-441360	19950515
CA 2169980	AA	19961116	CA 1996-2169980	19960221
CA 2169980	C	20010424		
JP 08314240	A2	19961129	JP 1996-113456	19960508
EP 743573	A2	19961120	EP 1996-303359	19960514
EP 743573	A3	19970305		
EP 743573	B1	20000906		

R: DE, FR, GB

PRIORITY APPLN. INFO.: US 1995-441360 A 19950515

OTHER SOURCE(S): MARPAT 125:127644

AB Disclosed is a process which comprises (a) providing a migration imaging member comprising (1) a substrate and (2) a softenable layer comprising a softenable material and a photosensitive migration marking material present in the softenable layer as a monolayer of particles situated at or near the surface of the softenable layer spaced from the substrate, (b) uniformly charging the imaging member, (c) imagewise exposing the charged imaging member to activating radiation at a wavelength to which the migration marking material is sensitive, (d) causing the softenable material to soften and enabling a first portion of the migration marking material to migrate through the softenable material toward the substrate in an imagewise pattern while a second portion of the migration marking material remains substantially unmigrated within the softenable layer, and (e) contacting the second portion of the migration marking material with a transparentizing agent which transparentizes the migration marking material.

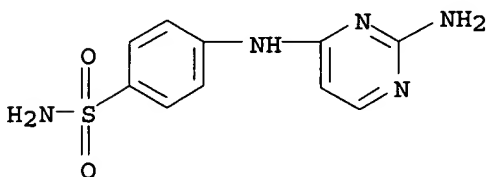
09/ 922,874

IT 22199-93-5

RL: DEV (Device component use); TEM (Technical or engineered material use); USES (Uses)
(transparentizing agent for electrophotog. migration imaging members)

RN 22199-93-5 CAPLUS

CN Benzenesulfonamide, 4-[(2-amino-4-pyrimidinyl)amino]-, monohydrochloride
(9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 101 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:995215 CAPLUS

DOCUMENT NUMBER: 124:117098

TITLE: Preparation of **pyridylanilide** derivatives as fungicides

INVENTOR(S): Riordan, Peter Dominic; Boddy, Ian Kenneth; Osbourn, Susan Elisabeth

PATENT ASSIGNEE(S): Agrevo UK Ltd., UK

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

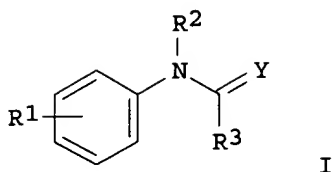
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9525723	A1	19950928	WO 1995-GB570	19950316
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, KZ, MX, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9518981	A1	19951009	AU 1995-18981	19950316
AU 688473	B2	19980312		
EP 750611	A1	19970102	EP 1995-911403	19950316
EP 750611	B1	19980708		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1143954	A	19970226	CN 1995-192131	19950316
HU 74778	A2	19970228	HU 1996-2547	19950316
HU 214292	B	19980302		
BR 9507105	A	19970909	BR 1995-7105	19950316
JP 09510471	T2	19971021	JP 1995-524455	19950316
AT 168099	E	19980715	AT 1995-911403	19950316
ZA 9502205	A	19951031	ZA 1995-2205	19950317
US 5756524	A	19980526	US 1996-714149	19960918
PRIORITY APPLN. INFO.:			GB 1994-5347	19940318
			WO 1995-GB570	19950316

OTHER SOURCE(S): MARPAT 124:117098

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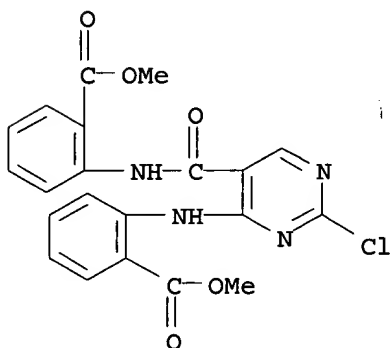


AB Title compds. I [X = O, S; R1, R2 = H, alkyl, cycloalkyl, alkenyl, etc.; R3 = (substituted) **pyridyl**, **pyrimidinyl**, **pyrazinyl**, etc.] were prepd. Condensation of 6-methoxynicotinoyl chloride with Me anthranilate in the presence of Et3N in THF afforded I (X = O; R1 = R2 = H; R3 = 6-methoxy-3-**pyridyl**) which showed activity against barley powdery mildew, rice blast and apple scab at .ltoreq. 500 ppm.

IT **173058-02-1P**
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of anilide derivs. as fungicides)

RN 173058-02-1 CAPLUS

CN Benzoic acid, 2-[[2-chloro-5-[[[2-(methoxycarbonyl)phenyl]amino]carbonyl]-4-pyrimidinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 102 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:838033 CAPLUS

DOCUMENT NUMBER: 124:29559

TITLE: Rearrangement of some **pyrimidines** to **pyridines**

AUTHOR(S): Robev, S. K.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, Faculty of Medicine, Sofia, 1431, Bulg.

SOURCE: Dokladi na Bulgarskata Akademiya na Naukite (1994), 47(9); 37-40

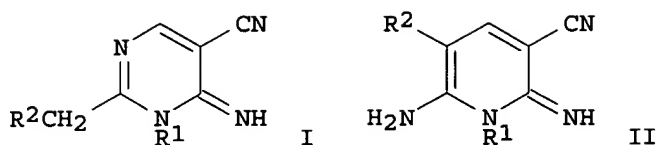
CODEN: DBANEH; ISSN: 0861-1459

PUBLISHER: Izdatelstvo na Bulgarskata Akademiya na Naukite

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



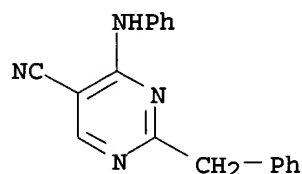
AB Treating iminodihydropyrimidines I (R1 = Ph, 4-FC6H4, 4-BrC6H4, 4-ClC6H4, 4-MeC6H4, 2-naphthyl, 2-methyl-4-chlorophenyl, 3,4-dimethylphenyl; R2 = Ph, 4-ClC6H4) with 95% AcOH gave 42-66% iminodihydropyridines II.

IT 171497-47-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(rearrangement of **pyrimidines** to **pyridines**)

RN 171497-47-5 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(phenylamino)-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 103 OF 326 CAPLUS. COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:812741 CAPLUS

DOCUMENT NUMBER: 123:227996

TITLE: Preparation of N-pyridyl-N'-arylguanidines
and analogs as gastric acid secretion inhibitors

INVENTOR(S): Ife, Robert John; Leach, Colin Andrew

PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

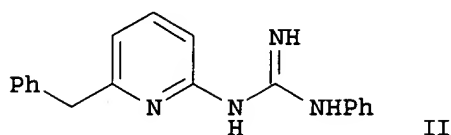
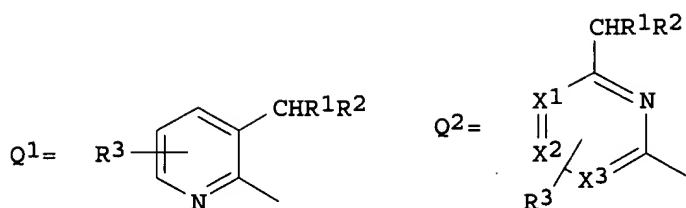
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9426715	A1	19941124	WO 1994-EP1447	19940502
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			GB 1993-9618	19930511
			GB 1993-9620	19930511
OTHER SOURCE(S):		MARPAT 123:227996		
GI				



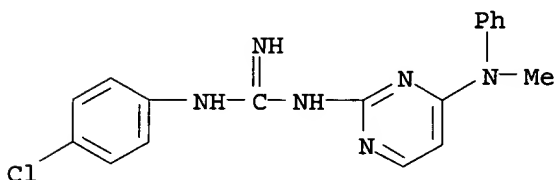
AB RNR4C(:NR5)X4X5R8 [I; R = azine groups Q1 or Q2; R1 = (un)substituted Ph, -heterocyclyl; R2, R4 = H, alkyl; R3 = H, halo, alkyl, alkoxy; R5 = H, (hydroxy)alkyl, OH, Ph; R8 = (cyclo)alkyl, Ph, heterocyclyl, etc.; X1-X3 = CH; 1 of X1-X3 may = N; X4 = CH2, NR6; R6 = H, alkyl; X5 = bond, CH2, NR7; R7 = H, alkyl] were prepd. Thus, 2-amino-6-benzylpyridine (prepn. given) was N-acylated with PhNCS and the product treated with NH3 and HgO to give title compd. II. I had IC50 of <55mM against K+-stimulated ATPase activity in lyophilized gastric vesicles in vitro.

IT 168150-02-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-pyridyl-N'-arylguanidines and analogs as gastric acid secretion inhibitors)

RN 168150-02-5 CAPLUS

CN Guanidine, N-(4-chlorophenyl)-N'-[4-(methylphenylamino)-2-pyrimidinyl]-(9CI) (CA INDEX NAME)



L7 ANSWER 104 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:700912 CAPLUS

DOCUMENT NUMBER: 121:300912

TITLE: preparation of **pyrimidine** compounds as acetylcholine esterase inhibitors and type-A monoamine oxidase inhibitors

INVENTOR(S): Kimura, Tomio; Kuroki, Yoshiaki; Fujiwara, Hiroshi; Anpeiji, Shigeharu

PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXXD2

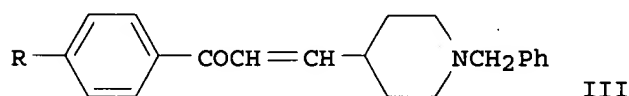
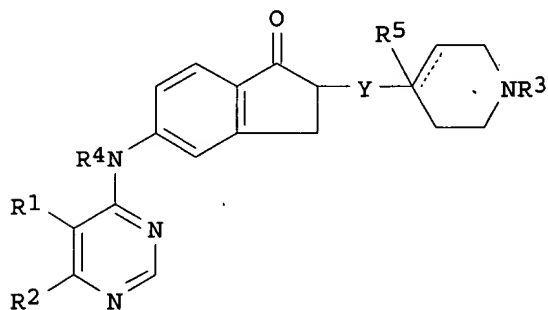
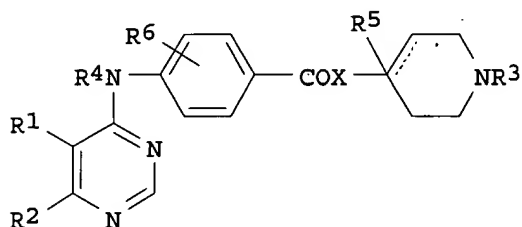
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407890	A1	19940414	WO 1993-JP1412	19931001
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 664291	A1	19950726	EP 1993-921102	19931001
EP 664291	B1	20000719		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
AU 672034	B2	19960919	AU 1993-48348	19931001
AU 9348348	A1	19940426		
JP 2932693	B2	19990809	JP 1993-508904	19931001
AT 194837	E	20000815	AT 1993-921102	19931001
ES 2149213	T3	20001101	ES 1993-921102	19931001
CN 1088207	A	19940622	CN 1993-118642	19931005
CN 1040322	B	19981021		
US 5610303	A	19970311	US 1995-411838	19950403
PRIORITY APPLN. INFO.:			JP 1992-266353	A 19921005
			WO 1993-JP1412	W 19931001
OTHER SOURCE(S):			MARPAT 121:300912	
GI				



AB The title compds. [I, II; R1, R2 = H, halo, NO2, NH2, (un)substituted alkyl, alkoxy, et., R1R2 = (un)substituted alkylene; R3 = (hetero)aralkyl; R4 = H, acyl; R5 = H, OH, alkoxy; R6 = H, halo, alkyl, alkoxy; X = alkylene, alkenylene, etc.; Y = alkylene, alkenylene, single or double bond, etc.; dotted line = satd., unsatd. (R5 is absent)], useful as antidepressants and in treating senile dementia, are prepd. Redn. of nitro compd. III (R = NO2) with SnCl2 in HCl-HOAc gave aniline deriv. III (R = NH2), which was treated with 4-chloro-5,6-dimethylpyrimidine in EtOH at 60.degree. to give I (R1 = R2 = Me, R3 = PhCH2, R4 = R6 = H, R5 is absent, X = CH:CH, dotted = satd.), which showed IC50 of 3.3x10⁻⁹ M against acetylcholine esterase and 1.6x10⁻⁶ M against type-A monoamine oxidase, vs. 7.3x10⁻⁹ and >10⁻⁵ M, resp., with a ref.

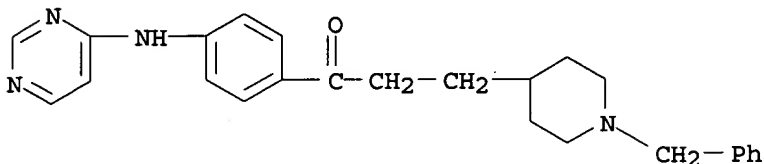
09/ 922,874

IT 158973-64-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as acetylcholine esterase and type-A monoamine oxidase inhibitor)

RN 158973-64-9 CAPLUS

CN 1-Propanone, 3-[1-(phenylmethyl)-4-piperidinyl]-1-[4-(4-pyrimidinylamino)phenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 105 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:680672 CAPLUS

DOCUMENT NUMBER: 121:280672

TITLE: Preparation of pyrazine derivatives as herbicides

INVENTOR(S): Sato, Jun; Kondo, Yasuo; Kudo, Yoshihiro; Nawamaki, Tsutomu; Watanabe, Shigeomi; Ishikawa, Kimihiro; Ito, Yoichi

PATENT ASSIGNEE(S): Nissan Chemical Ind Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

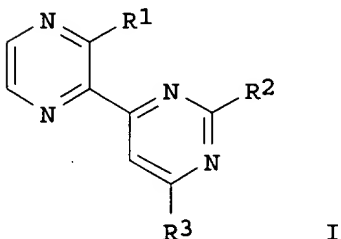
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06192252	A2	19940712	JP 1993-235027	19930921
PRIORITY APPLN. INFO.:			JP 1992-253064	19920922
OTHER SOURCE(S):		MARPAT 121:280672		

GI



AB Pyrazines I (R1 = H, halo, alkoxy, alkylamino, alkyl, haloalkyl; R2 = Ph, substituted Ph, benzyl, pyridyl, thienyl, furyl; R3 = SR4, OR5, NR6R7; R4, R5, R6, R7 = H, alkyl, alkenyl, alkynyl; NR6R7 may form 3-7 membered ring), useful as herbicides, were prepd. Thus, refluxing 0.5 g 3-ethyl-2-[2,2-bis(methylthio)vinylcarbonyl]pyrazine with 0.43 g 4-chlorophenylamine hydrochloride and 0.47 g K2CO3 in isopropanol for 15 h gave 0.25 g I (R1 = Et, R2 = 4-ClC6H4, R3 = MeS) (II). II showed herbicidal activity against *Stellaria neglect* at 0.63 Kg/ha.

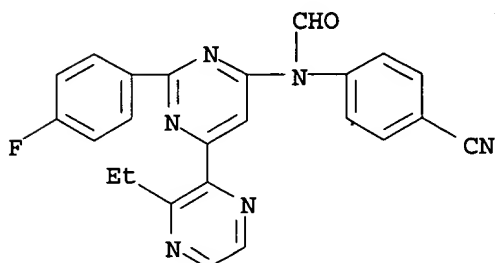
IT 158900-40-4P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazine derivs. as herbicides)

RN 158900-40-4 CAPLUS

CN Formamide, N-(4-cyanophenyl)-N-[6-(3-ethylpyrazinyl)-2-(4-fluorophenyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 106 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:534139 CAPLUS

DOCUMENT NUMBER: 121:134139

TITLE: Preparation of pharmaceutically active bicyclic-heterocyclic amines

INVENTOR(S): Ayer, Donald E.; Bundy, Gordon L.; Jacobsen, Eric Jon

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

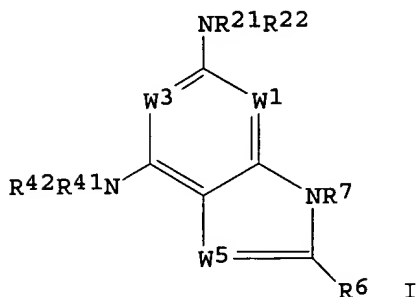
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9320078	A1	19931014	WO 1993-US2188	19930316
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9339174	A1	19931108	AU 1993-39174	19930316
AU 675932	B2	19970227		
EP 633886	A1	19950118	EP 1993-908303	19930316
EP 633886	B1	20001018		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 70954	A2	19951128	HU 1994-2829	19930316
JP 08502721	T2	19960326	JP 1993-517457	19930316
RU 2103272	C1	19980127	RU 1994-42466	19930316
PL 175347	B1	19981231	PL 1993-305430	19930316
PL 175327	B1	19981231	PL 1993-317810	19930316
AT 197051	E	20001115	AT 1993-908303	19930316
ES 2150941	T3	20001216	ES 1993-908303	19930316
NO 9403655	A	19941205	NO 1994-3655	19940930
FI 9404602	A	19941003	FI 1994-4602	19941003
US 5502187	A	19960326	US 1994-317934	19941003
LV 12794	B	20020620	LV 2001-150	20011018
PRIORITY APPLN. INFO.:			US 1992-863646	A2 19920403
			WO 1993-US2188	A 19930316
			US 1993-128957	B1 19930929
			US 1994-222995	B1 19940405

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OTHER SOURCE(S) :
GI

MARPAT 121:134139



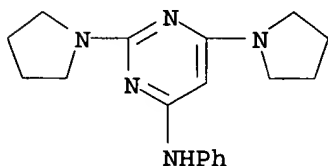
AB Title compds. [I; W₁, W₃ = N, CH; W₅ = N, CR₅; R₅, R₆, R₇ = H, (substituted) alkyl, cycloalkyl; R₂₁, R₂₂, R₄₁, R₄₂ = H, alkyl; R₂₁R₂₂N, R₄₁R₄₂N = (substituted) **pyrrolidinyl**, piperidinyl, morpholinyl, piperazinyl, aziridinyl, azetidiny, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, thiomorpholinyl, thiazolidinyl, etc.], were prepd. for treating/preventing spinal trauma, head injury, subarachnoid hemorrhage, stroke, asthma, mucous formation/secretion, muscular dystrophy, adriamycin cardiac toxicity, parkinsonism, Alzheimer's disease, multiple sclerosis, reperfusion damage, shock, burns, inflammatory disease, atherosclerosis, emphysema, lupus, cancer, ulcers, colitis, Crohn's disease, myocardial infarctions, ischemia, migraine, etc. (no data). I may be used similarly to glucocorticoids for treating the above conditions. Thus, 2,4,6-trichloropyrimidine was stirred with MeNH₂.HCl and (Me₂CH)₂NEt in THF to give 2,6-dichloro-4-methylaminopyrimidine. This was refluxed with **pyrrolidine** to give 4-methylamino-2,6-di-(1-**pyrrolidinyl**)**pyrimidine**. The latter was stirred with .alpha.-bromoacetophenone and (Me₂CH)₂NEt in MeCN to give 6-**phenyl**-2,4-di-(1-**pyrrolidinyl**)-7-methyl-7H-**pyrrolo**[2,3-d]**pyrimidine**.

IT 157014-25-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for **pyrrolopyrimidine** drug)

RN 157014-25-0 CAPLUS

CN 4-Pyrimidinamine, N-phenyl-2,6-di-1-pyrrolidinyl- (9CI) (CA INDEX NAME)



L7 ANSWER 107 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:483254 CAPLUS

DOCUMENT NUMBER: 121:83254

TITLE: Reactions with 6-substituted-2-thiouracil-5-carbonitriles. Synthesis of tetrazolo[1,5-c] and ditetrazolo[1,5-a:1,5-c]**pyrimidines**

AUTHOR(S): Manhi, F. M.; Abdel-Fattah, A. M.

CORPORATE SOURCE: Natl. Organ. Drug Control and Res., Giza, Egypt

SOURCE: Egyptian Journal of Pharmaceutical Sciences (1992), 33(5-6), 825-88

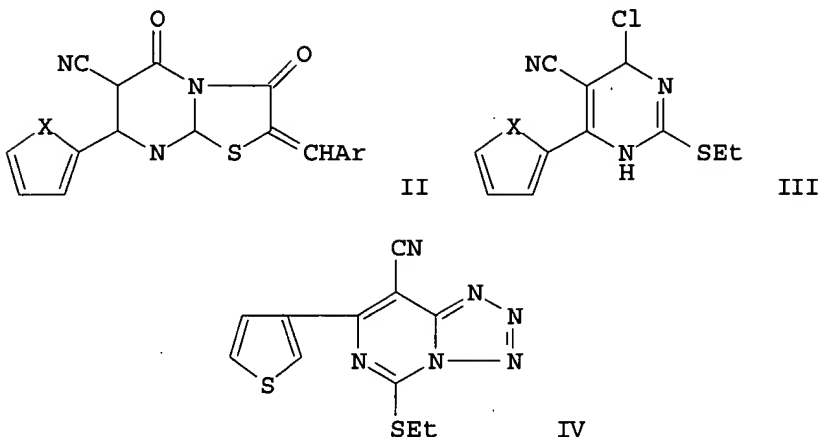
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



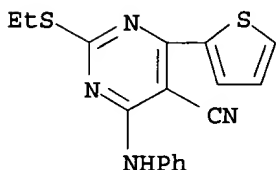
AB Heating a ternary mixt. of 6-furyl-(I) or 6-thienyl-4-oxo-1,2,3,4-tetrahydro-2-thioxypyrimidine-5-carbonitrile, $\text{ClCH}_2\text{CO}_2\text{H}$, and ArCHO ($\text{Ar} = \text{Ph}$, substituted Ph , 2-thienyl, 2-furyl) gave 2-arylmethylene-2-3-dihydro-3,5-dioxo-7-substituted-5H-thiazolo[3,2-a]pyrimidine-6-carbonitriles II. Alkylation of I gave S-alkyl derivs. which reacted with PhCH_2NH_2 to give the 2-benzylamino derivs. followed by chlorination to give 4-chloropyrimidine derivs. III ($\text{R} = \text{Cl}$, $\text{X} = \text{O}$, S). Treating the latter with PhSH , PhNHNH_2 , PhCH_2NH_2 , and PhNH_2 gave the corresponding III ($\text{R} = \text{PhS}$, PhNHNH , PhCH_2NH , PhNH). Addnl. obtained was the tetrazole deriv. IV.

IT 156176-82-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)

RN 156176-82-8 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(ethylthio)-4-(phenylamino)-6-(2-thienyl)-
(9CI) (CA INDEX NAME)



L7 ANSWER 108 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:409416 CAPLUS

DOCUMENT NUMBER: 121:9416

TITLE: Preparation of pyrimidine derivatives as
ACAT inhibitors and pharmaceutical compositions
containing them

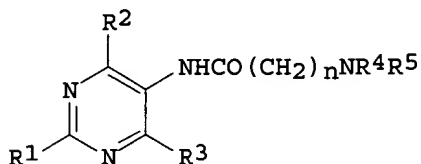
INVENTOR(S): Yanagibashi, Kazutoshi; Mizuguchi, Kiyoshi; Onishi,
Shuhei; Murakami, Kimihiro

PATENT ASSIGNEE(S): Mochida Pharmaceutical Co., Ltd., Japan

09/ 922,874

SOURCE: Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 561175	A1	19930922	EP 1993-102668	19930219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 05320143	A2	19931203	JP 1993-23025	19930210
US 5397781	A	19950314	US 1993-16286	19930211
AU 9333888	A1	19930923	AU 1993-33888	19930301
AU 659279	B2	19950511		
CA 2091214	AA	19930919	CA 1993-2091214	19930308
PRIORITY APPLN. INFO.: .			JP 1992-62380	19920318
OTHER SOURCE(S):			MARPAT 121:9416	
GI				



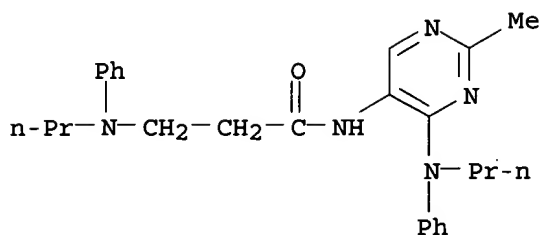
I

AB Title compds. I (R1 = H, C1-4 alkyl, R7R6N, R8S, R8O where R6, R7, R8 = H, C1-4 alkyl; R2 = H, R10R9N, R11S, R11O, C1-6 alkyl, halo were R9, R10, R11 = H, PH, PhCH2, C1-10 alkyl; R3 = H, substituted N, O, S, C1-6 alkyl, halo; R4, R5 = H, C1-12 alkyl, PhCH2, C3-10 cycloalkyl, (substituted) Ph, R4R5N = phenylpiaziranyl, tetrahydroquinolinyl; n = 1-6), salt, solvate thereof, ACAT (acyl-CoA cholesterol acyltransferase) inhibition useful in treatment of arteriosclerosis or hyperlipidemia, are prepd. To 5-amino-2-methyl-4-mercapto-6-(N-phenyl-N-propylamino)pyrimidine (prepn. given) and 2-(N-phenyl-N-propylamino)acetic acid (prepn. given) in CH2Cl2, was added N,N'-dicyclohexylcarbodiimide to give title compds. I (R1 = Me, R2 = PrPhN, R3 = HS, R4 = Ph, R5 = Pr, n = 1). A similar prepd. compd. I (R1 = H, R2 = EtO, R3 = Bu2N, R4 = Ph, R5 = Pr, n = 4) inhibited liver microsomal ACAT activity in rat liver with IC50 = 0.0088 .mu.M. Pharmaceutical formulations comprising I are given.

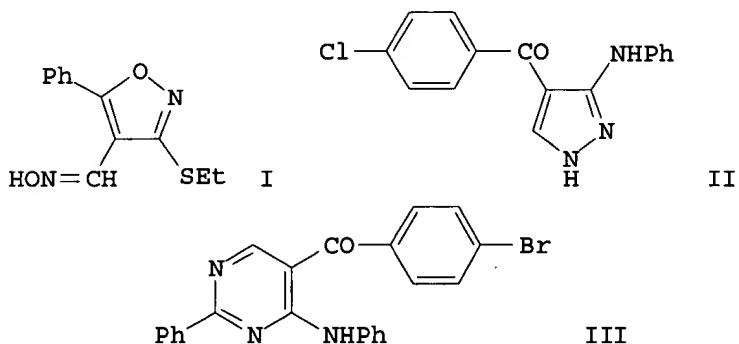
IT 155083-91-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cholesterol acyltransferase inhibitor)

RN 155083-91-3 CAPLUS

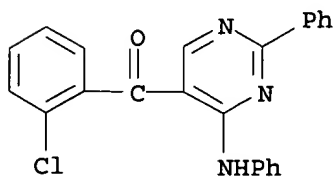
CN Propanamide, N-[2-methyl-4-(phenylpropylamino)-5-pyrimidinyl]-3-(phenylpropylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 109 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1994:409304 CAPLUS
 DOCUMENT NUMBER: 121:9304
 TITLE: Acylformylketene acetals: versatile synthons for the synthesis of isoxazoles, pyrazoles and **pyrimidines**
 AUTHOR(S): Rudorf, Wolf Dieter; Koeditz, Jens; Henze, Nadja
 CORPORATE SOURCE: Inst. Org. Chem., Martin Luther Univ., Weinbergweg, D-O-4050, Germany
 SOURCE: Sulfur Letters (1993), 16(2), 77-89
 CODEN: SULED2; ISSN: 0278-6117
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB 2-Aroyl-3,3-bis(alkylthio)-2-propenals and 3-anilino-2-aroyl-3-methylthio-2-propenals react with various dinucleophiles such as hydroxylamine, hydrazines, and amidines to yield isoxazoles, e.g. I, pyrazoles, e.g. II, and **pyrimidines** e.g. III.
 IT 155494-80-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 155494-80-7 CAPLUS
 CN Methanone, (2-chlorophenyl) [2-phenyl-4-(phenylamino)-5-pyrimidinyl]- (9CI)
 (CA INDEX NAME)



L7 ANSWER 110 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:625904 CAPLUS

DOCUMENT NUMBER: 119:225904

TITLE: Ring-chain transformations. XI. Synthesis of semicyclic 3-(aminoalkylideneamino)-3-aryl-2-propenenitriles and their ring-chain transformation to 2-(.omega.-aminoalkyl)-6-aryl-4-halo-5-pyrimidinecarbonitriles

AUTHOR(S): Paetzel, Michael; Ushmajev, Alexej; Liebscher, Juergen
CORPORATE SOURCE: Inst. Org. Chem., Humboldt-Univ., Berlin, W-1040, Germany

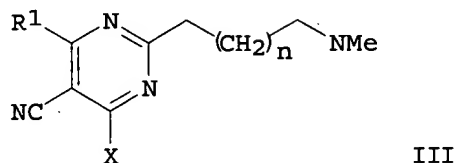
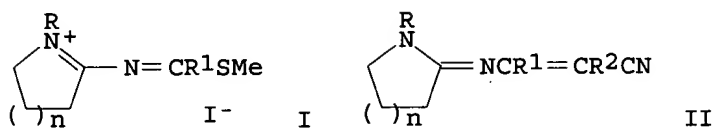
SOURCE: Synthesis (1993), (5), 525-9
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 119:225904

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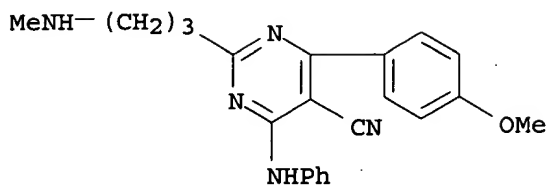
AB Semicyclic 3-aryl-2-aza-3-methylthio-2-propeniminium iodides I ($n = 1, 2, 3$, $R = \text{Me, Et}$, $R_1 = \text{aryl}$) react with CH-acidic acetonitriles $R_2\text{CH}_2\text{CN}$ ($R_2 = \text{NC, p-O}_2\text{N-}$ or $\text{p-ClC}_6\text{H}_4$) by elimination of methanethiol affording 3-(1-alkyl-2-pyrrolidinylideneamino)-, 3-(1-alkyl-2-piperidinylideneamino)- and 3-(1-alkylhexahydro-1H-azepin-2-ylideneamino)-3-aryl-2-propenenitriles II. Further addn. of hydrogen halides to the cyano group of 2-cyano-substituted II gives rise to a ring chain transformation reaction. The resulting 4-halo-2-[.omega.-(methylamino)alkyl]-5-pyrimidinecarbonitrile hydrohalides III.HX ($X = \text{halo}$) can be isolated or hydrolyzed during workup to 3,4-dihydro-4-oxo derivs. Reaction of the III.HX with amines causes either reversed ring chain transformation to the starting compds. II or substitution of the halo substituent resulting in III.HX ($X = \text{amino group}$).

IT 150832-35-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 150832-35-2 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-methoxyphenyl)-2-[3-(methylamino)propyl]-6-(phenylamino)-, monohydrobromide (9CI) (CA INDEX NAME)

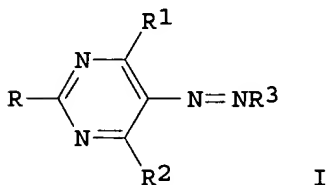


● HBr

L7 ANSWER 111 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1993:562370 CAPLUS
 DOCUMENT NUMBER: 119:162370
 TITLE: **Pyrimidine** reactive azo dyes, their preparation and use
 INVENTOR(S): Tzikas, Athanassios; Klier, Herbert
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Ger. Offen., 44 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4231537	A1	19930325	DE 1992-4231537	19920921
GB 2259710	A1	19930324	GB 1992-19711	19920917
FR 2681605	A1	19930326	FR 1992-11244	19920922
JP 05222308	A2	19930831	JP 1992-276759	19920922
PRIORITY APPLN. INFO.:			CH 1991-2810	19910923
OTHER SOURCE(S):		MARPAT 119:162370		

GI



AB The dyes [I; R = H, (un)substituted alkyl, alkoxy, alkylthio, or amino; R1, R2 = NR4R5 where R4, R5 = H, (un)substituted alkyl or aryl, or NR4R5 = heterocycle; R3 = diazo component residue; .gtoreq.1 of R1-R3 contains a fiber-reactive group] are obtained for dyeing and printing of cellulose. The **pyrimidine** coupling components as intermediates and their prepn. are also claimed. Thus, 4-H2NC6H4SO2CH2CH2OSO3H was diazotized and coupled with 4,6-bis(2-sulfatoethylamino)-2-methylpyrimidine, and the product was used to dye cotton in golden yellow shades.

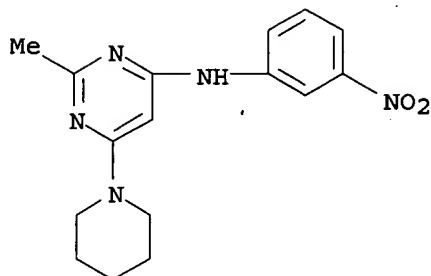
IT 150147-26-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (coupling of, with diazotized aminonaphthalenetrisulfonic acid)

RN 150147-26-5 CAPLUS

CN 4-Pyrimidinamine, 2-methyl-N-(3-nitrophenyl)-6-(1-piperidinyl)- (9CI) (CA

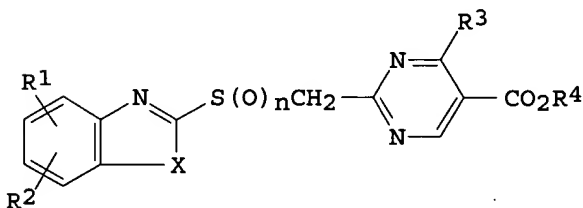
INDEX NAME)



L7 ANSWER 112 OF 326 CAPLUS. COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1993:560315 CAPLUS
 DOCUMENT NUMBER: 119:160315
 TITLE: Preparation of 4-amino-5-pyrimidinecarboxylic acids as ulcer inhibitors
 INVENTOR(S): Shimamura, Hiroshi; Terajima, Koji; Kawase, Akito; Ishizuka, Yasuhiro; Kimura, Isami; Kama, Akyoshi; Kataoka, Mikiko; Sato, Makoto
 PATENT ASSIGNEE(S): Morishita Ruseru Kk, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05112559	A2	19930507	JP 1991-299822	19911018
PRIORITY APPLN. INFO.:			JP 1991-299822	19911018
OTHER SOURCE(S):		MARPAT 119:160315		

GI



I

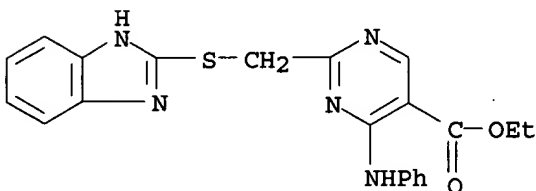
AB The title compds. I [R1, R2 = H, lower (halo)alkyl, lower alkoxy, halo; R3 = NR5R6, .gtoreq.1 N-contg. (substituted) (un)satd. heterocyclyl; R4 = H, lower alkyl; R5, R6 = H, lower (alkoxy)alkyl, alkenyl, or alkynyl, cycloalkyl, hydroxyalkyl, (substituted) Ph or benzyl; X = NR7, O, S; R7 = H, lower alkyl; n = 0-2; if R1 = R2 = H, X = NH, and n = 1, then R3 .noteq. NMe2, NEtMe, nor morpholino] or their salts are prepd. I (R1 = R2 = H, R3 = Cl, R4 = Et, X = NH, n = 0) (prepn. given) in THF was treated with aq. MeNH2 at room temp. for 1 h to give 89% I (R1 = R2 = H, R3 = NHMe, R4 = Et, X = NH, n = 0). I (R1 = Me, R2 = H, R3 = NMe2, R4 = Et, X = NH, n = 1) (II) showed 92% inhibition of acute gastric mucosal damage caused by EtOH, vs. 90%, for omeprazole. A tablet contg. II was formulated.

IT 150064-38-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as ulcer inhibitor)

RN 150064-38-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[(1H-benzimidazol-2-ylthio)methyl]-4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 113 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:254855 CAPLUS

DOCUMENT NUMBER: 118:254855

TITLE: Chemotherapeutic agents. XXV. Synthesis and leishmanicidal activity of carbazolyipyrimidines

AUTHOR(S): Ram, V. J.; Haque, N.; Guru, P. Y.

CORPORATE SOURCE: Med. Chem. Div., Lucknow, India

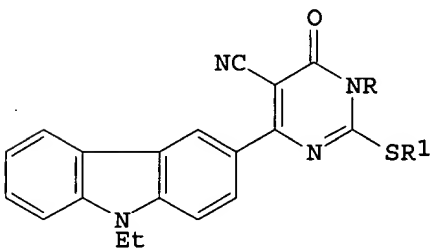
SOURCE: European Journal of Medicinal Chemistry (1992), 27(8), 851-5

CODEN: EJMCA5; ISSN: 0223-5234

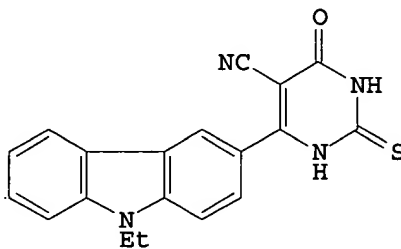
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

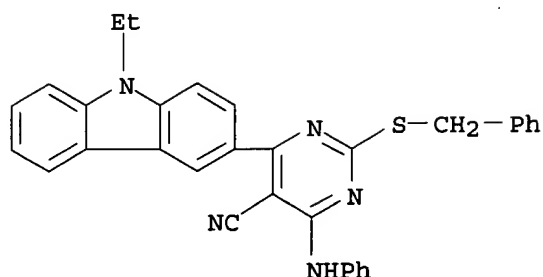
AB Title compds. including I (R = H, R1 = Me, Et, CH2C.tplbond.CH, CH2CH:CH2, CH2CO2Et, CH2CONH2, CH2CH2OH, CH2Ph, cyclopropylmethyl; R = R1 = Me, Et, PhCH2; RR1 = CH2CH2, CH2CH2CH2) were prepd. from cyano(ethylcarbazoyl)thiouracil II and screened for leishmanicidal activity. I (R = H, R1 = CH2CH:CH2, CH2CONH2) were the most active of the compds. tested.

IT 147470-69-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

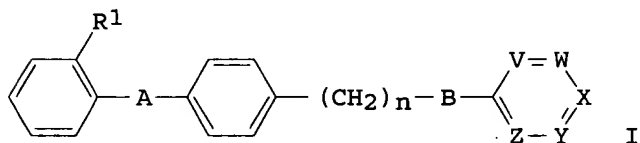
RN 147470-69-7 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(9-ethyl-9H-carbazol-3-yl)-6-(phenylamino)-2-[(phenylmethylthio)]- (9CI) (CA INDEX NAME)



L7 ANSWER 114 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1993:234077 CAPLUS
 DOCUMENT NUMBER: 118:234077
 TITLE: Preparation of 4-[(4'-tetrazolylbiphenyl)methylamino]pyrimidinecarboxylates and analogs as angiotensin II receptor antagonists
 INVENTOR(S): Winn, Martin; De, Biswanath; Zydowsky, Thomas M.; Kerkman, Daniel J.; De Bernardis, John F.; Rosenberg, Saul H.; Shiosaki, Kazumi; Basha, Fatima Z.; Tasker, Andrew S.; et al.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: Eur. Pat. Appl., 91 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 475206	A2	19920318	EP 1991-114542	19910829
EP 475206	A3	19920805		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2050723	AA	19920311	CA 1991-2050723	19910905
AU 9183744	A1	19920312	AU 1991-83744	19910909
AU 647174	B2	19940317		
JP 04261156	A2	19920917	JP 1991-258343	19910910
JP 07053551	A2	19950228	JP 1993-187412	19930630
PRIORITY APPLN. INFO.:			US 1990-580400 A	19900910
			US 1991-744241 A	19910815
OTHER SOURCE(S):	MARPAT 118:234077			
GI				



AB Title compds. I [A = bond, O, CO; B = O, S, R4N, wherein R4 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl; R1 = tetrazolyl, R5O2C, R5O2CCH2 wherein R5 = H, carboxyprotector, R6SO2NH, R6SO2NHCH2 wherein R6 = (halo)alkyl; V, W, X, Y, Z = N, NO, CH, R2C, R3C wherein R2 = (halo)alkyl, alkylthio, etc., and R3 = H, (halo)alkyl, NC, O2N, HO2C, tetrazolyl, etc.; n = 0, 1, with provisos], are prepd. Et 2-(butylamino)-6-methylpyridine-3-carboxylate (prepn. given) in THF and 1,2-dimethyl-3,4,5,6-tetrahydro-2(1H)-pyrimidine in THF were

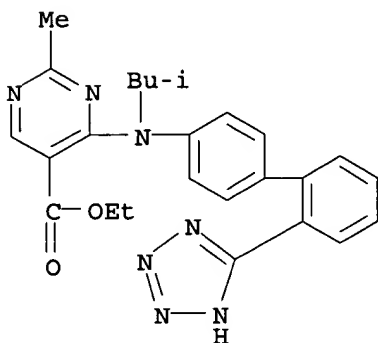
combined, Li hexamethyldisilazide and N-(triphenylmethyl)-5-[2-(4'-bromomethylbiphenyl)tetrazole were added to give the tetrazolylpyridinecarboxylate which in 2 steps was converted into I (A = bond, B = BuN, R1 = 1H-tetrazol-5-yl, n = 1, V = HO2CCH, W = X = HC, Y = MeCH, Z = N) (II). II showed a potent antagonism to angiotensin II receptor with a pA2 value of 8.15. A similar prepd. compd. I (A = bond, B = PrN, R1 = 1H-tetrazol-5-yl, n = 1, V = HO2CCH, W = X = Y = HC, Z = N) at 30 mg/kg, lowered rat blood pressure 20% for .gtoreq.4 h. A large no. of I were prepd.

IT 141887-37-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as angiotensin II antagonist)

RN 141887-37-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-methyl-4-[(2-methylpropyl)[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 115 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:233318 CAPLUS

DOCUMENT NUMBER: 118:233318

TITLE: The ortho effect in the mass spectrometric behavior of N-(pyrimidin-4-yl)aminobenzoic acids and their methyl esters

AUTHOR(S): Plaziak, Adam S.; Spychala, Jaroslaw; Wojtowicz, Hanna; Langer, Jerzy J.; Thiel-Pawlicka, Halina; Golankiewicz, Krzysztof

CORPORATE SOURCE: Fac. Chem., Adam Mickiewicz Univ., Poznan, 60-780, Pol.

SOURCE: Organic Mass Spectrometry (1992), 27(11), 1293-8
CODEN: ORMSBG; ISSN: 0030-493X

DOCUMENT TYPE: Journal

LANGUAGE: English

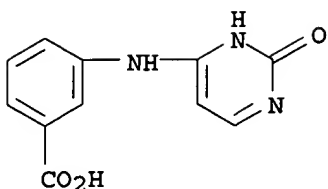
AB o-, m- And p-isomers of N-(pyrimidin-4-yl)aminobenzoic acid and their Me esters were investigated by electron impact mass spectrometry. Their fragmentation was found to be strongly dependent on the position of the substituent in the aminobenzoic moiety. Two different kinds of ortho effects were studied and confirmed with the aid of deuterium-labeled derivs.

IT 57469-67-7

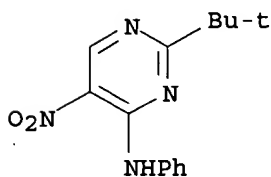
RL: PRP (Properties)
(mass spectra of, ortho effect in relation to)

RN 57469-67-7 CAPLUS

CN Benzoic acid, 3-[(1,2-dihydro-2-oxo-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



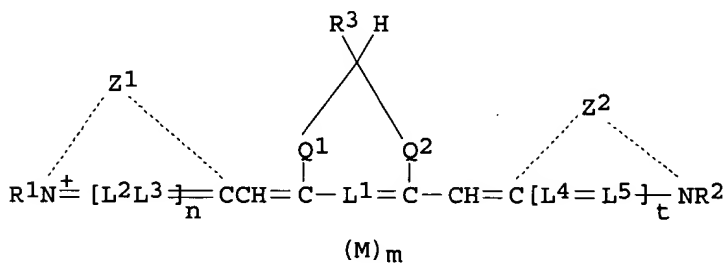
L7 ANSWER 116 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1993:212203 CAPLUS
 DOCUMENT NUMBER: 118:212203
 TITLE: Reactivity of 2-tert-butyl-4,5-didehydropyrimidine and electronic structure of the parent hetaryne
 AUTHOR(S): Tielemans, Michel; Areschka, Vincent; Colomer, Jaume; Promel, Robert; Langenaeker, Wilfried; Geerlings, Paul
 CORPORATE SOURCE: Fac. Sci., Universite Libre de Bruxelles, Brussels, B-1050, Belg.
 SOURCE: Tetrahedron (1992), 48(48), 10575-86
 CODEN: TETRAB; ISSN: 0040-4020
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 118:212203
 AB 2-T-butyl-4,5-didehydropyrimidine, generated by oxidn. of 3-amino-5-t-butyl-3H-v-triazolo[4,5-d]pyrimidine, was allowed to react with a variety of reagents. Trapping expts. with furan and two tetracyclones gave the expected adducts in low to moderate yields. On treatment with anthracene and 1,3-cyclohexadiene, complex mixts. were obtained from which the adducts could not be isolated. Cycloaddn. of Ph azide to the intermediate yielded 3-phenyl-5-t-butyl-3H-v-triazolo[4,5-d]pyrimidine as the major product together with the unexpected 2-t-butyl-9H-pyrimido[4,5-b]indole in lesser amt. The structure of these two compds. was established by comparison with authentic specimens whose synthesis is described. Cycloaddn. also occurred with 2,3,5-tri-O-benzoyl-.beta.-D-ribofuranosyl azide to give an 8-azanucleoside in low yield. Oxidn. of the precursor in ethanol gave solely 4-ethoxy-2-t-butylpyrimidine. Oxidn. in the presence of iodine, in dichloromethane or benzene, afforded products arising from attack on the solvent, i.e. 4-chloro-5-iodo-2-t-butylpyrimidine and 5-iodo-4-phenyl-2-t-butylpyrimidine resp. In addn., 5-iodo-2-t-butyl-4(3H)-pyrimidinone was obtained in both cases. Mechanisms for these reactions are proposed. The electronic structure of 4,5-didehydropyrimidine has been calcd. by an ab initio 3-21G quantum chem. method. Both the Mol. Electrostatic Potential and the Fukui function give a very reasonable account of the strong orientation effects obsd. in the addns. to 2-t-butyl-4,5-didehydropyrimidine.
 IT 146900-92-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and hydrogenation of)
 RN 146900-92-7 CAPLUS
 CN 4-Pyrimidinamine, 2-(1,1-dimethylethyl)-5-nitro-N-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 117 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1992:642641 CAPLUS
 DOCUMENT NUMBER: 117:242641
 TITLE: Silver halide photographic material
 INVENTOR(S): Hioki, Takanori; Kato, Takashi; Ikeda, Tadashi;
 Oshima, Naoto
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 54 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04146428	A2	19920520	JP 1990-270161	19901008
JP 2767491	B2	19980618		
US 5290675	A	19940301	US 1991-772746	19911007
US 5443949	A	19950822	US 1993-43022	19930405
PRIORITY APPLN. INFO.:			JP 1990-270161	19901008
			US 1991-772746	19911007

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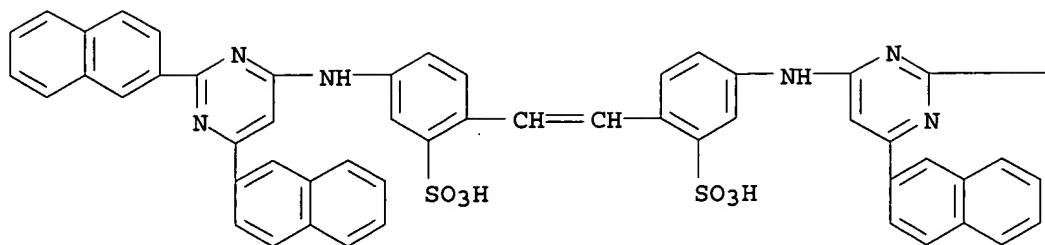
AB In the title material comprising a support having thereon one or more Ag halide emulsion layers contg. ≥ 90 mol% AgCl, at least one of the Ag halide emulsion layers contains one or more compds. represented by general structure I [Z1, Z2 = atoms for forming an N-contg. 5- or 6-membered heterocyclic ring; Q1, Q2 = methylene; R1, R2 = alkyl; R3 = alkyl, aryl, heterocyclyl; L1-L5 = methine; n, t = 0 or 1; M = counter ion; m = value (>0) required for charge neutralization]. The title material also contains a **pyrimidine** deriv. and a mercaptotetrazole deriv. The title material has good storage stability.

IT 144577-18-4

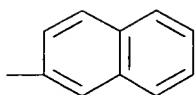
RL: TEM (Technical or engineered material use); USES (Uses)
 (photog. materials contg.)

RN 144577-18-4 CAPLUS

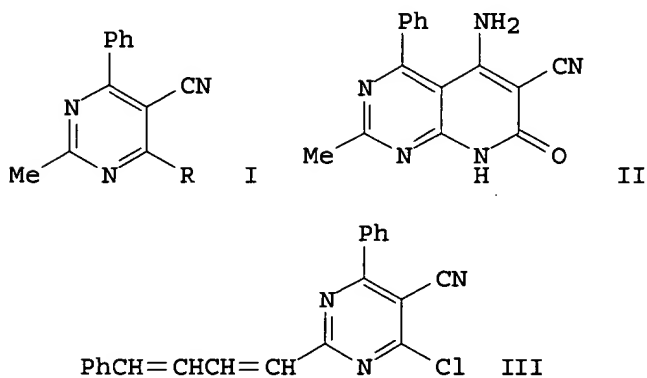
CN Benzenesulfonic acid, 2,2'-(1,2-ethenediyl)bis[5-[(2,6-di-2-naphthalenyl)-4-pyrimidinyl]amino]-, disodium salt (9CI) (CA INDEX NAME)



● 2 Na



L7 ANSWER 118 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1992:448480 CAPLUS
 DOCUMENT NUMBER: 117:48480
 TITLE: Synthesis and biological activities of some new
pyrimidine derivatives
 AUTHOR(S): Seada, M.; Abdel-Halim, A. M.; Ibrahim, S. S.;
 Abdel-Megid, M.
 CORPORATE SOURCE: Fac. Educat., Ain Shams Univ., Roxy, Egypt
 SOURCE: Asian Journal of Chemistry (1992), 4(3), 544-52
 CODEN: AJCHEW; ISSN: 0970-7077
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Synthesis of 4-chloro-5-cyano-2-methyl-6-phenylpyrimidine (I, R = Cl) and its reactions with acetamide hydrochloride, guanidine hydrochloride, cyanoacetamide, benzil monohydrazone, sodium azide, semicarbazide hydrochloride, acid hydrazides, active methylene compds., arom. amines and thiourea were investigated. Also, the reactions of 5-cyano-2-methyl-6-

phenyl-4(3H)-pyrimidinethione I (R = SH) with Et iodide, Et chloroacetate, phenacyl bromide, acrylonitrile and heterocyclic chlorides are reported. A no. of products from these two series of reactions, including aminocyanopyridopyrimidinone II and (phenylbutadienyl)pyrimidine III were evaluated for bactericidal and fungicidal activity.

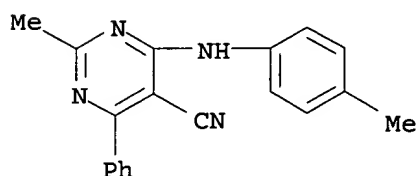
IT 142271-18-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bactericidal and fungicidal activity of)

RN 142271-18-9 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-methyl-4-[(4-methylphenyl)amino]-6-phenyl-
(9CI) (CA INDEX NAME)



L7 ANSWER 119 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:255629 CAPLUS

DOCUMENT NUMBER: 116:255629

TITLE: Preparation of 4-anilinopyrimidines as agrochemical fungicides

INVENTOR(S): Minn, Klemens; Braun, Peter; Sachse, Burkhard; Wicke, Heinrich

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Ger. Offen., 54 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4029648	A1	19920326	DE 1990-4029648	19900919
ZA 9107428	A	19920429	ZA 1991-7428	19910918
WO 9205158	A1	19920402	WO 1991-EP1791	19910919

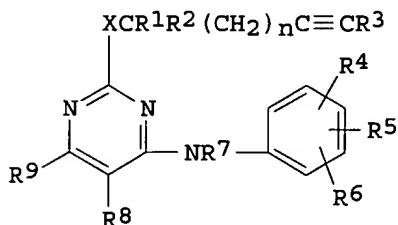
W: BR, CA, CS, FI, NO, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

PRIORITY APPLN. INFO.: DE 1990-4029648 19900919

OTHER SOURCE(S): MARPAT 116:255629

GI



I

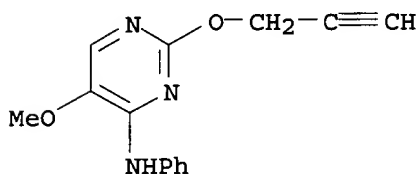
AB Title compds. I [R1, R2 = H, C1-9 alkyl, substituted C1-4 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-9 cycloalkyl, etc. or R1R2 = 4-10 membered (hetero)cyclic ring; R3 = H, halo, (substituted) C1-4 alkyl, C1-4 alkylthio, etc.; R4-R6 = H, halo, OH, NH2, NO2, cyano, C1-4 alkyl, etc. or 2 of R4-R6 = 4-10 membered (hetero)cyclic ring; R7 = H, CHO, (substituted) C1-4 alkyl, -C1-4 alkoxy, -amino, etc.; R8, R9 = H, halo, (substituted) C1-4 alkyl, -C1-4 alkoxy, -C1-4 alkylthio, etc. or R8R9 = 4-10 membered (hetero)cyclic ring; X = O, S; n = 0-8] were prepd. as agrochem. fungicides. Thus, HCO2Et, MeOCH2CO2Me and thiourea were cyclocondensed to give 5-methoxy-2-mercapto-1,3-dihydropyrimidin-4-one. This was S-alkylated by BrCH2C.tplbond.CH and the product was converted to the 4-chloro deriv. by POCl3. This was treated with aniline to give I (R1-R7 = H; R8 = OMe; R9 = H; X = S; n = 0] (II). II at 60 ppm gave complete control of *Pseudocercospora herbotrichoides* on wheat.

IT 141598-62-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as agrochem. fungicide)

RN 141598-62-1 CAPLUS

CN 4-Pyrimidinamine, 5-methoxy-N-phenyl-2-(2-propynyloxy)- (9CI) (CA INDEX NAME)



L7 ANSWER 120 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:255492 CAPLUS

DOCUMENT NUMBER: 116:255492

TITLE: Preparation of N-[(2-arylamino)aryl]benzenesulfonamides as antitumor agents

INVENTOR(S): Yoshino, Hiroshi; Ueda, Norihiro; Sugumi, Hiroyuki; Niijima, Jun; Kotake, Yoshihiko; Okada, Toshimi; Koyanagi, Nozomu; Watanabe, Tatsuo; Asada, Makoto; et al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 85 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

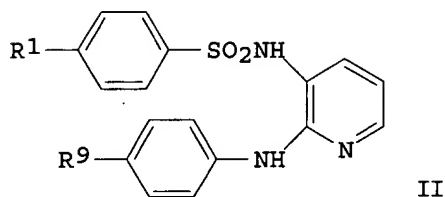
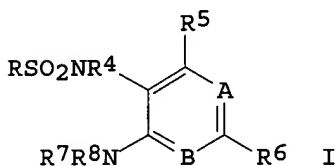
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 472053	A2	19920226	EP 1991-113256	19910807
EP 472053	A3	19940810		
EP 472053	B1	19980617		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
AT 167473	E	19980715	AT 1991-113256	19910807
US 5250549	A	19931005	US 1991-742618	19910808
JP 05039256	A2	19930219	JP 1991-199687	19910809
JP 2790926	B2	19980827		
FI 9103815	A	19920221	FI 1991-3815	19910812
HU 59663	A2	19920629	HU 1991-2676	19910812
NO 9103207	A	19920221	NO 1991-3207	19910816

NO 178695	B	19960205		
NO 178695	C	19960515		
AU 9182493	A1	19920227	AU 1991-82493	19910816
AU 636239	B2	19930422		
CA 2049496	AA	19920221	CA 1991-2049496	19910819
CA 2049496	C	19970204		
CN 1059519	A	19920318	CN 1991-105827	19910819
CN 1036650	B	19971210		
RU 2059615	C1	19960510	RU 1991-5001370	19910820
US 5292758	A	19940308	US 1992-923345	19920731
US 5332751	A	19940726	US 1993-85962	19930630
US 5434172	A	19950718	US 1994-231272	19940422
CN 1136036	A	19961120	CN 1995-103522	19950317
US 5610320	A	19970311	US 1995-450138	19950526
US 5610304	A	19970311	US 1995-453058	19950526
PRIORITY APPLN. INFO.:		JP 1990-218710		19900820
		JP 1991-38509		19910305
		JP 1991-121041		19910527
		US 1991-742618		19910808
		US 1992-923345		19920731
		US 1993-85962		19930630
		US 1994-231272		19940422

OTHER SOURCE(S):
GI

MARPAT 116:255492



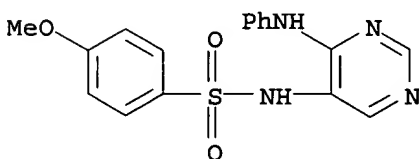
AB Title compds. [I; A = N, CH; B = N, CR10; R = (substituted) Ph; R4, R7, R10 = H, alkyl; R5, R6 = H, halo, alkoxy, (substituted) amino; R8 = C(:X)R11, (substituted) Ph, **pyridyl**; R11 = H, alkyl, NH2, alkoxy, etc.; X = O, S] were prepd. Thus, 2-chloro-3-nitropyridine was condensed with PhNH2 and the reduced product condensed with 4-MeC6H4SO2Cl to give title compd. II (R1 = Me, R9 = H). II (R1, R9 = MeO) gave 99% inhibition of colon 38 tumors in mice at 100 mg/kg/day orally for 21 days.

IT 141431-11-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antitumor agent)

RN 141431-11-0 CAPLUS

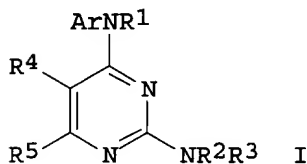
CN Benzenesulfonamide, 4-methoxy-N-[4-(phenylamino)-5-pyrimidinyl]- (9CI)
(CA INDEX NAME)



09/ 922,874

ACCESSION NUMBER: 1992:128961 CAPLUS
DOCUMENT NUMBER: 116:128961
TITLE: Preparation of diaminopyrimidines as gastric acid secretion inhibitors
INVENTOR(S): Ife, Robert John; Brown, Thomas Henry; Leach, Colin Andrew
PATENT ASSIGNEE(S): SmithKline Beecham Intercredit B. V., Neth.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118887	A1	19911212	WO 1991-EP1007	19910601
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9179716	A1	19911231	AU 1991-79716	19910601
PRIORITY APPLN. INFO.:			GB 1990-12592	19900606
			WO 1991-EP1007	19910601
OTHER SOURCE(S):	MARPAT 116:128961			
GI				

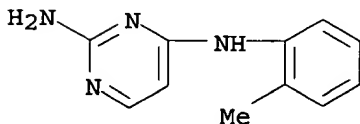


AB Title compds. I [each Ar = (substituted) Ph; R¹ = H, C1-4 alkyl; R², R³ = H, C1-4 alkyl, Ar; NR²R³ = (un)satd. heterocyclyl which may contain other hetero atoms; one of R⁴, R⁵ = H, C1-4 alkyl and the other = H, (substituted) C1-4 alkyl, NH₂, C1-4 alkanoyl, (CH₂)_mAr; m = 1-4; or R⁴R⁵ = atoms to complete a 5-6-membered (heterocyclyl) ring] were prepd. as H⁺/K⁺ ATPase inhibitors useful for inhibition of gastric acid secretion (no data). Thus, 2-amino-4-chloro-6-methylpyrimidine was mixed with excess o-toluidine at room temp. then heated for 2 h at 165.degree. to give 2-amino-4-methyl-6-[(2-methylphenyl)amino]pyrimidine.HCl after workup. I have IC₅₀'s of <50 .mu.M against H⁺/K⁺ATPase.

IT 139296-13-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as gastric acid secretion inhibitor)

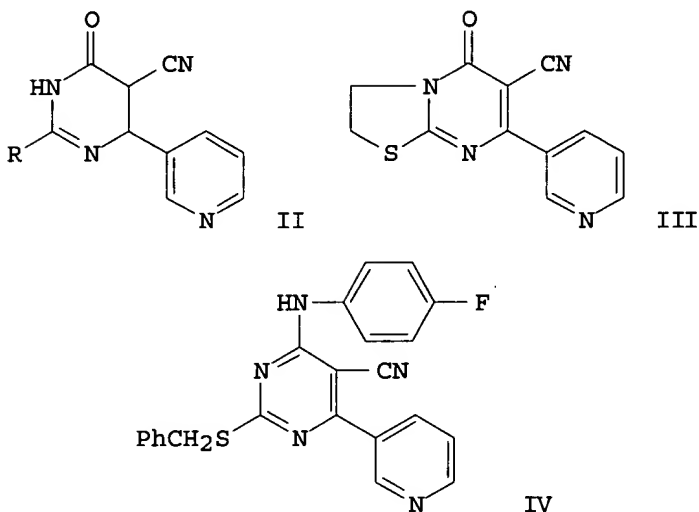
RN 139296-13-2 CAPLUS

CN 2,4-Pyrimidinediamine, N4-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 122 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:59167 CAPLUS
DOCUMENT NUMBER: 116:59167

TITLE: Chemotherapeutic agents. XXI. Synthesis of .pi.-deficient **pyrimidines** as leishmanicides
 AUTHOR(S): Ram, Vishnu J.
 CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, India
 SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1991), 324(11), 837-9
 CODEN: ARPMAS; ISSN: 0365-6233
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



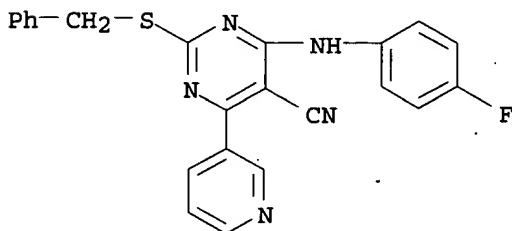
AB 5-Cyano-6-(3-pyridyl)-2-thiouracil (I) was prepd. from 3-pyridinecarboxaldehyde, thiourea, and Et cyanoacetate. Alkylation of I with mono- and dihaloalkanes under different conditions, gave alkylated derivs. e.g. II (R = MeS, PhCH₂S) and III. Halogenation of II (R = PhCH₂S) with POCl₃ followed by nucleophilic substitution with amines gave the corresponding amines, e.g. IV. Fusion of II (R = MeS) with arom. and heterocyclic amines at 160.degree. gave the substitution products e.g. II (R = 4-methylpiperazino). Some of the compds. were screened for antileishmanial activity but only one of them IV demonstrated very significant activity.

IT 138429-76-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and antileishmanial activity of)

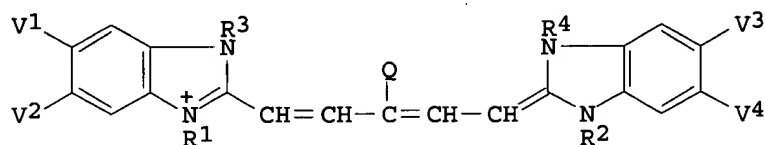
RN 138429-76-2 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-fluorophenyl)amino]-2-[(phenylmethyl)thio]-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

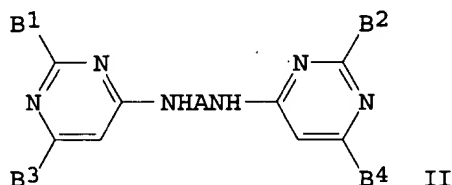


L7 ANSWER 123 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:691016 CAPLUS
 DOCUMENT NUMBER: 115:291016
 TITLE: Silver halide photographic emulsion
 INVENTOR(S): Takei, Haruo; Ikeda, Tadashi
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

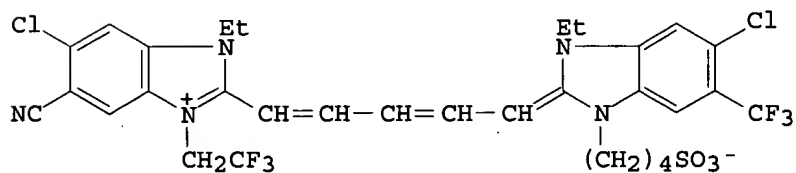
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03018841	A2	19910128	JP 1989-154059	19890616
PRIORITY APPLN. INFO.: GI			JP 1989-154059	19890616

(X⁻)_m

I



II



III

AB The title emulsion contains one or more sensitizing dye represented by I (R1-R4 = alkyl; V1-V4 = H, halo, alkyl, alkoxy, acyl, etc.; Q = H, alkyl, aralkyl; X⁻ = an anion; m = 0 or 1; m = 0 for inner salt) and one or more pyrimidine derivs. II (B1-B4 = H, alkyl, alkoxy, OH, etc.; A = a linking group consisting of at least one phenylene). The title emulsion has high sensitivity. Sensitizing dye III is an example of I.

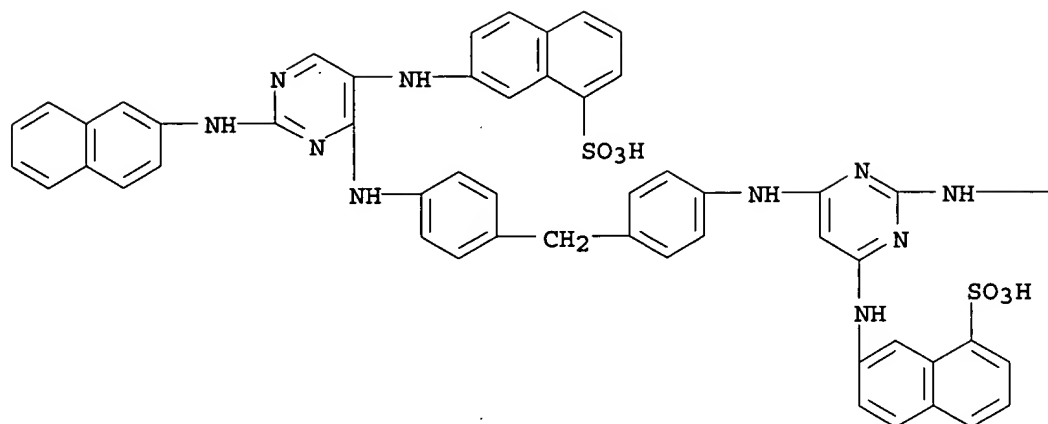
IT 137683-68-2

RL: USES (Uses)

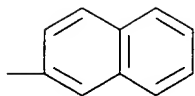
(silver halide photog. emulsions contg.)

RN 137683-68-2 CAPLUS

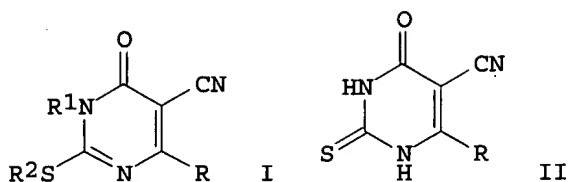
CN 1-Naphthalenesulfonic acid, 7,7'-[methylenebis[2,1-phenyleneimino[2-(2-naphthalenylamino)-4,5-pyrimidinediyl]imino]]bis-, disodium salt (9CI)
 (CA INDEX NAME)



●2 Na



L7 ANSWER 124 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:656109 CAPLUS
 DOCUMENT NUMBER: 115:256109
 TITLE: Chemotherapeutic agents. Part XXII. Synthesis of
 .pi.-deficient **pyrimidines** as leishmanicides
 AUTHOR(S): Ram, Vishnu Ji; Haque, Navedul; Guru, P. Y.; Shueb, A.
 CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226
 001, India
 SOURCE: Indian Journal of Chemistry, Section B: Organic
 Chemistry Including Medicinal Chemistry (1991),
 30B(10), 962-5
 CODEN: IJSBDB; ISSN: 0376-4699
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



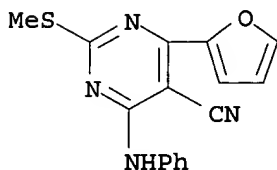
AB Mono and bicyclic **pyrimidines**, e.g. I [R = 2-furyl, PhCH:CH, R₁ = R₂ = Me, PhCH₂, etc.; R = 2-furyl, PhCH:CH, Et, R₁ = H, R₂ = Me, PhCH₂, 4-ClC₆H₄CH₂, etc.; R = 2-furyl, Et, R₁R₂ = (CH₂)₂, (CH₂)₃], were prepd. from .pi.-deficient precursors, **pyrimidinethiones** II, to evaluate their efficacy as leishmanicides against *Leishmania donovani*. Thus, alkylation of II (R = 2-furyl, Et) with (CH₂)_nBr₂ (n = 2, 3) gave I [R = 2-furyl, R₁R₂ = (CH₂)₂, (CH₂)₃; R = Et, R₁R₂ = (CH₂)₂]. I (R = 2-furyl, R₁ = H, R₂ = Me) exhibited up to 46% inhibition against *L. donovani*.

IT **137447-08-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 137447-08-6 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(2-furanyl)-2-(methylthio)-6-(phenylamino)-
(9CI) (CA INDEX NAME)



L7 ANSWER 125 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:550200 CAPLUS

DOCUMENT NUMBER: 115:150200

TITLE: Influence of some substituted aromatic amidines on monoamine oxidase activity

AUTHOR(S): Robev, S.; Tsanova, Ts.

CORPORATE SOURCE: Fac. Med., Sofia, 1431, Bulg.

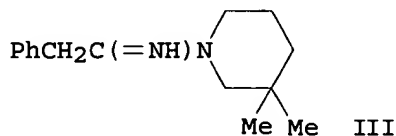
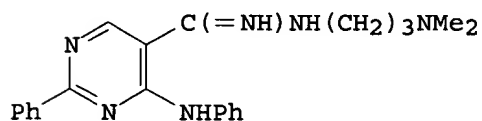
SOURCE: Dokladi na Bulgarskata Akademiya na Naukite (1991), 44(1), 67-9

CODEN: DBANEH; ISSN: 0861-1459

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

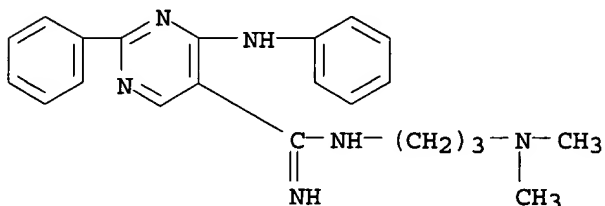


AB 2,6-R₂C₆H₄N:CR₁NH₂ (R = Cl, Me, Et, R₁ = 4-pyridyl; R = Me, R₁ = Ph; R = H, R₁ = substituted Ph), 4-R₂C₆H₄CH₂C(:NH)NHC₆H₄R₃-4 (I, R₂ = H, Cl; R₃ = H, F, Me), **pyrimidine** II, and piperidine III caused 30-80% inhibition of monoamine oxidase at 3 .times. 10⁻² M in vitro. I (R₂ = Cl, R₃ = Me) was most active.

IT **116749-74-7**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (monoamine oxidase-inhibiting activity of)

RN 116749-74-7 CAPLUS

CN 5-Pyrimidinecarboximidamide, N-[3-(dimethylamino)propyl]-2-phenyl-4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 126 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:207176 CAPLUS

DOCUMENT NUMBER: 114:207176

TITLE: Synthesis of 4-oxo-, 4-thioxo-, or 4-aminopyrimidines from 1,2,4-dithiazolium salts

AUTHOR(S): Briel, Detlef

CORPORATE SOURCE: Sekt. Biowissenschaft., Univ. Leipzig, Leipzig, 7010, Ger. Dem. Rep.

SOURCE: Liebig's Annalen der Chemie (1991), (4), 345-8
 CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:207176

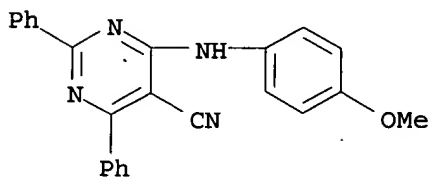
GI For diagram(s), see printed CA Issue.

AB NCCR:CR1NHCSR1 (R = CO₂Et, R₁ = Ph, 4-ClC₆H₄, 4-MeOC₆H₄, 4-MeC₆H₄, 3-MeC₆H₄; R = cyano, R₁ = Ph), prepd. from 1,2,4-dithiazolium salts and RCH₂CN, give **pyrimidines** I - III on treatment with secondary amines, R₂NH₂ (R₂ = Me, 1-naphthyl, 4-MeOC₆H₄), and NH₄OAc resp. A possible mechanism for these reactions is discussed.

IT **64499-36-1P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 64499-36-1 CAPLUS

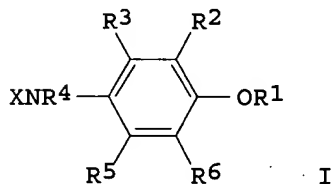
CN 5-Pyrimidinecarbonitrile, 4-[(4-methoxyphenyl)amino]-2,6-diphenyl- (9CI)
 (CA INDEX NAME)



09/ 922,874

DOCUMENT NUMBER: 114:185568
TITLE: Preparation of anti-inflammatory 4-(heterocyclylamino)phenol derivatives
INVENTOR(S): Bantick, John Raymond; Hardern, David Norman; Appleton, Richard Anthony; Dixon, John; Wilkinson, David John
PATENT ASSIGNEE(S): Fisons PLC, UK
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9014338	A1	19901129	WO 1990-GB762	19900517
W: AU, FI, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9056682	A1	19901218	AU 1990-56682	19900517
AU 630196	B2	19921022		
ZA 9003802	A	19910130	ZA 1990-3802	19900517
EP 425650	A1	19910508	EP 1990-908298	19900517
EP 425650	B1	19950809		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 06502384	T2	19940317	JP 1990-507734	19900517
JP 07116155	B4	19951213		
ES 2077066	T3	19951116	ES 1990-908298	19900517
RU 2049779	C1	19951210	RU 1990-4894663	19900517
CA 2017169	AA	19901120	CA 1990-2017169	19900518
HU 54119	A2	19910128	HU 1990-3094	19900518
HU 206323	B	19921028		
DD 300544	A5	19920617	DD 1990-340830	19900518
PL 164432	B1	19940729	PL 1990-285248	19900518
PL 164480	B1	19940831	PL 1990-289487	19900518
IL 94433	A1	19950315	IL 1990-94433	19900518
CZ 280637	B6	19960313	CZ 1990-2444	19900518
CN 1047497	A	19901205	CN 1990-103739	19900519
RO 105958	B1	19930130	RO 1990-145922	19900912
NO 9100198	A	19910312	NO 1991-198	19910117
US 5428044	A	19950627	US 1993-138375	19931015
PRIORITY APPLN. INFO.:			GB 1989-11654	A 19890520
			GB 1989-11655	A 19890520
			GB 1990-3044	A 19900210
			WO 1990-GB762	A 19900517
			US 1991-634182	B1 19910301
			US 1992-978041	B1 19921118
OTHER SOURCE(S):		MARPAT 114:185568		
GI				



AB The title compds. [I; R1 = C(O)YZ, SO2R10; Y = single bond, O, NH, alkylimino, CO; Z = H, alkyl, alkyl substituted by .ltoreq.1 substituents

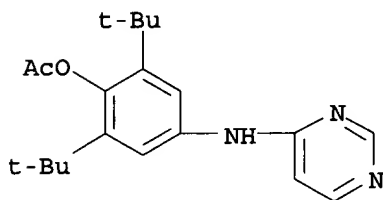
selected from OH, alkoxy, acyloxy, CO₂H, alkoxycarbonyl, (un)substituted CONH₂ or NH₂, heterocyclyl, (un)substituted aryl, etc.; R₁₀ = alkyl; R₂, R₃, R₅, R₆ = H, alkyl, alkoxy, halo; R₄ = H, alkyl; X = (un)substituted heterocyclyl] are prepd. as antiinflammatories (no data). Thus, acetylation of 2,6-dimethyl-4-nitrophenol with AcCl in CH₂Cl₂ contg. Et₃N followed by hydrogenation over PtO₂ in EtOH gave 4-amino-2,6-dimethylphenyl acetate which was refluxed with 3-amino-4,5-dihydro-1-phenyl-1H-pyrazole in PhMe contg. 4-MeC₆H₄SO₃H for 8 h to give 4-(4,5-dihydro-1-phenyl-1H-pyrazol-3-yl)amino-2,6-dimethylphenyl acetate. A total of 117 I contg. heterocycles, i.e., pyrazole, benzimidazole, quinoline, pyrimidine, pyrazine, oxazole, 1,2,3-triazole, pyridazine, imidazole, 1,2,4-thiadiazole, thiophene, isoxazole, 1,2,4-triazine, and 1,3,4-thiadiazole, were prepd.

IT 133356-05-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiinflammatory).

RN 133356-05-5 CAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-(4-pyrimidinylamino)-, acetate
(ester) (9CI) (CA INDEX NAME)



L7 ANSWER 128 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:164274 CAPLUS

DOCUMENT NUMBER: 114:164274

TITLE: Preparation of 4-(substituted amino)-
pyrimidinium salts as cardiovascular agents

INVENTOR(S): Hargreaves, Rodney Brian; Marshall, Paul William;
McLoughlin, Bernard Joseph; Mills, Stuart Dennett

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK

SOURCE: Brit. UK Pat. Appl., 77 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

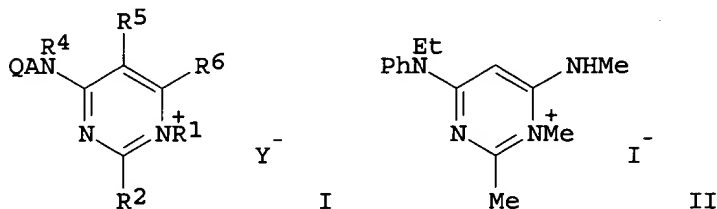
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2230527	A1	19901024	GB 1990-7964	19900409
GB 2230527	B2	19930505		
ZA 9002753	A	19901228	ZA 1990-2753	19900410
IL 94062	A1	19951127	IL 1990-94062	19900411
CA 2014457	AA	19901021	CA 1990-2014457	19900412
WO 9012790	A1	19901101	WO 1990-GB595	19900419
W: AU, BB, BG, FI, HU, JP, KR, LK, MC, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9054354	A1	19901116	AU 1990-54354	19900419
AU 635260	B2	19930318		
EP 422178	A1	19910417	EP 1990-906289	19900419
EP 422178	B1	19941005		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				

HU 56080	A2	19910729	HU 1990-3555	19900419
HU 209586	B	19940829		
JP 03505741	T2	19911212	JP 1990-506034	19900419
JP 2528218	B2	19960828		
DD 297406	A5	19920109	DD 1990-339897	19900419
ES 2064727	T3	19950201	ES 1990-906289	19900419
RU 2108329	C1	19980410	RU 1990-4894489	19900419
US 5223505	A	19930629	US 1990-513304	19900420
PL 165502	B1	19941230	PL 1990-284871	19900420
PL 165917	B1	19950331	PL 1990-301231	19900420
CN 1047080	A	19901121	CN 1990-103931	19900421
CN 1024793	B	19940601		
BR 9005295	A	19920421	BR 1990-5295	19901019
NO 9005519	A	19910220	NO 1990-5519	19901220
NO 177054	B	19950403		
FI 95377	B	19951013	FI 1990-6307	19901220
FI 95377	C	19960125		
PRIORITY APPLN. INFO.:			GB 1989-9054	A 19890421
			GB 1989-10548	A 19890508
			WO 1990-GB595	A 19900419

OTHER SOURCE(S): MARPAT 114:164274
GI



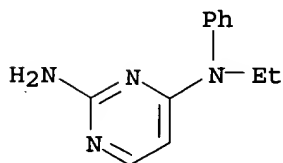
AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl(alkyl), phenyl(alkyl), 1 of R2, R6 = amino, pyrrolidino, piperidino, morpholino, the other = H, (alkoxy)alkyl, phenyl(alkyl), cycloalkyl(alkyl), alkenyl; R4 = H, cycloalkylalkyl, alkyl, alkenyl, alkynyl, phenylalkyl; or R4 = (substituted) alkylene or alkenylene bound to QA; R5 = H, alkyl, alkenyl; R5R6 = alkylene, atoms to complete a benzene ring; A = bond, (oxy)alkylene; Q = pyridyl, furyl, thienyl, Ph; Y = physiol. acceptable cation], were prepd. Thus, a mixt. of 4-chloro-2-methyl-6-methylaminopyrimidine and PhNHET were heated at 160.degree. for 3 h to give 2-methyl-6-methylamino-4-N-ethylanilinopyrimidine.HCl. The free base of the latter was refluxed with MeI in dioxane to give title compd. II which in rats had an ED30 of 0.3 mg/kg i.v. for bradycardic activity.

IT 108668-71-9P

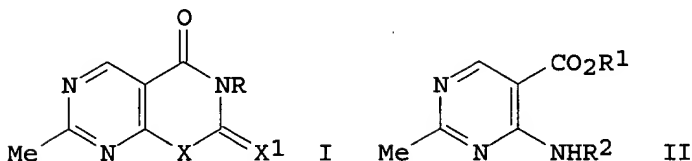
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for bradycardic)

RN 108668-71-9 CAPLUS

CN 2,4-Pyrimidinediamine, N4-ethyl-N4-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 129 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:122247 CAPLUS
 DOCUMENT NUMBER: 114:122247
 TITLE: Syntheses and properties of selected derivatives of
pyrimido[5,4-e]-1,3-thiazine and
pyrimido[4,5-d]pyrimidine
 AUTHOR(S): Malinka, Wieslaw; Zawisza, Tadeusz; Zajac, Helena E.
 CORPORATE SOURCE: Dep. Chem. Drugs, Sch. Med., Wroclaw, 50-137, Pol.
 SOURCE: Archivum Immunologiae et Therapiae Experimentalis
 (1989), 37(3-4), 487-97
 CODEN: AITEAT; ISSN: 0004-069X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



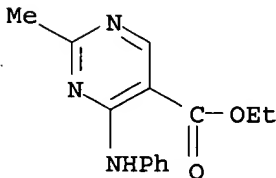
AB In the reactions of Et 4-chloro- and 4-tosyloxy-2-methylpyrimidine-5-carboxylate with differently substituted thioureas, new derivs. of 2,3-dihydro-1-methylpyrimido[5,4-e]-1,3-thiazine I (R = H, Et, COMe; X = S; X1 = NH, NMe, NCH2CH:CH2, NEt) and of 7-methyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidine I (R = H, CH2CH2NEt2; X = NPh; X1 = S) were obtained. Derivs. of 4-phenylamino-2-methylpyrimidine-5-carboxylic acid II (R1 = H, Et; R2 = Ph, 2,6-Me2C6H3, 3-ClC6H4) were also synthesized. In the screening pharmacol. examns. some of the compds., e.g. I (R = H, X = S, X1 = NCH2CH:CH2) and I (R = CH2CH2NEt2, X = NPh, X1 = S), revealed analgesic, antiinflammatory and immunosuppressive activity.

IT 69731-60-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and acid hydrolysis of)

RN 69731-60-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-methyl-4-(phenylamino)-, ethyl ester (9CI)
 (CA INDEX NAME)



L7 ANSWER 130 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:102034 CAPLUS
 DOCUMENT NUMBER: 114:102034
 TITLE: Preparation of phenylaminopyrimidines as fungicides
 INVENTOR(S): Hubele, Adolf

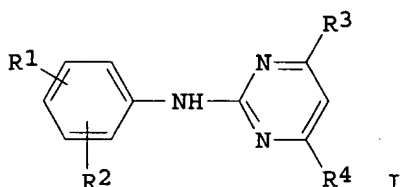
09/ 922,874

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 31 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 388838	A2	19900926	EP 1990-105116	19900319
EP 388838	A3	19910327		
EP 388838	B1	19960110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 95376	B	19951013	FI 1990-1370	19900319
FI 95376	C	19960125		
ES 2081863	T3	19960316	ES 1990-105116	19900319
CA 2012566	AA	19900922	CA 1990-2012566	19900320
DD 293115	A5	19910822	DD 1990-338905	19900320
US 5075316	A	19911224	US 1990-496480	19900320
IL 93813	A1	19940731	IL 1990-93813	19900320
AU 9052114	A1	19900927	AU 1990-52114	19900321
AU 632319	B2	19921224		
HU 53490	A2	19901128	HU 1990-1637	19900321
ZA 9002166	A	19901228	ZA 1990-2166	19900321
BR 9001304	A	19910402	BR 1990-1304	19900321
JP 02286666	A2	19901126	JP 1990-73179	19900322
JP 3002786	B2	20000124		

PRIORITY APPLN. INFO.: CH 1989-1073 A 19890322
 CH 1989-3771 A 19891017
 IL 1988-86666 A0 19880609

OTHER SOURCE(S): MARPAT 114:102034
 GI



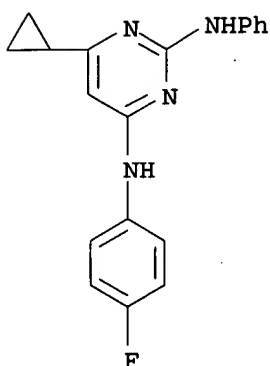
AB Phenylaminopyrimidines I [R1, R2 = H, halo, (halo) C1-3 alkoxy, C1-3 alkyl, C1-2 haloalkyl; R3 = (Me or halo) C3-6 cycloalkyl; R4 = halo, thiocyno, (substituted) NH2, OH, or SH, etc.], useful as fungicides, were prepd. Thus, cyclocondensation of phenylguanidine hydrogen carbonate with Et 3-cyclopropyl-3-oxopropionate in refluxing EtOH gave I (R1, R2 = H, R3 = cyclopropyl, R4 = OH), which reacted with POCl3 to give I (R4 = Cl, others as given) (II). In a preventive test, application of II (0.006%, foliar) gave 95-100% control of Venturia inaequalis on apples. A no. of agrochem. formulations were prepd.

IT 132218-06-5P

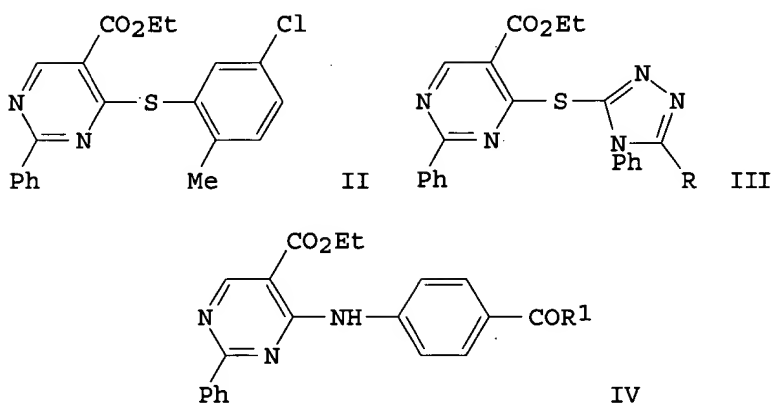
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as fungicide)

RN 132218-06-5 CAPLUS

CN 2,4-Pyrimidinediamine, 6-cyclopropyl-N4-(4-fluorophenyl)-N2-phenyl- (9CI)
 (CA INDEX NAME)



L7 ANSWER 131 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:101905 CAPLUS
 DOCUMENT NUMBER: 114:101905
 TITLE: Synthesis of certain mercapto- and aminopyrimidine derivatives as potential antimicrobial agents
 AUTHOR(S): El-Kerdawy, M. M.; Eisa, H. M.; El-Emam, A. A.; Massoud, M. A.; Nasr, M. N.
 CORPORATE SOURCE: Fac. Pharm., Univ. Mansoura, Mansoura, Egypt
 SOURCE: Archives of Pharmacal Research (1990), 13(2), 142-6
 CODEN: APHRDQ; ISSN: 0253-6269
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Reaction of Et 4-chloro-2-phenylpyrimidine-4-carboxylate (I) with 5-chloro-2-methylthiophenol or 3-aryl-4-phenyl-1,2,4-triazole-5-thiols yielded the corresponding thioethers II and III (R = 4-pyridyl, 2-thienyl). Careful alk. hydrolysis of II yielded the corresponding carboxylic acid. Reaction of I with p-aminoacetophenone yielded compd. IV (R1 = Me), which reacted with arom. aldehydes to afford the .alpha.,.beta.-unsatd. ketones IV (R1 = CH:CHC6H4R2; R2 = 2-Cl, 4-Cl, 3-Br, 4-Br, 4-NO2) (V). Condensation of I with malononitrile or phenylhydrazine yielded the corresponding 2-amino-3-cyanopyridines or the 2-pyrazolines, resp. Seven representative compds. were tested for their in vitro antimicrobial activity against some pathogenic bacteria and fungi.

IT 132165-77-6P

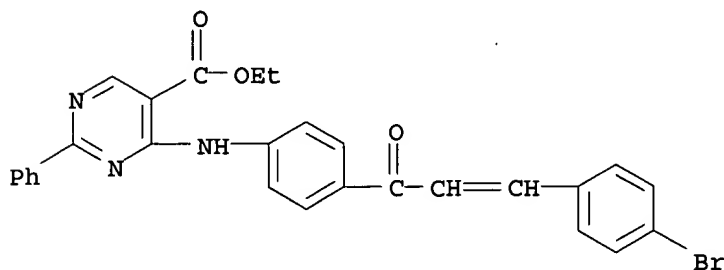
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bactericidal and fungicidal activities of)

RN 132165-77-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[4-[3-(4-bromophenyl)-1-oxo-2-propenyl]phenyl]amino]-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 132 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:64114 CAPLUS

DOCUMENT NUMBER: 114:64114

TITLE: Synthesis and application of reactive dyes with heterocyclic reactive systems

AUTHOR(S): Lehr, F.

CORPORATE SOURCE: Chem. Div., Sandoz Ltd., Basel, CH-4002, Switz.

SOURCE: Dyes and Pigments (1990), 14(4), 239-63, 2 plates

CODEN: DYPIDX; ISSN: 0143-7208

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Reactive dyes based on triazine or **pyrimidine** with chromophoric substituents were synthesized and used for dyeing cotton according to a uniform dyeing process. Parameters such as the most favorable dyeing temps. and exhaust and fixing values were detd. The stabilities of bonding between dyes and fiber under both acidic and alk. conditions were estd. Among the triazine dyes, the highest av. relative fixation values (70%) were exhibited by the 2-aryl and 2-heteroaryl derivs. Among the reactive dyes based on **pyrimidine**, the 5-cyano-2,4-dichloro derivs. had an even higher level with 73%, while the 2,4-difluoropyrimidines had the highest level with 84%. The 2,4-difluoropyrimidine dyes also had the best overall hydrolysis fastness properties, showing that the dye-fiber bonds are stable under both acid and alk. conditions.

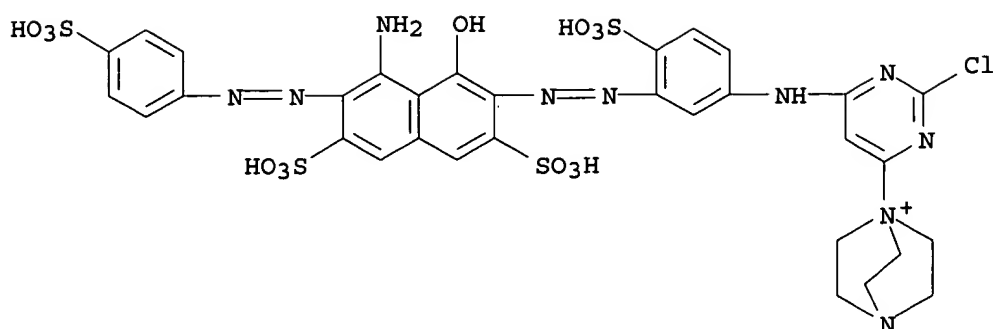
IT 131716-70-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

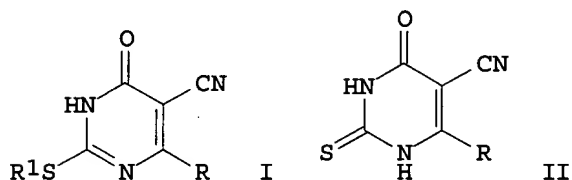
(prepn. and dye fixation of, on cotton, structure effect on)

RN 131716-70-6 CAPLUS

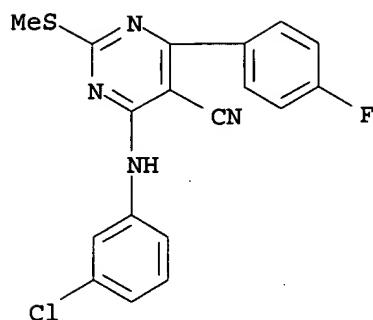
CN 4-Aza-1-azoniabicyclo[2.2.2]octane, 1-[6-[[3-[[8-amino-1-hydroxy-3,6-disulfo-7-[(4-sulfophenyl)azo]-2-naphthalenyl]azo]-4-sulfophenyl]amino]-2-chloro-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 133 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:42726 CAPLUS
 DOCUMENT NUMBER: 114:42726
 TITLE: Chemotherapeutic agents. XVIII. Synthesis of
 .pi.-deficient **pyrimidines** and fused
pyrimidines as leishmanicidal agents
 AUTHOR(S): Ram, Vishnu J.
 CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, India
 SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1990),
 323(11), 895-9
 CODEN: ARPMAS; ISSN: 0365-6233
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 114:42726
 GI



AB About 40 title compds., e.g., I (R = substituted Ph, R1 = Me, CH2CO2Et, CH2CN, etc.) were prepd. by, e.g., treating thiones II with R2X (X = halo). Some of the compds. screened as leishmanicides did not exhibit any significant activity.
 IT **131364-65-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 131364-65-3 CAPLUS
 CN 5-Pyrimidinecarbonitrile, 4-[(3-chlorophenyl)amino]-6-(4-fluorophenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

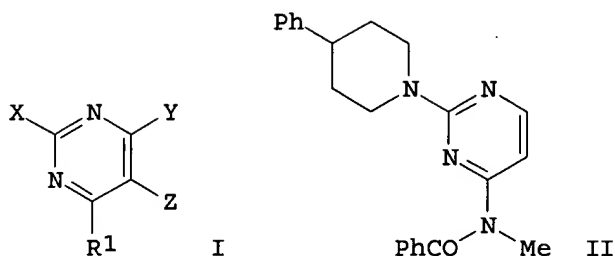


L7 ANSWER 134 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:23983 CAPLUS
 DOCUMENT NUMBER: 114:23983
 TITLE: Preparation of 2-aminopyrimidines as nervous system agents
 INVENTOR(S): Tomino, Ikuo; Takesue, Mitsuyuki; Kihara, Noriaki; Kitahara, Takumi; Awaya, Akira; Horikomi, Kazutoshi; Sasaki, Tadayuki; Mizuchi, Akira
 PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan; Mitsui Pharmaceuticals, Inc.
 SOURCE: Eur. Pat. Appl., 154 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 379806	A2	19900801	EP 1989-313595	19891227
EP 379806	A3	19910529		
EP 379806	B1	19960410		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 02221275	A2	19900904	JP 1989-41729	19890223
HU 52769	A2	19900828	HU 1989-6762	19891222
HU 206337	B	19921028		
HU 61288	A2	19921228	HU 1992-1488	19891222
HU 209574	B	19940829		
HU 61293	A2	19921228	HU 1992-1485	19891222
HU 210001	B	19950130		
HU 61313	A2	19921228	HU 1992-1487	19891222
HU 209594	B	19940829		
JP 03014568	A2	19910123	JP 1989-334759	19891226
JP 2744663	B2	19980428		
EP 612746	A1	19940831	EP 1994-105018	19891227
R: DE, FR, GB, IT				
AT 136542	E	19960415	AT 1989-313595	19891227
AU 8947329	A1	19900705	AU 1989-47329	19891228
AU 629595	B2	19921008		
CA 2006944	AA	19900629	CA 1989-2006944	19891229
CN 1045390	A	19900919	CN 1989-109731	19891229
CN 1037513	B	19980225		
US 5147876	A	19920915	US 1989-459376	19891229
US 5264435	A	19931123	US 1992-888726	19920526
CN 1090846	A	19940817	CN 1993-119388	19931021
PRIORITY APPLN. INFO.:				
			JP 1988-333670	19881229
			JP 1989-41728	19890223
			JP 1989-41729	19890223

HU 1989-6762 19891222
 EP 1989-313595 19891227
 US 1989-459376 19891229

OTHER SOURCE(S): MARPAT 114:23983
 GI



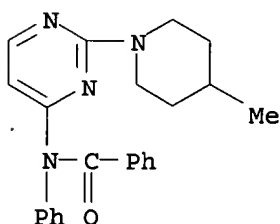
AB The title compds. [I; R1 = H, alkyl; X = morpholino, (substituted) **pyrrolidino**, piperidino, azepino, piperazino, tetrahydroquinolinyl, tetrahydroisoquinolinyl, etc.; Y = amino, **pyridin-4-ylcarbonyl**, piperidinyl-N-carbonyl, phenylcarbonyl, benzoyl, phthalimido, etc., CH2R2; R2 = H, alkyl, alkoxy, alkylthio, dialkylamino; Z = H, halo, alkyl, alkoxycarbonyl], were prepd. Thus MeNH2 in MeOH was added to 2,4-dichloropyrimidine in CH2Cl2 at 5.degree. followed by stirring for 12 h at room temp. to give 2-chloro-4-methylaminopyrimidine. The latter was heated with 4-phenylpiperidine in BuOH at 130.degree. for 1 h to give 4-methylamino-2-(4-phenylpiperidino) **pyrimidine**. The latter in THF contg. Et3N was treated with PhCOCl in THF and then with **pyridine**. The mixt. was stirred 2 days to give 70% title compd. II. I increased twitch tension in rats with crushed sciatic nerves from 33.3% of normal (controls) to 48.1-51.2% at 10-30 ng/kg i.p. daily over 30 d.

IT 131037-59-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as nervous system agent)

RN 131037-59-7 CAPLUS

CN Benzamide, N-[2-(4-methyl-1-piperidinyl)-4-pyrimidinyl]-N-phenyl- (9CI)
 (CA INDEX NAME)

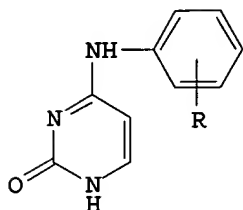


L7 ANSWER 135 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:23667 CAPLUS
 DOCUMENT NUMBER: 114:23667
 TITLE: The efficient method of synthesis of 4-N-arylcytosines
 AUTHOR(S): Spychala, Jaroslaw; Golankiewicz, Krzysztof
 CORPORATE SOURCE: Fac. Chem., Adam Mickiewicz Univ., Poznan, 60-780, Pol.
 SOURCE: Synthetic Communications (1990), 20(13), 1899-904
 CODEN: SYNCAV; ISSN: 0039-7911
 DOCUMENT TYPE: Journal

09/ 922,874

LANGUAGE:
GI

English



I

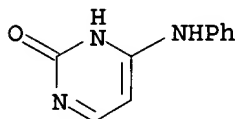
AB 4-(Methylthio)pyrimidin-2(1H)-one was treated with arylamines in diglyme to give 75-95% N-arylcytosines I (R = H, 2-Me, 3-Me, 4-Me, 2-Cl, 3-Cl, 4-Cl, 2,3-CH:CHCH:CH, 3,4-CH:CHCH:CH).

IT 29840-44-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 29840-44-6 CAPLUS

CN 2(1H)-Pyrimidinone, 4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 136 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1990:514920 CAPLUS

DOCUMENT NUMBER: 113:114920

TITLE: Purines. IX. Reaction of 9-phenyl
-9H-purine-2-carbonitriles with Grignard reagents

AUTHOR(S): Tanji, Kenichi; Higashino, Takeo

CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan

SOURCE: Heterocycles (1990), 30(1, Spec. Issue), 435-40

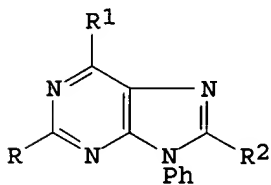
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:114920

GI



I

AB The Pd-catalyzed cross-coupling reaction of chlorophenylpurines I (R = Cl,

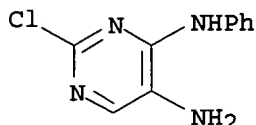
R1 = H, Me, R2 = H, Ph; R = H, Me, R1 = Cl, R2 = H, Ph) with KCN proceeded to give purinecarbonitriles I (R = cyano, R1 = H, Me, R2 = H, Ph; R = H, Me, R1 = cyano, R2 = H, Ph). The conversion of I (R = cyano) into I (R = Ac, COEt, Bz) was achieved by treatment with Grignard reagents.

IT 89660-19-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, with orthobenzoate)

RN 89660-19-5 CAPLUS

CN 4,5-Pyrimidinediamine, 2-chloro-N4-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 137 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1990:497871 CAPLUS

DOCUMENT NUMBER: 113:97871

TITLE: A novel base-catalyzed carbon-nitrogen bond fission in some heterocycles

AUTHOR(S): Lal, Bansi; Gidwani, Ramesh M.; De Souza, Noel J.

CORPORATE SOURCE: Hoechst Cent. Basic Res., Hoechst India Ltd., Mulund, 400 080, India

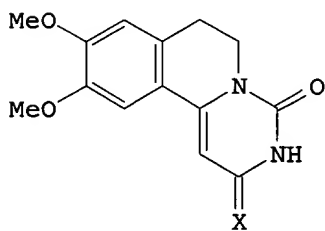
SOURCE: Journal of Organic Chemistry (1990), 55(17), 5117-24
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

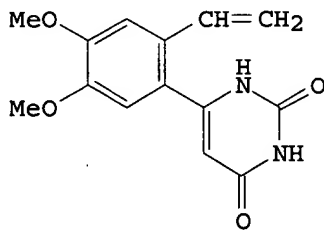
LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:97871

GI



I



II

AB N heterocycles bearing a nonbasic N atom and other defined structural features as exemplified by 9,10-dimethoxy-3,4,6,7-tetrahydro-2H-pyrimido[6,1-a]isoquinoline-2,4-dione (I), 8-oxypseudopalmitine, 8-oxypseudoberberine, rutaecarpine, and related systems, on heating with excess NaH in polar aprotic solvents, undergo a facile C-N bond cleavage reaction to give new N heterocycles with an arylvinyl group as one of the substituents. The nature, scope, postulated mechanism, and limitations of this novel C-N bond cleavage reaction are described. Thus, cleavage of I with NaH in DMF gave vinyl deriv. 50% II.

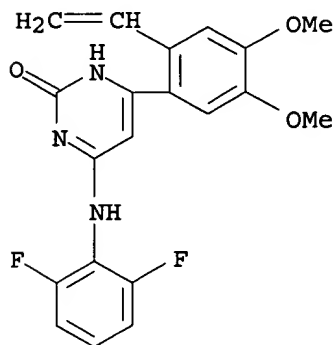
IT 127819-62-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

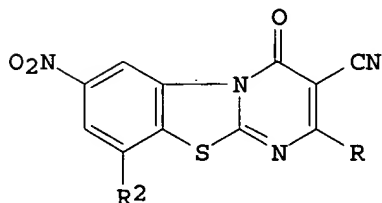
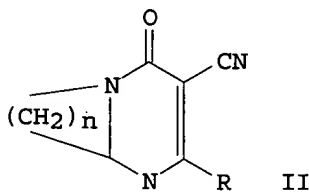
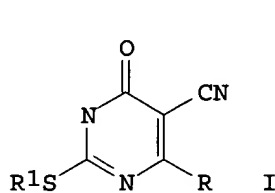
RN 127819-62-9 CAPLUS

CN 2(1H)-Pyrimidinone, 4-[(2,6-difluorophenyl)amino]-6-(2-ethenyl-4,5-

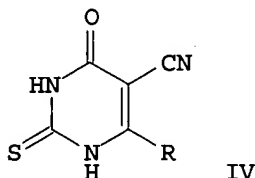
dimethoxyphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 138 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1990:497564 CAPLUS
 DOCUMENT NUMBER: 113:97564
 TITLE: Chemotherapeutic agents. XII. Synthesis of
pyrimidines and fused **pyrimidines** as
 leishmanicides and herbicides
 AUTHOR(S): Ram, Vishnu Ji
 CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226
 001, India
 SOURCE: Journal fuer Praktische Chemie (Leipzig) (1989),
 331(6), 893-905
 CODEN: JPCEAO; ISSN: 0021-8383
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 113:97564
 GI



III



IV

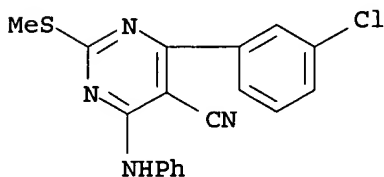
AB Title compds. e.g., **pyrimidines** I (R = 3-ClC6H4, 4-ClC6H4, 3-FC6H4; R1 = alkyl, CH2CONH2, CH2:CHCH2, HC.tplbond.CCH2w, PhCH2, 3,4-Cl2C6H2CH2, 4-O2NC6H4CH2, CH2CO2Et, etc), bicyclic **pyrimidines** II (n = 2, 3), and tricyclic **pyrimidines** III (R2 = H, NO2) were prepd. from thiouracils IV. I (R1 = Me) showed leishmanicidal activity whereas I (R1 = CH2CONH2) showed herbicidal activity.

IT 128641-34-9P

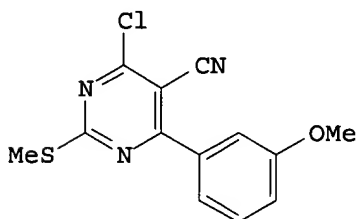
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

09/ 922,874

RN 128641-34-9 CAPLUS
CN 5-Pyrimidinecarbonitrile, 4-(3-chlorophenyl)-2-(methylthio)-6-(phenylamino)- (9CI) (CA INDEX NAME)



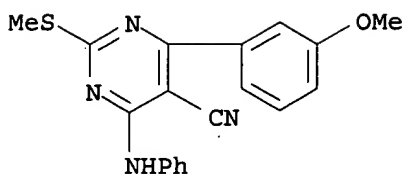
L7 ANSWER 139 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:478321 CAPLUS
DOCUMENT NUMBER: 113:78321
TITLE: Chemotherapeutic agents. XVI. Synthesis of
pyrimidines and fused **pyrimidines** as
leishmanicides
AUTHOR(S): Ram, Vishnu J.
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226
001, India
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1989),
331(6), 957-63
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:78321
GI



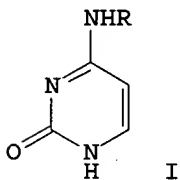
I

AB Various mono and bicyclic **pyrimidine** analogs were prepd. as
leishmanicides from 6-aryl-4-chloro-2-methylthiopyrimidine-5-carbonitrile,
obtained from the halogenation of 6-aryl-3,4-dihydro-2-methylthio-4-
oxypyrimidine-6-carbonitrile. **Pyrimidine I** showed
leishmanicidal activity in hamster against *L. donovani*.

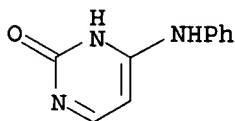
IT **128640-81-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 128640-81-3 CAPLUS
CN 5-Pyrimidinecarbonitrile, 4-(3-methoxyphenyl)-2-(methylthio)-6-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 140 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1990:440293 CAPLUS
 DOCUMENT NUMBER: 113:40293
 TITLE: Stabilization of even-electron ions in
 4-amino-substituted cytosines. Appearance of the
 strong ortho effect between an aryl substituent and
 the **pyrimidinyl** ring
 AUTHOR(S): Plaziak, Adam S.; Sychala, Jaroslaw; Golankiewicz,
 Krzysztof
 CORPORATE SOURCE: Fac. Chem., Adam Mickiewicz Univ., Poznan, 60-780,
 Pol.
 SOURCE: Organic Mass Spectrometry (1989), 24(12), 1045-50
 CODEN: ORMSBG; ISSN: 0030-493X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The mass fragmentation of N4-arylcytosine derivs. I (R = Ph, MeC6H4,
 ClC6H4, CH2Ph, 2-naphthyl) was investigated and it was found
 that the ortho effect is mainly responsible for the strong stabilization
 of even-electron ions formed during fragmentation. The ortho effect in
 this class of compds. completely eliminates other possible fragmentation
 patterns. This effect disappears when the aryl substituent is sepd. from
 the 4-amino group of the cytosine moiety by a methylene group.
 IT 29840-44-6
 RL: PRP (Properties)
 (mass spectrum of)
 RN 29840-44-6 CAPLUS
 CN 2(1H)-Pyrimidinone, 4-(phenylamino) - (9CI) (CA INDEX NAME)

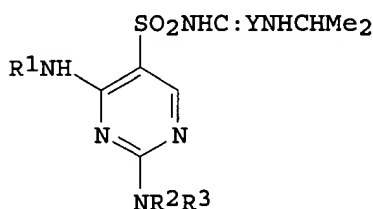


09/ 922,874

ACCESSION NUMBER: 1990:55912 CAPLUS
DOCUMENT NUMBER: 112:55912
TITLE: Diuretic and antihypertensive substituted-5-
pyrimidinesulfonylureas
INVENTOR(S): Dolak, Terence M.; Lee, Sung J.; Bullington, James L.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4853389	A	19890801	US 1988-186499	19880426
US 4914203	A	19900403	US 1989-333476	19890405
PRIORITY APPLN. INFO.:			CA 1987-542916	19870724
			US 1988-186499	19880426

OTHER SOURCE(S): MARPAT 112:55912
GI



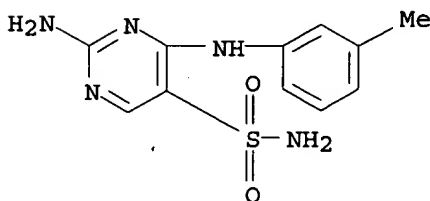
AB Title compds. (R₁ = C₃-6 alkyl, alkylene, C₄-10 cycloalkyl, bicycloalkyl, tricycloalkyl, alicycloalkyl, (un)substituted Ph; R₂, R₃ = H, Me; Y = O, S) or a pharmaceutically acceptable salt thereof, are prepd. To N-[[[(1-methylethyl)amino]carbonyl]-4-(methylsulfinyl)-2-amino-5-**pyridinesulfonamide** (prepn. given) in EtOH was added exo-2-aminonorbornane to give 48% exo-I [R₁ = bicyclo[2.2.1]hept-2-yl, R₂, R₃ = H, Y = O] (II). In rat II had a dose-dependent diuretic-natriuretic response at 1.88-60 mg/kg, and as an antihypertensive this compd. shows activity in spontaneously-hypertensive rat and the DOCA-hypertensive rat.

IT 124788-50-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and addn. of, to iso-Pr isocyanate)

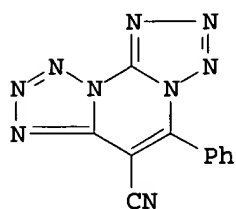
RN 124788-50-7 CAPLUS

CN 5-Pyrimidinesulfonamide, 2-amino-4-[(3-methylphenyl)amino]- (9CI) (CA
INDEX NAME)

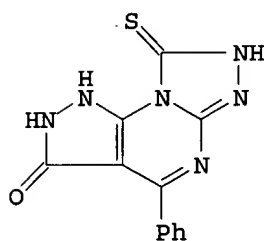


L7 ANSWER 142 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:35791 CAPLUS
DOCUMENT NUMBER: 112:35791

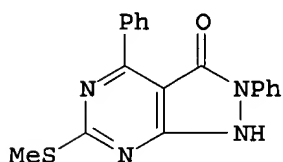
TITLE: Reactions with 2-(methylthio)pyrimidin s.
 Synthesis of some new fused pyrimidines
 AUTHOR(S): El-Reedy, A. M.; Hussain, S. M.; Ali, A. S.;
 Abdel-Motty, F.
 CORPORATE SOURCE: Fac. Sci., Univ. Cairo, Giza, Egypt
 SOURCE: Phosphorus, Sulfur and Silicon and the Related
 Elements (1989), 42(3-4), 231-6
 CODEN: PSSLEC; ISSN: 1042-6507
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 112:35791
 GI



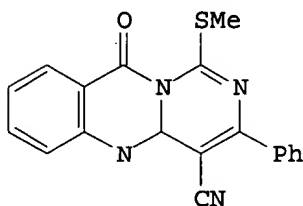
II



III



IV



V

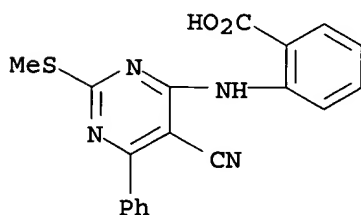
AB 4-Chloro-2-methylthio-6-phenylpyrimidine-5-carbonitrile (I) reacts with N_2H_4 to yield the 2,4-dihydrazino deriv., which reacts with HNO_2 to give ditetrazolopyrimidine II, and with CS_2 to form pyrazolo[3,4-d]-s-triazolo[3,4-b]pyrimidine III. The reaction of I with PhNHNH_2 affords directly the pyrazolo[4,3-d]pyrimidine IV. Also, I reacted with anthranilic acid to form 2-methylthio-4-phenyl-6-(o-carboxyphenylamino)pyrimidine-5-carbonitrile, which was cyclized into pyrimidoquinazoline V by heating with Ac_2O .

IT 124598-30-7P

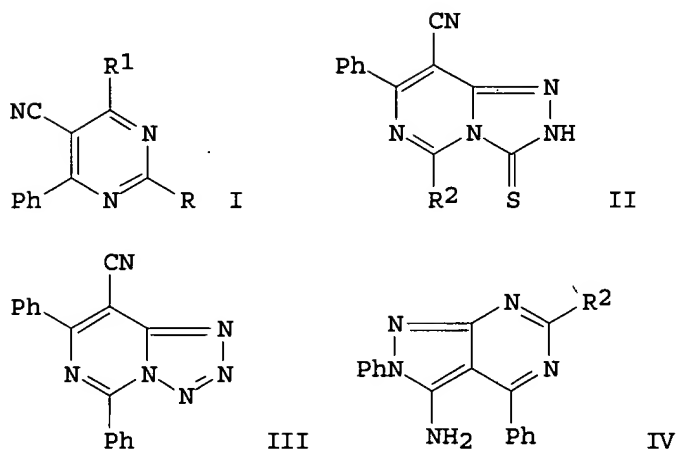
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and cyclization of, with acetic anhydride,
 pyrimidoquinazoline deriv. from)

RN 124598-30-7 CAPLUS

CN Benzoic acid, 2-[[5-cyano-2-(methylthio)-6-phenyl-4-pyrimidinyl]amino]-
 (9CI) (CA INDEX NAME)



L7 ANSWER 143 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1989:515128 CAPLUS
 DOCUMENT NUMBER: 111:115128
 TITLE: Azolopyrimidines and **pyrimidoquinazolines**
 from 4-chloropyrimidines
 AUTHOR(S): El-Reedy, A. M.; Ali, A. S.; Ayyad, A. O.
 CORPORATE SOURCE: Fac. Sci., Univ. Cairo, Giza, Egypt
 SOURCE: Journal of Heterocyclic Chemistry (1989), 26(2),
 313-16
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 111:115128
 GI



AB 5-Cyano-3,4-dihydro-6-**phenyl**-2-substituted **pyrimidinones** reacted with phosphorus oxychloride to give the corresponding 4-chloropyrimidine derivs. I (R = Ph, NHPh, NHCH₂Ph, R₁ = Cl). Compds. I (R₁ = Cl) reacted with aniline and hydrazine to yield I (R = Ph, NHPh, NHCH₂Ph; R₁ = NHPh, NHH₂). The hydrazino derivs. could be converted into the triazolo- and tetrazolopyrimidines II (R₂ = Ph, NHCH₂Ph) and III by the action of CS₂ and nitrous acid, resp. The reaction of I (R = NHPh, NHCH₂Ph; R₁ = Cl) with phenylhydrazine afforded directly the 5-amino-4,6-diphenyl-6H-2-substituted pyrazolopyrimidines IV (same R₂). The 4-chloro deriv. I (R = Ph, R₁ = Cl) reacted with anthranilic acid to form the 5-cyano-2,4-diphenyl-6-(o-carboxyphenylamino)**pyrimidine**, which could be cyclized into the 4-cyano-1,3-diphenyl-10H-**pyrimido**[6,1-b]quinazolin-10-one by heating with acetic anhydride.

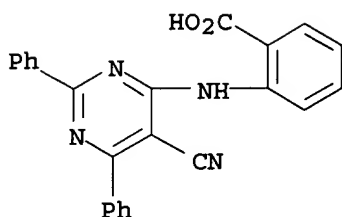
IT 122379-76-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and intramol. cyclocondensation reaction of, cyanopyrimidoquinazolinone from)

RN 122379-76-4 CAPLUS

CN Benzoic acid, 2-[(5-cyano-2,6-diphenyl-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 144 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1989:478021 CAPLUS
 DOCUMENT NUMBER: 111:78021
 TITLE: Substituted **thienoimidazole** derivatives,
 process for their preparation, pharmaceutical
 compositions containing them and their use as gastric
 secretion inhibitors, gastric protecting agents, and
 as medicaments against intestinal inflammation
 INVENTOR(S): Nimmesgern, Hildegard; Weidmann, Klaus; Lang, Hans
 Jochen; Rippel, Robert; Herling, Andreas W.
 PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
 SOURCE: Eur. Pat. Appl., 71 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 299389	A2	19890118	EP 1988-110991	19880709
EP 299389	A3	19900530		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3723327	A1	19890202	DE 1987-3723327	19870715
FI 8803342	A	19890116	FI 1988-3342	19880713
US 4956366	A	19900911	US 1988-218386	19880713
DK 8803937	A	19890116	DK 1988-3937	19880714
NO 8803145	A	19890116	NO 1988-3145	19880714
NO 167980	B	19910923		
NO 167980	C	19920102		
AU 8819032	A1	19890119	AU 1988-19032	19880714
AU 618540	B2	19920102		
JP 01031785	A2	19890202	JP 1988-173859	19880714
ZA 8805093	A	19890329	ZA 1988-5093	19880714
HU 201078	B	19900928	HU 1988-3709	19880715
PRIORITY APPLN. INFO.:			DE 1987-3723327	19870715

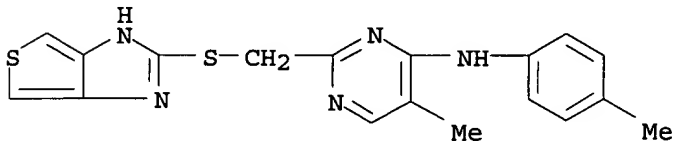
OTHER SOURCE(S): CASREACT 111:78021; MARPAT 111:78021

GI For diagram(s), see printed CA Issue.

AB **Thienoimidazoles** I [A = Q; Q1, Q2; T = S, SO, SO2; R1, R2 = H, halo, cyano, NO2, CF3, alkyl, etc.; R1R2 = (CH2)n, CH:CHCH:CH, their O, S, SO, or SO2 analogs when A = Q, Q2; R3 = H, alkanoyl, alkylcarbamoyl, cleavable N-protective group; R4, R5 = H, alkyl; X = N, Y = CR6 or X = CR6, Y = N; R6 = H, halo, alkyl, CF3 aryl, etc.; Z = NR7R8, OR10, SR10; R7, R8 = H, alkyl, aryl, aralkyl, cycloalkyl; NR7R8 = azetidino, **pyrrolidino**, piperidino, (N-alkyl) piperazino, morpholino, (un)substituted with alkyl; R9 = H, halo, alkyl, alkoxy, PhCH2O, alkoxyalkyl; n = 3,4] and their physiol. tolerable salts, useful as stomach acid secretion inhibitors, stomach protectants, and drugs for treating intestinal inflammation (no data), were prepd.
 2-(4-Morpholino-2-pyrimidinylmethylsulfinyl)-1H-thieno [3,4-d]imidazole Na salt was prepd. in 7 steps from MeOCH2C(:NH)NH2 and

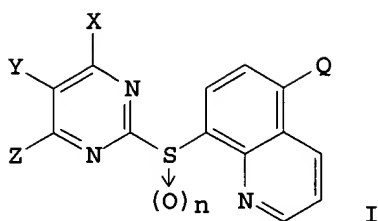
09/ 922,874

HCOCH₂CO₂Et Na salt in H₂O at room temp.
IT 121242-29-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as stomach acid secretion inhibitor)
RN 121242-29-3 CAPLUS
CN 4-Pyrimidinamine, 5-methyl-N-(4-methylphenyl)-2-[(1H-thieno[3,4-d]imidazol-2-ylthio)methyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 145 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1989:231662 CAPLUS
DOCUMENT NUMBER: 110:231662
TITLE: Preparation of antiulcer 8-(2-pyrimidylsulfinyl)quinolines
INVENTOR(S): Santini, Conrad
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4808591	A	19890228	US 1988-156371	19880216
EP 330329	A1	19890830	EP 1989-301119	19890206
EP 330329	B1	19920722		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 78477	E	19920815	AT 1989-301119	19890206
ES 2042994	T3	19931216	ES 1989-301119	19890206
IL 89257	A1	19930131	IL 1989-89257	19890210
ZA 8901133	A	19900926	ZA 1989-1133	19890214
CA 1317946	A1	19930518	CA 1989-590948	19890214
AU 8929946	A1	19890817	AU 1989-29946	19890215
AU 604398	B2	19901213		
FI 8900720	A	19890817	FI 1989-720	19890215
FI 90774	B	19931215		
FI 90774	C	19940325		
NO 8900632	A	19890817	NO 1989-632	19890215
NO 171850	B	19930201		
NO 171850	C	19930512		
HU 49347	A2	19890928	HU 1989-768	19890215
JP 01250377	A2	19891005	JP 1989-35991	19890215
JP 06099424	B4	19941207		
DK 8900703	A	19891017	DK 1989-703	19890215
PRIORITY APPLN. INFO.:			US 1988-156371	19880216
			EP 1989-301119	19890206
OTHER SOURCE(S):		CASREACT 110:231662; MARPAT 110:231662		
GI				



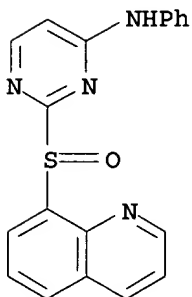
AB The title compds. (I; Q = H, F, Cl, NO₂, NH₂, CF₃, C2-4 alkanoylamino, C1-5 alkoxy, C1-5 alkyl, di-C1-4 alkylamino; X = H, C1-5 alkyl, C1-5 alkoxy; Y = H, F, Cl, Br, C1-5 alkoxy, C1-5 alkyl; Z = H, C1-5 alkyl, C1-5 alkoxy, PhO, PhCH₂O, C5-7 cycloalkyloxy, NH₂, mono- or di-C1-4 alkylamino, N-C1-4 alkylanilino, PhNCH₂NH, N-C1-4 alkylbenzylamino, morpholino, piperidino, **pyrrolidino**; n = 0, 1) were prepd. as antiulcer agents (no data). A soln. of 128 mg 2-chloropyrimidine in MeOH was added to a soln. of quinoline-8-thiol-HCl and the soln. was stirred overnight at room temp. to give 170 mg 8-(2-**pyrimidylthio**)quinoline. This (170 mg) was stirred with m-ClC₆H₄CO₂OH in THF contg. NaHCO₃ to give 84 mg I (X = Y = Z = Q = H, n = 1).

IT 120894-22-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiulcer agent)

RN 120894-22-6 CAPLUS

CN 4-Pyrimidinamine, N-phenyl-2-(8-quinolinylsulfinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 146 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:194643 CAPLUS

DOCUMENT NUMBER: 110:194643

TITLE: **Pyrimidinyl** group-containing yellow reactive azo dyes which can be used at low temperatures

INVENTOR(S): Tzikas, Athanassios; Burdeska, Kurt

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Eur. Pat. Appl., 47 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 298041	A2	19890104	EP 1988-810445	19880627
EP 298041	A3	19900822		
EP 298041	B1	19940608		
R: BE, CH, DE, ES, FR, GB, IT, LI				
US 4900813	A	19900213	US 1988-210537	19880623
ES 2054865	T3	19940816	ES 1988-810445	19880627
JP 01024867	A2	19890126	JP 1988-162759	19880701
BR 8803273	A	19890131	BR 1988-3273	19880701
US 4975530	A	19901204	US 1989-441132	19891122
PRIORITY APPLN. INFO.:			CH 1987-2510	19870702
			US 1988-210537	19880623

OTHER SOURCE(S): MARPAT 110:194643

GI For diagram(s), see printed CA Issue.

AB The title reactive azo dyes I [A1, A2 = NR1R2; R1, R2 = H, (un)substituted C1-6 alkyl, (un)substituted aryl; D = diazo component; Q = (un)substituted Ph, (un)substituted **naphthyl**, (un)substituted arom. heterocyclic residue; such that >1 of A1, A2, and D contains a fiber-reactive group; R1 + R2 + N may form a heterocyclic substituent, useful for low-temp. dyeing or printing of cellulose-contg. fabrics, are prepd. 2-(4-Aminophenylsulfonyl)ethyl H sulfate was diazotized and coupled with 4,6-bis(2-sulfatoethylamino)-2-phenylpyrimidine forming I [A1 = A2 = NH(CH2)2OSO3H, D = 4-C6H4SO2(CH2)2OSO3H, Q = Ph], which dyed cellulose fibers in a fast golden-yellow shade.

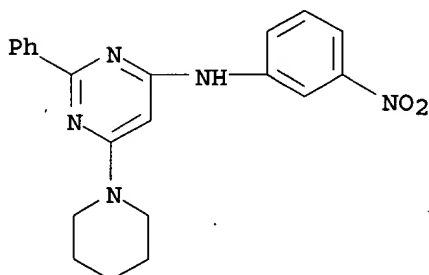
IT 120439-65-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(coupling of, with diazotized aminonaphthalenetrisulfonic acid)

RN 120439-65-8 CAPLUS

CN 4-Pyrimidinamine, N-(3-nitrophenyl)-2-phenyl-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 147 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:75432 CAPLUS

DOCUMENT NUMBER: 110:75432

TITLE: Purines. VI. Reactions of 2-chloro- and 2-(methylsulfonyl)-9-**phenyl**-9H-purines with nucleophiles

AUTHOR(S): Tanji, Kenichi; Kubota, Harumi; Yamamoto, Yumi; Higashino, Takeo

CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1987), 35(12), 4972-6

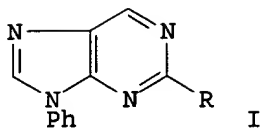
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 110:75432

GI



AB The reactions of 2-chloro-9-phenylpurine I (R = Cl; II) with MeONa, EtONa and PhONa as O-nucleophiles, with BuNH₂ and piperidine as N-nucleophiles, and with MeSNa as an S-nucleophiles, gave I [R = OMe, OEt, OPh, NHBu, piperidino, SMe), resp. II also reacted with R₁CH₂CN (III; R₁ = Ph, CO₂Et) as C-nucleophiles in the presence of NaOH in Me₂SO to give I (R = CHR₁CN). However, II did not react with other active methylene compds., ketones or KCN. On the other hand, I (R = SO₂Me; IV) smoothly reacted not only with active methylene compds. but also with ketones and KCN. Reaction of III with IV gave I (R = CHR₁CN; R₁ = Ph, CO₂Et) in good yields. The substitution reactions of IV with ketones and KCN gave I (R = CHR₂COR₃, cyano; R₂ = H, Me; R₃ = Ph, Me) as expected.

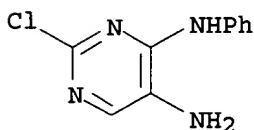
IT 89660-19-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, with Et orthoformate, purine deriv. from)

RN 89660-19-5 CAPLUS

CN 4,5-Pyrimidinediamine, 2-chloro-N⁴-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 148 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:590286 CAPLUS

DOCUMENT NUMBER: 109:190286

TITLE: Antiallergy agents. 1. Substituted
1,8-naphthyridin-2(1H)-ones as inhibitors of SRS-A
release

AUTHOR(S): Sherlock, Margaret H.; Kaminski, James J.; Tom, Wing
C.; Lee, Joe F.; Wong, Shing Chun; Kreutner, William;
Bryant, Robert W.; McPhail, Andrew T.

CORPORATE SOURCE: Pharm. Res. Div., Schering-Plough Corp., Bloomfield,
NJ, 07003, USA

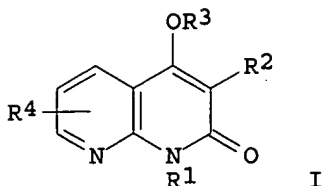
SOURCE: Journal of Medicinal Chemistry (1988), 31(11), 2108-21
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:190286

GI



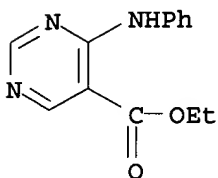
AB A novel class of antiallergy agents, the substituted 1,8-naphthyridinones, e.g., I [R1 = H, hexyl, PhCH₂, Ph, substituted Ph, 3-pyridyl; R2 = Bu, H, allyl; R3 = H, allyl, Ac; R4 = H, 6-Br, 6-OH, 6-OMe, 7-Me, 6,7-(CH₂)_n; n = 3, 4] were prepd. starting from nicotinic acid and derivs. The mol. structure of I (R1 = Ph, R2 = Bu, R3 = CH₂CH₂OH, R4 = H) was detd. by x-ray crystal structure anal. The I are orally active, potent inhibitors of allergic and nonallergic bronchospasm in animal models. Structure-activity studies of I identified three compds. of interest, I (R1 = Ph, 3-ClC₆H₄, 3-MeOC₆H₄; R2 = allyl, R3 = Ac, R4 = H). The mechanism of antiallergy activity may involve inhibition of the release of the sulfidopeptide leukotrienes. I (R1 = Ph, R2 = allyl, R3 = Ac, R4 = H), Sch 33303, was selected for preclin. development as an antiallergy agent.

IT 16100-58-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction with alkanolate)

RN 16100-58-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 149 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:570353 CAPLUS

DOCUMENT NUMBER: 109:170353

TITLE: Studies on pyrazolo[3,4-d]pyrimidine derivatives. XVI. Preparation of Reissert compounds from condensed pyrimidine systems catalyzed by Lewis acids

AUTHOR(S): Higashino, Takeo; Sato, Susumu; Miyashita, Akira; Katori, Tatsuhiko

CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1987), 35(12), 4803-12

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:170353

AB Among various Lewis acids, AlCl₃ was the most effective catalyst for the formation of the Reissert compd., 5-benzoyl-4,5-dihydro-1-phenyl-1H-pyrazolo[3,4-d]-pyrimidine-4-carbonitrile of 1-phenyl-1H-pyrazolo[3,4-d]pyrimidine by using BzCl and Me₃SiCN in anhyd. CH₂Cl₂. Application of this method to derivs. of the following condensed pyrimidines, 1H-pyrazolo[3,4-d]

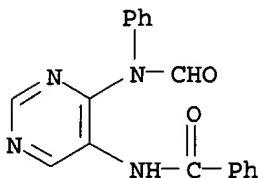
pyrimidine, 9H-purine, 3H-1,2,3-triazolo[4,5-d]**pyrimidine** and quinazoline, gave the corresponding new series of Reissert compds., which could not be prepd. by the std. method using KCN and acid chloride in aq. media.

IT **116943-95-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 116943-95-4 CAPLUS

CN Benzamide, N-[4-(formylphenylamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 150 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:570352 CAPLUS

DOCUMENT NUMBER: 109:170352

TITLE: 2,4-bis(substituted) 5-nitropyrimidines of expected diuretic action

AUTHOR(S): El-Kerdawy, M. M.; Zayed, A. A.; Abou Hamid, M. M.

CORPORATE SOURCE: Natl. Org. Drug Control Res., Cairo, Egypt

SOURCE: Egyptian Journal of Chemistry (1987), Volume Date

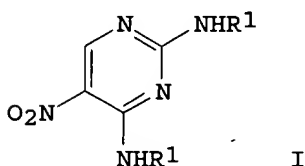
1986, 29(2), 247-51

CODEN: EGJCA3; ISSN: 0367-0422

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



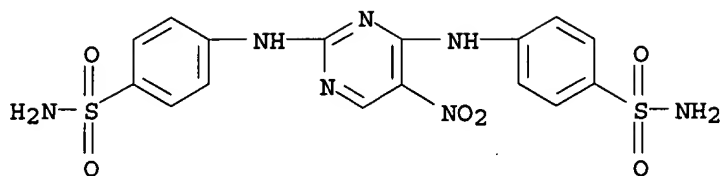
AB 2,4-Dichloro-5-nitropyrimidine was treated with amines to give **pyrimidinediamines** I [R1 = H2NSO2C6H4, substituted sulfamoylphenyl, HO(EtO2C)C6H3, H2NC6H4, HOC6H4, Cl(HO)C6H3, CH2CH2NH2, furfuryl, antipyrinyl, substituted **pyrimidinyl**]. Also prepd., from I (R1 = NH2), were I (R1 = NHCO2Et) and I (R1 = N:CHC6H4NO2-4).

IT **116859-92-8P**

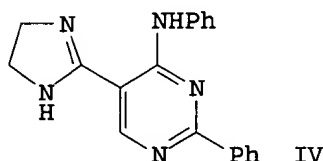
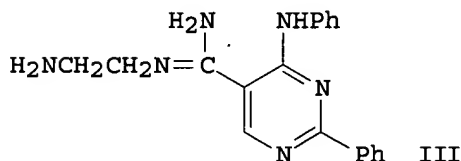
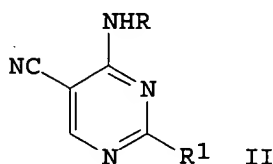
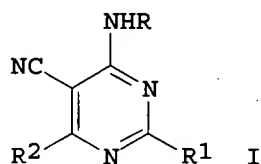
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 116859-92-8 CAPLUS

CN Benzenesulfonamide, 4,4'-[(5-nitro-2,4-pyrimidinediyl)diimino]bis- (9CI)
(CA INDEX NAME)



L7 ANSWER 151 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1988:570349 CAPLUS
 DOCUMENT NUMBER: 109:170349
 TITLE: Reaction of 4-(arylamino)-5-cyanopyrimidines with some aliphatic amines
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Med. Fak., Sofia, 1431, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1987), 40(11), 75-8
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 OTHER SOURCE(S): CASREACT 109:170349
 GI



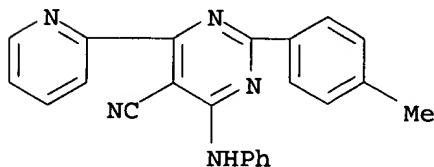
AB Reactions of a range of aminopyrimidinecarbonitriles with aliph. amines, esp. H₂NCH₂CH₂NH₂ and Me₂NCH₂CH₂CH₂NH₂, were studied. I (e.g., R = R₁ = R₂ = Ph) underwent simple amine exchange, while II formed amidines, e.g., III, which cyclized on heating to give IV.

IT 64499-25-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (aminolysis of, with dimethylpropanediamine)

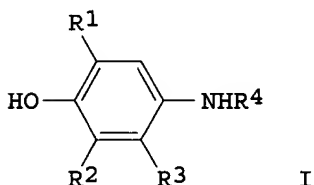
RN 64499-25-8 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(4-methylphenyl)-4-(phenylamino)-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 152 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1988:493070 CAPLUS
 DOCUMENT NUMBER: 109:93070
 TITLE: Preparation, testing, and formulation of
 4-(heterocyclyamino)phenols as inflammation inhibitors
 INVENTOR(S): Kanai, Kenichi; Goto, Kiyoto; Hashimoto, Kinji
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
 SOURCE: Eur. Pat. Appl., 71 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 254259	A2	19880127	EP 1987-110503	19870720
EP 254259	A3	19891123		
R: AT, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AU 8775799	A1	19880128	AU 1987-75799	19870717
AU 590935	B2	19891123		
DK 8703774	A	19880122	DK 1987-3774	19870720
US 4868183	A	19890919	US 1987-75910	19870720
JP 01025756	A2	19890127	JP 1987-183099	19870721
JP 06051679	B4	19940706		
JP 02138265	A2	19900528	JP 1988-8846	19880118
JP 06067911	B4	19940831		
JP 02138251	A2	19900528	JP 1989-210376	19890815
JP 05071590	B4	19931007		
US 5059598	A	19911022	US 1989-409192	19890919
PRIORITY APPLN. INFO.:			JP 1986-172431	19860721
			JP 1986-213660	19860910
			JP 1987-38595	19870220
			JP 1987-94199	19870416
			US 1987-75910	19870720
			JP 1987-183099	19870721
OTHER SOURCE(S):		CASREACT 109:93070; MARPAT 109:93070		
GI				



AB The title compds. [I; R2 = alkyl; R2, R3 = H, alkyl; R2R3 = (CH2)4, CH:CH:CH:CH; R4 = 5- or 6-membered (substituted heteroaryl, including pyrazine-N-oxide, pyridazine-N-oxide, and pyrimidine -N-oxide but excluding thiazolyl, isothiazolyl, pyridyl, and 1,3,5-triazinyl] were prepd. as lipoxxygenase inhibitor and antinflammatories. To 2,6-di-tert-butyl-1,4-benzoquinone and aminopyrazine in THF was added a suspension of TiCl4 in pyridine /dichloroethane and the mixt. was refluxed to give 2,6-di-tert-butyl-1,4-pyrazinylaminophenol. The latter at 37 mg/kg orally gave a 50% redn. in carrageenan-induced paw edema in rats.

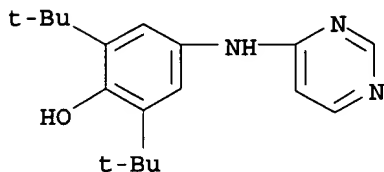
IT 114549-17-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

09/ 922,874

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiinflammatory)

RN 114549-17-6 CAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-(4-pyrimidinylamino)- (9CI) (CA
INDEX NAME)



L7 ANSWER 153 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:112490 CAPLUS

DOCUMENT NUMBER: 108:112490

TITLE: Nitrophenylaminopyrimidines, procedure for their
preparation, and their use as agrochemical fungicides

INVENTOR(S): Giencke, Wolfgang; Heubach, Guenther; Mildenberger,
Hilmar; Fuss, Andreas; Sachse, Burkhard;
Waltersdorfer, Anna; Knauf, Werner; Kern, Manfred

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 58 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

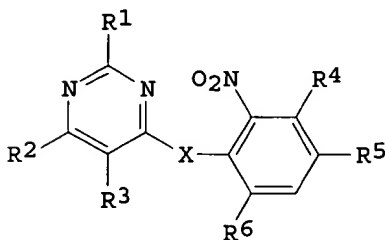
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

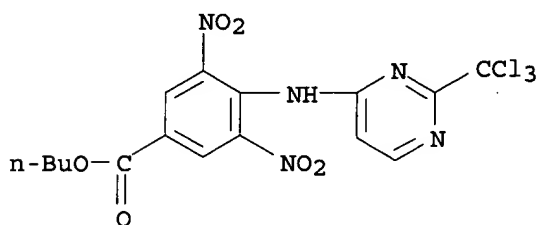
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3644799	A1	19871210	DE 1986-3644799	19861231
EP 248349	A2	19871209	EP 1987-107730	19870527
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DD 263220	A5	19881228	DD 1987-303273	19870528
DK 8702749	A	19871205	DK 1987-2749	19870529
AU 8773642	A1	19871217	AU 1987-73642	19870529
BR 8702771	A	19880301	BR 1987-2771	19870529
HU 44407	A2	19880328	HU 1987-2486	19870529
JP 62292769	A2	19871219	JP 1987-133540	19870530
CN 87103906	A	19880224	CN 1987-103906	19870530
ZA 8703966	A	19880127	ZA 1987-3966	19870603
PRIORITY APPLN. INFO.:			DE 1986-3618815	19860604
			DE 1986-3644799	19861231

GI



I

- AB The title compds. I [R1, R2 = H, halo, cyano, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl, cycloalkenyl, amino, alkylthio, alkoxy, Ph, PhO, alkylsulfinyl, alkylsulfonyl; R3 = H, halo, cyano, NO2, (halo)alkyl, (halo)alkenyl, (substituted) Ph, PhO; R4 = H, halo, alkoxy, alkylthio, amino, (halo)phenoxy; R5 = alkyl, alkoxycarbonyl, alkenyloxycarbonyl, carboxy, carboxamido, halo, haloalkoxy, sulfonamido, etc.; R6 = NO2, CF3; X = O, imino] were prepd. as pesticides. KOH was added to a -5.degree. soln. of 5-chloro-2-(1,1,2,2-tetrafluoroethyl)-4-pyrimidinylamine in THF. Et 4-chloro-3,5-dinitrobenzoate in THF was added to the mixt., which was stirred 3 h at 0.degree. and allowed to warm to room temp. over 2 h to give K 5-chloro-N-(2,6-dinitro-4-ethoxycarbonylphenyl)-2-(1,1,2,2-tetrafluoroethyl)-4-pyrimidinylamine salt (II). At 200 ppm, II gave complete control of Iprodione-resistant Botrytis cinerea.
- IT **112939-77-2P**
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as agrochem. fungicide)
- RN 112939-77-2 CAPLUS
- CN Benzoic acid, 3,5-dinitro-4-[[2-(trichloromethyl)-4-pyrimidinyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 154 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:94589 CAPLUS

DOCUMENT NUMBER: 108:94589

TITLE: Preparation of aryloxy-, arylamino-, and arylhydrazinopyrimidines as fungicides and pesticides

INVENTOR(S): Giencke, Wolfgang; Heubach, Gunther; Mildenberger, Hilmar; Fuss, Andreas; Sachse, Burkhard; Kern, Manfred; Knauf, Werner; Waltersdorfer, Anna

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 64 pp.
 CODEN: EPXXDW

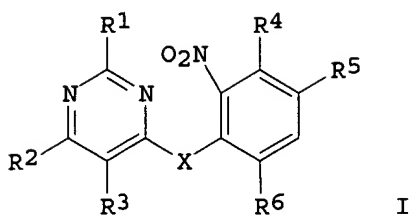
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 248349	A2	19871209	EP 1987-107730	19870527
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DE 3644799	A1	19871210	DE 1986-3644799	19861231
PRIORITY APPLN. INFO.:			DE 1986-3618815	19860604
			DE 1986-3644799	19861231



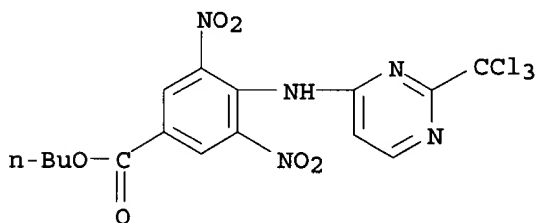
AB The title compds. [I; R1, R2 = H, cyano, halo, (substituted) alkyl, cycloalkyl, alkenyl, alkynyl amino, alkylthio, alkoxy, Ph, PhO, etc.; R3 = H, halo, cyano, NO2, (halo)alkyl, (halo)alkenyl, (substituted) Ph, PhO; R4 = H, halo, alkoxy, alkylthio, amino, (halo substituted) PhO; R5 = alkyl, alkoxy, carbonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, acyl, alkylsulfonate, sulfonamide, halo, cyano, NO2, haloalkyl, formyl; R6 = NO2, CF3; X = O, NH, hydrazino] were prepd. as pesticides. Powd. KOH was added to a soln. of 5-chloro-2-(1,1,2,2-tetrafluoroethyl)-4-pyrimidinylamine in THF at -5.degree.. Et 4-chloro-3,5-dinitrobenzoate in THF was added at <3.degree. and the mixt. was stirred for 3 h at 3.degree. and allowed to warm to room temp. over 2 h to give I (R1 = CF2CHF2, R2 = R4 = H, R3 = Cl, R5 = CO2Et, R6 = NO2) as the K salt. The latter gave 80% control of iprodione-resistant Botrytis cinerea at 500 ppm.

IT 112939-77-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as pesticide)

RN 112939-77-2 CAPLUS

CN Benzoic acid, 3,5-dinitro-4-[[2-(trichloromethyl)-4-pyrimidinyl]amino]-, butyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 155 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:94587 CAPLUS

DOCUMENT NUMBER: 108:94587

TITLE: Preparation of N-(2-nitrophenyl)-4-pyrimidinamines as pesticides

INVENTOR(S): Giencke, Wolfgang; Mildenerberger, Hilmar; Heubach, Guenther; Sachse, Burkhard; Fuss, Andreas; Waltersdorfer, Anna; Knauf, Werner; Kern, Manfred; Bonin, Werner

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 27 pp.

CODEN: GWXXBX

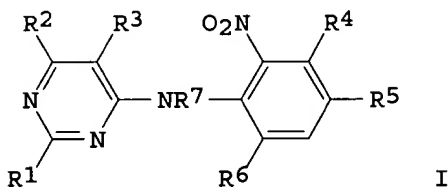
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3618353	A1	19871203	DE 1986-3618353	19860531
EP 248348	A1	19871209	EP 1987-107729	19870527
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DK 8702750	A	19871201	DK 1987-2750	19870529
AU 8773641	A1	19871203	AU 1987-73641	19870529
ZA 8703879	A	19880224	ZA 1987-3879	19870529
BR 8702772	A	19880301	BR 1987-2772	19870529
HU 44408	A2	19880328	HU 1987-2485	19870529
JP 62286973	A2	19871212	JP 1987-133539	19870530
CN 87103905	A	19880302	CN 1987-103905	19870530
PRIORITY APPLN. INFO.: GI			DE 1986-3618353	19860531



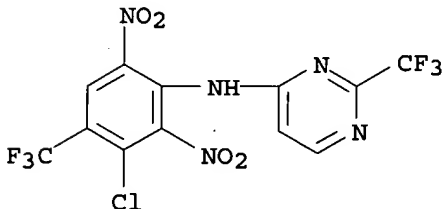
AB The title compds. [I; R1, R2 = (C1-4 alkyl)-C3-8 cycloalkyl, C3-8 cycloalkenyl, C2-4 (halo)alkenyl, C1-8 (halo)alkoxy, C1-8 alkylthio, C1-8 alkylsulfonyl, halo, cyano, amino, (un)substituted C1-8 alkyl, Ph, PhO; R3 = H, C1-8 (halo)alkyl, C2-4 (halo)alkenyl, C1-4 alkoxy, C1-4 alkylthio, halo, cyano, NO2, (un)substituted Ph, PhO; R4 = H, C1-4 alkoxy, C1-4 alkylthio, halo, amino, (un)substituted PhO; R5, R6 = NO2, CF3; R7 = H, C1-5 alkanoyl, cation] were prepd. as pesticides, esp. insecticides and plant fungicides. 4,3,5-Cl(O2N)2C6H2CH3 in THF was added dropwise to a soln. of 4-amino-2-(trichloromethyl)-5-pyrimidinecarbonitrile in THF contg. KOH at -5 to 0.degree., followed by stirring 4 h, to give I (R1 = R5 = CF3, R2 = R4 = H, R3 = cyano, R6 = NO2, R7 = K) (II). II gave 100% control of *Pseudocercospora herpotrichoides* at 8 ppm and 97-100% control of *Plasmopara viticola* on grapevines at 125 ppm.

IT 98374-97-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as insecticide and agrochem. fungicide)

RN 98374-97-1 CAPLUS

CN 4-Pyrimidinamine, N-[3-chloro-2,6-dinitro-4-(trifluoromethyl)phenyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 156 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:636654 CAPLUS

DOCUMENT NUMBER: 107:236654

TITLE: Novel ring transformations of 4-(acylamino)- and

4-[(dimethylamino)methyleneamino]-1H-1,5-benzodiazepine-3-carbonitriles to **pyrimidine**-5-carbonitriles

AUTHOR(S): Takagi, Kaname; Aotsuka, Tomoji; Morita, Hikari; Okamoto, Yoshihisa

CORPORATE SOURCE: Cent. Res. Lab., Zeria Pharm. Co., Saitama, 360-01, Japan

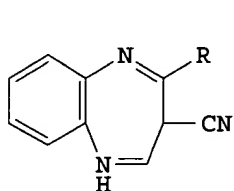
SOURCE: Synthesis (1987), (4), 379-81
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

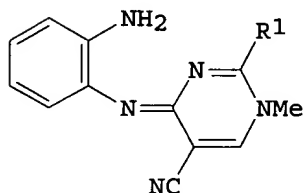
LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:236654

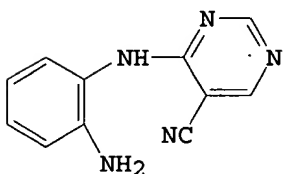
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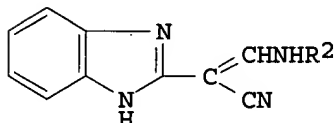
I



II



III



IV

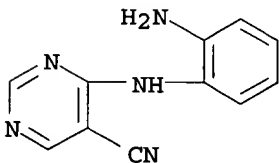
AB Ring cleavage of benzodiazepines I ($R = \text{NHCOR}_1$, $R_1 = \text{Me, Et}$) with MeNH_2 gave dihydropyrimidines II. Benzodiazepine I ($R = \text{Me}_2\text{NCH:N}$) also underwent analogous ring cleavage with MeNH_2 and NH_3 to give **pyrimidinecarbonitriles** II ($R_2 = \text{H}$) and III. The **pyrimidines** thus formed were connected to benzimidazoles IV ($R_2 = \text{H, Me}$).

IT 111598-93-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 111598-93-7 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(2-aminophenyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 157 OF 326

ACCESSION NUMBER:

CAPLUS COPYRIGHT 2003 ACS on STN

1987:102008 CAPLUS

DOCUMENT NUMBER:

106:102008

TITLE:

Preparation of 2-aryl-3-(arylamino)-4-cyanopyrroles

AUTHOR(S):

Robev, S. K.; Dicheva, M. A.

CORPORATE SOURCE:

Med. Fak., Sofia, Bulg.

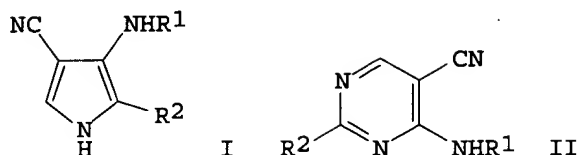
SOURCE:

Doklady Bolgarskoi Akademii Nauk (1986), 39(4), 51-3

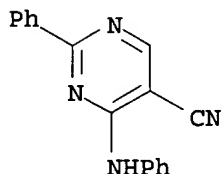
CODEN: DBANAD; ISSN: 0366-8681

09/ 922,874

DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 106:102008
GI



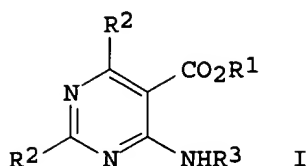
AB The title compds. I (R1 = Ph, R2 = Ph, 2-naphthyl, 4-MeOC6H4; R1 = 4-MeC6H4, R2 = Ph) were prepd. in 30-45% yields by reductive ring contractions of **pyrimidines** II with Zn-AcOH in EtOH.
IT 76521-19-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reductive ring contraction of, by zinc-acetic acid)
RN 76521-19-2 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-phenyl-4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 158 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:67341 CAPLUS
DOCUMENT NUMBER: 106:67341
TITLE: 2,6-Diaryl-(4-arylamino)-5-
pyrimidinecarboxylic acid esters
INVENTOR(S): Briel, Detlef; Wagner, Guenther
PATENT ASSIGNEE(S): Karl-Marx-Universitaet Leipzig, Ger. Dem. Rep.
SOURCE: Ger. (East), 4 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 236310	A1	19860604	DD 1984-266541	19840823
PRIORITY APPLN. INFO.:			DD 1984-266541	19840823
OTHER SOURCE(S):		CASREACT 106:67341		

GI



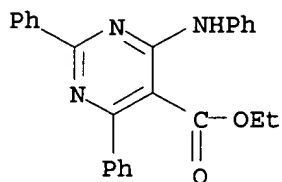
AB **Pyrimidines I** [R1 = C1-6 alkyl; R2, R3 = (un)substituted aryl], of pharmaceutical interest, were prepd. by cyclization of $\text{NCC}(\text{CO}_2\text{R}_1):\text{CR}_2\text{NHC}(\text{S})\text{R}_2$ (II) with H_2NR_3 . A mixt. of II (R1 = Et, R2 = Ph) 1 and PhNH_2 0.28 part in $\text{MeCH}(\text{OH})\text{CH}_2\text{OH}$ was kept 7 days at room temp. to give 52% I (R3 = Et, R2 = R3 = Ph).

IT **105849-65-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as pharmaceutical)

RN 105849-65-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl-6-(phenylamino)-, ethyl ester
(9CI) (CA INDEX NAME)



L7 ANSWER 159 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:32963 CAPLUS

DOCUMENT NUMBER: 106:32963

TITLE: Preparation of 4-(arylamino)pyrimidine-5-carboxylic acid esters from 2-cyano-3-(thioaroylamido)cinnamic acid esters and arylamines

AUTHOR(S): Briel, D.; Wagner, G.

CORPORATE SOURCE: Sek. Biowiss., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.

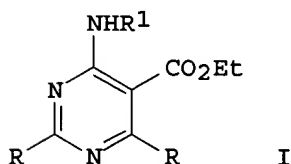
SOURCE: Pharmazie (1985), 40(11), 799-800
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 106:32963

GI



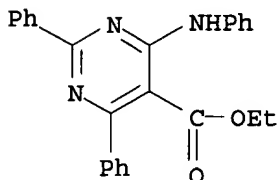
09/ 922,874

AB Cyclization of RC(S)NHCRC(CN)CO₂Et (R = Ph, m-, p-tolyl) with R₁NH₂ (R₁ = Ph, m-tolyl, p-anisyl, p-ClC₆H₄, p-HOC₆H₄) in methylglycol-HOAc gave 33-62% 7 pyrimidinecarboxylates I.

IT 105849-65-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and spectra of)

RN 105849-65-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl-6-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 160 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1986:478951 CAPLUS

DOCUMENT NUMBER: 105:78951

TITLE: Pyrimidine derivatives and their use

INVENTOR(S): Takaya, Takao; Murata, Masayoshi; Ito, Kiyotaka

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan

SOURCE: Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

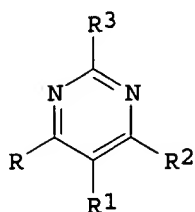
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

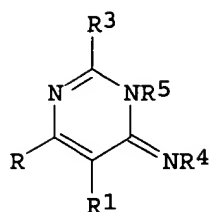
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 168262	A2	19860115	EP 1985-305004	19850712
EP 168262	A3	19870513		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4725600	A	19880216	US 1985-751867	19850705
JP 61044872	A2	19860304	JP 1985-154545	19850712
PRIORITY APPLN. INFO.:			GB 1984-17852	19840713
			GB 1984-23667	19840919
			GB 1984-30456	19841203

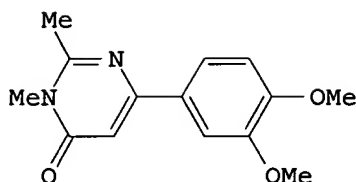
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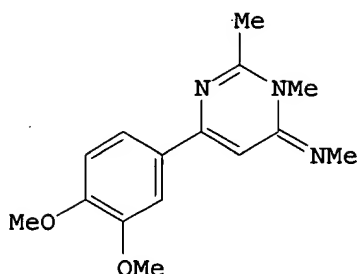
I



II



III



IV

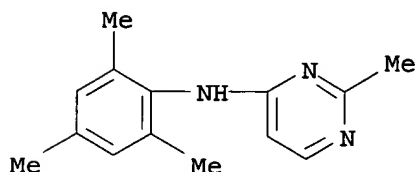
AB Aminopyrimidines I [R = heterocycle, (un)substituted aryl; R₁ = H, halo, alkyl, (un)substituted aryl; R₂ = amino, (un)substituted aryloxy, heterocycle; R₃ = H, alkyl, halo, alkylthio, amino, hydrazino, heterocycle], their tautomeric forms, such as II [R₄ = (un)substituted aryl; R₅ = H, alkyl; other R as above], and their condensed-ring derivs. were prepd. as anticoagulants, cardiotonics, and antihypertensives. Thus, MeC(:NH)NH₂.HCl was cyclocondensed with 3,4-(MeO)₂C₆H₃COCH₂CO₂Et and methylated to give **pyrimidinone** III. This was chlorinated with POCl₃ and iminated with 2,4,6-Me₃C₆H₂NH₂ to give **pyrimidinimine** II. In dogs, 0.1 mg IV/kg i.v. gave a 72% increase in heart contraction rate.

IT 103555-42-6

RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis by, of chloropyrimidines)

RN 103555-42-6 CAPLUS

CN 4-Pyrimidinamine, 2-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 161 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1986:424241 CAPLUS

DOCUMENT NUMBER: 105:24241

TITLE: Heterocyclic syntheses with monothiomalonamides.
Synthesis of 2,3-dihydro-3-oxoisothiazolo[5,4-b]
pyridines and -[5,4-d]**pyrimidines**

AUTHOR(S): Schaper, Wolfgang

CORPORATE SOURCE: Hauptlab., Hoechst A.-G., Frankfurt/Main, D-6230/80,
Fed. Rep. Ger.

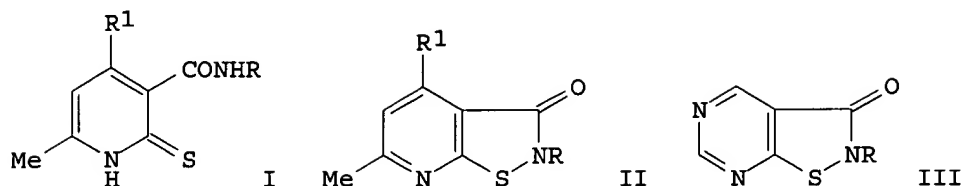
SOURCE: Synthesis (1985), (9), 861-7

CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

09/ 922,874

LANGUAGE: German
OTHER SOURCE(S): CASREACT 105:24241
GI



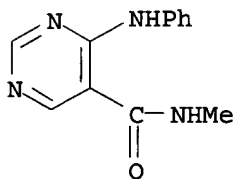
AB H₂NCSCH₂CONHR (R = H, Me, octyl, cyclohexyl, CH₂Ph, NHPh, OCH₂Ph, aminoethyl, substituted Ph), obtained by treating NCCH₂CONHR with H₂S, react with carbonyl compds. to give nicotinamides I (R₁ = Me, CF₃, H). Oxidn. of I gave the **pyridoisothiazolones** II. H₂NCSCH₂CONHR also reacted with s-triazine to give the **pyrimidoisothiazolones** III.

IT 102818-42-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

RN 102818-42-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-methyl-4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 162 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1986:226326 CAPLUS

DOCUMENT NUMBER: 104:226326

TITLE: Dyeing and printing of fibrous materials with **pyrimidine** compounds

INVENTOR(S): Miyamoto, Tetsuya; Omura, Takashi; Kaneya, Yutaka; Takeshita, Akira; Harada, Naoki

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

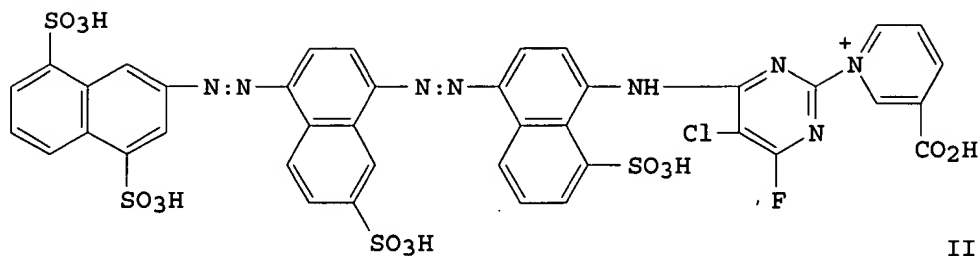
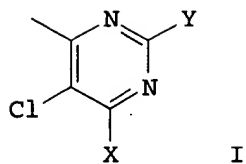
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60208367	A2	19851019	JP 1984-66572	19840402
JP 05062151	B4	19930907		
PRIORITY APPLN. INFO.:			JP 1984-66572	19840402

GI



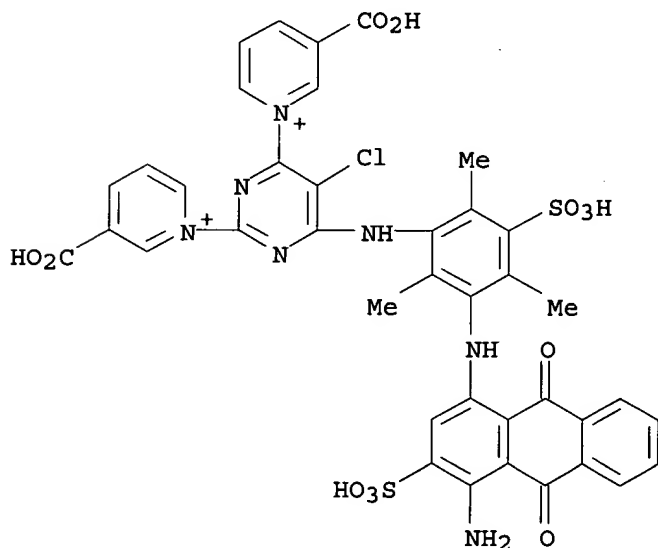
AB Dyes contain fiber-reactive group I, where X = H, halogen, alkyl, alkyl sulfonyl, or leaving group bonding through a N atom, Y = halogen or leaving group bonding through a atom, and at least one of X and Y is a leaving group bonding through a N atom. Thus, II was prepd. and used to dye cotton to give a brown color.

IT 101951-58-0

RL: MSC (Miscellaneous)
(dyes)

RN 101951-58-0 CAPLUS

CN Pyridinium, 1,1'-[6-[[3-[(4-amino-9,10-dihydro-9,10-dioxo-3-sulfo-1-anthracenyl)amino]-2,4,6-trimethyl-5-sulfo-phenyl]amino]-5-chloro-2,4-pyrimidinediyl]bis[3-carboxy- (9CI) (CA INDEX NAME)



L7 ANSWER 163 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1986:90480 CAPLUS

DOCUMENT NUMBER: 104:90480

TITLE: Reactive dyes

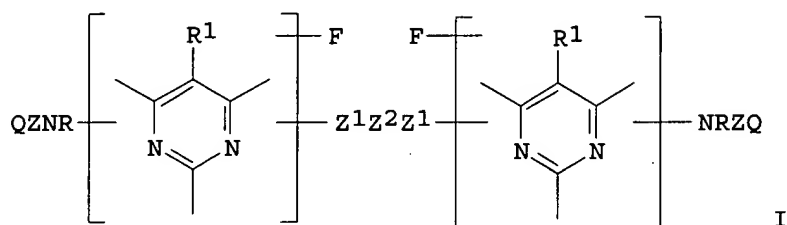
INVENTOR(S): Jaeger, Horst; Neufang, Karl; Hildebrand, Dietrich;
Langheinrich, Klaus; Soell, Manfred

PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.

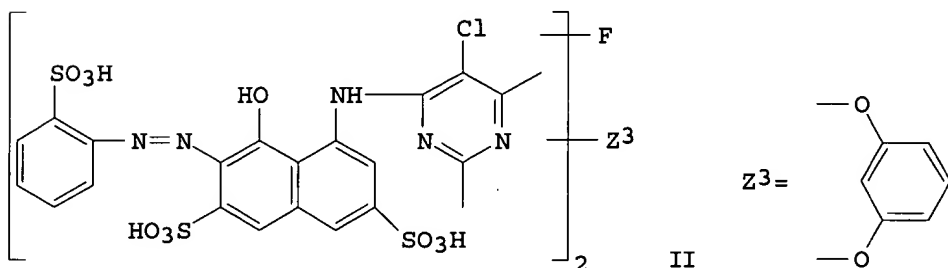
09/ 922,874

SOURCE: Ger. Offen., 52 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3407934	A1	19850905	DE 1984-3407934	19840303
PRIORITY APPLN. INFO.: GI			DE 1984-3407934	19840303



I



II

AB Reactive dyes of general structure I are prep'd., where Q = org. dye residue; R = H or (un)substituted C1-4 alkyl; R1 = H, halogen, halo-substituted C1-4 alkyl, NO2, etc.; Z = direct bond or bridging group to a ring member of Q; Z1 = O or S; and Z2 = bivalent aliph., araliph., arom., or heterocyclic group. I give fast dyeings and prints, esp. on cotton. Thus, diazotization of 2-H2NC6H4SO3H, coupling with 1-[(5-chloro-2,6-difluoro-4-pyrimidinyl)amino]-8-hydroxy-3,6-naphthalenedisulfonic acid, and treatment of the product with resorcinol gave II, a bluish red dye for cotton. Numerous other I (most of them azo dyes) were prep'd.

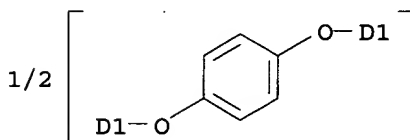
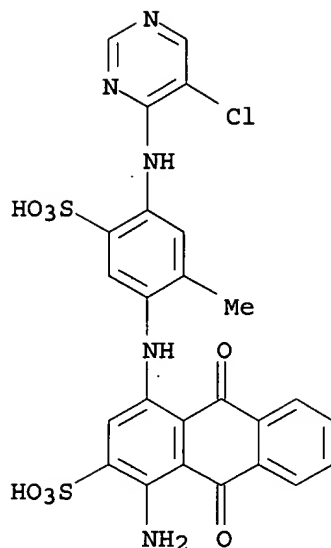
IT 100473-17-4P

RL: IMF (Industrial manufacture); RCT (Reactant); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(manuf. of, as reactive dye for cotton)

RN 100473-17-4 CAPLUS

CN 2-Anthracenesulfonic acid, 4,4'-[1,4-phenylenebis[oxy(5-chlorofluoro-?,4-pyrimidinediyl)imino(2-methyl-5-sulfo-3,1-phenylene)imino]]bis[1-amino-9,10-dihydro-9,10-dioxo- (9CI) (CA INDEX NAME)



D1-F

L7 ANSWER 164 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1986:88585 CAPLUS
 DOCUMENT NUMBER: 104:88585
 TITLE: Naphthyridine and pyridopyrimidine
 antibacterial compounds
 INVENTOR(S): Chu, Daniel Tim Wo
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: Eur. Pat. Appl., 44 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

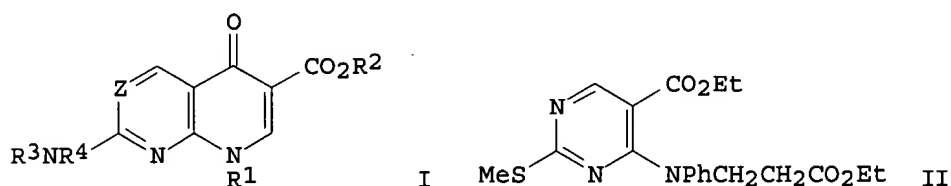
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 153580	A1	19850904	EP 1985-100569	19850121
EP 153580	B1	19890125		
EP 153580	B2	19930317		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 74064	A1	19880930	IL 1985-74064	19850115
ZA 8500403	A	19850925	ZA 1985-403	19850117

09/ 922,874

AT 40366	E	19890215	AT 1985-100569	19850121
AU 8537993	A1	19850801	AU 1985-37993	19850123
AU 569603	B2	19880211		
DK 8500345	A	19850727	DK 1985-345	19850125
DK 170212	B1	19950619		
JP 60174786	A2	19850909	JP 1985-11121	19850125
JP 63020829	B4	19880430		
ES 539880	A1	19860216	ES 1985-539880	19850125
US 4616019	A	19861007	US 1985-784286	19851004

PRIORITY APPLN. INFO.:
US 1984-574120 19840126
US 1984-574226 19840126
EP 1985-100569 19850121

OTHER SOURCE(S): CASREACT 104:88585
GI

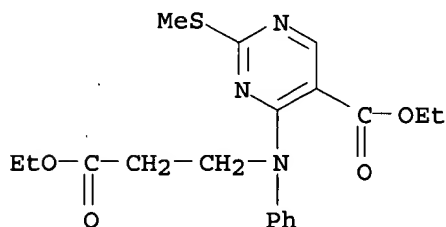


AB Title compds. I [Z = N, CF; R1 = heteroaryl, (un)substituted Ph; R2 = H, protective group; R3 = H, alkyl; R4 = alkyl; NR3R4 = heterocyclyl], useful as bactericides, were prepd. **Pyrimidinecarboxylate II** underwent cyclization, the product was dehydrogenated and sapond., and subsequent reaction with piperazine gave I (Z = N, R1 = Ph, R2 = H, NR3R4 = piperazino).

IT **100426-79-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 100426-79-7 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(3-ethoxy-3-oxopropyl)phenylamino]-2-(methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 165 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1985:561873 CAPLUS

DOCUMENT NUMBER: 103:161873

TITLE: Amino-substituted fluorine-containing
pyrimidinyl reactive dyes

INVENTOR(S): Neufang, Karl; Kuth, Robert; Fritze, Ernst Robert;
Jaeger, Horst

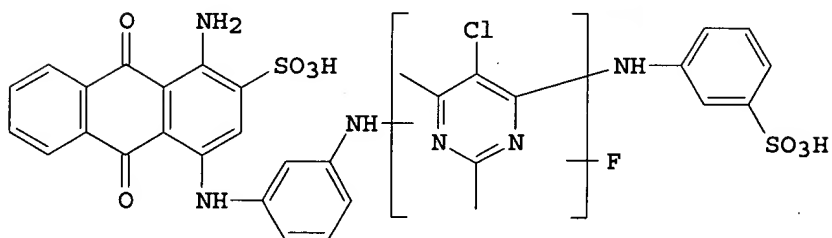
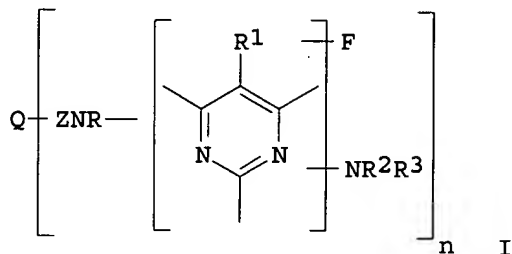
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 71 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3335956	A1	19850418	DE 1983-3335956	19831004
PRIORITY APPLN. INFO.: GI			DE 1983-3335956	19831004



AB Reactive dyes having the general structure I are prepd., where Q = org. dye residue, n = 1-4, Z = bond or a bridging group, R = H or (un)substituted C1-4 alkyl, R1 = H, halogen, C1-4 haloalkyl, C2-4 haloalkenyl, NO2, CN, SO3H, (un)substituted carbamoyl or sulfamoyl, or sulfonic ester group; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or (un)substituted heterocyclic group; R3 = H or (un)substituted alkyl, or R2R3 = O-, S-, or N-interrupted alkylene. I are esp. useful for dyeing and printing cotton textiles. Thus, reaction of 1-amino-4-(3-aminophenylamino)anthraquinone-2-sulfonic acid [6685-75-2] with 5-chloro-2,6-difluoro-4-(3-sulfophenylamino)pyrimidine [97904-02-4] (prepn. described) in H2O at 60.degree./pH 6-6.5 gave II [97851-71-3], a blue dye for cotton. Methods were also described for the prepn. of I, where Q is an azo or phthalocyanine chromophore, as well as several fluoropyrimidine intermediates.

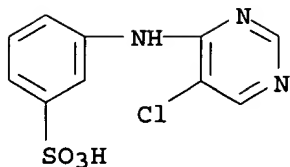
IT 97851-71-3

RL: RCT (Reactant); TEM (Technical or engineered material use); RACT (Reactant or reagent); USES (Uses)
 (reactive dye, for cotton, manuf. of)

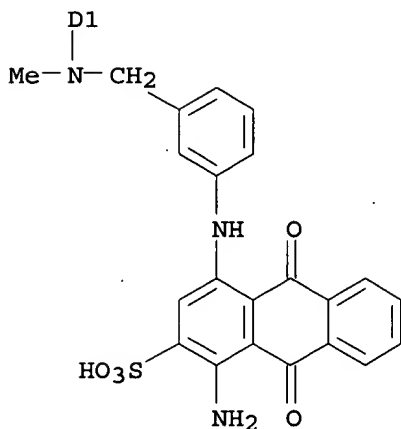
RN 97851-71-3 CAPLUS

CN 2-Anthracenesulfonic acid, 1-amino-4-[[3-[[[5-chloro-2(or 4)-fluoro-6-[(3-sulfophenyl)amino]-4(or 2)-pyrimidinyl]methylamino]methyl]phenyl]amino]-9,10-dihydro-9,10-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



D1-F

L7 ANSWER 166 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1985:542001 CAPLUS
 DOCUMENT NUMBER: 103:142001
 TITLE: N-(2-nitrophenyl)-4-aminopyrimidine derivatives and their use
 INVENTOR(S): Hubele, Adolf; Eckhardt, Wolfgang; Sturm, Elmar; Zondler, Helmut
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 61 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 139613	A1	19850502	EP 1984-810417	19840823
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 72771	A1	19880331	IL 1984-72771	19840827
CA 1254560	A1	19890523	CA 1984-461860	19840827
DK 8404103	A	19850301	DK 1984-4103	19840828
AU 8432450	A1	19850307	AU 1984-32450	19840828
ZA 8406706	A	19850424	ZA 1984-6706	19840828
ES 535469	A1	19850716	ES 1984-535469	19840828
BR 8404295	A	19850723	BR 1984-4295	19840828

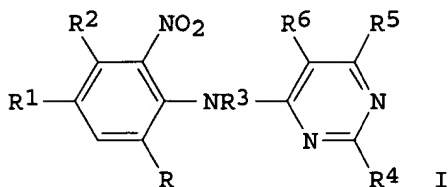
09/ 922,874

JP 60072867
PRIORITY APPLN. INFO.:
GI

A2 19850424

JP 1984-180248
CH 1983-4723

19840829
19830829



AB The title compds. I [R, R1 = F3C, NO2; R2 = H, halo; R3 = H, acyl; R4-R6 = halo, cyano, thiocyno, NO2, heterocyclyl, amino, R7, R7O, R7S(O)n; R7 = (un)substituted alkyl, alkenyl, cycloalkyl, Ph, heterocyclyl, alkynyl; n = 0-2] were prepd. Thus, 2;4,3,5-Cl2(O2N)2C6HCF3 and 2-amino-6-methoxy-5-nitropyrimidine were stirred 0.5 h at room temp. in Me2SO with dropwise addn. of Me3COK in Me2SO to give I (R = R6 = NO2, R1 = F3C, R2 = Cl, R3 = R4 = H) (II). On peanut plants 0.006% II gave 90-100% protection against *Cercospora arachidicola*.

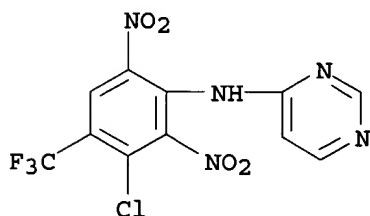
IT 98374-94-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and fungicidal activity of)

RN 98374-94-8 CAPLUS

CN 4-Pyrimidinamine, N-[3-chloro-2,6-dinitro-4-(trifluoromethyl)phenyl]-
(9CI) (CA INDEX NAME)



L7 ANSWER 167 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1985:95665 CAPLUS

DOCUMENT NUMBER: 102:95665

TITLE: **Pyrimidine derivatives**

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

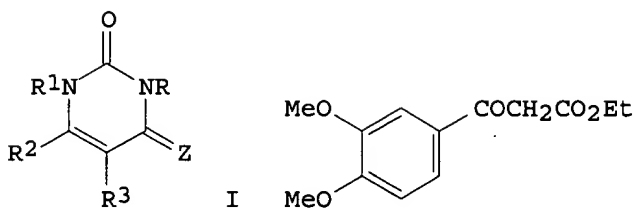
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59181265	A2	19841015	JP 1984-58789	19840326
ZA 8401839	A	19841031	ZA 1984-1839	19840312
US 4612376	A	19860916	US 1984-588902	19840312
EP 123402	A2	19841031	EP 1984-301741	19840314
EP 123402	A3	19850918		

EP 123402	B1	19880907		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 37025	E	19880915	AT 1984-301741	19840314
AU 8425874	A1	19840927	AU 1984-25874	19840319
AU 564793	B2	19870827		
FI 8401128	A	19840926	FI 1984-1128	19840321
CA 1256107	A1	19890620	CA 1984-450076	19840321
DK 8401668	A	19840926	DK 1984-1668	19840323
DK 160492	B	19910318		
DK 160492	C	19910826		
NO 8401168	A	19840926	NO 1984-1168	19840323
HU 33787	O	19841228	HU 1984-1170	19840323
HU 195195	B	19880428		
ES 530916	A1	19850616	ES 1984-530916	19840323
SU 1349698	A3	19871030	SU 1984-3718401	19840323
ES 538190	A1	19851101	ES 1984-538190	19841130
SU 1436872	A3	19881107	SU 1985-3892541	19850328
US 4746664	A	19880524	US 1986-870826	19860605
JP 62270563	A2	19871124	JP 1987-84486	19870406
JP 05046340	B4	19930713		
US 4824851	A	19890425	US 1988-173584	19880325
PRIORITY APPLN. INFO.:			GB 1983-8290	19830325
			GB 1983-15542	19830607
			GB 1983-27859	19831018
			US 1984-588902	19840312
			EP 1984-301741	19840314
			US 1986-870826	19860605
			CA 1986-450076	19861030

GI



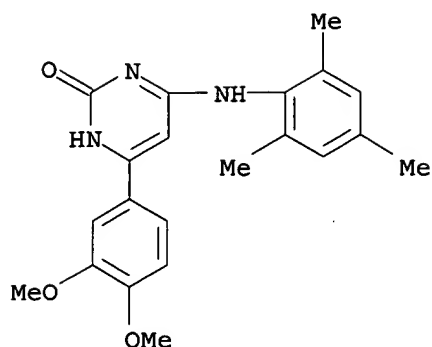
AB Eighty-two **pyrimidine** derivs. (I; R, R₁ = H, alkyl, alkenyl, aralkyl; R₂ = H, alkyl, alkoxy- or haloaryl, **pyridyl**; R₃ = H, alkyl, alkoxyphenyl; Z = O, S, NR₄ where R₄ = alkyl, cycloalkyl, etc.), effective cardiotonics at 0.01-1.0 mg/kg, blood platelet aggregation inhibitors at 1.5 .times. 10⁻⁷ mol, and hypotensives at 0.01-1.0 mg/kg, were prepd. Thus, EtOH contg. concd. HCl was added to 45 g ester II and 11.7 g urea and the mixt. heated 16 h at 120.degree. in vacuo and 2 h at 150.degree. to give 12.2 g I [R = R₁ = R₃ = H, R₂ = 3,4-(MeO)₂C₆H₃, Z = O].

IT 94936-87-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

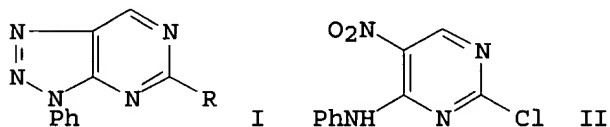
RN 94936-87-5 CAPLUS

CN 2(1H)-Pyrimidinone, 6-(3,4-dimethoxyphenyl)-4-[(2,4,6-trimethylphenyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 168 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1984:472753 CAPLUS
 DOCUMENT NUMBER: 101:72753
 TITLE: 3,5-Disubstituted triazolopyrimidine derivatives
 PATENT ASSIGNEE(S): S. S. Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

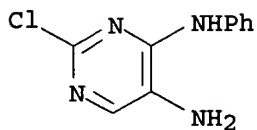
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59062594	A2	19840410	JP 1982-171172	19820930
JP 03003675	B4	19910121		
PRIORITY APPLN. INFO.: GI			JP 1982-171172	19820930



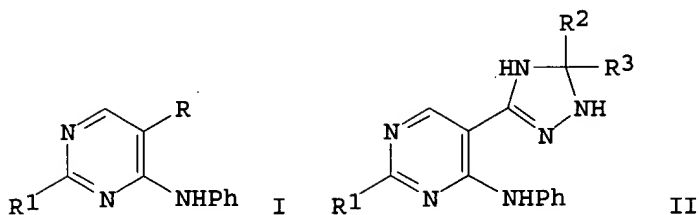
AB Title derivs. I (R = Cl, MeO, PhO, MeNH, PhCH₂S, HO, EtO, PhCH₂NH, Me₂N, pyrrolidino) were prepd. by redn. of II, diazotization-cyclization, and optional reaction with R₁H (R₁ = R except Cl). Anticarcinogen test data on I were shown against Sarcoma 180 ascite tumor cells in mice. Thus, hydrogenation of 1 g II in EtOH contg. 1 g Raney Ni with 300-350 mL H₂, filtration, concn., dissoln. in 2N HCl-H₂O-AcOH, addn. of 0.16 g NaNO₂ in H₂O during 15 min under ice cooling, and stirring 30 min under ice cooling 1 h at room temp. gave 0.48 g I (R = Cl) (III). Stirring 0.3 g III with 30 mL MeOH and 0.3 g K₂CO₃ 4 h at room temp. gave 58% I (R = MeO).

IT 89660-19-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn., diazotization, and cyclization of, triazolopyrimidine from)

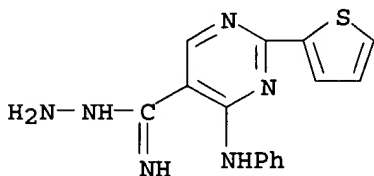
RN 89660-19-5 CAPLUS
 CN 4,5-Pyrimidinediamine, 2-chloro-N⁴-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 169 OF 326 CAPLUS. COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1984:191827 CAPLUS
 DOCUMENT NUMBER: 100:191827
 TITLE: On the reaction of some amidrazones in the
pyrimidine series with carbonyl compounds
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1983), 36(10),
 1315-18
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 100:191827
 GI

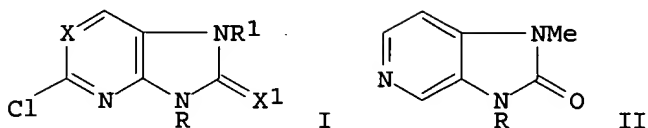


AB Condensation of I [R = C(NH2):NNH2; R1 = Ph, p-MeOC6H4, 2-thienyl
] with MeCOCH2COMe gave 60-73% I [R = C(NH2):NN:CMech2COMe], which
 underwent intramol. cyclocondensation above their m.p. to give .apprx.55%
 II (R2 = Me, R3 = CH2COMe). Condensation of I [R = C(NH2):NNH2, R1 = Ph]
 with R2CHO (R2 = Ph, p-tolyl, o-EtOC6H4, nicotinoyl), Me2CO, and
 cyclohexanone gave 60-90% of the corresponding II.
 IT 87213-25-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (condensation of, with acetylacetone)
 RN 87213-25-0 CAPLUS
 CN 5-Pyrimidinecarboximidic acid, 4-(phenylamino)-2-(2-thienyl)-, hydrazide
 (9CI) (CA INDEX NAME)



09/ 922,874

ACCESSION NUMBER: 1984:174718 CAPLUS
DOCUMENT NUMBER: 100:174718
TITLE: Compounds with antiulcer and antisecretory activity.
III. N-Substituted imidazolones condensed with
nitrogen-containing heteroaromatic rings
AUTHOR(S): Bianchi, Mario; Butti, Alina; Rossi, Silvano;
Barzaghi, Fernando; Marcaria, Viviana
CORPORATE SOURCE: Dep. Chem. Synth., Roussel-Maestretti S.p.A., Milan,
Italy
SOURCE: European Journal of Medicinal Chemistry (1983), 18(6),
501-6
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



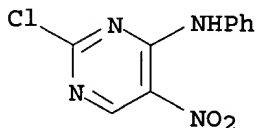
AB Condensed imidazolones I (X = CH; X₁ = O, S; R = H, Me, Ph, 2-pyridyl, pyrazinyl; R₁ = H, Me, Et, CHMe₂, Ph; X = N, X₁ = O, R = Ph, R₁ = Me) and II (R = 4-O₂NC₆H₄, 4-H₂NC₆H₄, Ph, 2-pyridyl, pyrazinyl) were prepd. by various methods. I (X = CH, X₁ = O, R = Ph, 2-pyridyl, R₁ = Me) had the best antiulcer and antisecretory activity but had unfavorable chronic toxicity in rats.

IT 54748-09-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation of)

RN 54748-09-3 CAPLUS

CN 4-Pyrimidinamine, 2-chloro-5-nitro-N-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 171 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1984:156563 CAPLUS

DOCUMENT NUMBER: 100:156563

TITLE: Studies on pyrazolo[3,4-d]pyrimidine derivatives. XIII. Aryl migration of 4-aryl-1H-pyrazolo[3,4-d]pyrimidines to 4-aryl-4,5-dihydro-1H-pyrazolo[3,4-d]pyrimidine-4-carboxylic acids

AUTHOR(S): Higashino, Takeo; Matsushita, Yasuhiko; Takemoto, Masumi; Hayashi, Eisaku

CORPORATE SOURCE: Shizuoka Coll. Pharm., Shizuoka, 422, Japan

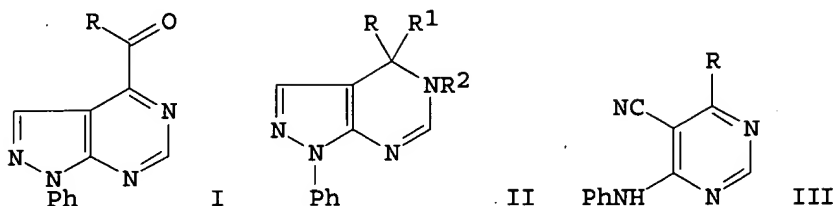
SOURCE: Chemical & Pharmaceutical Bulletin (1983), 31(11), 3951-8

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

09/ 922,874

LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:156563
GI



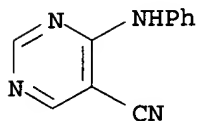
AB Treating pyrazolopyrimidines I (R = Ph, 2-, 4-MeOC6H4, 2-, 4-ClC6H4, 4-BrC6H4, 4-FC6H4, 4-NCC6H4) with NaOH in Me2SO gave pyrazolopyrimidines II (R1 = CO2H, R2 = H) which were oxidized with K3Fe(CN)6 to II (R1R2 = bond). Treating II (R = Ph, 4-MeOC6H4, 4-O2NC6H4, Me; R1R2 = bond) with NaOH in Me2SO gave the corresponding **pyrimidinecarbonitriles** III.

IT 14246-94-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 14246-94-7 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 172 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1984:139059 CAPLUS

DOCUMENT NUMBER: 100:139059

TITLE: Synthesis and antiinflammatory properties of
o-carboxyphenylaminopyrimidines

AUTHOR(S): Karp, V. K.; Tat'yanchenko, I. S.; Portnyagina, V. A.;
Mokhort, N. A.; Ryabukha, T. K.; Vinnikova, A. V.

CORPORATE SOURCE: Kiev. Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev,
USSR

SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1983), 17(11),
1304-7

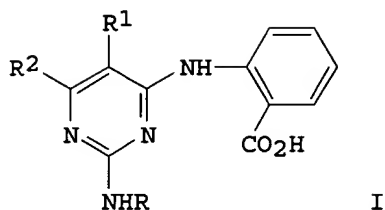
CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 100:139059

GI

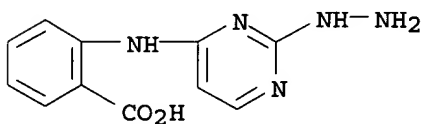


AB The title compds. I (R = Ph, NH₂, R₁ = R₂ = H; R = o-HO₂CC₆H₄, R₁ = Br, H, R₂ = Me, R₁ = H, R₂ = CO₂H, Cl), useful as inflammation inhibitors, were prepd. by amination of the corresponding chloropyrimidine with PhNH₂, N₂H₄.H₂O, or o-H₂NC₆H₄CO₂H. I (R = o-HO₂CC₆H₄, R₁ = Br, R₂ = Me) reduced edema 53 .+- 7.4% in the rat paw test.

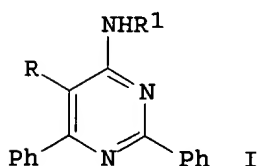
IT **51658-13-0P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antiinflammatory activity of)

RN 51658-13-0 CAPLUS

CN Benzoic acid, 2-[(2-hydrazino-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 173 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1983:612495 CAPLUS
 DOCUMENT NUMBER: 99:212495
 TITLE: Enamidines. Part 3. Synthesis of 4-aminopyrimidine derivatives from N1-alkenyl-N2-(alkylcarbamoyl)benzamidines
 AUTHOR(S): Venayak, Narinder D.; Wakefield, Basil J.
 CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK
 SOURCE: Journal of Chemical Research, Synopses (1983), (8), 200-1
 CODEN: JRPSDC; ISSN: 0308-2342
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 99:212495
 GI



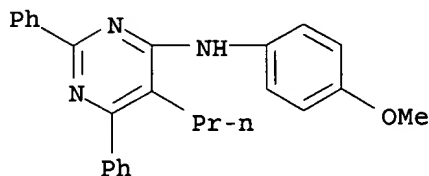
09/ 922,874

AB Heating RCH:CPhNHCPh:NC(Z)NHR1 [(R = Ph, R1 = Me, Me2CH; R = Pr, R1 = 4-MeOC6H4; R = H, R1 = Ph) (Z = O); R = Ph, R1 = Et, Z = S] with .apprx.2 mol equiv 4-MeC6H4SO2Cl in **pyridine** at 80.degree. for 1.5 h gave the **pyrimidine** derivs. I (R, R1 as before) in 18-89% yield.

IT **87946-31-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 87946-31-4 CAPLUS

CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-2,6-diphenyl-5-propyl- (9CI) (CA INDEX NAME)



L7 ANSWER 174 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:539887 CAPLUS

DOCUMENT NUMBER: 99:139887

TITLE: Synthesis of 3-(2-aryl-4-arylamino-5-pyrimidyl)-1,2,4-triazoles

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, 1431, Bulg.

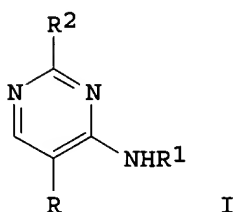
SOURCE: Doklady Bolgarskoi Akademii Nauk (1983), 36(3), 353-6
CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 99:139887

GI

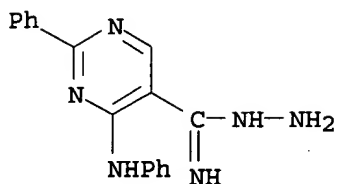


AB Title compds. I (R = 1H-1,2,4-triazol-3-yl; R1 = Ph, R2 = Ph, 2-thienyl, 2-naphthyl; R1 = 3-ClC6H4, R2 = Ph) were obtained in 63-72% yield by cyclizing I [R = C(:NH)NHNH2] with HCONH2. I (R = 5-methyl-1H-1,2,4-triazol-3-yl) were prepd. by cyclizing I [R = C(:NH)NHNH2] with MeC(OMe)3 with elimination of MeOH.

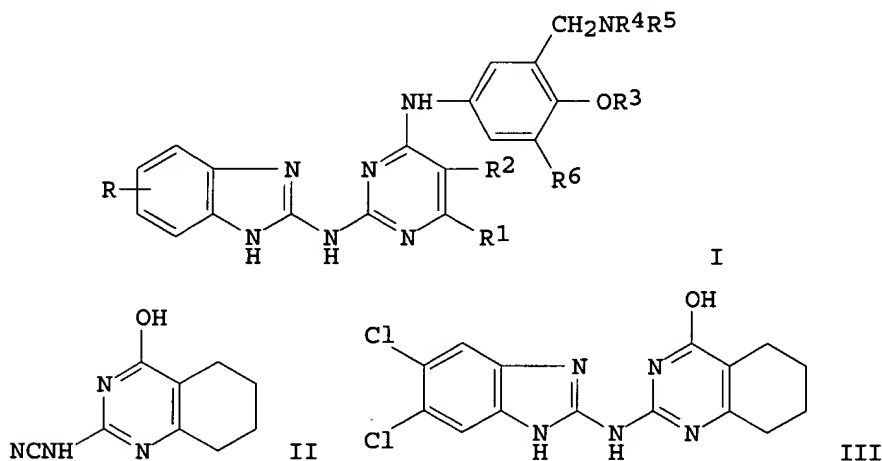
IT **76521-25-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with formamide)

RN 76521-25-0 CAPLUS

CN 5-Pyrimidinecarboximidic acid, 2-phenyl-4-(phenylamino)-, hydrazide (9CI) (CA INDEX NAME)



L7 ANSWER 175 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1983:488150 CAPLUS
 DOCUMENT NUMBER: 99:88150
 TITLE: N2-1H-Benzimidazol-2-yl-N4-phenyl-2,4-pyrimidinediamines and N2-1H-benzimidazol-2-yl-5,6,7,8-tetrahydro-N4-phenyl-2,4-quinazolinediamines as potential antifilarial agents
 AUTHOR(S): Angelo, Mario M.; Ortwine, Daniel; Worth, Donald F.; Werbel, Leslie M.
 CORPORATE SOURCE: Warner-Lambert/Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48106, USA
 SOURCE: Journal of Medicinal Chemistry (1983), 26(9), 1311-16
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



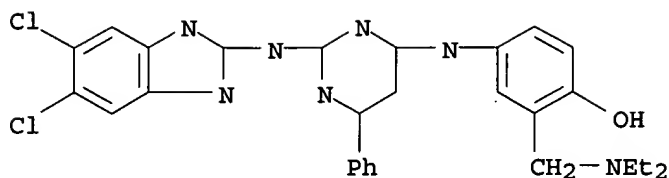
AB Title compds. I [R = 5,6-Cl₂, 5-Bz; R₁ = Me, CF₃, Ph; R₂ = H; R₁R₂ = (CH₂)₄; R₃ = H, Me, Et; NR₄R₅ = NEt₂, pyrrolidino, NHet, 4-methylpiperazinyl, PhNEt; R₆ = H, Ph] were prepd., but showed no antifilarial activity. Thus, treating cyanamide II with 2,4,5-H₂NCl₂C₆H₂NH₂ gave benzimidazole III, whose chlorination followed by amination with 2,5-HO(NH₂)C₆H₃CH₂NEt₂ gave I (R = 5,6-Cl₂, R₁R₂ = (CH₂)₄, R₃ = R₆ = H, NR₄R₅ = NEt₂).

IT 86260-67-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and antifilarial activity of)

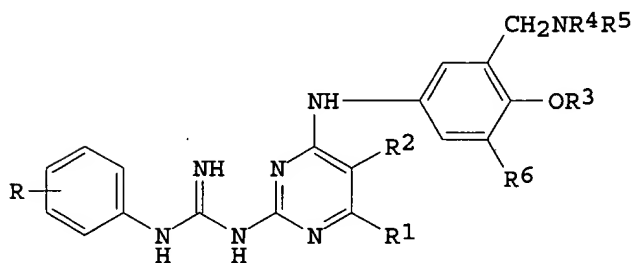
RN 86260-67-5 CAPLUS

CN Phenol, 4-[[2-[(5,6-dichloro-1H-benzimidazol-2-yl)amino]-6-phenyl-4-pyrimidinyl]amino]-2-[(diethylamino)methyl]- (9CI) (CA INDEX NAME)

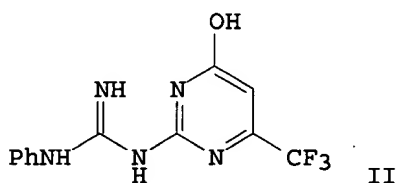


*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L7 ANSWER 176 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1983:488149 CAPLUS
 DOCUMENT NUMBER: 99:88149
 TITLE: Synthesis and antifilarial activity of
 N-[4-[[4-alkoxy-3-[(dialkylamino)methyl]phenyl
 amino]-2-pyrimidinyl]-N'-phenylguanidines
 AUTHOR(S): Angelo, Mario; Ortwine, Daniel; Worth, Donald; Werbel,
 Leslie M.; McCall, John W.
 CORPORATE SOURCE: Warner-Lambert/Parke-Davis Pharm. Res. Div.,
 Warner-Lambert Co., Ann Arbor, MI, 48106, USA
 SOURCE: Journal of Medicinal Chemistry (1983), 26(9), 1258-67
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I



II

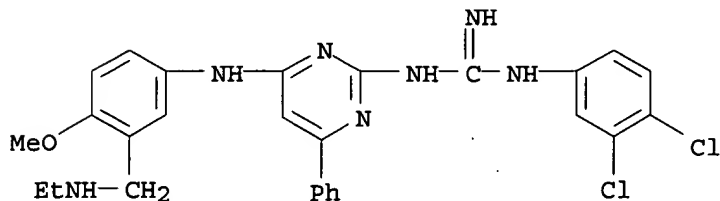
AB Title compds. I [R = Ph, 4-ClC6H4, 4-MeOC6H4, 4-F3CC6H4, 4-PhOC6H4, 4-BzC6H4, 3,4-Cl2C6H3; R1 = CF3, Ph; R2 = H; R1R2 = (CH2)4; R3 = H, Me, CHMe2, PhCH2; NR4R5 = NMe2, NHet, NMeEt, NET2, NHCH2CH(CH2)5; R6 = H, Ph] were prep'd. E.g., treating PhNH2 with H2NC(:NH)NHCN gave PhNHC(:NH)NHC(:NH)NH2, cyclocondensation of which with F3CCOCH2CO2Et gave **pyrimidine** II. Chlorination of II followed by condensation with 2,5-(HO)(H2N)C6H3CH2NMe2 gave I (R = R2 = R3 = R6 = H, R1 = CF3, NR4R5 = NMe2). Antifilarial activity of I was confined to adult *Litomosoides carinii*. Structure activity relationship was discussed.

IT **86177-55-1P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and anthelmintic activity of)

RN 86177-55-1 CAPLUS

CN Guanidine, N-(3,4-dichlorophenyl)-N'-[4-[[3-[(ethylamino)methyl]-4-methoxyphenyl]amino]-6-phenyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 177 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:487767 CAPLUS

DOCUMENT NUMBER: 99:87767

TITLE: Lithium-mediated rearrangement of sterically hindered aromatic aldehyde aryl hydrazones

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, 1431, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1983), 36(2), 233-6

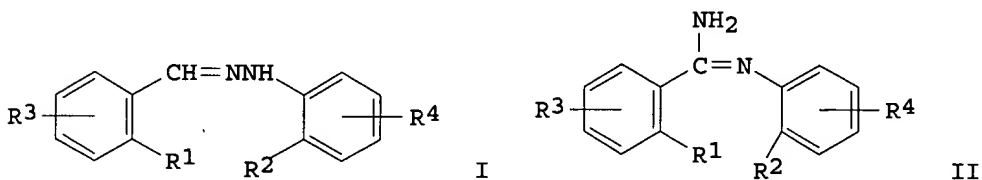
CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 99:87767

GI



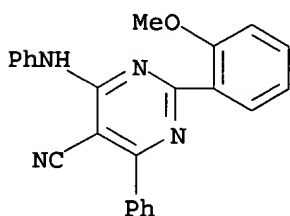
AB Boiling hydrazones I (R1 = OMe, OEt, H, Me, Cl; R2 = H, Cl; R3 = H, 3,4-Cl2, 4-Me, 4-Cl; R4 = H, 4-Cl, 5-Cl; 7 compds.) in xylene for 20-30 min in the presence of LiNH₂ and O gave 40-70% amidines II.

IT 86726-07-0P

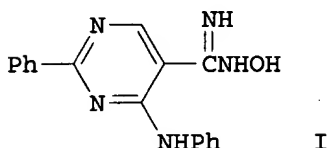
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 86726-07-0 CAPLUS

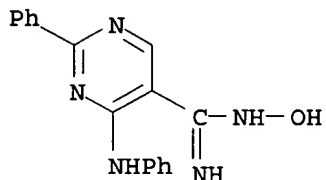
CN 5-Pyrimidinecarbonitrile, 2-(2-methoxyphenyl)-4-phenyl-6-(phenylamino)-
(9CI) (CA INDEX NAME)



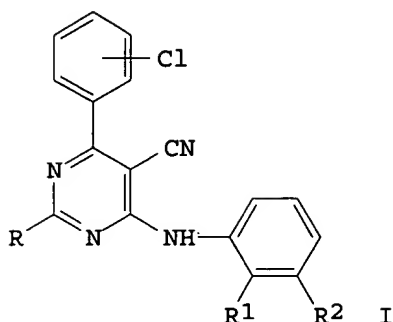
L7 ANSWER 178 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1983:191493 CAPLUS
 DOCUMENT NUMBER: 98:191493
 TITLE: Pharmacological study of newly synthesized 2-
phenyl-4-anilinopyrimidine-5-amidoxime
 AUTHOR(S): Robev, S.; Boyadzhieva, N.; Dicheva, M.
 CORPORATE SOURCE: Inst. Int. Dis., Med. Acad., Sofia, 1431, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1982), 35(10),
 1451-4
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB I.v. administration of the title compd. (I) [85708-68-5] (1, 2, 3, and 4 mg/kg) dose-dependently increased the blood pressure in urethane anesthetized cats. The duration of hypertensive action was 60 min with 1 and 2 mg doses and 90 min with the higher doses. I was synthesized by refluxing 2-**phenyl-4-anilino-5-cyanopyrimidine** [76521-19-2] with hydroxylamine [7803-49-8]. I is water sol.
 IT 85708-68-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and antihypotensive activity of)
 RN 85708-68-5 CAPLUS
 CN 5-Pyrimidinecarboximidamide, N-hydroxy-2-phenyl-4-(phenylamino)- (9CI)
 (CA INDEX NAME)



L7 ANSWER 179 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1983:160045 CAPLUS
 DOCUMENT NUMBER: 98:160045
 TITLE: Electron impact mass spectra of chlorine-containing
 poly-substituted **pyrimidines**
 AUTHOR(S): Kumanova, B.; Mincheva, M.
 CORPORATE SOURCE: Dep. Fundam. Chem. Technol., Higher Inst.
 Chem.-Technol., Sofia, 1156, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1982), 35(9), 1245-8
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

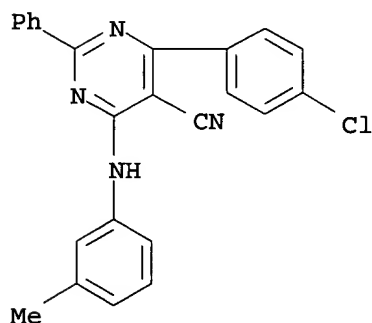


AB The electron impact mass spectra of I (R = Ph, .beta.-naphthol; R1 = H, OMe; R2 = H, Me) were recorded. Similar compds. were distinguished by the position of the Cl atom.

IT 76851-25-7
RL: PRP (Properties)
(mass spectrum of)

RN 76851-25-7 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(3-methylphenyl)amino]-2-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 180 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:53653 CAPLUS

DOCUMENT NUMBER: 98:53653

TITLE: Nonsteroidal antiinflammatory agents. 2.
[(Heteroaryl amino)phenyl]alkanoic acids

AUTHOR(S): Hino, Katsuhiko; Nakamura, Hideo; Nagai, Yasutaka;
Uno, Hitoshi; Nishimura, Haruki

CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, Japan

SOURCE: Journal of Medicinal Chemistry (1983), 26(2), 222-6
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:53653

GI

AB [(Heteroaryl amino)phenyl]alkanoic acids I (R1 = H, 3-, 4-, 5-, 6-Me, 5-Cl, 5-Br, 5-NO2, SMe; R2 = H, 2-, 3-Cl, 3-Me; R3 = H, Me), II (R3 = H, Me); III, IV, and V (R1 = H, R2 = Me, R3 = H, Me; R1 = SMe, R2 = R3 = H), were prepd. as potential antiinflammatory agents. I (R1 = R2 = H, R3 = Me) showed excellent antiinflammatory and analgesic activities with less tendency to cause gastric side effects. Structure-activity relationships are discussed.

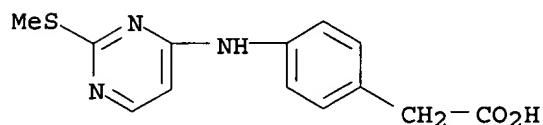
IT 83528-53-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antiinflammatory activity of)

RN 83528-53-4 CAPLUS

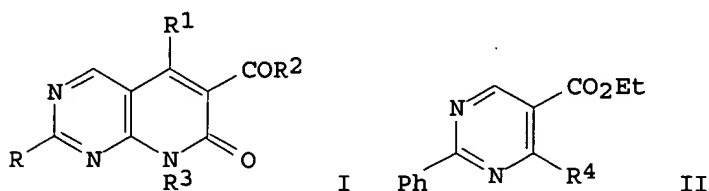
CN Benzeneacetic acid, 4-[[2-(methylthio)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



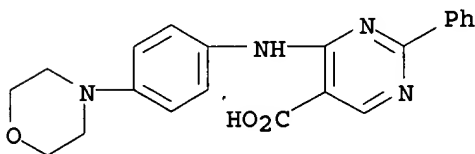
L7 ANSWER 181 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:423815 CAPLUS
 DOCUMENT NUMBER: 97:23815
 TITLE: 7,8-Dihydro-2,5,8-trisubstituted-7-oxopyrido[2,3-d]pyrimidine-6-carboxamides
 INVENTOR(S): Scotese, Anthony C.; Morris, Robert L.; Santilli, Arthur A.
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: U.S., 14 pp. Cont.-in-part of U.S. 4,215,216.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4301281	A	19811117	US 1980-125620	19800228
US 4215216	A	19800729	US 1979-31256	19790418
JP 55141485	A2	19801105	JP 1980-50214	19800415
CA 1120475	A1	19820323	CA 1980-350056	19800417
PRIORITY APPLN. INFO.:			US 1979-31256	19790418
			US 1980-116123	19800128
			US 1980-125620	19800228

OTHER SOURCE(S): CASREACT 97:23815
 GI

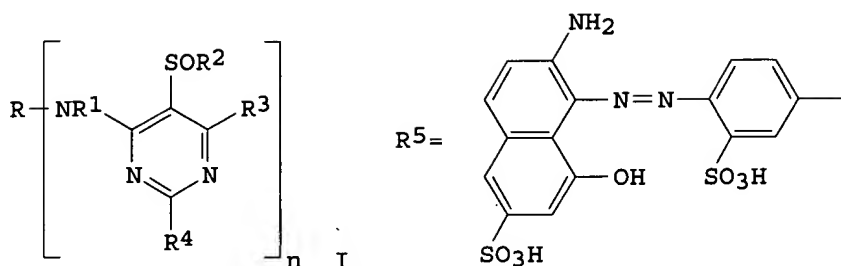


- AB Carboxamides I [R = H, OH, C1-6 alkyl, alkylthio, Ph, 4-MeOC6H4, 4-ClC6H4, 1-pyrrolidinyl, MePhN; R1 = OH, (di) C1-6 alkylamino, HOCH2CH2NH, C3-8 2-alkoxyethylamino, 4-methyl-1-piperazinyl, 4-morpholinyl, 1-pyrrolidinyl, NH2; R2 = (di) (C1-6 alkyl) amino; R3 = H, C1-6 alkyl, C3-6 alkoxyethyl, allyl, propargyl, Ph, 4-MeOC6H4, 4-ClC6H4, PhCH2, 4-MeOC6H4CH2, 4-ClC6H4CH2, 4-(4-morpholinyl) phenyl, piperonyl], useful as gastric antisecretory agents and in suppression of allergic manifestations in warm-blooded animals, were prepd. Also prepd. were esters I (R2 = C1-6 alkoxy). Aminating chloropyrimidinecarboxylate II (R4 = Cl) with EtNH2 in EtOH contg. Na2CO3 overnight at room temp., then 1 h at reflux gave amine deriv. II (R4 = EtNH) which was cyclized with EtO2CCH2COCl in Et2O in 3 h at room temp., then treated with Na in EtOH to give **pyridopyrimidinecarboxylate**. I (R = Ph, R1 = OH, R2 = OEt, R3 = Et) (III). At 32 mg/kg (rat) intraduodenal, III gave 45% inhibition of gastric total acid output; at 50 mg/kg i.p. or orally, III inhibited 99% allergy response in sensitized rats.
- IT **76360-69-5P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and cyclization of, with Et chloroformate, **pyrimidooxazinedione** deriv. by)
- RN 76360-69-5 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-[[4-(4-morpholinyl)phenyl]amino]-2-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 182 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:201258 CAPLUS
 DOCUMENT NUMBER: 96:201258
 TITLE: Reactive dyes and their use
 INVENTOR(S): Seitz, Karl; Hoegerle, Karl
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: Eur. Pat. Appl., 63 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 45278	A2	19820203	EP 1981-810289	19810716
EP 45278	A3	19820526		
EP 45278	B1	19840919		
R: CH, DE, FR, GB				
JP 57051753	A2	19820326	JP 1981-113796	19810722
JP 59050707	B4	19841210		
PRIORITY APPLN. INFO.:			CH 1980-5585	19800722
GI				



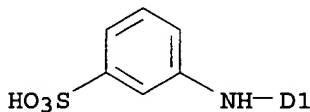
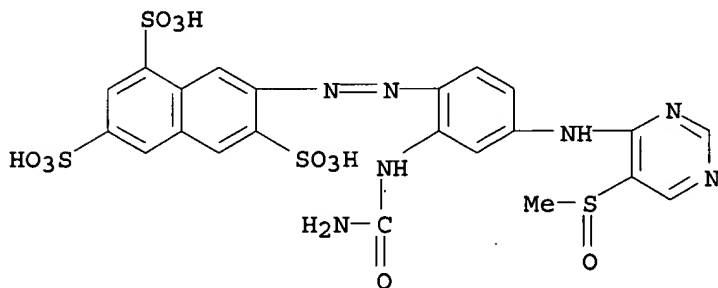
AB Reactive dyes (I; R = sulfo group-contg. dye moiety; R1 = H, optionally substituted C1-4 alkyl; R2 = C1-4 alkyl; R3, R4 = Cl, Br, F, amino, alkoxy, aryloxy, alkylthio, arylthio; one of R3 and R4 must be Cl, Br, or F) were prepd. and used to dye cotton fast shades. Thus, 2,4,6-trichloro-5-(methylthio)pyrimidine [24795-76-4] was oxidized to 2,4,6-trichloro-5-(methylsulfinyl)pyrimidine [72063-68-4] and then condensed with R5NH2 [24042-07-7] to give I(R = R5, R1 = H, R2 = Me, R3 = R4 = Cl) [81726-65-0], bluish red on cotton.

IT 81722-99-8

RL: TEM (Technical or engineered material use); USES (Uses)
(dye, for cotton, prepn. of)

RN 81722-99-8 CAPLUS

CN 1,3,6-Naphthalenetrisulfonic acid, 7-[[2-[(aminocarbonyl)amino]-4-[[2(or 6)-fluoro-5-(methylsulfinyl)-6(or 2)-[(3-sulfophenyl)amino]-4-pyrimidinyl]amino]phenyl]azo]- (9CI) (CA INDEX NAME)



D1-F

L7 ANSWER 183 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:532969 CAPLUS

DOCUMENT NUMBER: 95:132969

TITLE: Benzodiazepinones and pharmaceutical compositions containing them

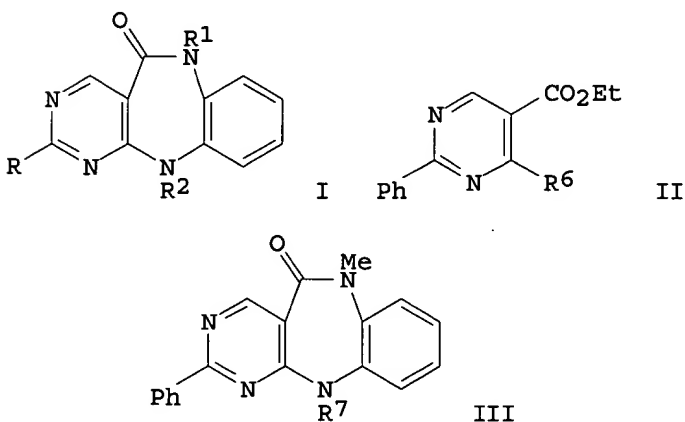
INVENTOR(S): Schaefer, Hartmann; Riedel, Richard; Klemm, Kurt; Senn-Bilfinger, Joerg; Eltze, Manfred

PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.

SOURCE: Eur. Pat. Appl., 69 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 24582	A1	19810311	EP 1980-104589	19800804
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4311700	A	19820119	US 1980-175243	19800804
IL 60761	A1	19840629	IL 1980-60761	19800805
CA 1157018	A1	19831115	CA 1980-357697	19800806
WO 8100568	A1	19810305	WO 1980-EP75	19800807
W: AU, DK, HU, JP, NO				
AU 8062251	A1	19810318	AU 1980-62251	19800807
AU 537546	B2	19840628		
JP 56501009	T2	19810723	JP 1980-501875	19800807
ZA 8004827	A	19810826	ZA 1980-4827	19800808
DK 8101614	A	19810409	DK 1981-1614	19810409
PRIORITY APPLN. INFO.:			CH 1979-7334	19790810
			CH 1979-7335	19790810
			EP 1980-104589	19800804
			WO 1980-EP75	19800807

GI



AB Benzodiazepinones I [R = H, Ph; R1 = H, C1-4 alkyl; R2 = H, COCnH2nR3 [R3 = NR4R5 [R4 = C1-4 alkyl, C3-5 alkenyl; R5 = C1-4 alkyl optionally substituted by di-C1-4 alkylamino, C3-5 alkenyl; NR4R5 = **pyrrolidino**, piperidino, morpholino, perhydroazepino, (substituted) 1-piperazinyl, (Me substituted) 1-homopiperazinyl]; n = 1, 2]], useful as inhibitors of stomach and intestinal ulcers and of gastric secretions, were prepd. Condensing 2-H2NC6H4NHMe with **pyrimidine** II (R6 = Cl) in EtOH contg. NEt3 gave aniline deriv. II (R6 = 2-MeNHC6H4NH) which cyclized with Na in EtOH to give **pyrimidobenzodiazepinone** III (R7 = H). This was N-acylated in PhMe with ClCH2COCl to give 86% chloroacetyl deriv. III (R7 = ClCH2CO), which N-alkylated piperidine to give 45% III (R7 = piperidinoacetyl). III (R7 = piperidinoacetyl) had ED50 .apprx.8 mg/kg (rat, orally) for protection against stomach ulcers (therapeutic quotient .apprx.20) and inhibited gastric secretion 32%.

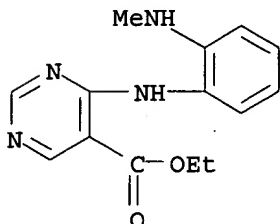
IT 78438-83-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(prepn. and cyclization of, **pyrimidobenzodiazepinone** deriv.
by)

RN 78438-83-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[2-(methylamino)phenyl]amino]-, ethyl
ester (9CI) (CA INDEX NAME)

L7 ANSWER 184 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:497735 CAPLUS

DOCUMENT NUMBER: 95:97735

TITLE: Studies on antitumor-active 2,3-dioxopiperazine
derivatives. III. Synthesis and structure-antitumor
activity relationship of 1-(4-aminobenzyl)-2,3-
dioxopiperazine derivativesAUTHOR(S): Hori, Takako; Yoshida, Chosaku; Murakami, Shohachi;
Kiba, Yasuo; Takeno, Ryuko; Nakano, Joji; Nitta, Jun;
Tsuda, Hisatsugu; Saikawa, IsamuCORPORATE SOURCE: Res. Lab., Toyama Chem. Co., Ltd., Toyama, 930, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1981), 29(5),
1253-66

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

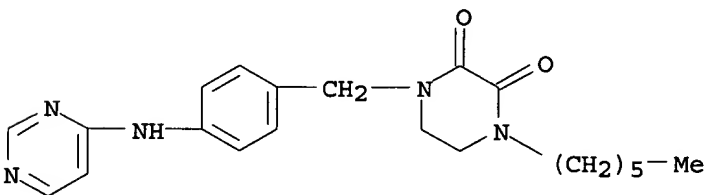
LANGUAGE: English

AB 1-(4-Diethylamino-3- or 2-substituted benzyl)-2,3-dioxopiperazine derivs.
and 1-(4-substituted aminobenzyl)-2,3-dioxopiperazine derivs. were
designed and synthesized with the aim of suppressing the metab. of the
Et2N- group of 1-(4-diethylaminobenzyl)-4-n-hexyl-2,3-dioxopiperazine.
The structure-activity relationships and metab. of these compds. were
studied. 1-Benzyl-4-[4-(2-pyrimidinylamino)benzyl]-2,3-
dioxopiperazine possessed the highest in vitro and in vivo activities as
antitumor agent.

IT 77917-95-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 77917-95-4 CAPLUS

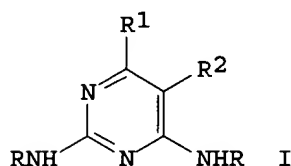
CN 2,3-Piperazinedione, 1-hexyl-4-[[4-(4-pyrimidinylamino)phenyl]methyl]-
(9CI) (CA INDEX NAME)

L7 ANSWER 185 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

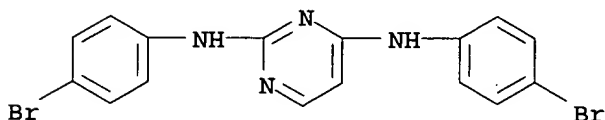
ACCESSION NUMBER: 1981:497712 CAPLUS

09/ 922,874

DOCUMENT NUMBER: 95:97712
TITLE: 2,4-Bis(arylamino)-6-methylpyrimidines as
antimicrobial agents
AUTHOR(S): Ghosh, Dolly
CORPORATE SOURCE: Dep. Chem., Bose Inst., Calcutta, 700 009, India
SOURCE: Journal of the Indian Chemical Society (1981), 58(5),
512-13
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB **Pyrimidines I** (R = aryl, R₁ = Me, H; R₂ = H, Me) were synthesized. All were tested against some gram-pos. and gram-neg. bacteria and *Candida albicans*. 2,4-Bis(p-chloroanilino)- and 2,4-bis(p-bromoanilino)**pyrimidine** derivs. possess significant activity.
IT **78830-70-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal and fungicidal activities of)
RN 78830-70-3 CAPLUS
CN 2,4-Pyrimidinediamine, N,N'-bis(4-bromophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 186 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:407331 CAPLUS
DOCUMENT NUMBER: 95:7331
TITLE: 1-(4-Aminobenzyl)-2,3-dioxopiperazine derivatives and
their acid addition salts
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: Ger. Offen., 86 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3027106	A1	19810219	DE 1980-3027106	19800717
DE 3027106	C2	19881110		
JP 56018969	A2	19810223	JP 1979-93234	19790724

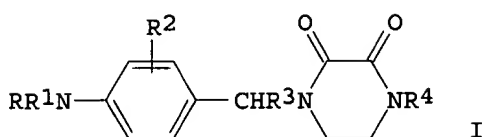
09/ 922,874

JP 05057272	B4	19930823		
CA 1131640	A1	19820914	CA 1980-356116	19800714
GB 2056976	A	19810325	GB 1980-23879	19800722
FR 2461705	A1	19810206	FR 1980-16275	19800723
FR 2461705	B1	19830318		

PRIORITY APPLN. INFO.:

JP 1979-93234	19790724
CA 1982-356116	19820218

GI



AB Piperazinediones I (R, R1 = H, alkyl, cycloalkyl, aralkyl, acyl, thiocarbamoyl, alkylthioimidoyl, amidino, heterocyclic; NRR1 = heterocyclic; R2 = H, amino, alkyl, alkoxy; R3 = H, alkyl; R4 = H, aliph., aryl, heterocyclic) were prepd. Thus AcNHCH2CH2NH2 was reductively alkylated with 4-AcNHC6H4CHO to give 4-H2NC6H4CH2NHCH2CH2NH2 which was cyclized with di-Et oxalate to give I (R-R4 = H). The latter compd. was treated with 2-bromopyrimidine to give I (R = 2-pyrimidinyl, R1-R4 = H) which was treated with PhCH2Cl to give I (R = 2-pyrimidinyl, R1-R3 = H, R4 = CH2Ph) (II). II had a min. inhibitory concn. against HeLa cells of 0.1 .mu.g/mL.

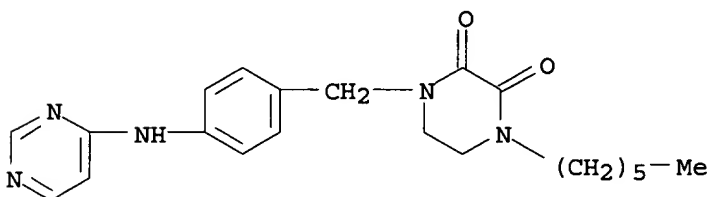
IT 77917-95-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antitumor activity of)

RN 77917-95-4 CAPLUS

CN 2,3-Piperazinedione, 1-hexyl-4-[[4-(4-pyrimidinylamino)phenyl]methyl]-(9CI) (CA INDEX NAME)



L7 ANSWER 187 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:208795 CAPLUS

DOCUMENT NUMBER: 94:208795

TITLE: 2,6-Disubstituted 4-(2-biphenylamino)-5-cyanopyrimidines

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Inst. Med., Sofia, 1431, Bulg.

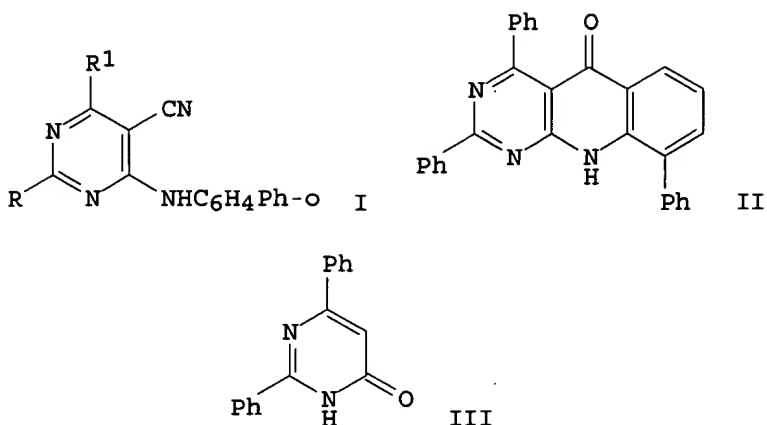
SOURCE: Doklady Bolgarskoi Akademii Nauk (1980), 33(6), 791-4

CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: German

GI

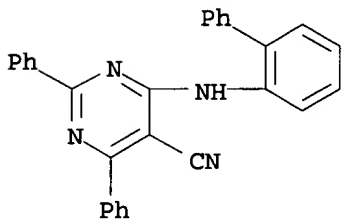


AB The **pyrimidines** I (R = Ph, R₁ = Ph, p-MeC₆H₄, 2-naphthyl
; R = p-MeC₆H₄, R₁ = Ph, p-PhC₆H₄) were prepd. by cyclization of
o-PhC₆H₄N:CRNH₂ with R₁CH:C(CN)₂. I (R = R₁ = Ph) was cyclized with
polyphosphoric acid to give the **pyrimidoquinoline** II. I (R = R₁
= Ph) was converted to the **pyrimidinone** III.

IT **77740-00-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and cyclization of)

RN 77740-00-2 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-([1,1'-biphenyl]-2-ylamino)-2,6-diphenyl-
(9CI) (CA INDEX NAME)



L7 ANSWER 188 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:139732 CAPLUS

DOCUMENT NUMBER: 94:139732

TITLE: Triazolo[4,5-d]pyrimidines. VII. The
photochemical transformation of 3-phenyl
-3H-1,2,3-triazolo[4,5-d]pyrimidines into
9H-pyrimido[4,5-b]indoles

AUTHOR(S): Higashino, Takeo; Hayashi, Eisaku; Matsuda, Hideaki;
Katori, Tatsuhiko

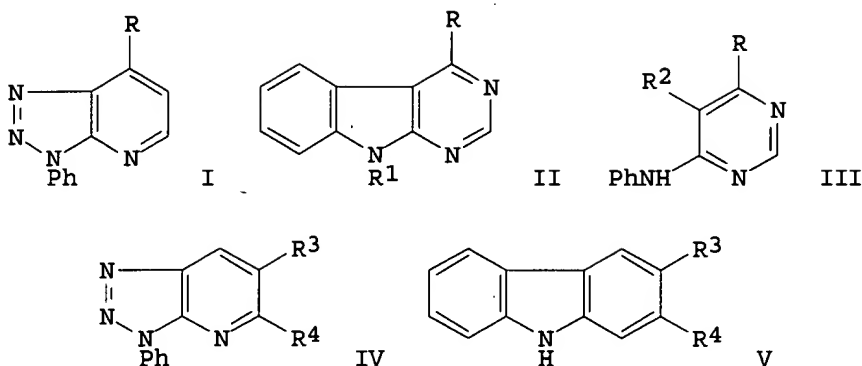
CORPORATE SOURCE: Shizuoka Coll. Pharm., Shizuoka, 422, Japan

SOURCE: Heterocycles (1981), 15(1), 483-7
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



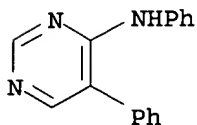
AB Photolysis of the triazolopyrimidines I (R = H, Cl, cyano, NHMe, NHCH₂Ph, NMe₂, OMe, OEt, OPh, Me) gave II (R₁ = H). I with electron-attracting substituents gave II (R = 1,4-dioxan-2-yl, CH₂OH, R₁ = H; R = cyano, R₁ = OMe) as well as the by-products III (R = H, Cl, cyano, R₂ = Ph; R = Cl, cyano, OMe, R₂ = H) from reaction with solvent. IV [R₃ = H, R₄ = H, Ph; R₃ = CO₂Et, Ac, R₄ = Me; R₃R₄ = (CH₂)₃, (CH₂)₄] similarly gave V.

IT 76945-12-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 76945-12-5 CAPLUS

CN 4-Pyrimidinamine, N,5-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 189 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:139730 CAPLUS

DOCUMENT NUMBER: 94:139730

TITLE: Syntheses with nitriles. 60. Preparation of
4-amino-5-cyano-6-phenylpyrimidines from
2-amino-1,1-dicyano-2-phenylethene

AUTHOR(S): Mittelbach, Martin; Juneck, Hans

CORPORATE SOURCE: Inst. Org. Chem., Univ. Graz, Graz, A-8010, Austria

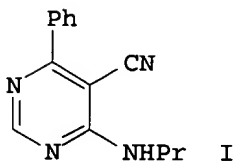
SOURCE: Journal of Heterocyclic Chemistry (1980), 17(7),
1385-7

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

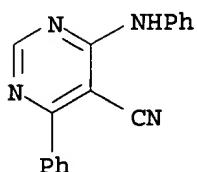


AB The reaction of 2-amino-1,1-dicyanobut-1-ene and 2-amino-1,1-dicyano-2-phenylethene, resp., with DMF dimethylacetal provided the corresponding (N,N-dimethylaminomethylene)amino derivs. 2-[(N,N-Dimethylaminomethylene)amino]-1,1-dicyano-2-phenylethene was converted into 4-amino-5-cyano-6-phenylpyrimidines, e.g. I, by treatment with primary aliph. and arom. amines. The structure of the reaction products was confirmed by ^{13}C NMR spectroscopy.

IT **76990-17-5P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 76990-17-5 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-phenyl-6-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 190 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:121449 CAPLUS

DOCUMENT NUMBER: 94:121449

TITLE: Preparation of 2,4-disubstituted 6-chlorophenyl-5-cyanopyrimidines

AUTHOR(S): Mincheva, M.

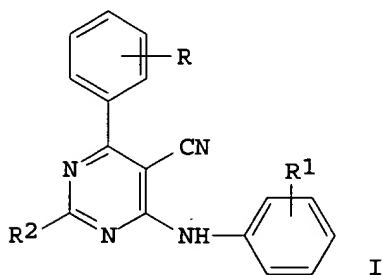
CORPORATE SOURCE: Exp. Tumour Ther. Dep., Oncol. Res. Inst., Sofia, 1156, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1980), 33(7), 925-7
 CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



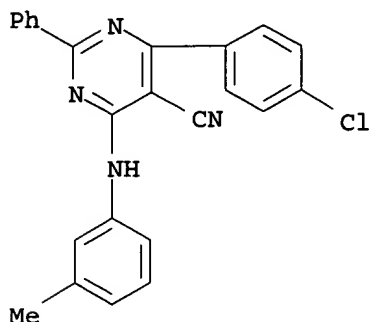
AB **Pyrimidines I** (R = 2-Cl, 3-Cl, 4-Cl; R1 = H, 3-Me, 2-OMe; R2 = Ph, 2-naphthyl, 4-Me2NC6H4) were obtained in 12-46% yield by treating $\text{R}_1\text{C}_6\text{H}_4\text{N}:\text{CR}_2\text{NH}_2$ with $\text{RC}_6\text{H}_4\text{CH}:\text{C}(\text{CN})_2$. I had bactericidal activity (no data).

IT **76851-25-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

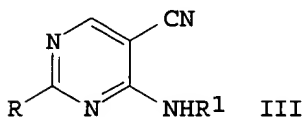
RN 76851-25-7 CAPLUS

09/ 922,874

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(3-methylphenyl)amino]-2-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 191 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:83735 CAPLUS
DOCUMENT NUMBER: 94:83735
TITLE: Reaction of ethoxymethylenemalononitrile with
N-monosubstituted amidines
AUTHOR(S): Robev, S.
CORPORATE SOURCE: Fac. Med., Med. Acad., Sofia, 1431, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1980), 33(5), 635-8
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



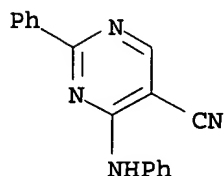
AB Condensation products $RC(:NH)NR_1CH:C(CN)_2$ (I; R = Ph, 2-naphthyl; R_1 = Ph 4-BrC₆H₄, 4-MeOC₆H₄, 1-naphthyl) were obtained when the resp. $RC(:NH)NHR_1$ were treated with $EtOCH:C(CN)_2$ (II) in HOAc; and the treatment of the above amidines and $PhC(:NH)NHC_6H_4Me-3$ with II in basic EtOH gave the resp. 4-amino-5-pyrimidinecarbonitriles III. A mixt. of $PhC(:NH)NHR_1$ and II in HOAc was boiled and worked up to give I (R = R_1 = Ph). $PhC(:NH)NHR_1$ and II in EtOH was kept overnight, KOH-EtOH was added, and the mixt. was refluxed to give III (R = R_1 = Ph).

IT 76521-19-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 76521-19-2 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-phenyl-4-(phenylamino)- (9CI) (CA INDEX NAME)

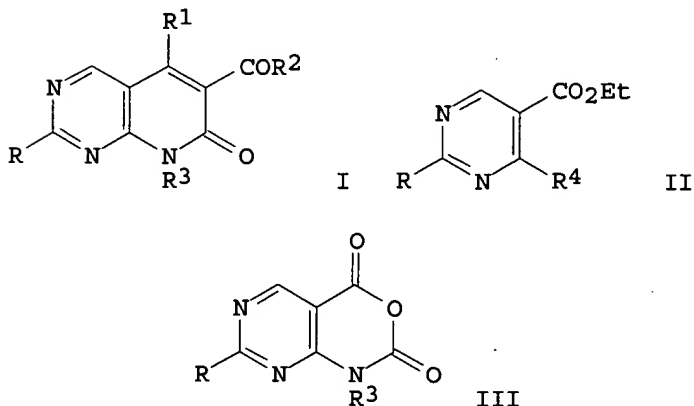


09/ 922,874

L7 ANSWER 192 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:65719 CAPLUS
DOCUMENT NUMBER: 94:65719
TITLE: 7,8-Dihydro-2,5,8-trisubstituted-7-oxo-pyrido
[2,3-d]pyrimidine-6-carboxylic acid
derivatives
INVENTOR(S): Morris, Robert L.; Santilli, Arthur A.; Scotese,
Anthony C.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4215216	A	19800729	US 1979-31256	19790418
US 4233446	A	19801111	US 1979-89065	19791029
US 4236004	A	19801125	US 1979-89013	19791029
US 4255568	A	19810310	US 1979-89652	19791029
US 4301281	A	19811117	US 1980-125620	19800228
EP 18139	A2	19801029	EP 1980-301075	19800403
EP 18139	A3	19810107		
EP 18139	B1	19830504		
R: AT, BE, CH, DE, FR, IT, LU, NL, SE				
GB 2048859	A	19801217	GB 1980-11250	19800403
GB 2048859	B2	19830427		
AT 3208	E	19830515	AT 1980-301075	19800403
ZA 8002047	A	19811125	ZA 1980-2047	19800408
JP 55141485	A2	19801105	JP 1980-50214	19800415
CA 1120475	A1	19820323	CA 1980-350056	19800417
PRIORITY APPLN. INFO.:			US 1979-31256	19790418
			US 1980-116123	19800128
			US 1980-125620	19800228
			EP 1980-301075	19800403

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AB **Pyrido[2,3-d]pyrimidines I** [R = H, OH, C1-6 alkyl,
C1-6 alkylthio, Ph, 4-MeOC6H4, 4-ClC6H4, 1-pyrrolidinyl, MePhNH;
R1 = HO, C1-6 alkylamino, 2-HOCH2CH2NH, C3-8 2-alkoxyethylamino, C1-6

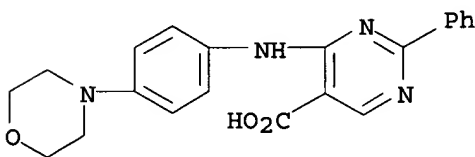
dialkylamino, heterocyclyl; R2 = C1-6 alkoxy, 2-HOCH2CH2NH2, 2-alkoxy- and 2-(dialkylamino)ethylamino; R3 = H, C1-6 alkyl, C3-6 2-alkoxyethyl, allyl, propargyl, Ph, 4-tolyl, 4-ClC6H4, PhCH2, 4-MeOC6H4CH2, 4-ClC6H4CH2, 4-morpholinophenyl, piperonyl] were prepd. by several methods, e.g., successive aminolysis of **pyrimidinecarboxylate** II (R4 = Cl), cyclocondensation with ClCOCH2COR2, chlorination, and further aminolysis. Thus, treating II (R = Ph, R4 = Cl) with EtNH2 (g) gave II (R4 = EtNH), whose cyclocondensation with ClCH2CO2Et gave I (R = Ph, R1 = OH, R2 = OEt, R3 = Et) (III). Treating III with POCl3 and then with **pyrrolidine** gave I (R1 = 1-pyrrolidinyl). At 32 mg/kg id. IV had 45% antigastric secretory activity and at 50 mg/kg p.o. had 99% antiallergy activity.

IT 76360-69-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclocondensation of, with Et chloroformate, **pyrimidooxazinedione** deriv. by)

RN 76360-69-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[4-(4-morpholinyl)phenyl]amino]-2-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 193 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:65606 CAPLUS

DOCUMENT NUMBER: 94:65606

TITLE: A novel and convenient synthesis of 2-amino-4-(N-alkyl-N-arylamino)**pyrimidines** using polarized ketene S,S- and S,N-acetals. Part 13

AUTHOR(S): Kumar, A.; Aggarwal, V.; Ila, H.; Junjappa, H.

CORPORATE SOURCE: Dep. Chem., North-Eastern Hill Univ., Shilong, 793 003, India

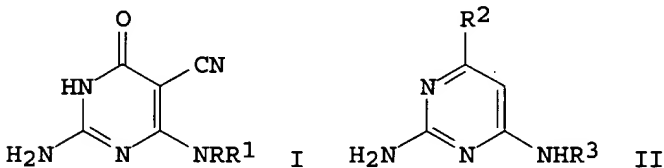
SOURCE: Synthesis (1980), (9), 748-51

CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Ketene S,N-acetals EtO2CC(CN):C(SMe)NRR1 (R = Ph, 4-Me-, 4-MeO-, 4-Cl-, 4-FC6H4; R1 = H; NRR1 = morpholino), generated in situ by treating ketene S,S-acetals EtO2CC(CN):C(SMe)2 with amines RR1NH, were treated with guanidine nitrate to give 47-57% aminopyrimidones I. R2COCH:C(SMe)NHR3 (R2 = H, Ph, 4-MeC6H4, 4-BrC6H4; R3 = Ph, 4-ClC6H4, Et), obtained in

09/ 922,874

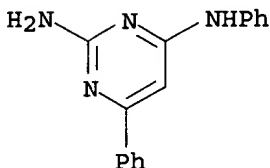
75-85% yield by treating R2COMe with R3NCS, were treated with guanidine nitrate to give 28-50% II.

IT 76369-29-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 76369-29-4 CAPLUS

CN 2,4-Pyrimidinediamine, N4,6-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 194 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1980:599937 CAPLUS

DOCUMENT NUMBER: 93:199937

TITLE: Amine oxidase activity of ceruloplasmin and complexes of copper(II) with anthranilic acid derivatives

AUTHOR(S): Grigor'eva, A. S.; Kriss, E. E.; Konakhovich, N. F.

CORPORATE SOURCE: Inst. Fiz. Khim. im. Pisarzhevskogo, Kiev, USSR

SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1980), 14(8), 7-11

CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal

LANGUAGE: Russian

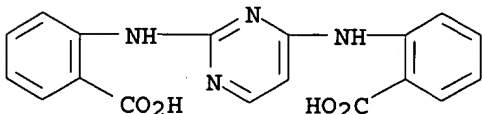
AB The amine oxidase activity of ceruloplasmin was compared with that of Cu(II)-anthranilic acid deriv. complexes using the model reaction of p-aminophenol oxidn. by H2O2. Complexes of N-2,3-dimethylphenylanthranilic acid, N-3-trifluoromethylphenylanthranilic acid, and N-4-difluoromethylphenylanthranilic acid with Cu(II) were equally or more active in the oxidn. reaction than hydrated Cu(II). The Cu(II)-2,4-di(o-carboxyphenylamino)pyrimidine complex, which was the most stable, was also the least active. Addn. of excess anthranilic acid deriv. led to the formation of 1:2 Cu(II)-ligand complexes, which were less active than the corresponding 1:1 complexes. p-Aminophenol oxidn. by ceruloplasmin was accelerated somewhat in the presence of approx. equimolar amts. of anthranilic acid deriv., whereas large excesses of the ligands led to a decreased rate of reaction. These effects were dependent on the preincubation time of ceruloplasmin and ligand; a 2.5-h preincubation period was necessary. p-Aminophenol may be considered an analog of serotonin. The amine oxidase activity of ceruloplasmin in the presence of anthranilic acid derivs. under optimal conditions is higher than that of ceruloplasmin alone. This could possibly be a basis for therapeutic (antiinflammatory) effects of anthranilic acid derivs.

IT 67026-26-0D, copper complexes

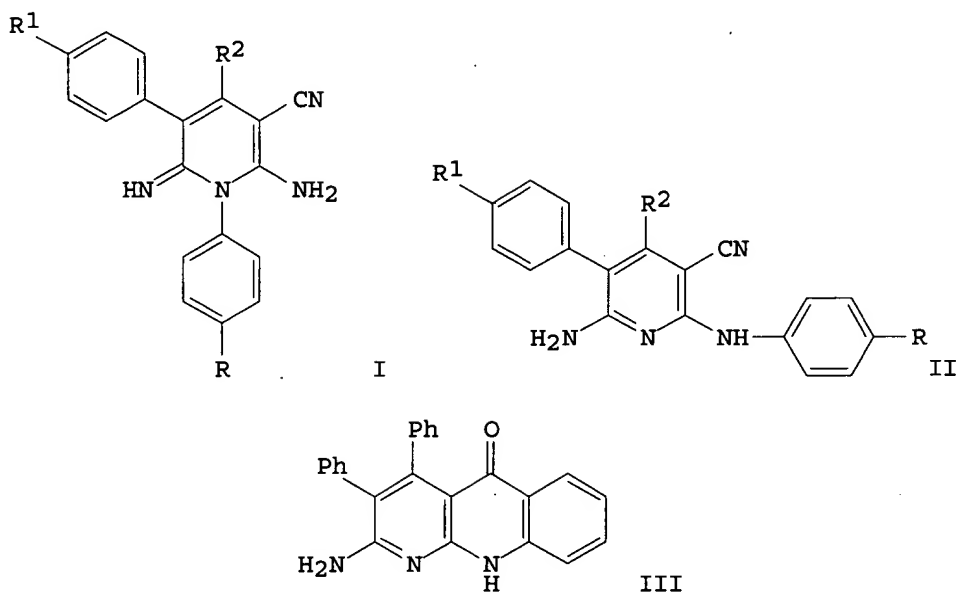
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(amine oxidase activity of, ceruloplasmin in relation to)

RN 67026-26-0 CAPLUS

CN Benzoic acid, 2,2'-(2,4-pyrimidinediyl-diimino)bis- (9CI) (CA INDEX NAME)



L7 ANSWER 195 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1980:446364 CAPLUS
 DOCUMENT NUMBER: 93:46364
 TITLE: 3-Cyanopyridine derivatives from
 arylidenemalononitriles and N-monosubstituted
 arylacetamidines
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, 1431, Bulg.
 SOURCE: Heterocycles (1980), 14(4), 461-4
 CODEN: HTCYAM; ISSN: 0385-5414
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



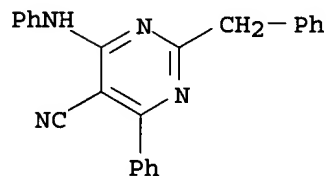
AB Iminopyridines I (R = H, Me, Cl; R₁ = H, Cl; R₂ = Ph, 4-ClC₆H₄, 2-naphthyl, 4-MeC₆H₄, 2-MeOC₆H₄, 2-pyridyl) were obtained in 40-80% yield by treating 4-RC₆H₄NHC(:NH)CH₂C₆H₄R₁-4 with R₂CH:C(CN)₂ in the melt at 100-10.degree.. 4-Anilino-6-aryl-2-benzyl-5-cyanopyrimidines were formed as by-products. I rearranged on treatment with NaOPr-PrOH to give 58-75% II. II (R = R₁ = H, R₂ = Ph) cyclized on heating on H₃PO₄ to give 60% III.

IT 74115-89-2P

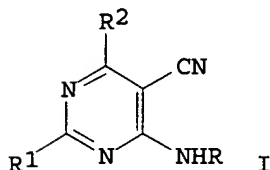
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 74115-89-2 CAPLUS

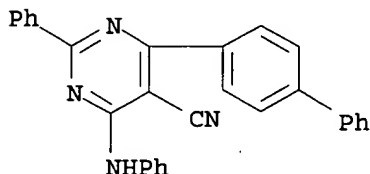
CN 5-Pyrimidinecarbonitrile, 4-phenyl-6-(phenylamino)-2-(phenylmethyl)- (9CI)
 (CA INDEX NAME)



L7 ANSWER 196 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1980:128839 CAPLUS
 DOCUMENT NUMBER: 92:128839
 TITLE: Synthesis of some biphenyl substituted
 5-cyanopyrimidines
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, 31, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1979), 32(3), 309-11
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

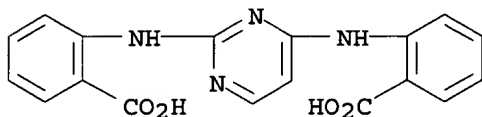


AB Cyanopyrimidines I (R = Ph, 2-MeC6H4, 3-MeC6H4, 4-MeC6H4, 4-PhC6H4; R1 = Ph, 4-FC6H4, 4-MeC6H4, 4-PhC6H4; R2 = 4-MeC6H4, 2-naphthyl, 3-BrC6H4, Ph, 4-MeOC6H4, 2-MeOC6H4, 4-PhC6H4) were obtained in 48-70% yield by condensing RN:CR1NH2 with R2CH:C(CN)2.
 IT 72713-00-9P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 72713-00-9 CAPLUS
 CN 5-Pyrimidinecarbonitrile, 4-[1,1'-biphenyl]-4-yl-2-phenyl-6-(phenylamino)-(9CI) (CA INDEX NAME)



L7 ANSWER 197 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1980:58446 CAPLUS
 DOCUMENT NUMBER: 92:58446
 TITLE: Complexes of bivalent copper and compositions containing said complexes
 INVENTOR(S): Boettcher, Barry; Walker, William Raymond; Whitehouse, Michael Wellesley
 PATENT ASSIGNEE(S): Australia
 SOURCE: Eur. Pat. Appl., 22 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 2341	A1	19790613	EP 1978-300671	19781127
EP 2341	B1	19820120		
R: BE, CH, DE, FR, GB, LU, NL, SE				
AU 7841830	A1	19790628	AU 1978-41830	19771128
AU 520726	B2	19820225		
JP 54090121	A2	19790717	JP 1978-146421	19781127
JP 63031473	B4	19880623		
JP 63159316	A2	19880702	JP 1987-304372	19871201
JP 01037374	B4	19890807		
PRIORITY APPLN. INFO.:		AU 1977-2584	19771128	
		AU 1978-5533	19780816	
AB	Inflammation-inhibiting neutral Cu complexes Cu[O ₂ CC ₆ H ₄ R]2R ₁ OH [I; R = OH, SH, SeH, NH ₂ or NHR ₃ , where R ₃ = 2,3-Me ₂ C ₆ H ₃ , 2-chloro-4-pyrimidinyl, or 4-(2-carboxyanilino)-2-pyrimidinyl, which may be further substituted by CO ₂ H groups in the 3 and/or 4 position of the anilino groups; R ₁ OH = an alc.] were prepd. Thus, Cu(OH) ₂ added to 2-HOC ₆ H ₄ CO ₂ H in anhydr. EtOH gave I (R = 2-OH, R ₁ = Et), topical application of which to rats paws effectively inhibited Na carrageenan-induced inflammation.			
IT	67026-26-0DP, copper complex RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)			
RN	67026-26-0 CAPLUS			
CN	Benzoic acid, 2,2'-(2,4-pyrimidinediyl-diimino)bis- (9CI) (CA INDEX NAME)			



L7 ANSWER 198 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1980:34951 CAPLUS

DOCUMENT NUMBER: 92:34951

TITLE: Correlation analysis of pyrimidine folic acid antagonists as antibacterial agents. I

AUTHOR(S): Coats, Eugene A.; Genther, Clara S.; Smith, Carl C.

CORPORATE SOURCE: Coll. Pharm., Univ. Cincinnati, Cincinnati, OH, 45267, USA

SOURCE: European Journal of Medicinal Chemistry (1979), 14(3), 261-70
CODEN: EJMCA5; ISSN: 0009-4374

DOCUMENT TYPE: Journal

LANGUAGE: English

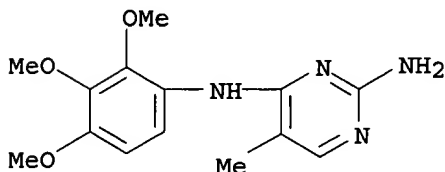
AB The activities of 175 pyrimidines as inhibitors of Streptococcus faecium, Lactobacillus casei, and Pediococcus cerevisiae are reported. In addn., the mode of action according to the ability of folic acid [59-30-3] or folinic acid [58-05-9] to reverse the inhibitory effect of the pyrimidines was detd. The 2,4-diamino substituent pattern appeared to be the dominant but not the sole factor controlling mode of action. Quant. structure-activity relations using regression anal., substituent consts., and indicator variables were developed in an effort to delineate influences on potency and to quant. differences between the test systems. Although arom. and(or) lipophilic substituents at the 5 position of 2,4-diaminopyrimidines enhanced folate reversible inhibition against all 3 systems the derived equations quant. establish differences in and limitations on the extent of this effect.

IT 71525-36-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of, structure in relation to)

RN 71525-36-5 CAPLUS

CN 2,4-Pyrimidinediamine, 5-methyl-N4-(2,3,4-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 199 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1979:456957 CAPLUS

DOCUMENT NUMBER: 91:56957

TITLE: Purines. 1. Reaction of 9-**phenyl**-9H-purine and 7-**phenyl**-7H-purine with Grignard reagents

AUTHOR(S): Hayashi, Eisaku; Shimada, Noriaki; Matsuoka, Yoshiyuki

CORPORATE SOURCE: Shizuoka Coll. Pharm., Shizuoka, Japan

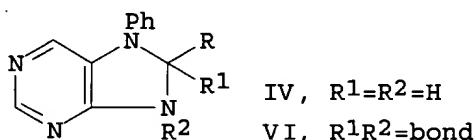
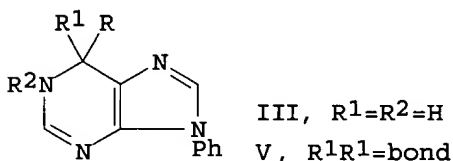
SOURCE: Yakugaku Zasshi (1979), 99(2), 114-19

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

GI



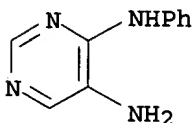
AB Reactivity of the purine ring to the Grignard reagents was examd. with 9-**phenyl**-9H-purine (I) and 7-**phenyl**-7H-purine (II). Hydrolysis of the adduct formed by the reaction of I and RMgX (R = PhCH₂, Ph, Et) afforded III. In the case of II, the Ph group in 7-position produced steric hindrance in this reaction and the R group was not introduced into the 6-position, and IV was formed. Oxidn. of III and IV with alk. ferricyanide gave V and VI, resp.

IT 41259-68-1P

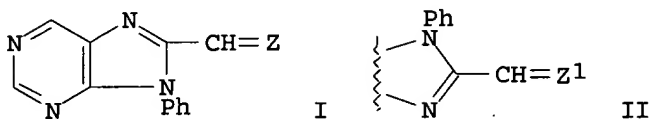
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 41259-68-1 CAPLUS

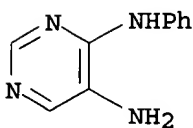
CN 4,5-Pyrimidinediamine, N4-phenyl- (9CI) (CA INDEX NAME)



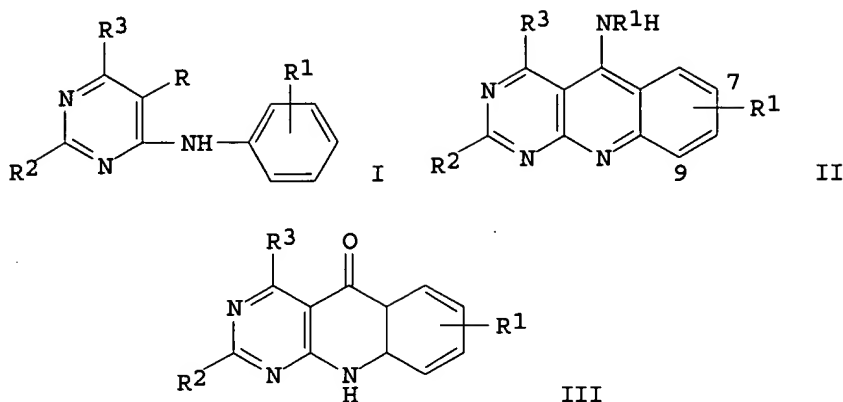
L7 ANSWER 200 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1979:420454 CAPLUS
 DOCUMENT NUMBER: 91:20454
 TITLE: Purines. 4. Condensation of 8-methyl-9-**phenyl**-9H-purine or 8-methyl-7-**phenyl**-7H-purine with aldehyde
 AUTHOR(S): Hayashi, Eisaku; Shimada, Noriaki; Matsuoka, Yoshiyuki; Miwa, Yoshio
 CORPORATE SOURCE: Shizuoka Coll. Pharm., Shizuoka, Japan
 SOURCE: Yakugaku Zasshi (1979), 99(2), 207-9
 CODEN: YKKZAJ; ISSN: 0031-6903
 DOCUMENT TYPE: Journal
 LANGUAGE: Japanese
 GI



AB The Me group in 8-methyl-9-**phenyl**-9H-purine (I; Z = H₂) and 8-methyl-7-**phenyl**-7H-purine (II, Z = H₂) undergoes condensation with arom. aldehydes RCHO (R = Ph, 4-O₂NC₆H₄, 4-**pyridyl**, 4MeOC₆H₄, 2-**furanyl**) to give I and II (Z = CHR). In general, better result was obtained by refluxing in MeOH with NaOMe methoxide, than by heating in the presence of Ac₂O at 190-200.degree..
 IT 41259-68-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of, with acetamidine, purine deriv. from)
 RN 41259-68-1 CAPLUS
 CN 4,5-Pyrimidinediamine, N4-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 201 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1979:186887 CAPLUS
 DOCUMENT NUMBER: 90:186887
 TITLE: Synthesis of **pyrimido**(4,5-b)quinoline derivatives
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Med. Akad., Sofia, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(5), 551-4
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI



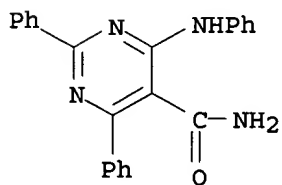
AB Cyclizing **pyrimidines** I (R = CN; R1 = H, R2 = R3 = Ph; R1 = H, R2 = Ph, R3 = p-tolyl, 2,4-xylyl; R1 = p-Me, o-Me, R2 = R3 = Ph; R1 = p-Me, R2 = Ph, R3 = 2,4-xylyl) with polyphosphoric acid at 180-200.degree. gave II (R1 = H, 7-Me, 9-Me; R4 = H), which were converted to II (R4 = Ac) by acetylation. Treating II (R4 = H) with H3PO4 at 150.degree. gave III, which was also prepd. by treating II (R4 = Ac) with 10% HCl at 100.degree.. Treating I (R = CN) with polyphosphoric acid at 100.degree. gave I (R = CONH2), which gave I (R = CN) on dehydration. Treating I (R = CONH2) with polyphosphoric acid at 180-200.degree. gave II (R4 = H).

IT 69333-88-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 69333-88-6 CAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-diphenyl-6-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 202 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1979:162563 CAPLUS

DOCUMENT NUMBER: 90:162563

TITLE: Antifungal activity of 2,4-disubstituted
pyrimidine-5-carboxylates

AUTHOR(S): Roy, S. K.; Raju, G. S.; Rao, K. Srinivasa; Reddi, G. S.; Thapar, G. S.

CORPORATE SOURCE: Res. Dev. Dep., Indian Drugs and Pharm. Ltd.,
Hyderabad, India

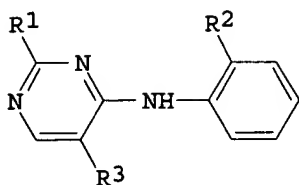
SOURCE: Indian Journal of Chemistry, Section B: Organic
Chemistry Including Medicinal Chemistry (1978),
16B(10), 932-3

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

I, R¹=Et, R²=Me, R³=CO₂EtII, R¹=H, R²=Et, R³=CO₂EtIII, R¹=Et, R²=Me, R³=CONH₂

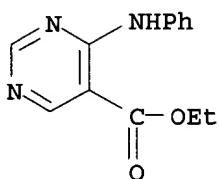
AB Of 34 prepd. Et 2,4-substituted **pyrimidine**-5-carboxylates, **pyrimidine**-5-methanols, and **pyrimidine**-5-carboxamides, I [69731-80-2] (5-25 .mu.g/mL) was most active, inhibiting the growth of *Trichophyton rubrum*, *T. mentagrophytes*, and *Mycoplasma canis*. II [69731-56-2] was moderately active, whereas III [69731-86-8] was inactive.

IT 16100-58-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation) (prepn. and fungicidal activity of)

RN 16100-58-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 203 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1979:145541 CAPLUS

DOCUMENT NUMBER: 90:145541

TITLE: Synthesis and analgesic activity of 1,3-dihydro-3-(substituted **phenyl**)imidazo[4,5-b]**pyridin**-2-ones and 3-(substituted **phenyl**)-1,2,3-triazolo[4,5-b]**pyridines**

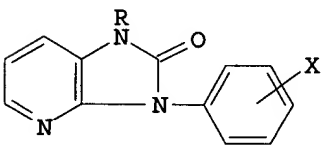
AUTHOR(S): Clark, Robert L.; Pessolano, Arsenio A.; Shen, Tsung-Ying; Jacobus, David P.; Jones, Howard; Lotti, Victor J.; Flataker, Lars M.

CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., Rahway, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1978), 21(9), 965-78
CODEN: JMCMAR; ISSN: 0022-2623

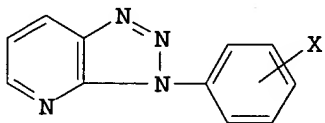
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

AB One hundred-thirty imidazo[4,5-b]**pyridin**-2-ones (I, R = H or

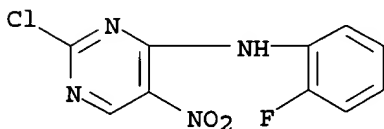
alkyl, X = H, halo, alkyl, NH₂, etc.) and 60 triazolo[4,5-b]pyridines (II, X = H, halo, alkyl, alkoxy, NO₂, etc.) were prepd., eg by cyclizing 3-nitro-2-anilinopyridines with COCl₂, urea, or NaNO₂. I and II increased the pain threshold of both the inflamed and the normal foot in a modified Randall-Selitto test. I (R = H, X = 3,4-OCH₂O), I (R = allyl, X = 3,4-OCH₂O), I (R = CHMe₂, X = 3,4-OCH₂O), II (X = H) and II (X = F) were the most active compds. The analgesic activity of I was superior to that of codeine or D-propoxyphene, while showing no narcotic characteristics. Some I and II were effective in the carrageenin edema test.

IT 67482-17-1P

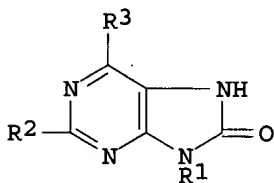
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 67482-17-1 CAPLUS

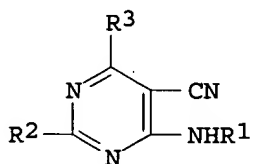
CN 4-Pyrimidinamine, 2-chloro-N-(2-fluorophenyl)-5-nitro- (9CI) (CA INDEX NAME)



L7 ANSWER 204 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1979:137763 CAPLUS
 DOCUMENT NUMBER: 90:137763
 TITLE: Synthesis of 2,6,9-trisubstituted 7H-purin-8-ones
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Med. Fak., Sofia, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(9), 1131-4
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI



I



II

AB The title compds. I [R₁ = (un)substituted Ph, R₂ = (un)substituted Ph, 2-naphthyl; R₃ = (un)substituted Ph, 1- or 2-naphthyl, 2-pyridyl] were prepd. in 42-90 % yields from pyrimidinecarbonitriles II by hydration with polyphosphoric acid followed by cyclization in the presence of NaOCl-KOH. I (R₁ = R₂ = R₃ = Ph, R₁ = Ph, R₂ = 4-FC₆H₄, R₃ = 4-MeC₆H₄) are effective as inhibitors of Sarcoma-180 Kroker in mice at 180 mg/kg and 150 mg/kg, resp.

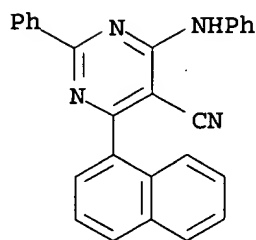
IT 64499-00-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(hydration of)

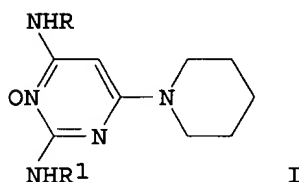
RN 64499-00-9 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(1-naphthalenyl)-2-phenyl-6-(phenylamino)-

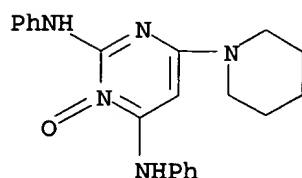
(9CI) (CA INDEX NAME)



L7 ANSWER 205 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1979:137759 CAPLUS
 DOCUMENT NUMBER: 90:137759
 TITLE: The reaction of 2,4-diamino-6-piperidinopyrimidine
 3-oxide with acid anhydrides
 AUTHOR(S): McCall, John M.; TenBrink, Ruth E.; Royer, Max E.; Ko,
 Howard
 CORPORATE SOURCE: Res. Lab., Upjohn Co., Kalamazoo, MI, USA
 SOURCE: Journal of Heterocyclic Chemistry (1978), 15(8),
 1529-30
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Reaction of the title compd. I (R = R1 = H) with 1 equiv. of Ac2O gave
 26:1 I (R = Ac, R1 = H) and I (R = H, R1 = Ac). Bz2O reacted similarly to
 give a 16:1 ratio of the corresponding amides. Excess anhydrides gave the
 diamides. Reaction of I (R = R1 = H) with glutaric anhydride gave only I
 (R = CO(CH2)3CO2H, R1 = H).
 IT **69729-66-4P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 69729-66-4 CAPLUS
 CN 2,4-Pyrimidinediamine, N,N'-diphenyl-6-(1-piperidinyl)-, 3-oxide (9CI)
 (CA INDEX NAME)

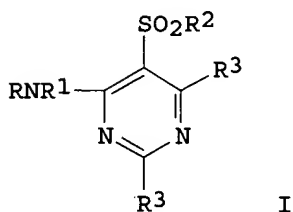


09/ 922,874

L7 ANSWER 206 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1979:105611 CAPLUS
DOCUMENT NUMBER: 90:105611
TITLE: Fiber-reactive dyes
INVENTOR(S): Seitz, Karl; Riat, Henri; Hoegerle, Karl
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 76 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2819787	A1	19781123	DE 1978-2819787	19780505
DE 2819787	C2	19891026		
CH 635861	A	19830429	CH 1978-4503	19780426
CA 1113929	A1	19811208	CA 1978-302735	19780505
ES 469578	A1	19790916	ES 1978-469578	19780508
GB 1603540	A	19811125	GB 1978-18342	19780508
JP 53140328	A2	19781207	JP 1978-54912	19780509
JP 63026150	B4	19880528		
FR 2390478	A1	19781208	FR 1978-13640	19780509
FR 2390478	B1	19800404		
BR 7802884	A	19790116	BR 1978-2884	19780509
AU 7835925	A1	19791115	AU 1978-35925	19780509
US 4325869	A	19820420	US 1980-112979	19800117
US 4680384	A	19870714	US 1984-632558	19840719
PRIORITY APPLN. INFO.:			LU 1977-77286	19770509
			US 1978-903632	19780508
			US 1980-112979	19800117
			US 1982-339193	19820113
			US 1983-513121	19830713

GI



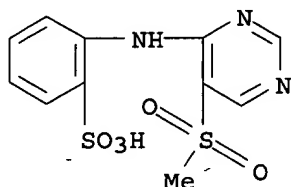
AB Fiber-reactive dyes of general structure I are prepd., where R is an org. dye residue, R1 = H or lower alkyl, R2 = optionally substituted alkyl or alkenyl, R3 = halogen, or one R3 is halogen and the other a substituent. For example, addn. of a soln. of 5.75 g 2,4,6-trichloro-5-(methylsulfonyl) **pyrimidine** (II) [69293-47-6] in acetone to an aq. soln. of 2,8,6,1-H2N(HO) (HO3S)C10H4N:NC6H3(SO3H)NH2-2,4 [24042-07-7] with stirring, followed by salting with KCl, gave a fiber-reactive dye [69293-73-8] which dyed cotton bluish red shades. Numerous other I (most of them azo) were also prepd. II was obtained by acylating barbituric acid [67-52-7] with MeSO2Cl and chlorinating (POCl3) the resultant 5-(methylsulfonyl)barbituric acid [69293-49-8].

IT **69067-06-7P**
RL: PREP (Preparation)
(manuf. of, as dye for cotton)

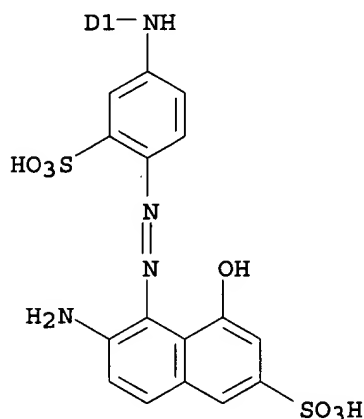
RN **69067-06-7 CAPLUS**

CN 2-Naphthalenesulfonic acid, 6-amino-5-[[4-[[2(or 4)-fluoro-5-(methylsulfonyl)-6-[(2-sulphophenyl)amino]-4(or 2)-pyrimidinyl]amino]-2-sulphophenyl]azo]-4-hydroxy-, trisodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



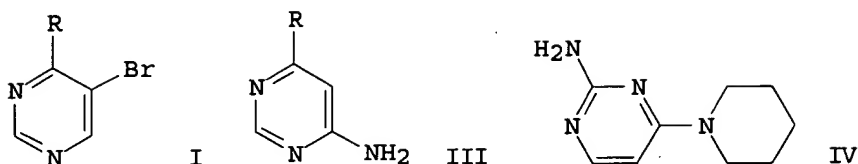
PAGE 2-A



● 3 Na

D1-F

L7 ANSWER 207 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1979:86292 CAPLUS
 DOCUMENT NUMBER: 90:86292
 TITLE: **Pyrimidines.** Part LXX. Investigations into the cine-amination of 4-substituted-5-bromopyrimidines by potassium amide in liquid ammonia
 AUTHOR(S): Rasmussen, C. A. H.; Van der Plas, H. C.; Grotenhuis, P.; Koudijs, A.
 CORPORATE SOURCE: Lab. Org. Chem., Agric. Univ., Wageningen, Neth.
 SOURCE: Journal of Heterocyclic Chemistry (1978), 15(7), 1121-5
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



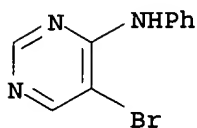
AB 15N-labeling expts. showed that amination of I [R = Me₃C, Ph, MeO, piperidino (II), Me, MeNH, PhNH, NH₂] with KNH₂/NH₃ to give III proceeds in part via an SN(ANRORC) mechanism (involving an open-chain intermediate) if the R group does not contain an acidic proton in the position .alpha. to the ring. II gave IV in addn. to II (R = piperidino); this tele-amination does not involve an SN(ANRORC) mechanism.

IT 69193-20-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of, mechanism of)

RN 69193-20-0 CAPLUS

CN 4-Pyrimidinamine, 5-bromo-N-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 208 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1979:6337 CAPLUS

DOCUMENT NUMBER: 90:6337

TITLE: Acylketene-S,S- and acylketene-S,N-acetals as building blocks for heterocycles: 5-cyanopyrimidines

AUTHOR(S): Rudolf, W. D.; Augustin, M.

CORPORATE SOURCE: Sekt. Chem., Martin-Luther-Univ., Halle/Saale, Ger. Dem. Rep.

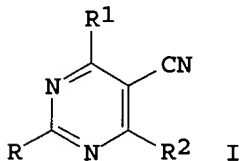
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1978), 320(4), 576-84

CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: German

GI



AB Cyanopyrimidines I (R = Me, Ph, 4-O₂NC₆H₄, NH₂, SMe; R₁ = Ph, 4-BrC₆H₄, 4-ClC₆H₄, 3,4-Cl₂C₆H₃, 2-furyl, 2-thienyl; R₂ = SMe) were prepd. in 56-91% yield by cyclocondensation of H₂NCR:NH with R₁COC(CN):C(SMe)₂ in the presence of NEt₃. I (R = Me, Ph, NH₂, SMe, R₁ = Ph, R₂ = NHPH) were similarly obtained in 52-63% yield from H₂NCR:NH and NCCBz:C(SMe)NHPH. I

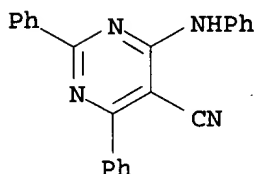
(R = Me, NH₂, R₁ = Ph, R₂ = OEt) were obtained when H₂NCR:NH was treated with NCC(COPh):C(SMe)₂ in the presence of NaOEt.

IT **67677-96-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 67677-96-7 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 209 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:563538 CAPLUS

DOCUMENT NUMBER: 89:163538

TITLE: Conversion of 2,6-disubstituted-4-(arylamino)-5-cyanopyrimidines to 2,5-substituted-3-(arylamino)-4-cyanopyrroles

AUTHOR(S): Robev, S.

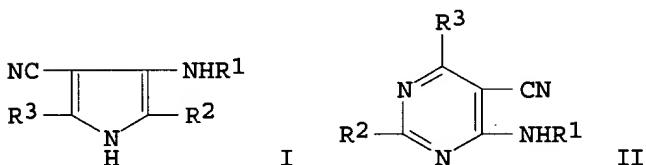
CORPORATE SOURCE: Med. Fak., Sofia, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(2), 197-20
CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



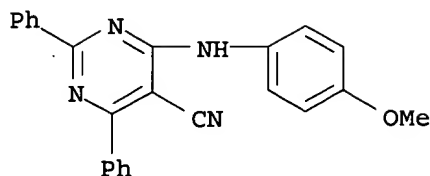
AB Cyanopyrroles I [R₁ = Ph, 2,4-(Me)ClC₆H₃, 3-ClC₆H₄, 4-MeOC₆H₄, 1-C₁₀H₇, 4-BrC₆H₄, R₂ = Ph, p-tolyl, 4-MeOC₆H₄, 2-C₁₀H₇, R₃ = 4-BrC₆H₄, 2-MeOC₆H₄, 2,4-Me₂C₆H₃, 2-EtOC₆H₄, p-tolyl 2-C₁₀H₇] were obtained in 45-80% yields by ring contraction of **pyrimidines** II with Zn-AcOH. II were prepd. from a benzamidine and an arylmalononitrile.

IT **64499-36-1P**

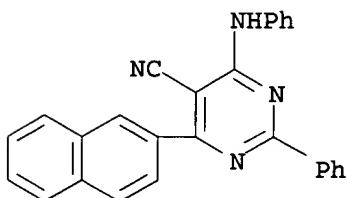
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. by zinc and acetic acid)

RN 64499-36-1 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-methoxyphenyl)amino]-2,6-diphenyl- (9CI)
(CA INDEX NAME)



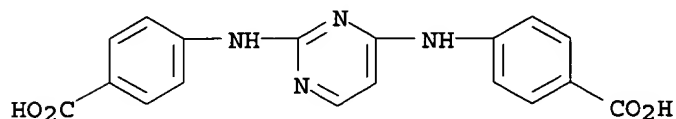
L7 ANSWER 210 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1978:546697 CAPLUS
 DOCUMENT NUMBER: 89:146697
 TITLE: Ring contraction synthesis of 2,5-disubstituted-3-arylamino-4-cyano-pyrroles from 2,6-disubstituted-4-arylamino-5-cyanopyrimidines
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, Bulg.
 SOURCE: Tetrahedron Letters (1978), (13), 1163-6
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB 2,5-Diaryl-3-arylamino-4-cyanopyrroles were prepd. (50-80%) by ring contraction of 2,6-diaryl-4-arylamino-5-cyanopyrimidines on treatment with Zn/AcOH. E.g., 2,5-diphenyl-3-anilino-4-cyanopyrrole was obtained (72%) from 2,6-diphenyl-4-anilino-5-cyanopyrimidine.
 IT 64499-01-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (ring contraction of, with zinc and acetic acid)
 RN 64499-01-0 CAPLUS
 CN 5-Pyrimidinecarbonitrile, 4-(2-naphthalenyl)-2-phenyl-6-(phenylamino)-(9CI) (CA INDEX NAME)



L7 ANSWER 211 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1978:436533 CAPLUS
 DOCUMENT NUMBER: 89:36533
 TITLE: Catalytic activity of complexes of copper(II) with carboxyphenylaminopyrimidines (antiinflammatory drugs) in model reactions of oxidase and catalase type
 AUTHOR(S): Grigor'eva, A. S.; Kriss, E. E.; Lazur, S. P.; Mikhailovskii, S. V.; Portnyagina, V. A.; Mokhort, N. A.; Karp, V. K.; Barkova, I. S.; Kocharovskii, B. A.; et al.
 CORPORATE SOURCE: Inst. Fiz. Khim. im. Pisarzhevskogo, Kiev, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1978), 12(4), 7-18
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB In a model reaction of the catalase type, the activity of the studied Cu(II) complexes (1:1) decreased in the following order:
 Cu(II)-2-chloro-4-(o-carboxyphenylamino)pyrimidine (I) .apprxeq.
 Cu(II)-N-2,3-dimethylphenylanthranilic acid (II) > Cu²⁺ >

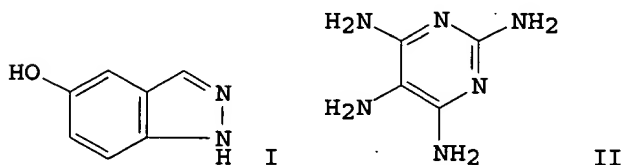
Cu(II)-2,4-di(p-carboxyphenylamino)pyrimidine (III) >
 Cu(II)-2,4-di(m-carboxyphenylamino)pyrimidine (IV) .gtoreq.
 Cu(II)-2,4-di(o-carboxyphenylamino)pyrimidine (V). The oxidase
 activity of these complexes decreased in the following order : V > I > II
 > IV > III > Cu²⁺. The antiinflammatory activity of
 carboxyphenylaminopyrimidines was related to their interaction with Cu in
 ceruloplasmin.

IT 67026-24-8D, copper complexes
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); BIOL (Biological study)
 (catalase and oxidase activity of)
 RN 67026-24-8 CAPLUS
 CN Benzoic acid, 4,4'-(2,4-pyrimidinediylldiimino)bis- (9CI) (CA INDEX NAME)



L7 ANSWER 212 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1978:430586 CAPLUS
 DOCUMENT NUMBER: 89:30586
 TITLE: Hair dye composition
 INVENTOR(S): Rose, David
 PATENT ASSIGNEE(S): Henkel und Cie. G.m.b.H., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 12 pp. Addn. to Ger. Offen. 2,359,399.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2623564	A1	19771215	DE 1976-2623564	19760526
SE 7702404	A	19771127	SE 1977-2404	19770303
SE 423673	B	19820524		
SE 423673	C	19820902		
DK 7701936	A	19771127	DK 1977-1936	19770503
NL 7704859	A	19771129	NL 1977-4859	19770503
US 4168953	A	19790925	US 1977-799585	19770523
BE 855018	A4	19771125	BE 1977-177886	19770525
AT 7703724	A	19790115	AT 1977-3724	19770525
AT 351680	B	19790810		
GB 1552065	A	19790905	GB 1977-22011	19770525
FR 2352541	A2	19771223	FR 1977-16154	19770526
FR 2352541	B2	19810710		
CH 604707	A	19780915	CH 1977-6522	19770526
PRIORITY APPLN. INFO.: GI			DE 1976-2623564	19760526



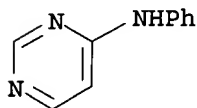
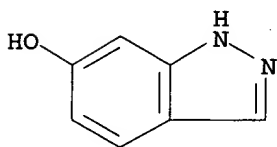
AB Stable, oxidative hair compns. comprise mixts. of tetraaminopyrimidines (developers) and monohydroxyindazoles (couplers). The developer-coupler mixts. are not toxic or irritating, produce yellow or yellowish brown colors and are highly sol. in H₂O. Air, 1% H₂O₂, or other oxidants can be used for the oxidn. reaction on the hair. The mixts. are formulated into creams, gels, lotions etc. and are easy to use. For example, a cream contained 0.01 mol 5-hydroxyindazole(I) and 0.01 mol tetraaminopyrimidine(II) in an emulsion comprising C12-18 fatty alcs. 10, C12-18 fatty alc. sulfate Na salts 10, and H₂O 75 parts. The pH of the emulsion was adjusted to 9.5 with NH₄OH and 1% H₂O₂ was added as an oxidant in a 1:10 ratio, unless air was used as the oxidant. Applying the formula to 90% gray hair gave honey yellow color. After 30 min the coloring process was completed and hair was washed and dried as usual.

IT 66741-50-2

RL: BIOL (Biological study)
(hair dye)

RN 66741-50-2 CAPLUS

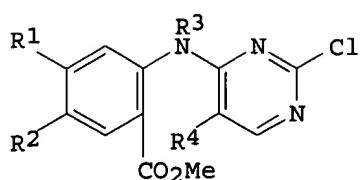
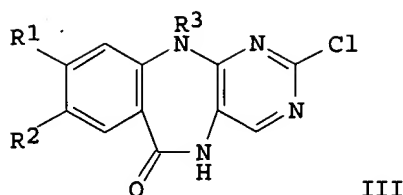
CN 1H-Indazol-6-ol, [[diamino-4-(phenylamino)pyrimidinyl]azo]- (9CI) (CA INDEX NAME)



D1-N=N-D1

2 [D1-NH₂]

L7 ANSWER 213 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1978:170113 CAPLUS
 DOCUMENT NUMBER: 88:170113
 TITLE: Syntheses of diazepine derivatives. 1. Syntheses of 2-chloro-11H-pyrimido[4,5-b][1,4]benzodiazepin-6(5H)-one derivatives
 AUTHOR(S): Ina, Shuichiro; Morita, Kunihiro; Noguchi, Isao
 CORPORATE SOURCE: Sch. Med. Technol. Nurs., Fujita Gakuen Univ., Aichi, Japan
 SOURCE: Yakugaku Zasshi (1978), 98(1), 72-6
 CODEN: YKKZAJ; ISSN: 0031-6903
 DOCUMENT TYPE: Journal
 LANGUAGE: Japanese
 GI

I, R⁴=NO₂II, R⁴=NH₂

III

AB Reaction of 4,5,2-R₁R₂(R₃NH)C₆H₂CO₂Me (R₁, R₂, R₃ = H, H, H; Cl, H, H; H, Cl, H; resp.) with 2,4-dichloro-5-nitropyrimidine in MeOH at -5 to -10.degree. gave I, which were reduced by SnCl₂-AcOH to give II and then cyclized by 20% H₂SO₄ 1.5 h at 100-105.degree. to give III. I (R₁ = R₂ = H, R₃ = Me) gave the corresponding III on reducing by SnCl₂-AcOH.

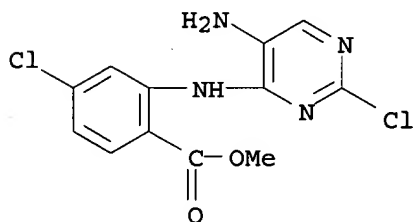
IT 66427-81-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, **pyrimidobenzodiazepinone** derivs. from)

RN 66427-81-4 CAPLUS

CN Benzoic acid, 2-[(5-amino-2-chloro-4-pyrimidinyl)amino]-4-chloro-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 214 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:105255 CAPLUS

DOCUMENT NUMBER: 88:105255

TITLE: Displacement reactions of 2-alkylsulfonyl-4-chloropyrimidine derivatives with nucleophiles

AUTHOR(S): Sawayama, Tadahiro; Yamamoto, Ryuichi; Kinugasa, Hiroaki; Nishimura, Haruki

CORPORATE SOURCE: Res. Lab., Dainippon Pharm. Co., Ltd., Suita, Japan

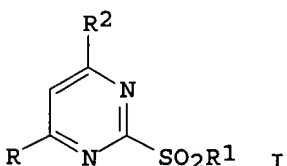
SOURCE: Heterocycles (1977), 8, 299-305

CODEN: HTCYAM; ISSN: 0385-5414

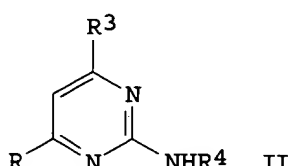
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



II

09/ 922,874

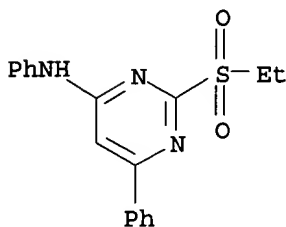
AB Aminolysis of chloropyrimidines I [R = Ph, H, R1 = Et, R2 = Cl; R = R1 = Me, R2 = Cl) gave I (R2 = NH2, NHCH2Ph, NHMe, NPh, morpholino, piperidino, 4-methylpiperazino, 4-(2-hydroxyethyl)piperazino] and II (R = same; R3 = SO2R1, Cl; R4 = H, CH2Ph, Me, Ph). 4-Chloro-2-ethoxy-6-phenylpyrimidine was obtained as a by-product of the ammonolysis of I (R = Ph, R1 = Et, R2 = Cl) in EtOH.

IT 65766-25-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 65766-25-8 CAPLUS

CN 4-Pyrimidinamine, 2-(ethylsulfonyl)-N,6-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 215 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:22827 CAPLUS

DOCUMENT NUMBER: 88:22827

TITLE: The 4-(o-carboxyphenylamino)pyrimidines

AUTHOR(S): Karp, V. K.; Portnyagina, V. A.; Barkova, I. S.

CORPORATE SOURCE: Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev, USSR

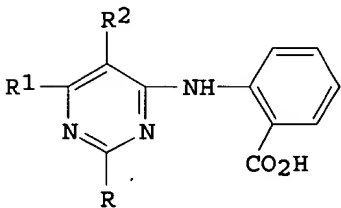
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1977), (9), 1252-4

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



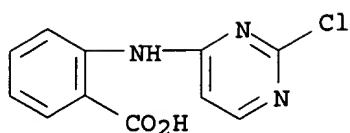
AB The title compds. I (R = Cl; R1 = H, F, Me, Br; R2 = H, Me, CO2H) were obtained in 65-87% yields by treatment of a 2,4-dichloropyrimidine with o-H2NC6H4CO2H. Treatment of I (R = Cl, R1 = R2 = H) with NH3, HCl, and MeOH gave 50-81% I (R = NH2, OH, MeO), resp.

IT 31185-80-5P

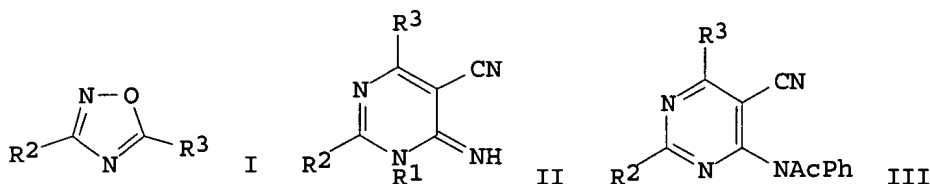
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and substitution reactions of)

RN 31185-80-5 CAPLUS

CN Benzoic acid, 2-[(2-chloro-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 216 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1978:22768 CAPLUS
 DOCUMENT NUMBER: 88:22768
 TITLE: Production of 3,5-disubstituted 1,2,4-oxadiazoles by reaction of 2,3,6-trisubstituted 4-imino-5-cyano-3,4-dihydropyrimidines with hydroxylamine
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Med. Fak., Sofia, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1977), 30(7), 1031-4
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI



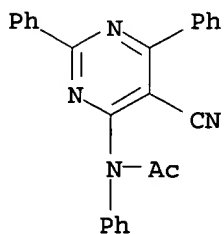
AB The title compds. I (R_2 = Ph, p-tolyl, p-BrC₆H₄, 2-naphthyl; R_3 = Ph, p-BrC₆H₄, p-O₂NC₆H₄, 2-pyridyl, o-MeOC₆H₄) were obtained in 75-95% yields by boiling II (R_1 = Ph, o-, p-tolyl, p-MeOC₆H₄, p-ClC₆H₄) with NH₂OH 2-3 min in EtOH. Addnl. obtained were III (R_2 = Ph, 2-naphthyl; R_3 = Ph, 2-pyridyl, p-tolyl).

IT 65004-35-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 65004-35-5 CAPLUS

CN Acetamide, N-(5-cyano-2,6-diphenyl-4-pyrimidinyl)-N-phenyl- (9CI) (CA INDEX NAME)

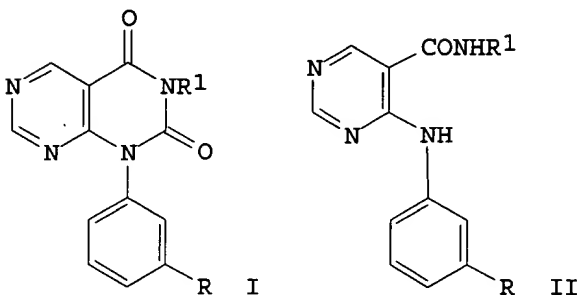


L7 ANSWER 217 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1977:601572 CAPLUS
 DOCUMENT NUMBER: 87:201572
 TITLE: 1-Phenylpyrimido[4,5-d]pyrimidine

09/ 922,874

INVENTOR(S): -2,4(1H,3H)-diones
Noda, Kanji; Nakagawa, Akira; Yamasaki, Shunzo;
Noguchi, Kazuki; Ide, Hiroyuki
PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52027796	A2	19770302	JP 1975-91199	19750723
JP 59020677	B4	19840515		
PRIORITY APPLN. INFO.: GI			JP 1975-91199	19750723



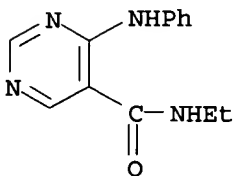
AB Thirty-seven **pyrimidopyrimidinediones** I (R = H, CF₃, F, Cl, Br, NO₂; R₁ = H, Et, allyl, CH₂OMe, N-methylpiperazinoethyl, etc.), having central depressant, analgesic, antiinflammatory and diuretic activities (no data), were prepd. by cyclizing II with COCl₂, Cl₃CCOCl, (EtO)₂CO, 1,1'-carbonyldiimidazole, etc. Thus, 2.4 g II (R = H, R₁ = Et) was treated with NaH in THF and stirred with COCl₂ in CCl₄ 1 h to give 1.9 g I (R = H, R₁ = Et).

IT 64055-52-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with phosgene)

RN 64055-52-3 CAPLUS

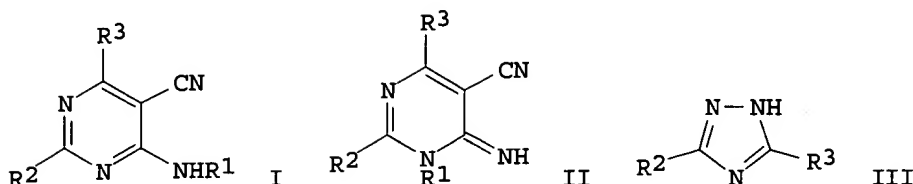
CN 5-Pyrimidinecarboxamide, N-ethyl-4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 218 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:567970 CAPLUS
DOCUMENT NUMBER: 87:167970
TITLE: Production of **pyrimidine** derivatives by
reacting aromatic N-monoaryl substituted amidines with
ylidenmalononitriles
AUTHOR(S): Robev, S.

09/ 922,874

CORPORATE SOURCE: Med. Fac., Sofia, Bulg.
SOURCE: Doklady Bolgarskoi Akademii Nauk (1977), 30(5), 719-22
CODEN: DBANAD; ISSN: 0366-8681
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



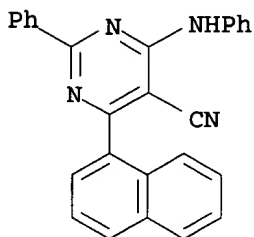
AB Fifty **pyrimidinecarbonitriles** I ($R_1, R_2 = \text{Ph, substituted Ph, } R_3 = \text{Ph, substituted Ph, naphthyl, pyridyl}$) were obtained in 23-75% yields by cycloaddn. of $R_2C(:NR_1)NH_2$ to $R_3CH:C(CN)_2$ in THF 1 week at -10°C . Imino derivs. II ($R_1 = \text{Ph, 2-, 4-MeC}_6\text{H}_4, 2\text{-MeOC}_6\text{H}_4, 4\text{-ClC}_6\text{H}_4, R_2 = \text{Ph, 4-MeC}_6\text{H}_4, 2\text{-ClOCH}_3, R_3 = \text{Ph, 2-pyridyl, 4-O}_2\text{NC}_6\text{H}_4, 3\text{-BrC}_6\text{H}_4$) were obtained in 12-30% yields by dehydrogenation of the corresponding amino deriv. Triazoles III ($R_2 = \text{Ph, 4-MeC}_6\text{H}_4, 2\text{-naphthyl, } R_3 = \text{Ph, 2-pyridyl, 4-O}_2\text{NC}_6\text{H}_4, 3\text{-BrC}_6\text{H}_4$) were obtained in 84-96% yields by ring contraction of II with N_2H_4 .

IT 64499-00-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 64499-00-9 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(1-naphthalenyl)-2-phenyl-6-(phenylamino)-
(9CI) (CA INDEX NAME)



L7 ANSWER 219 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1977:453365 CAPLUS

DOCUMENT NUMBER: 87:53365

TITLE: 1-Phenylpyrimido[4,5-d]pyrimidine
-2,4(1H,3H)-diones

INVENTOR(S): Noda, Kanji; Nakagawa, Akira; Yamasaki, Shunzo;
Noguchi, Kazuki; Ide, Hiroyuki

PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

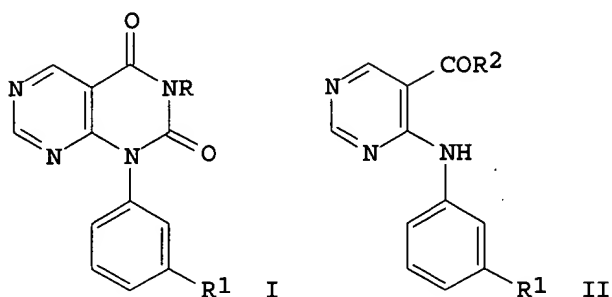
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

09/ 922,874

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52007994	A2	19770121	JP 1975-69012	19750605
JP 59020676	B4	19840515		
PRIORITY APPLN. INFO.:			JP 1975-69012	19750605
GI				



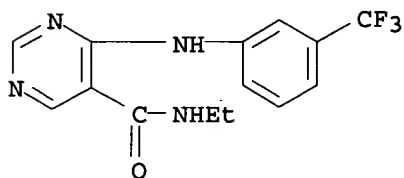
AB Twenty-four **pyrimidopyrimidinediones** I (R = Me, Et, Pr, etc.; R1 = H, Cl, NO2, CF3, etc.), having central depressant, analgesic, and antiinflammatory activities (no data), were prepd. by cyclizing II (R2 = alkoxy, amino) with RNCO. Thus, 2.7 g II (R1 = NO2, R2 = OMe) was treated with NaH in THF and stirred with 2.1 g EtNCO 1 h at room temp. and 3 h at 50-60.degree. to give 2.3 g I (R = Et, R1 = NO2).

IT 63384-48-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with isocyanates, **pyrimidopyrimidinediones** from)

RN 63384-48-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-ethyl-4-[[3-(trifluoromethyl)phenyl]amino]-
(9CI) (CA INDEX NAME)



L7 ANSWER 220 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1977:25844 CAPLUS

DOCUMENT NUMBER: 86:25844

TITLE: Irreversible enzyme inhibitors. 200. Active-site directed inhibitors of deoxycytidine kinase

AUTHOR(S): Ward, A. David; Baker, B. R.

CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, USA

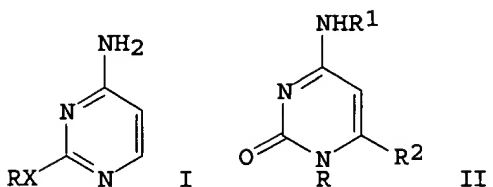
SOURCE: Journal of Medicinal Chemistry (1977), 20(1), 88-92

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



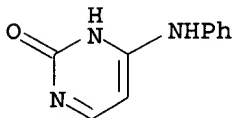
AB Of 43 pyrimidine derivs. prepd. and tested as inhibitors of deoxycytidine kinase [9039-45-6], 12 2-alkoxy- and 2-(alkylthio)-4-aminopyrimidines (I: R = alkyl, aryl, aralkyl; X = O, S) and 7 1-substituted cytosines (II; R = alkyl, aryl, aralkyl) caused .gtoreq.20% enzyme inhibition. The best inhibitors were those with large alkyl substituents. The relation between activity and nature, position, and size of substituents is discussed.

IT 29840-44-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deoxycytidine kinase inhibition by)

RN 29840-44-6 CAPLUS

CN 2(1H)-Pyrimidinone, 4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 221 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1976:478153 CAPLUS

DOCUMENT NUMBER: 85:78153

TITLE: 4-Amino-6-arylpyrimidines and salts useful for relaxation of smooth muscle in a mammal

INVENTOR(S): De Angelis, Gerald G.; Hess, Hans J. E.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 25 pp. Division of U.S. 3,895,112.

CODEN: USXXAM

DOCUMENT TYPE: Patent

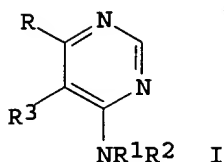
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3950525	A	19760413	US 1975-567356	19750411
US 3859288	A	19750107	US 1971-182220	19710920
US 3895112	A	19750715	US 1973-371483	19730619
PRIORITY APPLN. INFO.:			US 1971-182220	19710920
			US 1973-371483	19730619
			US 1975-78216	19751005
			US 1970-78216	19701005

GI



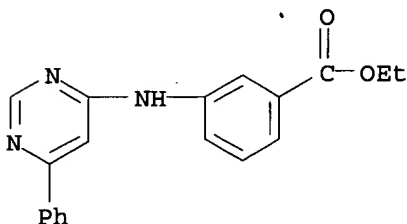
AB. **Pyrimidinamines I** (R = Ph, substituted phenyl, furyl, thienyl, naphthyl; R¹ and R² = H, alkyl, hydroxyalkyl, aminoalkyl; NR¹R² = heterocyclic; R³ = H, Me, Et, Pr, CHMe₂) (100 compds.) were prepd. and have platelet aggregation-inhibiting and bronchodilator properties. Thus, I (R = Ph, R¹ = R² = Et, R³ = H) were obtained by Grignard reaction of PhBr with NCCH₂CO₂Et, condensation of H₂NCPh:CHCO₂Et with HCONH₂, chlorination of 4-hydroxy-6-phenylpyrimidine, and amination of the 4-chloro compd.

IT **60084-61-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60084-61-9 CAPLUS

CN Benzoic acid, 3-[(6-phenyl-4-pyrimidinyl)amino]-, ethyl ester,
monohydrochloride (9CI) (CA INDEX NAME)

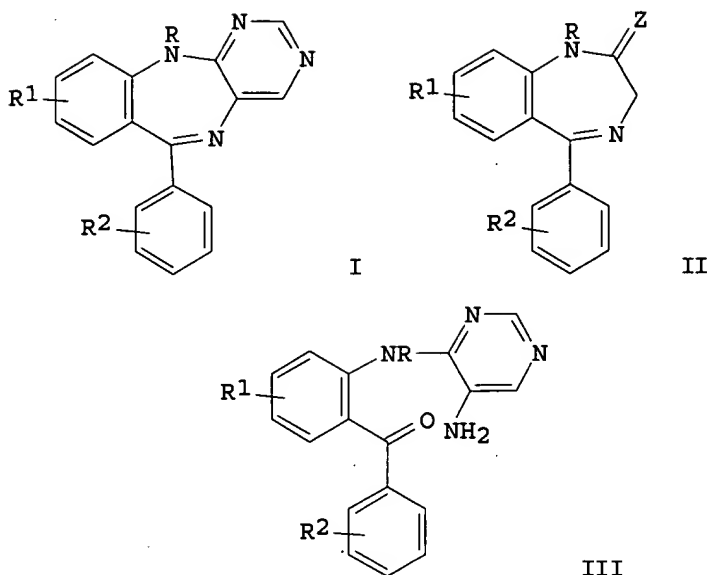


● HCl

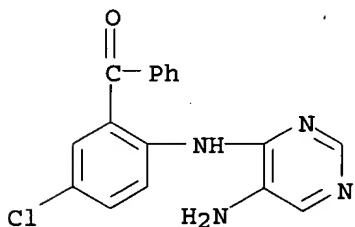
L7 ANSWER 222 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1976:164876 CAPLUS
 DOCUMENT NUMBER: 84:164876
 TITLE: **Pyrimidobenzodiazepines**
 INVENTOR(S): Kobayashi, Shigeru
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51006991	A2	19760120	JP 1974-75661	19740701
PRIORITY APPLN. INFO.:			JP 1974-75661	19740701

GI



- AB **Pyrimidobenzodiazepines I** (R = H, alkyl; R1, R2 = halo, NO2, CF3, alkyl, or alkoxy groups) were prepd. by condensation of the benzodiazepines II (Z = O, S, NH) with HCONH2 or cyclization of the aminopyrimidines III. I are central nervous depressants and antihypertensives (no data). Thus, a mixt. of 1,3-dihydro-7-nitro-5-phenyl-2H-1,4-benzodiazepin-2-one 5.6, HCONH2 3.6, and POCl3 18.7 g was autoclaved 11 hr at 110.degree. to give 5-amino-4-(2-benzoyl-4-nitroanilino)pyrimidine, which (2.5 g) was heated with 0.25 g p-MeC6H4SO3H in EtOH-AcOEt 1 hr to give 8-nitro-6-phenyl-11H-pyrimido[4,5-b][1,4]benzodiazepine. Also prepd. were 8-chloro-6-phenyl-11H-pyrimido[4,5-b][1,4]benzodiazepine and 8-chloro-11-methyl-6-phenyl-11H-pyrimido[4,5-b][1,4]benzodiazepine.
- IT **54184-76-8P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and cyclization of)
- RN 54184-76-8 CAPLUS
- CN Methanone, [2-[(5-amino-4-pyrimidinyl)amino]-5-chlorophenyl]phenyl- (9CI)
 (CA INDEX NAME)



L7 ANSWER 223 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1976:59528 CAPLUS
 DOCUMENT NUMBER: 84:59528
 TITLE: Arylpyrimidines, inhibitors of platelet aggregation and bronchodilators
 INVENTOR(S): De Angelis, Gerald G.; Hess, Hans J. E.

09/ 922,874

PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 27 pp. Division of U.S. 3,859,288.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3908012	A	19750923	US 1973-371420	19730619
US 3707560	A	19721226	US 1970-78216	19701005
US 3859288	A	19750107	US 1971-182220	19710920
DK 130971	B	19750512	DK 1973-1429	19730316
US 3890321	A	19750617	US 1973-371563	19730619
CA 978531	A2	19751125	CA 1973-176049	19730710
CA 978532	A2	19751125	CA 1974-191086	19740128
FI 55834	C	19791010	FI 1977-3287	19771102
FI 55834	B	19790629		

PRIORITY APPLN. INFO.:
US 1970-78216 19701005
US 1971-182220 19710920
FI 1971-2734 19710930
DK 1971-4801 19711001
CA 1971-124312 19711004

GI For diagram(s), see printed CA Issue.

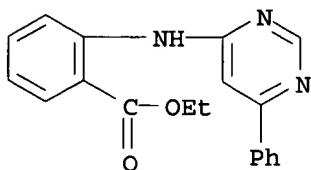
AB About 100 **pyrimidines** I (R = Ph, p-ClC₆H₄, 2-furyl, 2-thienyl, 3-H₂NC₆H₄, etc., R₁ = H, Me, Et, Pr; R₂ = Et₂N, MeNH, Bu₂N, 1-pyrrolidinyl, piperidino, etc.) were prepd. by substitution of I (R = Cl) or treating chlorobenzothienopyrimidines with amines followed by cleaving. Thus, NCCH₂CO₂Et was treated with PhMgBr and the H₂NCPH:CHCO₂Et cyclized with HCONH₂ to give I (R = Ph, R₁ = H, R₂ = OH), which was chlorinated with POCl₃ and treated with Et₂NH to give I (R = Ph, R₁ = H, R₂ = Et₂N). At 10⁻⁴ .mu. I (R = Ph, R₁ = H, R₂ = Et₂N) inhibited in vitro platelet aggregations by 99%. At 60 mg/kg I (R = 3-O₂NC₆H₄, R₁ = H, R₂ = Et₂N) gave 20% protection against histamine induced bronchoconstriction in guinea pigs.

IT 36822-94-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 36822-94-3 CAPLUS

CN Benzoic acid, 2-[(6-phenyl-4-pyrimidinyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 224 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

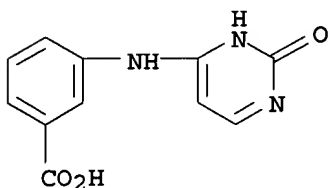
ACCESSION NUMBER: 1976:5337 CAPLUS

DOCUMENT NUMBER: 84:5337

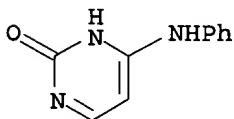
TITLE: N-Pyrimidinyl amino acids. IV. N-[2-Pyrimidinon-4-yl] derivatives of acidic, basic, and uncommon neutral amino acids

09/ 922,874

AUTHOR(S): Hoffmann, Siegfried; Schmidt, Hans Christoph
CORPORATE SOURCE: SEKT. Chem., Martin-Luther-Univ. Halle-Wittenberg,
Halle/Saale, Ger. Dem. Rep.
SOURCE: Zeitschrift fuer Chemie (1975), 15(8), 306
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
AB Treatment of amino acids with 4-(methylthio)-2(1H)-pyrimidinone
(I) gave the corresponding N-[2(1H)-oxo-4-pyrimidinyl]amino
acids in 20-75% yields. Refluxing I with D-MeCH₂CH(NH₂)CO₂H for 6 hr gave
65% D-2-[[2-(1H)-oxo-4-pyrimidinyl]amino]butyric acid.
IT 57469-67-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 57469-67-7 CAPLUS
CN Benzoic acid, 3-[(1,2-dihydro-2-oxo-4-pyrimidinyl)amino]- (9CI) (CA INDEX
NAME)

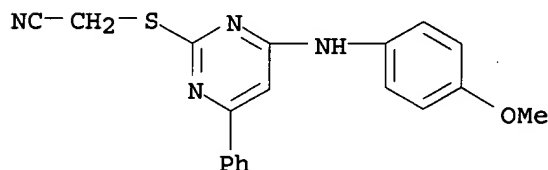


L7 ANSWER 225 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1975:564121 CAPLUS
DOCUMENT NUMBER: 83:164121
TITLE: N(4)-Alkyl and -aryl cytosine derivatives
AUTHOR(S): Hoffmann, Siegfried; Schmidt, Hans Christoph;
Schubert, Hermann
CORPORATE SOURCE: SEKT. Chem., Martin-Luther-Univ. Halle-Wittenberg,
Halle/Saale, Ger. Dem. Rep.
SOURCE: Zeitschrift fuer Chemie (1975), 15(7), 270
CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Cytosines I (R = C₇H₁₅, C₈H₁₇, (CH₂)₈NH₂, C₁₂H₂₅, Ph, C₆H₄OMe-4, R₁ = H; R
= R₁ = Ph) were prepd. by treating 4-methylthiouracil with RR₁NH.
IT 29840-44-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 29840-44-6 CAPLUS
CN 2(1H)-Pyrimidinone, 4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 226 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1975:557714 CAPLUS
DOCUMENT NUMBER: 83:157714
TITLE: Synthesis and antiarrhythmic activity of substituted

(2-pyrimidinylthio)acetamidoximes
 AUTHOR(S): Scotese, Anthony C.; Santilli, Arthur A.; Nelson, George L.
 CORPORATE SOURCE: Res. Dev. Div., Wyeth Lab., Inc., Radnor, PA, USA
 SOURCE: Journal of Medicinal Chemistry (1975), 18(8), 852-4
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB Of 17 title acetamidoximes and acetonitrile intermediates, prepd. by S-alkylation of the 6-substituted thiouracil with 2-chloroacetamide [79-07-2], dehydration to the nitrile and replacement of the 4-OH group by Cl by treatment with POCl₃, amination and treatment with hydroxylamine, 5 compds. had significant activity in the antiarrhythmic screen in dogs. 2-[4-Methyl-6-(p-chlorobenzylamino)-2-pyrimidinylthio]acetamidoxime-2HCl (I-2HCl) [56605-29-9] was the most potent antiarrhythmic agent. Structure-activity relations are discussed.
 IT 56605-24-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and antiarrhythmic activity of)
 RN 56605-24-4 CAPLUS
 CN Acetonitrile, [[4-[(4-methoxyphenyl)amino]-6-phenyl-2-pyrimidinyl]thio]-(9CI) (CA INDEX NAME)



L7 ANSWER 227 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1975:526278 CAPLUS
 DOCUMENT NUMBER: 83:126278
 TITLE: Biological activity of some new pyrimidine derivatives
 AUTHOR(S): Radionov, P. V.; Tarnavskaya, M. I.; Nikolaeva, S. V.; Bardik, Yu. V.; Andrianova, S. M.; Kuz'menko, I. I.
 CORPORATE SOURCE: Kiev. Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev, USSR
 SOURCE: Fiziologicheskii Aktivnye Veshchestva (1966-1992) (1975), 7, 68-72
 CODEN: FAVUAI; ISSN: 0533-1153
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI For diagram(s), see printed CA Issue.
 AB Seven 5-fluoropyrimidine derivs (I) and 5 6-methylpyrimidine derivs (II) were tested for toxicity in mice and rats and for antitumor activity against sarcoma 45, and Guerin carcinoma in rats and sarcoma 180 in mice. In mice the LD₅₀ values ranged from 200 to 2500 mg/kg, toxicity increasing with an increase in the heterocyclic amine residues. Toxicity in rats was not correlated with toxicity in mice. Guerin carcinoma was the most sensitive of the tumors tested, and growth was inhibited 46.7-85.7% by morpholyl- [56396-99-7] phenylamino- [40423-75-4], phenoxy- [40423-68-5], and propoxy-substituted fluoropyrimidine [40423-63-0] and by methoxy- [56397-00-3] and phenylamino-substituted methylpyrimidine [56397-01-4]. Sarcoma 45 was inhibited by methoxy- [4330-22-7], morpholyl-, ethoxy- [155-36-2], and butoxy-substituted fluoropyrimidine

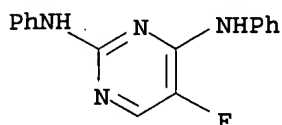
[40423-64-1]. Sarcoma 180 was most sensitive to the methoxy deriv. of methylpyrimidine. None of the compds. affected blood compn. or had cholinolytic activity.

IT **40423-75-4**

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (neoplasm inhibition by and toxicity of)

RN 40423-75-4 CAPLUS

CN 2,4-Pyrimidinediamine, 5-fluoro-N,N'-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 228 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:497359 CAPLUS

DOCUMENT NUMBER: 83:97359

TITLE: Pesticidal aminopyrimidine derivatives

INVENTOR(S): Barlow, Charles B.; White, Brian Graham; Tomlin, Clive D. S.

PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd., UK

SOURCE: Brit., 23 pp. Division of Brit. 1,353,739.

CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1388825	A	19750326	GB 1974-39813	19720221

PRIORITY APPLN. INFO.: GB 1974-39813 19720221

GI For diagram(s), see printed CA Issue.

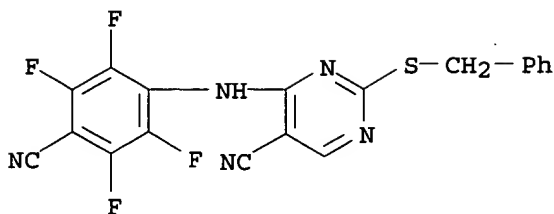
AB Eighteen title compds. I [R = H, Cl, CN; R1 = H, Cl; R2 = Cl, CF3, PhCH2S; R3 = di- or trichloro-substituted 2-, 4-, or 5-pyrimidinyl, 5-cyano-2-methyl-4-pyrimidinyl, C10F7, C6F5, 4-F3CC6F4, 4-NCC6F4, 4-O2NC6F4, 2,4-F3C(O2N)C6H3, 2,4-Br(O2N)C6H3] and 3 title compds. II (R4 = R6 = F, R5 = CN, NO2; R4 = H, R5 = NO2, R6 = CF3) were prep'd. from appropriate aminopyrimidines by treatment with base and R3Cl or R3F or the appropriate chlorobenzene or from chloropyrimidines by treatment with amines. Thus, I (R = R1 = R2 = Cl, R3 = 2,5,6-trichloro-4-pyrimidinyl) was prep'd. from I (R = R1 = R2 = Cl, R3 = H) in DMF by successive treatment with NaH under N at 0-5.degree. and 2,4,5,6-tetrachloropyrimidine 1 hr at <18.degree.. The activities of I and II against insect and other invertebrate pests, slugs, foliar fungal diseases in plants, many plant bacterial and fungal post-harvest saprophytic diseases, and plants themselves, were assessed. Compns. contg. I and II were described.

IT **38875-56-8P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (pesticide, prepn. of)

RN 38875-56-8 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-cyano-2,3,5,6-tetrafluorophenyl)amino]-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L7 ANSWER 229 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1975:443369 CAPLUS
 DOCUMENT NUMBER: 83:43369
 TITLE: **Pyrimidine derivatives**
 INVENTOR(S): Narr, Berthold; Roch, Josef; Mueller, Erich; Haarmann, Walter
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 121 pp. Addn. to Ger. Offen. 2,430,644.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2341925	A1	19750306	DE 1973-2341925	19730820
AT 7406079	A	19770515	AT 1974-6079	19740724
AT 340933	B	19780110		
NO 7402712	A	19750221	NO 1974-2712	19740725
NO 141163	B	19791015		
CS 187420	P	19790131	CS 1974-5405	19740729
RO 65649	P	19810830	RO 1974-79722	19740810
US 3975384	A	19760817	US 1974-497459	19740814
DD 116831	C	19751212	DD 1974-180558	19740816
HU 170230	P	19770428	HU 1974-TO978	19740817
BE 818990	A1	19750219	BE 1974-147737	19740819
SE 7410539	A	19750221	SE 1974-10539	19740819
FI 7402436	A	19750221	FI 1974-2436	19740819
NL 7411047	A	19750224	NL 1974-11047	19740819
DK 7404415	A	19750428	DK 1974-4415	19740819
JP 50049288	A2	19750501	JP 1974-94899	19740819
AU 7472490	A1	19760219	AU 1974-72490	19740819
ZA 7405305	A	19760428	ZA 1974-5305	19740819
ES 429366	A1	19761016	ES 1974-429366	19740819
PL 93115	P	19770530	PL 1974-173567	19740819
CA 1043789	A1	19781205	CA 1974-207278	19740819
FR 2241305	A1	19750321	FR 1974-28597	19740820
GB 1449100	A	19760908	GB 1974-36606	19740820
PRIORITY APPLN. INFO.:			DE 1973-2341925	19730820
			DE 1974-2430644	19740626

GI For diagram(s), see printed CA Issue.

AB Two hundred twenty-six **pyrimidines I** (R = H, Me, Et, Pr, iso-Pr, tert-Bu, CO₂Me, CO₂Et, CN, NH₂, Cl, cyclohexylamino, CH₂CO₂Et, alkylthio, CH(CO₂Et)₂, cyclohexylthio, HOCH₂CH₂S, MeO₂CCH₂S, PhS, SH, CH₂:CHCH₂S, 1-adamantylamino, alkoxy; R₁ = NO₂, H, Me, Et, Cl, SCN, CO₂Et, Br, CN, MeS, F, p-ClC₆H₄S, BuO, CHO; R₂ = morpholino, thiomorpholino and S-oxides, piperazino, 4-formylpiperazino; R₃ = piperazino, 4-carbethoxy-, 4-carbamoyl-, and 4-formylpiperazino, thiomorpholino and S-oxides, morpholino, MeS, MeO, EtO, EtS), useful as antihypertensives and antithrombotic agents, were prepd. by treating I [R, R₂, and R₃ are

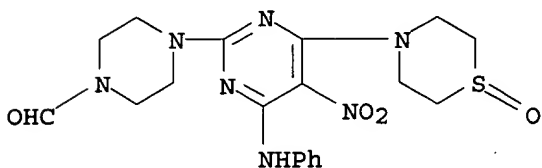
reactive groups, such as halo, HO, R₄O (R₄ = aryl or alkyl), alkylthio] with RH, R₂H, and (or) R₃H (R, R₂, and R₃ as defined for the product I). The starting materials are either known or were prepd. by known methods. I have LD₅₀ 70-170 mg/kg i.v. and 500-1500 mg/kg orally (mouse). I effected 61-100% inhibition of thrombocyte aggregation at 10 .mu.moles/l. (Morris test).

IT **56033-71-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deformylation of)

RN 56033-71-7 CAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[5-nitro-4-(1-oxido-4-thiomorpholinyl)-6-(phenylamino)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 230 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:442517 CAPLUS

DOCUMENT NUMBER: 83:42517

TITLE: **Pyrimidines.** XLII. NMR studies on .sigma.-adducts of heterocyclic systems with nucleophiles. IV. PMR studies on the formation of adducts between 4-substituted 5-bromopyrimidines and potassium amide in liquid ammonia

AUTHOR(S): Geerts, J. P.; Rasmussen, C. A. H.; Van der Plas, H. C.; Van Veldhuizen, A.

CORPORATE SOURCE: Lab. Org. Chem., Agric. Univ., Wageningen, Neth.

SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1974), 93(8), 231-3

CODEN: RTCPA3; ISSN: 0165-0513

DOCUMENT TYPE: Journal

LANGUAGE: English

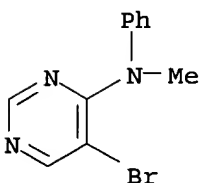
AB PMR spectra of 5-bromo-4-R-pyrimidines (R = Ph, OMe, PhNMe, MeNH, Me) in KNH₂-NH₃(l) are described. Evidence is presented for the formation of stable .sigma.-adducts by attack of an amide ion on C6 of the pyrimidine ring in the cases of R = Ph, CMe₃, OMe, PhNMe. When the C4 substituent contains an acidic H atom .alpha. to the arom. nucleus (R = MeNH, Me), deprotonation occurs and in the case of R = Me also adduct formation has been observed.

IT **56181-37-4**

RL: PRP (Properties)
(reaction with potassium amide, adduct from)

RN 56181-37-4 CAPLUS

CN 4-Pyrimidinamine, 5-bromo-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 231 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1975:410130 CAPLUS
 DOCUMENT NUMBER: 83:10130
 TITLE: 2-Aryl-4-substituted-amino-5-pyrimidyl
 derivatives
 INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.
 PATENT ASSIGNEE(S): American Home Products Corp.
 SOURCE: U.S., 6 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION: .

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3860596	A	19750114	US 1972-285154	19720831

PRIORITY APPLN. INFO.: US 1972-285154 19720831

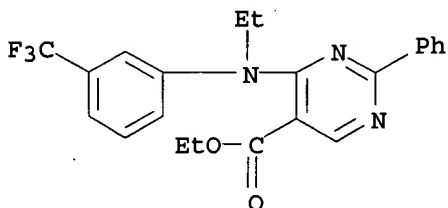
GI For diagram(s), see printed CA Issue.

AB The depressant and antiinflammatory **pyrimidines** I [R = HO(CH₂)₃, m-F₃CC₆H₄, 2,3-Me₂C₆H₃, R₁ = CO₂Et, CO₂H, CH₂OH, R₂ = H, Me] were prepd. Thus, PhC(:NH)NH₂ was cyclized with EtOCH₂CH:C(CO₂Et)₂ to give Et 4-chloro-6-methyl-2-phenyl-3-pyrimidinecarboxylate, which with m-F₃CC₆H₄NH₂ followed by hydrolysis gave I (R = m-F₃CC₆H₄, R₁ = CO₂H, R₂ = Me) (II). At 127 mg/kg II was a central nervous system depressant and antiinflammatory at 0.09 mM.

IT **55406-02-5P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antiinflammatory activity of)

RN 55406-02-5 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[ethyl[3-(trifluoromethyl)phenyl]amino]-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 232 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1975:156206 CAPLUS
 DOCUMENT NUMBER: 82:156206
 TITLE: Synthesis of 5-substituted-7-methoxy-2-phenylpyrimido[4,5-b]quinolines. New synthesis of **pyrimido**[4,5-b]quinolines
 AUTHOR(S): Kim, Dong Han; Santilli, Arthur A.
 CORPORATE SOURCE: Research Div., Wyeth Lab., Philadelphia, PA, USA
 SOURCE: Journal of Heterocyclic Chemistry (1975), 12(1), 181-2
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.

AB The title compds. (I, R = OH; NHR₁, R₁ = CH₂CH₂OMe, CH₂CH₂NMe₂, morpholinoethyl) potential riboflavin antagonists were prepd. starting

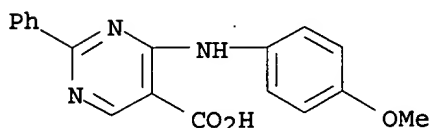
from 5-carbethoxy-4-chloro-2-phenylpyrimidine (II). Thus, II was treated with p-MeOC₆H₄NH₂ to give the 4-p-anisidino deriv. which was hydrolyzed to give the acid III. Cyclization of III with POCl₃ gave an unstable crystalline compd. I (R = Cl) which on treatment with aq. Na₂CO₃ gave I (R = OH). Treatment of I (R = Cl) with R₁NH₂ gave I (R = NHR₁).

IT 55396-90-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 55396-90-2 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-methoxyphenyl)amino]-2-phenyl- (9CI)
(CA INDEX NAME)



L7 ANSWER 233 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:140173 CAPLUS

DOCUMENT NUMBER: 82:140173

TITLE: 2,4,6-Trisubstituted **pyrimidines**

INVENTOR(S): Tani, Hideo; Nakamura, Koji; Mori, Shizuhiro; Yokoo, Nobuo; Kyotani, Yoshitoku; Wada, Yasushi

PATENT ASSIGNEE(S): Kowa Co., Ltd.

SOURCE: Jpn. Tokkyo Koho, 12 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49021148	B4	19740530	JP 1970-127609	19701228
PRIORITY APPLN. INFO.:			JP 1970-127609	19701228

GI For diagram(s), see printed CA Issue.

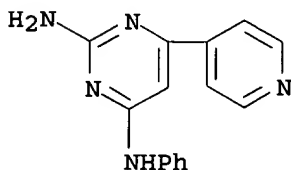
AB Sixty-three antiinflammatory (no data) **pyrimidines** (R = 4-pyridyl, Ph, etc., R₁ = NH₂, NMe₂, NEt₂, morpholino, NHPr, piperidino, OMe, etc., R₂ = NMe₂, OCH₂CH₂NMe₂, NEt₂, morpholino, NHCH₂CH₂CH₂OH, etc.) were prepd. by reacting I (R₁ = SO₂Me or Cl) with the appropriate amine or alc. E.g., I (R = NH₂, R₁ = SO₂Me, R₂ = 4-pyridyl) (0.016 mole) was refluxed 1 hr with 30 ml MeOH contg. 0.03 mole Na to give 80% I (R = NH₂, R₁ = OMe, R₂ = 4-pyridyl).

IT 54993-95-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 54993-95-2 CAPLUS

CN 2,4-Pyrimidinediamine, N4-phenyl-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 234 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1975:140165 CAPLUS
 DOCUMENT NUMBER: 82:140165
 TITLE: 4-(Substituted anilino)-2-phenyl-5-pyrimidinecarboxylic acid esters
 INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.
 PATENT ASSIGNEE(S): American Home Products Corp.
 SOURCE: U.S., 10 pp. Division of U.S. 3,759,922 (CA 80: 48048a).
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3850931	A	19741126	US 1973-364191	19730525
US 3759922	A	19730918	US 1971-156941	19710625

PRIORITY APPLN. INFO.: US 1971-156941 19710625

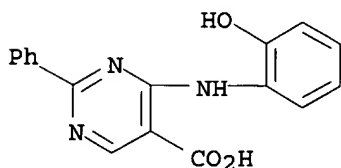
GI For diagram(s), see printed CA Issue.

AB Anilino- and phenoxypyrimidinecarboxylic acids and esters and **pyrimidobenzoxazepinones** I (R = Et, OH, R1 = H, Cl, NO2; R2 = H, Me); II (R = Ac, Et; R1 = H, Cl, NO2); III (R = H, Et; R1 = H, Me); IV (R = NO2, NH2, NHAc, NHet; R1 = H, Cl) and their intermediates were prepd. Chloropyrimidines reacted with phenols to give IV, which were isomerized to I, which also were obtained directly with anilines. I (R = Et) were sapond. and the I (R = H) cyclized to II. II (R = Et) was prepd. from 2-AcNHC6H4OH in several steps involving III (R = Et, then H) and cyclization. II (R = Ac, R1 = H) ring-cleaved (EtOH, HCl) to give I (R = R2 = H). Tests on mice indicated central nervous system depressant effects (decreased spontaneous motor activity) (compd. and mg/kg dose required, all parenteral, except first, which was oral): I (R = Et, R1 = Cl, R1 = H), 127; IV (R = NHet, R1 = H), 127; III (R = Et, R1 Me), 127; II (R = Et, R1 = H), 400; II (R = Ac, R1 = Cl), 12.7.

IT **39975-92-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and cyclization of)

RN 39975-92-3 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2-hydroxyphenyl)amino]-2-phenyl- (9CI)
 (CA INDEX NAME)



L7 ANSWER 235 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1975:125375 CAPLUS
 DOCUMENT NUMBER: 82:125375
 TITLE: **Pyrimidine**-fused 1,4-benzodiazepines.
 Reaction of 1,4-benzodiazepines with
 formamide-phosphoryl chloride
 AUTHOR(S): Kobayashi, Shigeru
 CORPORATE SOURCE: Med. Res. Lab., Takeda Chem. Ind., Ltd., Osaka, Japan
 SOURCE: Bulletin of the Chemical Society of Japan (1975),

48(1), 302-6

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI For diagram(s), see printed CA Issue.

AB **Pyrimidobenzodiazepines** I (R = Cl, NO₂; R₁ = H, Me) were prepd. by heating benzodiazepinones II with HCONH₂-POCl₃. The intermediates III were also isolated and cyclized with acid.

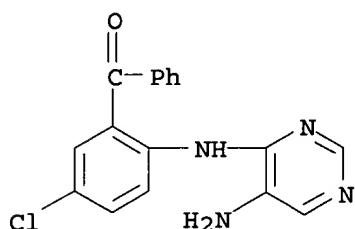
IT **54184-76-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of)

RN 54184-76-8 CAPLUS

CN Methanone, [2-[(5-amino-4-pyrimidinyl)amino]-5-chlorophenyl]phenyl- (9CI)
(CA INDEX NAME)



L7 ANSWER 236 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:73045 CAPLUS

DOCUMENT NUMBER: 82:73045

TITLE: Antihypoxic 11H-pyrimido-[4,5-b][1,4]-
benzodiazepines

INVENTOR(S): Juby, Peter F.; Hudyma, Thomas W.

PATENT ASSIGNEE(S): Bristol Meyers Co.

SOURCE: Ger. Offen., 65 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2418285	A1	19741107	DE 1974-2418285	19740416
US 3872122	A	19750318	US 1973-351217	19730416
US 3880855	A	19750429	US 1974-445382	19740225
AU 7467312	A1	19751002	AU 1974-67312	19740329
FR 2225158	A1	19741108	FR 1974-12385	19740409
BE 813563	A1	19741010	BE 1974-143070	19740410
NL 7405012	A	19741018	NL 1974-5012	19740411
ZA 7402361	A	19750430	ZA 1974-2361	19740411
CA 1034125	A1	19780704	CA 1974-197462	19740411
JP 50035192	A2	19750403	JP 1974-41835	19740416
JP 56018553	B4	19810430		
GB 1466932	A	19770309	GB 1974-16510	19740416
JP 58074685	A2	19830506	JP 1982-159027	19820914
JP 62042909	B4	19870910		

PRIORITY APPLN. INFO.:

US 1973-351217 19730416

US 1974-445382 19740225

GI For diagram(s), see printed CA Issue.

AB Six **pyrimidobenzodiazepines** I (R = Me, PhCH₂, R₁ = Cl, NMe₂, PhCH₂, cyclopropylamino, Me₂NCH₂CH₂NH) and 8 dihydropyrimidobenzodiazepines

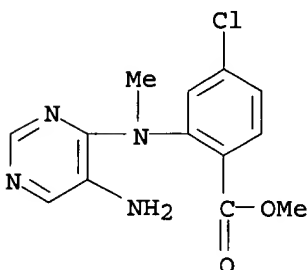
pinines II (R = H, Me, PhCH₂; R₂ = H, Cl, Me; R₃ = H, Me; R₄ = H, Cl), having anti-hypoxia, hypothermal, and antipyretic activity, were prep'd. by several methods. a) 4,6-Dichloro-5-nitropyrimidine was treated with Me anthranilate and the product alkylated, or was treated with a Me N-alkylantranilate, to give Me N-(6-chloro-5-nitro-4-pyrimidinyl)-N-alkylantranilate, which was hydrogenated over Pd/C to give Me N-(5-amino-4-pyrimidinyl)-N-alkylantranilate. This was heated to give the 6-oxo deriv. of II (R = alkyl, R₃ = H) which was either reduced directly to II (R = alkyl, R₃ = H) or was chlorinated to I (R = alkyl, R₁ = Cl) (III) and then hydrogenated to the II. III treated with an amine gave I (R = alkyl, R₃ = substituted amino). b) Et 4-chloro-5-pyrimidinecarboxylate reacted with PhNHMe to give IV which was hydrolyzed, the acid treated with ClCO₂Et, and the product with NaN₃, then cyclized (AlCl₃) to give the 6-oxo deriv. of II (R = Me, R₃ = H). c) The reaction of Ph₂P(O)N₃, PhCH₂OH, and 4-ethoxy-5-pyrimidinecarboxylic acid gave benzyl 4-ethoxy-5-pyrimidinylcarbamate; this was hydrogenated to 5-amino-4-ethoxypyrimidine, converted into 4-ethoxy-5-(o-nitrobenzyliden-amino)pyrimidine, the benzylamino deriv., and reduced to 5-(o-aminobenzylamino)-4-ethoxypyrimidine, which cyclized over NaH to II (R = R₂ = R₃ = R₄ = H). At 10 mg/kg, II (R = Me, R₂ - R₄ = H) extended the life of mice at 3% in mixt. of O in N and lowered body temp. by 3.9.degree.. The min. ED for antipyretic activity was 8 mg/kg in rats.

IT 55150-21-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 55150-21-5 CAPLUS

CN Benzoic acid, 2-[(5-amino-4-pyrimidinyl)methylamino]-4-chloro-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 237 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:43333 CAPLUS

DOCUMENT NUMBER: 82:43333

TITLE: Metal ions and complexes in organic reactions. XVIII. Structural variations in the production of polycyclic heterocyclic systems by iron(II)-promoted cyclizations of nitro-substituted precursors
AUTHOR(S): Bacon, Reginald G. R.; Hamilton, S. Dennis
CORPORATE SOURCE: Dep. Chem., Queen's Univ., Belfast, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (16), 1970-5
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB When heated with Fe(II) oxalate at .apprx.280.degree., compds. with a NO₂ group adjacent to a linkage (direct or through NH) between a Ph group and a 5- or 6-membered heterocycle gave mainly the corresponding primary amines. In 5 out of 7 cases, cyclization through the NO₂ group also

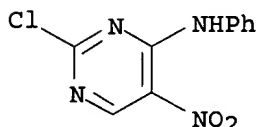
occurred, giving 5-19% products; e.g. 3-anilino-nitrothiophene gave 5% **thieno**[2,3-b]quinoxaline and 2-methyl-1-(o-nitrophenyl)imidazole gave imidazo[1,2-a]quinoxaline. o-O₂NC₆H₄CH₂Ph gave 37% o-H₂NC₆H₄COPh and 9% acridone.

IT **54748-09-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 54748-09-3 CAPLUS

CN 4-Pyrimidinamine, 2-chloro-5-nitro-N-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 238 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1974:552198 CAPLUS

DOCUMENT NUMBER: 81:152198

TITLE: Synthesis of **pyrimidine**-fused
1,4-benzodiazepines

AUTHOR(S): Kobayashi, Shigeru

CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan

SOURCE: Chemistry Letters (1974), (9), 967-70

CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

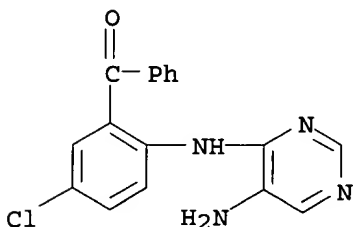
AB The benzodiazepine I (R = H, X = O) was heated with HCONH₂ and POCl₃ to give the **pyrimidine** II, which was cyclized with p-Me-C₆H₄SO₃H to give the **pyrimidobenzodiazepine** III (R = H). I (R = Me, X = O) similarly gave III in one step. I (R = H, X = S) and the aminobenzodiazepine IV gave III.

IT **54184-76-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and cyclization of)

RN 54184-76-8 CAPLUS

CN Methanone, [2-[(5-amino-4-pyrimidinyl)amino]-5-chlorophenyl]phenyl- (9CI)
(CA INDEX NAME)



L7 ANSWER 239 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1974:520687 CAPLUS

DOCUMENT NUMBER: 81:120687

TITLE: 2-Aryl-4-amino-5-cyano **pyrimidine**
derivatives

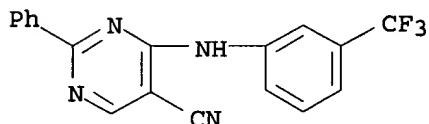
INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.

PATENT ASSIGNEE(S): American Home Products Corp.

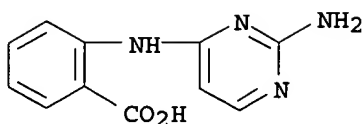
09/ 922,874

SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

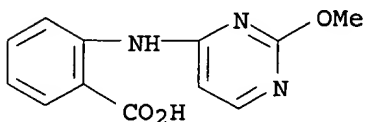
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3816423	A	19740611	US 1972-285153	19720831
PRIORITY APPLN. INFO.:				US 1972-285153	19720831
GI	For diagram(s), see printed CA Issue.				
AB	The pyrimidines I (R = m-F3CC6H4NH; R1 = CN, 1H-tetrazol-5-yl), with central nervous system depressant activity in mice and antiinflammatory activity in rats, were prepd. from I (R = Cl, R1 = CN) (II). Thus, II was refluxed with m-F3CC6H4NH2 in EtOH for 1 hr to give I (R = m-F3CC6H4NH, R1 = CN) which was heated with NaN3-NH4Cl in DMF at 128.degree. for 18 hr to give I (R = m-F3-CC6H4NH, R1 = 1H-tetrazol-5-yl).				
IT	53338-10-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and reaction with sodium azide)				
RN	53338-10-6 CAPLUS				
CN	5-Pyrimidinecarbonitrile, 2-phenyl-4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)				



L7 ANSWER 240 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:472481 CAPLUS
DOCUMENT NUMBER: 81:72481
TITLE: Action of different substituents on the biological activity of o-aminobenzoic acid
AUTHOR(S): Mokhort, N. A.
CORPORATE SOURCE: Kiev. Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev, USSR
SOURCE: Farmakologiya i Toksikologiya (Moscow) (1974), 37(3), 281-2
CODEN: FATOAO; ISSN: 0014-8318
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB The antiinflammatory, analgesic, and antipyretic actions of o-aminobenzoic acid [118-92-3] were enhanced by substituting **phenyl**, adamantyl, adamantylphenyl, 2-aminopyrimidinyl, benzimidazole and methacrylate groups on the amino N. The substituents also increased toxicity.
IT **31185-78-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)
RN 31185-78-1 CAPLUS
CN Benzoic acid, 2-[(2-amino-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 241 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1974:433135 CAPLUS
 DOCUMENT NUMBER: 81:33135
 TITLE: Relation of chemical structure to the pharmacological activity of some arylamino derivatives of **pyridine** and **pyrimidine**
 AUTHOR(S): Ryabukha, T. K.; Ivanov, A. P.; Karp, V. K.; Danilenko, V. F.
 CORPORATE SOURCE: Kiev, USSR
 SOURCE: Farmakologiya i Toksikologiya (Kiev) (1973), No. 8, 62-5
 CODEN: FATOBP; ISSN: 0430-0939
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Isonicotinic acid derivs., nicotinic acid derivs., and **pyrimidine** arylamino derivs., in decreasing order of activity, exerted antiinflammatory action against formalin-induced inflammations on rat paws. Isonicotinoylamidoantipyrine-2HCl (I) [51382-68-4] and O-isonicotinoylamidobenzoic acid-HCl [51382-69-5] were the most effective (decreased edema by 40 and 35%, resp.) (LD50 i.p. in mice of 1100 and 382 mg/kg, resp.), but of the 9 compds. 4-monoglucosylhydrazino-2-amino-6-**pyrimidine** [52050-15-4] was the least toxic (LD50 i.p. in mice of 4000 mg/kg, 25.9% decrease in edema).
 IT 51658-12-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmacol. of)
 RN 51658-12-9 CAPLUS
 CN Benzoic acid, 2-[(2-methoxy-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 242 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1974:48048 CAPLUS
 DOCUMENT NUMBER: 80:48048
 TITLE: **Pyrimido**[5,4-C][1,5] benzoxazepin-5(11H)-ones and intermediates
 INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.
 PATENT ASSIGNEE(S): American Home Products Corp.
 SOURCE: U.S., 12 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3759922	A	19730918	US 1971-156941	19710625
US 3850931	A	19741126	US 1973-364191	19730525

PRIORITY APPLN. INFO.: US 1971-156941 19710625

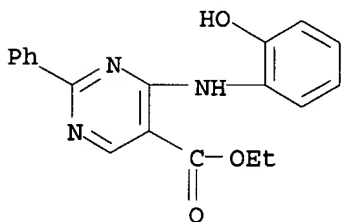
GI For diagram(s), see printed CA Issue.

AB **Pyrimidobenzoxazepines** I (R = Ac, R1 = H, Cl, NO2; R = Et, R1 = H) were prepd. Thus, 4-chloro-5-ethoxycarbonyl-2-phenyl-pyrimidine was treated with o-O2NC6H4OH to give II, which on treatment with Pd-C was reduced and rearranged to III. Hydrolysis of the ester group of III, followed by cyclization with Ac2O gave I (R = Ac, R1 = H). Both I and their intermediates II and III were central depressants.

IT **39975-81-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 39975-81-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2-hydroxyphenyl)amino]-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 243 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1974:3466 CAPLUS

DOCUMENT NUMBER: 80:3466

TITLE: Synthesis of **pyrimidines** and condensed **pyrimidines**

AUTHOR(S): Kobayashi, Shigeru

CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1973), 46(9), 2835-9
 CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

LANGUAGE: English

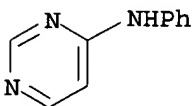
GI For diagram(s), see printed CA Issue.

AB A new one-step synthesis of **pyrimidines**, e.g. I (R = alkyl) and condensed **pyrimidines**, e.g., II (n = 2-4) by heating carboxamides or cyclic lactams with formamide in the presence of POCl3 in a sealed tube is described.

IT **50827-24-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 50827-24-2 CAPLUS

CN 4-Pyrimidinamine, N-phenyl- (9CI) (CA INDEX NAME)

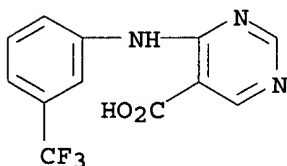


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ACCESSION NUMBER: 1973:546555 CAPLUS
DOCUMENT NUMBER: 79:146555
TITLE: 4-Amino-5-pyrimidinecarboxylic acids and intermediates
INVENTOR(S): Jutz, Christian; Mueller, Werner
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: U.S., 5 pp. Division of U.S. 3,523,119 (CA 73;77277k).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3759976	A	19730918	US 1970-38646	19700522
US 3523119	A	19700804	US 1967-674695	19670926
PRIORITY APPLN. INFO.:			US 1967-674695	19670926
			DE 1966-1670233	19670602

GI For diagram(s), see printed CA Issue.
AB Pyrimidinecarboxylic acids (I, R = CO₂H, R₁ = CF₃, Me; R₂ = H, Me) were prepd. by treating Me₂NCH:NCR₃:C(CN)CH:N+Me₂ ClO₄⁻ (II, R₃ = Cl) with 3,4-R₁R₂C₆H₃NH₂ to give II (R₃ = 3,4-R₁R₂C₆H₃NH) which was cyclized by boiling in 25% aq. NH₃ to give I (R = CN), followed by hydrolysis to I. II (R₃ = Cl) was prepd. by treating CH₂(CN)₂ with Me₂N⁺:CHCl⁻ and NaClO₄.
IT 6454-66-6P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 6454-66-6 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 245 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:137946 CAPLUS
DOCUMENT NUMBER: 78:137946
TITLE: Water/soluble fiber-reactive dyes
INVENTOR(S): Bien, Hans Samuel; Klauke, Erich
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2132765	A1	19730118	DE 1971-2132765	19710701
IT 956939	A	19731010	IT 1972-26383	19720628
NL 7209219	A	19730103	NL 1972-9219	19720630
FR 2143936	A1	19730209	FR 1972-23786	19720630
GB 1334656	A	19731024	GB 1972-30725	19720630
BE 785782	A1	19730103	BE 1972-119452	19720703

PRIORITY APPLN. INFO.:

DE 1971-2132765

19710701

AB Eleven title dyes (I; R = o-HO₃SC₆H₄, Ph, 1-HO₃S-2-C₁₀H₆, 2,4,6-(HO₃S)₂MeC₆H₂, or 3,6,8-trisulfo-2-naphthyl; R₁ = H or SO₃H; R₂ = p-O₂NC₆H₄O, PhO, p-HO₃SC₆H₄O, p-ClC₆H₄S, 2-benzothiazolythio, SCH₂CH₂OH) and (II, R = H or SO₃H, R₁ = NO₂ or SO₃H) were prepd. and used for dyeing cotton textiles wetfast red to blue shades. Thus, the red coupling product of 1-(5-chlorodifluoropyrimidinylamino)-8-hydroxy-3,6-naphthalenedisulfonic acid and diazotized o-sulfanilic acid was heated with p-O₂NC₆H₄OH in aq. Na₂CO₃ 3 hr at 50.deg. and pH 7-7.5 to give red dye (I, R = o-HO₃SC₆H₄, R₁ = 6-SO₃H, R₂ = p-O₂NC₆H₄O, pyrimidinylamino group in 8-position). Similarly prepd. were 8 other I. 1-Amino-4-[3-(5-chloro-2,6-difluoro-4-pyrimidinylamino)-4,6-disulfophenylamino]-2-sulfoanthraquinone was heated with p-O₂NC₆H₄OH in aq. Na₂CO₃ 4.5 hr at 50.deg. to give dye (II, R = SO₃H, R₁ = NO₂). Similarly prepd. was 1 other II.

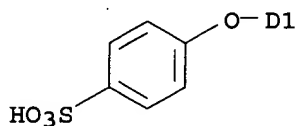
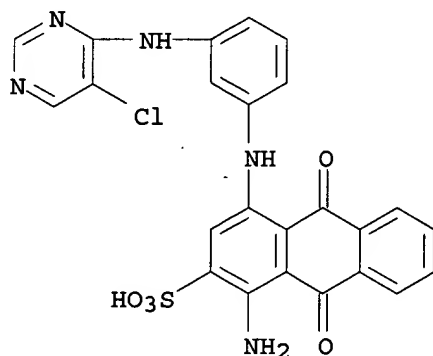
IT 41584-05-8P

RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

RN 41584-05-8 CAPLUS

CN 2-Anthracenesulfonic acid, 1-amino-4-[[3-[[5-chloro-2(or 6)-fluoro-6(or 2)-(4-sulfophenoxy)-4-pyrimidinyl]amino]phenyl]amino]-9,10-dihydro-9,10-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

D1-F

L7 ANSWER 246 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1973:136202 CAPLUS
 DOCUMENT NUMBER: 78:136202
 TITLE: Synthesis and properties of 1,3-diaza-2-phospholo[4,5-d]pyrimidines
 AUTHOR(S): Sazonov, N. V.; Kropacheva, A. A.
 CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
 SOURCE: Khim. Primen. Fosfororg. Soedin., Tr. Konf., 4th (1972), Meeting Date 1969, 367-9. Editor(s): Grechkin, N. P. "Nauka": Moscow,

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USSR.

CODEN: 26KQA2

DOCUMENT TYPE:

Conference

LANGUAGE:

Russian

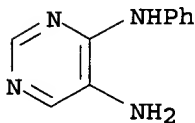
AB Diazaphospholopyrimidines (I; R = Cl, R1 = Ph, PhCH2, Bu, R2 = Cl; R = H, R1 = Ph, PhCH2, R3 = Cl) were prepd. in 80% yields by cyclization of the appropriate pyrimidinediamine (II) by PCl5 at 120-30.degree.. Amination of I by piperidine and morpholine gave the corresponding I (R2 = piperidino, morpholino) which were cleaved by Na2CO3 to the phosphoric triamides (III).

IT 41259-68-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, by phosphorus pentachloride)

RN 41259-68-1 CAPLUS

CN 4,5-Pyrimidinediamine, N4-phenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 247 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1973:97592 CAPLUS

DOCUMENT NUMBER: 78:97592

TITLE: The 2-and 4-substituted 5-fluoropyrimidines

AUTHOR(S): Kuz'menko, I. I.; Protsenko, L. D.

CORPORATE SOURCE: Kiev. Nauchno-Issled. Inst. Farmakol. Toksikol., Kiev, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1973), (1), 117-19

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

GI For diagram(s), see printed CA Issue.

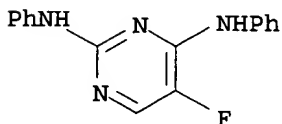
AB 5-Fluoropyrimidines (I; R = Cl, MeO, EtO, PrO, BuO, PhO, o-, m-, p-MeC6H4O, o-, p-FC6H4O, PhNH, o-MeC6H4NH, p-FC6H4NH, piperidino; R1 = MeO, EtO, PrO, BuO, o-, m-, p-MeC6H4O, o-, p-FC6H4O, PhNH, o-MeC6H4NH, p-FC6H4NH, piperidino) were prepd. in 70-99% yields by treatment of 2,4-dichloro-5-fluoropyrimidine with the appropriate alcoholates, phenolates, arom., aliph., and heterocyclic amines.

IT 40423-75-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 40423-75-4 CAPLUS

CN 2,4-Pyrimidinediamine, 5-fluoro-N,N'-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 248 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1973:72082 CAPLUS

DOCUMENT NUMBER: 78:72082

TITLE: Ring closure reaction of 4-(2-hydroxyanilino)-2-phenyl-5-pyrimidinecarboxylic acid with acetic anhydride. Synthesis of pyrimido [5,4-c] [1,5]benzoxazepin-5 [11H]-ones

AUTHOR(S): Dong Han Kim; Santilli, Arthur A.; Fieber, Richard A.

CORPORATE SOURCE: Res. Div., Wyeth Lab., Inc., Radnor, PA, USA

SOURCE: Journal of Heterocyclic Chemistry (1972), 9(6), 1347-54
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

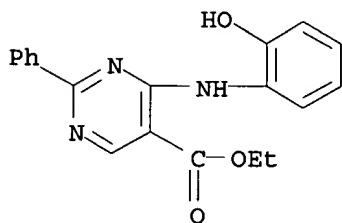
GI For diagram(s), see printed CA Issue.

AB Syntheses of 11-acetyl-2-phenylpyrimido[5,4-c][1,5]benzoxazepin-5(11H)one (I) and analogs were described. The reaction of Et 4-chloro-2-phenyl-5-pyrimidinecarboxylate (II) with 2-aminophenol afforded Et 4-(2-hydroxyanilino)-2-phenyl-5-pyrimidinecarboxylate (III). The latter was also prepd. by catalytic redn. of 4-(2-nitrophenoxy)-2-phenyl-5-pyrimidinecarboxylic acid ethyl ester which was obtained from II and 2-nitrophenol. Involvement of III 4-(2-aminophenoxy)-2-phenyl-5-pyrimidinecarboxylate (IV) in this redn. as an intermediate was demonstrated by an independent synthesis of IV and its subsequent rearrangement to III. Hydrolysis of III or IV gave 4-(2-hydroxyanilino)-2-phenyl-5-pyrimidinecarboxylic acid (V). Reaction of V with Ac₂O afforded I, the first member of a novel ring system, the pyrimido[5,4-c][1,5]benzoxazepin. The corresponding 11-ethyl deriv. was prepd. in similar fashion, starting with II and 2-ethylaminophenol.

IT 39975-81-0
RL: RCT (Reactant); RACT (Reactant or reagent) (acetylation of)

RN 39975-81-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2-hydroxyphenyl)amino]-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 249 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:564755 CAPLUS

DOCUMENT NUMBER: 77:164755

TITLE: Pesticidal polyhalogenated diazinylamines

INVENTOR(S): Barlow, Charles Brian; White, Brian Graham; Tomlin, Clive D. S.

PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.

SOURCE: Ger. Offen., 80 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 2213082	A	19721005	DE 1972-2213082	19720317
GB 1394816	A	19750521	GB 1971-7289	19720221
US 3906098	A	19750916	US 1972-230513	19720229
ZA 7201368	A	19721227	ZA 1972-1368	19720301
PL 83258	P	19751231	PL 1972-153831	19720302
AU 7239704	A1	19730913	AU 1972-39704	19720307
BE 780547	A1	19720911	BE 1972-114976	19720310
NL 7203572	A	19720921	NL 1972-3572	19720317
FR 2129754	A5	19721027	FR 1972-9421	19720317
BR 7201573	A0	19730426	BR 1972-1573	19720317
HU 164620	P	19740328	HU 1972-IE492	19720317
DD 105385	C	19740420	DD 1972-161623	19720317
IT 965672	A	19740211	IT 1972-22093	19720318
US 3974276	A	19760810	US 1975-572830	19750428

PRIORITY APPLN. INFO.:

GB 1971-7289	19710319
GB 1971-7290	19710319
GB 1971-7293	19710319
US 1972-230513	19720229

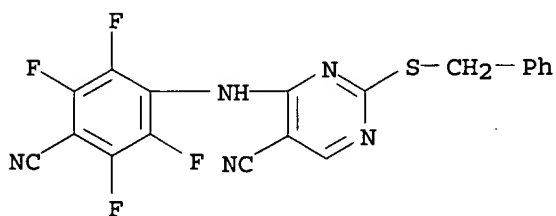
AB Forty title compds. RR1NH (I; R = substituted py-rimidinyl, pyridazinyl, or pyrazinyl; R1 = substituted phenyl, naphthyl, pyridyl, or pyrimidinyl) were prepd. by reaction of RNH2 with NaH and R1X (X = F or Cl). I were used as in-secticides, ascaricides, nematocides, and molluscicides, against plant diseases caused by fungus species or bacteria, and in pre-and postemergent tests against weeds without affecting culture plants. Thus, 4-amino-2,5,6-trichloropyrimidine and octa-fluorotoluene in DMF were added to NaH in DMF at 0.degree. under N and the mixt. stirred 30 min at .ltoreq.21.degree. to give 4-(2,3,5,6-tetra-fluoro - 4 - trifluoromethylanilino) - 2,5,6 - trichloropyrimidine. Compns. contg. I were reported.

IT 38875-56-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 38875-56-8 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-cyano-2,3,5,6-tetrafluorophenyl)amino]-2-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



L7 ANSWER 250 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:539981 CAPLUS

DOCUMENT NUMBER: 77:139981

TITLE: Central nervous system depressants. New purine derivatives

AUTHOR(S): Regnier, G.; Canevari, R.; Le Douarec, J. C.; Laubie, M.

CORPORATE SOURCE: Lab. Servier, Sci. Union et Cie, Suresnes, Fr.

SOURCE: Chimica Therapeutica (1972), 7(3), 192-205

CODEN: CHTPBA; ISSN: 0009-4374

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Piperazinopurines (I, R = aryl, aralkyl, 2-pyridinyl, 2-

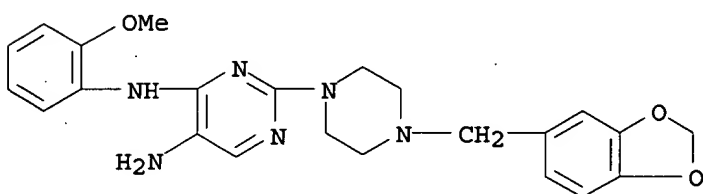
pyrimidinyl; R1 = H, Me, allyl, CH₂CH₂OH, CH₂CH(OH)CH₂OH, piperonyl, o-MeOC₆H₄) and their 6-piperazinopurine analogs (52 compds.) were prepd. by cyclizing the diaminopyrimidine with HC(OEt)₃-Ac₂O or HOAc-HCONH₂. The 6,9-disubstituted purines were obtained by treating the 6-chloropurine with the piperazine deriv. I (R1 = CH₂CH(OH)CH₂OH) were obtained by treating I (R = H) with ClCH₂CH(OH)CH₂OH and NaH. Besides their central nervous system depressant activity the piperazinopurines showed some adrenolytic and antiinflammatory activity.

IT 37419-46-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 37419-46-8 CAPLUS

CN 4,5-Pyrimidinediamine, 2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-N4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 251 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:448506 CAPLUS

DOCUMENT NUMBER: 77:48506

TITLE: 6-Arylpyrimidines for inhibiting thrombocyte aggregation and as bronchodilators

INVENTOR(S): De Angelis, Gerald G.; Hess, Hans J. E.

PATENT ASSIGNEE(S): Pfizer Inc.

SOURCE: Ger. Offen., 87 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2149249	A	19720413	DE 1971-2149249	19711002
DE 2149249	B2	19741107		
DE 2149249	C3	19750703		
US 3707560	A	19721226	US 1970-78216	19701005
FI 55502	C	19790810	FI 1971-2734	19710930
FI 55502	B	19790430		
DK 131858	B	19750915	DK 1971-4801	19711001
ZA 7106615	A	19720628	ZA 1971-6615	19711004
ES 395676	A1	19741016	ES 1971-395676	19711004
GB 1373535	A	19741113	GB 1971-46158	19711004
GB 1373536	A	19741113	GB 1973-38316	19711004
CA 988519	A1	19760504	CA 1971-124312	19711004
SE 385885	C	19761104	SE 1971-12534	19711004
SE 385885	B	19760726		
SE 390304	B	19761213	SE 1974-10488	19711004
BE 773484	A1	19720405	BE 1971-3448	19711005
NL 7113670	A	19720407	NL 1971-13670	19711005
NL 168511	B	19811116		
NL 168511	C	19820416		
FR 2110227	A5	19720602	FR 1971-35815	19711005

FR 2110227	B1	19750207		
CH 542218	A	19731115	CH 1973-7729	19711005
AT 314540	B	19740410	AT 1971-8580	19711005
AT 315856	B	19740610	AT 1973-148	19711005
AT 316563	B	19740725	AT 1973-149	19711005
AT 317229	B	19740826	AT 1973-6054	19711005
CH 554346	A	19740930	CH 1972-15321	19711005
CH 554876	A	19741015	CH 1971-14529	19711005
CH 554875	A	19741015	CH 1972-15214	19711005
JP 56048511	B4	19811116	JP 1971-78237	19711005
AU 7134259	A1	19730412	AU 1971-34259	19711006
DK 130971	B	19750512	DK 1973-1429	19730316
CA 978531	A2	19751125	CA 1973-176049	19730710
ES 420211	A1	19760316	ES 1973-420211	19731102
ES 420210	A1	19760601	ES 1973-420210	19731102
ES 420209	A1	19760601	ES 1973-420209	19731102
CA 978532	A2	19751125	CA 1974-191086	19740128
SE 7410488	A	19740816	SE 1974-10488	19740816
FI 55834	C	19791010	FI 1977-3287	19771102
FI 55834	B	19790629		
JP 56036468	A2	19810409	JP 1980-110163	19800811
JP 57008107	B4	19820215		

PRIORITY APPLN. INFO.:

US 1970-78216	19701005
FI 1971-2734	19710930
DK 1971-4801	19711001
CA 1971-124312	19711004

GI For diagram(s), see printed CA Issue.

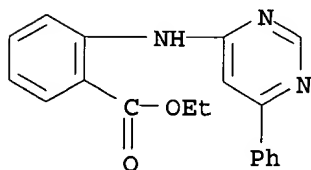
AB 4-Amino-6-arylpyrimidines (I), useful for inhibition of thrombocyte aggregation and as bronchodilators, were prepd. by reaction of RMgX with R1CH(CN)CO2Et to give ArC(NH2):CR1CO2Et, which was condensed with HCONH2 to give the 4-hydroxy analog of I, treated with POCl3, and R2R3NH. Other methods included reaction of substituted .omicron.-chlorobenzonitrile with NaSCH2CO2Me to give a 2-amino-3-methoxydihydrobenzo[b]thiophene which was condensed with HCONH2 to give a 4-hydroxy-[1]benzothieno[3,2-d]pyrimidine, treatment with POCl3, R2R3NH, then H over Raney Ni, or by condensation of RCOCHR1CO2Et with (NH2)2CS to give a 6-aryl-2-mercapto-4-hydroxypyrimidine which was hydrogenated over Raney Ni, treated with POCl3, then R2R3NH. About 75 I [R = Ph, substituted phenyl, 2-furyl, 2-thienyl; R1 = H, Et, Pr; R2 = H, C1-4 alkyl, allyl; R3 = H, C1-4 alkyl, CF3CH2, allyl, Me2N(CH2)2, 3-picolyl; or R2R3 = (CH2)4-6, (CH2)2O(CH2)2, or (CH2)2NMe(CH2)2] were prepd.

IT 36822-94-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

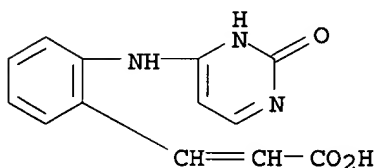
RN 36822-94-3 CAPLUS

CN Benzoic acid, 2-[(6-phenyl-4-pyrimidinyl)amino]-, ethyl ester,
monohydrochloride (9CI) (CA INDEX NAME)



HCl

ACCESSION NUMBER: 1972:141300 CAPLUS
 DOCUMENT NUMBER: 76:141300
 TITLE: N-Pyrimidinylamino acids. III.
 N-(oxopyrimidinyl) derivatives of neutral amino acids
 AUTHOR(S): Hoffmann, Siegfried; Schubert, Hermann; Nitsche, Klaus
 CORPORATE SOURCE: Sek. Chem., Martin-Luther-Univ. Halle-Wittenberg,
 Halle/Saale, Ger. Dem. Rep.
 SOURCE: Zeitschrift fuer Chemie (1972), 12(1), 21-2
 CODEN: ZECEAL; ISSN: 0044-2402
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI For diagram(s), see printed CA Issue.
 AB Refluxing pyrimidinones (I or II) with amino acids R₁R₂NH in the
 presence of Na₂CO₃ in H₂O 5-20 hr gave 10-35% N-(oxopyrimidinyl)amino
 acids [III; R, -R₁ = H or Me; R₂ = CH₂CO₂H, (CH₂)₃CO₂H, (CH₂)₅CO₂H,
 CH₂C₆H₄CO₂H-p, o-C₆H₄CH:CHCO₂H, CH[CH₂(OH)]CO₂H, CH[CHMe(OH)]CO₂H,
 CH[CH₂CH₂(OH)]CO₂H, 3-oxotetrahydro-4-isoxazolyl, CH[CH₂(SH)]CO₂H,
 CH[CH₂CH₂(SMe)]-CO₂H, CH[CH₂C₆H₄(OH)-p]CO₂H, CH[CH₂C₆H₃(OH)2-3,4]-CO₂H, or
 CH₂CONHCH₂CONHCH₂CO₂H] or IV [R₁ = H, R₂ = CH[CHMe(OH)]CO₂H or
 CH[CH₂C₆H₃(OH)2-3,4]CO₂H].
 IT 35886-94-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 35886-94-3 CAPLUS
 CN 2-Propenoic acid, 3-[2-[(1,2-dihydro-2-oxo-4-pyrimidinyl)amino]phenyl]-
 (9CI) (CA INDEX NAME)



L7 ANSWER 253 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:140543 CAPLUS
 DOCUMENT NUMBER: 76:140543
 TITLE: Antiinflammatory phenylacetanilides and analogous
 compounds
 INVENTOR(S): Aries, Robert
 SOURCE: Fr. M., 23 pp.
 CODEN: FMXXAJ
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 7651		19700202	FR 1968-161789	19680802

GI For diagram(s), see printed CA Issue.
 AB Compds. (I) and their salts, with antiphlogistic, antiinflammatory,
 antirheumatic, and analgesic activity, were prep. by acylation of
 N-substituted anthranilic acids or their analogs (X = CH:-CHN, CH:CHCH,
 N:CHCH, SCH, A = aryl, cycloalkyl, heterocyclyl) with the acid chlorides
 (II, Y = CH₂, CHMe, (CH₂)₃, or C:CH₂, R₁ = alkyl, cycloalkyl, aryl, or
 arylthio, R₂ = H, F, or Cl). Thus, 2-(2-methyl-3-nitroanilino)nicotinic
 acid was condensed (NET3) with 2-(4-isobutylphenyl)propionyl chloride to
 give III (Z = N, Y = CHMe, R₁ = iso-Bu, R₂ = R₅ = H, R₃ = 2-Me, R₄ =
 3-NO₂). Also reported were 3-carboxy-4-[N-(4-isobutylphenylacetyl)-N-(6-

09/ 922,874

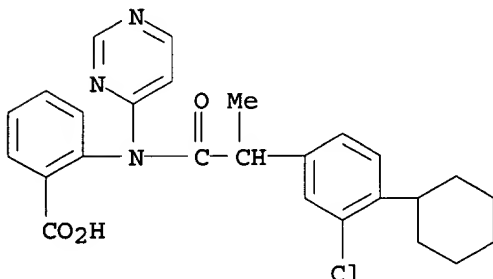
methyl-2-pyridyl)amino]-thiophene, 2-[N-(2,5-dimethylcyclohexyl)-2-(4-isobutylphenyl)-propionamido]nicotinic acid, and N-(2,5-dimethylcyclohexyl)-N-[2-(4-isobutylphenyl)propionyl]anthranilic acid. Many examples were given. The products were not characterized.

IT 26852-74-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 26852-74-4 CAPLUS

CN Benzoic acid, 2-[[2-(3-chloro-4-cyclohexylphenyl)-1-oxopropyl]-4-pyrimidinylamino]- (9CI) (CA INDEX NAME)



L7 ANSWER 254 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:140256 CAPLUS

DOCUMENT NUMBER: 76:140256

TITLE: Antiinflammatory anthranilic acid derivatives

INVENTOR(S): Aries, Robert

SOURCE: Fr. M., 19 pp.

CODEN: FMXXAJ

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 7699		19700223	FR 1968-158911	19680710

GI For diagram(s), see printed CA Issue.

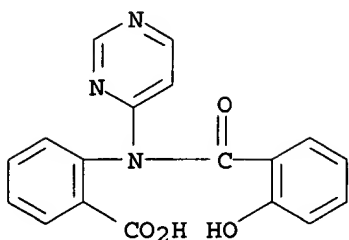
AB The title compds. (I, e.g., R1 = R2 = Me, R3 = o-HO2CC6H4, R4 = CF3; R1 = Me, R2 = H, R3 = 3-carboxy-2-pyridyl, R4 = CF3; R1 = R2 = H, R3 = 4-carboxy-3-thienyl, R4 = Me, R5 = H or alkyl) were prepd. by the reaction of salicyloyl halides with secondary amines. Many examples were given, but no compds. were characterized.

IT 25509-05-1P

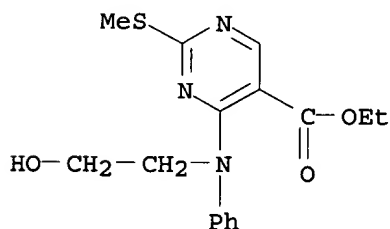
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 25509-05-1 CAPLUS

CN Benzoic acid, 2-[(2-hydroxybenzoyl)-4-pyrimidinylamino]- (9CI) (CA INDEX NAME)



L7 ANSWER 255 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:34229 CAPLUS
 DOCUMENT NUMBER: 76:34229
 TITLE: Syntheses of N-heterocyclic compounds. II.
Pyrimido[4,5-e]-, **pyridazino**
 [3,4-e]-, and **pyrido**[4,3-e]-1,2,3,5-
 tetrahydro[1,4]oxazepin-5-one
 AUTHOR(S): Yurugi, Shojiro; Hieda, Masaru; Fushimi, Tomiyoshi;
 Tomimoto, Mitsumi
 CORPORATE SOURCE: Res. Dev. Div., Takeda Chem. Ind., Ltd., Osaka, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1971), 19(11),
 2354-64
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB **Pyrimido**[4,5-e][1,4]oxazepines were synthesized by the reaction
 of 2-substituted-4-chloro-5-ethoxycarbonylpyrimidines with N-substituted
 ethanolamines. The reaction was applied to the syntheses of the
pyridazo[3,4-e]-[1,4]oxazepines and **pyrido**
 [4,3-e][1,4]oxazepines. In the course of this study a N-O rearrangement
 at the 4-position of 2-**phenyl**-4-(N-**phenyl**
 -2-hydroxyethylamino)-5-ethoxycarbonylpyrimidine was obsd.
 IT **34750-54-4P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 34750-54-4 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 4-[(2-hydroxyethyl)phenylamino]-2-
 (methylthio)-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 256 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1971:540880 CAPLUS
 DOCUMENT NUMBER: 75:140880
 TITLE: 5-Pyrimidinecarboxylic acid derivatives
 INVENTOR(S): Jutz, Christian; Mueller, Werner
 PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
 SOURCE: Ger., 4 pp.
 CODEN: GWXXAW
 DOCUMENT TYPE: Patent

09/ 922,874

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1670233	A	19700813	DE 1967-B92846	19670602
PRIORITY APPLN. INFO.:			DE 1967-B92846	19670602

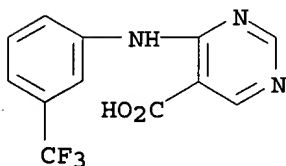
GI For diagram(s), see printed CA Issue.

AB 5-Pyrimidinecarboxylic acid derivs. I (R1, R2, R3 = H, halogen, C1-5 alkyl or alkylene, CF3, NO2, OMe, or sulfonamido; R4 = CO2H or CN) were prepd. by treating 1 mole malonic dinitrile (II) with 2 moles Me2N:CHCl+Cl- in an inert solvent at 10-110.degree., treating the reaction product with NaClO4 and then with R1,R3,R3-substituted aniline, and treating the substitution product with NH3. For example, 13.2 g II in 20 ml CHCl3 was added to 47.5 g DMF and 51.3 ml oxalyl chloride in 120 ml CHCl3, heated to 64.degree., and evapd. The residue was dissolved in 90 ml Et2O and treated with 25 g NaClO4 in 200 ml H2O to give 51 g 1-(dimethylamino)-5-(dimethylammonio)-3-chloro-4-cyano-2-aza-1,3-pentadiene perchlorate (III). III (31.25 g) was refluxed with 49.0 g m-aminobenzotrifluoride and 100 ml CHCl3, and the product was treated with 200 ml 25% NH3 to give 19.1 g 4-(m-trifluoromethylanilino)-5-cyanopyrimidine.

IT 6454-66-6P
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 6454-66-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 257 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1971:436109 CAPLUS

DOCUMENT NUMBER: 75:36109

TITLE: Antiviral diazacarbazoles

INVENTOR(S): Webb, Godfrey B.; Gregory, Gordon I.; Cocker, John D.

PATENT ASSIGNEE(S): Glaxo Laboratories Ltd.

SOURCE: S. African, 38 pp.
CODEN: SFXXAB

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6902227		19700928		
PRIORITY APPLN. INFO.:			GB	19680329

GI For diagram(s), see printed CA Issue.

AB 1,8- and 1,3-Diazacarbazoles were prepd. by irradiation. Thus, 2,2'-dipyridylamines gave I and 6-anilinopyrimidines gave II. Thus, (2-C5H5N)2NMe in cyclohexane gave I (R = Me) and 6-anilino-4-chloropyrimidine gave II (R = H, X = Cl). Also reported were 12 other I, 9 other II, 3 dipyridyl amines, and 5 pyrimidines.

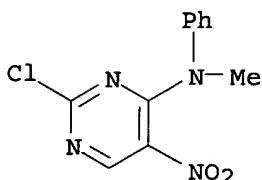
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IT 26773-53-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 26773-53-5 CAPLUS

CN Pyrimidine, 2-chloro-4-(N-methylanilino)-5-nitro- (8CI) (CA INDEX NAME)



L7 ANSWER 258 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1971:15702 CAPLUS

DOCUMENT NUMBER: 74:15702

TITLE: Search for non-steroid antiinflammatory substances among heterocyclic anthranilic acid derivatives

AUTHOR(S): Mokhort, N. A.

CORPORATE SOURCE: Kiev. Nauk.-Dosl. Inst. Farmakol. Toksikol., Kiev, USSR

SOURCE: Farmatsevtichnii Zhurnal (Kiev) (1970), 25(4), 76-7
CODEN: FRZKAP; ISSN: 0367-3057

DOCUMENT TYPE: Journal

LANGUAGE: Ukrainian

GI For diagram(s), see printed CA Issue.

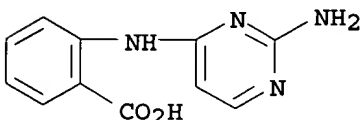
AB I had antiinflammatory, analgesic, and febrifugal effects. The effect of substituents R1-R3 (Me, NH2, Cl, F, CO2H) on the toxicity and given activities was studied and tabulated.

IT 31185-78-1

RL: BIOL (Biological study)
(inflammation inhibitors)

RN 31185-78-1 CAPLUS

CN Benzoic acid, 2-[(2-amino-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 259 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:499179 CAPLUS

DOCUMENT NUMBER: 73:99179

TITLE: Synthesis of certain esters of pteroylglutamic acid analogs structurally related to antimetabolite anticancer compounds

AUTHOR(S): El-Kerdawy, M. M.; Abou Ouf, A. A.; Abou-Zeid, Y. M.

CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt

SOURCE: Journal of Pharmaceutical Sciences of the United Arab Republic (1968), 9, 1-6
CODEN: JPUAAY; ISSN: 0022-3557

DOCUMENT TYPE: Journal

LANGUAGE: English

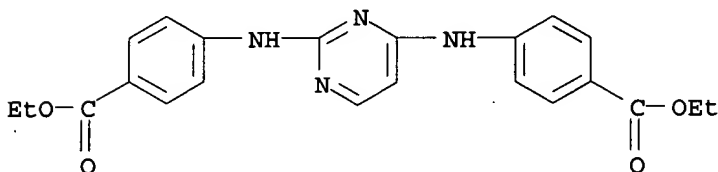
AB I (R = Et, Pr, Bu, amyl) were prepd. by refluxing I (R = H) with the abs. alcs. in the presence of concd. H2SO4 and basifying the ester sulfate

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salts with NaHCO₃.
IT **28885-44-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 28885-44-1 CAPLUS
CN Benzoic acid, 4,4'-(2,4-pyrimidinediylldiimino)di-, diethyl ester, sulfate
(1:1) (8CI) (CA INDEX NAME)

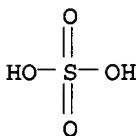
CM 1

CRN 28885-45-2
CMF C22 H22 N4 O4



CM 2

CRN 7664-93-9
CMF H2 O4 S



L7 ANSWER 260 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:477277 CAPLUS
DOCUMENT NUMBER: 73:77277
TITLE: **Pyrimidine-5-carboxylic acids with a basic substituent in the 4-position**
INVENTOR(S): Jutz, Christian; Mueller, Werner
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3523119	A	19700804	US 1967-674695	19670926
US 3759976	A	19730918	US 1970-38646	19700522
PRIORITY APPLN. INFO.:			DE 1966-1670233	19670602
			US 1967-674695	19670926

GI For diagram(s), see printed CA Issue.

AB The title compds. (I), representing analgesic and antirheumatic agents, are prepd. as shown in the following reaction sequence: H₂C(CN)₂ + 2 [Me₂N:CHCl]Cl- or Me₂NCH:C(CN)₂ + [Me₂N:CHCl] Cl- -(-HCl, + NaClO₄).fwdarw. [Me₂NCH:NC(Cl):C(CN)CH:NMe] + ClO₄-, m. 171.degree., -(+NHR₁R₂).fwdarw. [Me₂NCH:NC(NR₁R₂):C(CN)CH:NMe₂] + ClO₄- (R₁ = Me, R₂ =

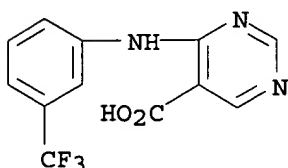
Ph), m. 192.degree., -(+NH₃).fwdarw. II (R₁, R₂, and m.p. given): H, m-F₃CC₆H₄, 164-5.degree.; H, 2,3-Me₂C₆H₃, 202-3.5.degree.; Me, Ph, 92.degree.; H, Ph, 168.degree.; Me, Me, 114.degree.. II + aq. H₂SO₄.fwdarw. I (R₁, R₂, and m.p. given): H, m-F₃CC₆H₄, 231-2.degree.; H, 2,3-Me₂C₆H₃, 251-2.degree..

IT **6454-66-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 6454-66-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[3-(trifluoromethyl)phenyl]amino]- (9CI)
(CA INDEX NAME)



L7 ANSWER 261 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:435322 CAPLUS

DOCUMENT NUMBER: 73:35322

TITLE: Reaction of uracils with phosphoric acid amides

AUTHOR(S): Arutyunyan, E. A.; Gunar, V. I.; Zav'yalov, S. I.

CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR

SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya
(1970), (4), 904-9

CODEN: IASKA6; ISSN: 0002-3353

DOCUMENT TYPE: Journal

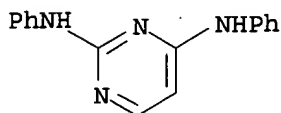
LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

AB Amine-HCl and POCl₃ catalyze the reactions of uracils with amides of phosphoric acid in which possibly the carbonyl forms of uracils take part through a 4-center reaction intermediate. Heating uracil with Me₂NH.HCl and (Me₂N)₃PO 1 hr at 235.degree. gave 75% 2,4-bis(dimethylamino)pyrimidine, m. 38-41.degree.; without Me₂NH.HCl the yield was but 56% in 2.5 hr. Thymine and (Me₂N)₃PO in 1.5 hr at 220.degree. gave 67% 2,4-bis(dimethylamino)-5-methylpyrimidine, m. 53-5.degree. (picrate m. 176-7.degree.). 6-Methyluracil similarly in the presence of Me₂NH.HCl was converted in 10 min at 240.degree. into 85% 2,4-bis(dimethylamino)-6-methylpyrimidine, b1.cntdot.5-2 84-5.degree.; picrate m. 181-2.degree.. 6-Methyluracil and OP(NHC₆H₁₃)₃ in 0.5 hr at 235.degree. gave 81% 2,4-bis(hexylamino)-6-methylpyrimidine, b1 174-6.degree.; picrate m. 144-5.degree.. Similarly were prepd. 78% 2,6-dimethyl-4-dimethylaminopyrimidine, b13 105-7.degree. (picrate m. 170-1.degree.); and 64% 2,4-bis(diethylamino)-6-methylpyrimidine, b3 118-20.degree.. Cyanuric acid, Me₂NH.HCl, and OP(NMe₂)₃ in 1 hr at 230.degree. gave 44% 1,3,6-tris(dimethylamino)sym-triazine, m. 167-70.degree.. Orotic acid gave 28% oily 2,4-bis(dimethylamino)-6-(N-dimethylcarbamido)pyrimidine; picrate m. 194-6.degree.. PhOP(O)(NH₂)₂ and 6-methyluracil in 1 hr at 220.degree. gave 21% 2,4-diamino-6-methylpyrimidine, decompd. 287.degree., along with 8% 6-methyl-2-amino-4-oxopyrimidine, m. 282-4.degree., and 3% 6-methyl-4-amino-2-oxopyrimidine picrate, m. 272-3.degree.. Cytosine and OP(NHPh)₃ in 0.5 hr at 235.degree. gave 20% 2,4-dianilinopyrimidine, m. 232-4.degree., and 6% 2-anilino-4-aminopyrimidine, m. 254-6.degree.. 2,4-Dichloro-6-methylpyrimidine and P(NMe₂)₃ in 1 hr at 160.degree. gave a little 2,4-bis(dimethylamino)-6-methylpyrimidine; picrate m. 166-8.degree.. K or Ag salts of 2,6-dimethyl-4-hydroxypyrimidine treated with (PhO)₂POCl in MePh at reflux 15 hr gave either I or II, which were partly cryst., and

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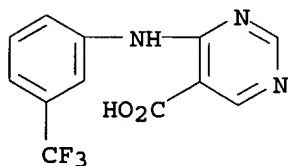
 treated with Me₂NH gave 2,6-dimethyl-4-hydroxypyrimidine.
IT **28458-89-1P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
RN 28458-89-1 CAPLUS
CN Pyrimidine, 2,4-dianilino- (8CI) (CA INDEX NAME)



L7 ANSWER 262 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:425496 CAPLUS
DOCUMENT NUMBER: 73:25496
TITLE: Analgesic 4-(substituted-anilino)-5-
 pyrimidinecarboxylic acids
INVENTOR(S): Aries, Robert
SOURCE: Fr., 9 pp.
 CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	FR 1581018		19690912	FR	19680703

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are prepd. by usual means from the appropriate
 4-halopyrimidine and aromatic amine in a strongly basic medium, or from a
 4-aminopyrimidine and a 2- or 4-halopyridine or **pyrimidine**.
 Prepd. were I for which R₁, R₂, R₃, R₄, Y, and Z were: Et, 3-CF₃, H, H,
 CH, CH; Et, 6-Me, H, H, N, CH; Et, 4,6-Me₂, H, H, N, CH; Et,
 2,5-dimethylhexahydro, H, H, CH, CH; H, 2,3-Me₂, H, H, CH, CH; H, 2,3-Me₂,
 H, 2-Me, CH, CH; H, 5-Cl, H, H, N, CH; H, 2-MeO, H, H, N, CH; H,
 2,6-Cl₂-3-Me, H, H, N, CH; H, 2-Me-3-NO₂, H, H, CH, CH; H, 3-CF₃, H, H,
 CH, CH. The Na and Et₂NH salts and the Ac and Bz derivs. of
 5-carboxy-4-(3-trifluoromethylanilino)**pyrimidine** were prepd. I
 are analgesic, antipyretic, antiinflammatory, or antirheumatic.
IT **6454-66-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
RN 6454-66-6 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[3-(trifluoromethyl)phenyl]amino] - (9CI)
 (CA INDEX NAME)



L7 ANSWER 263 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:403932 CAPLUS
DOCUMENT NUMBER: 73:3932

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TITLE: Mydriatic 4,4'-(ethylenediimino)bis[2-phenylpyrimidine-5-carboxylic acid] dialkyl esters
INVENTOR(S): Kim, Dong Hans; Santilli, Arthur A.
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3506665	A	19700414	US 1968-711523	19680308

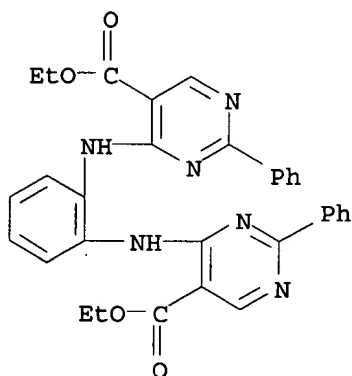
PRIORITY APPLN. INFO.: US 1968-711523 19680308

AB The title compds., useful as mydriatic agents, were prepd. by reacting 4-chloro-5-carbethoxy-2-phenylpyrimidine (I) and a diamine. Thus, 5.24 g I, 0.86 g piperazine, 2.65 g Na₂CO₃, and 30 ml DMF refluxed 1 hr gave 2.1 g 4,4'-(1,4-piperazinediyl)bis-[2-phenyl-5-pyrimidinecarboxylic acid] di-ester (II), m. 163-6.degree.. Similarly prepd. are 4,4'-(NN'-diethylethylenediimino)bis-[2-phenyl-pyrimidine-5-carboxylic acid] di-Et ester, m. 155.5-58.degree.; 4,4'-(N,N'-dimethylethylenediimino)bis[2-phenylpyrimidine-5-carboxylic acid] di-Et ester, m. 157.5-9.5.degree.; 4,4'-(ethylenediimino)bis[2-phenyl-pyrimidine-5-carboxylic acid] di-Et ester, m. 169.72.degree.; and 4,4'-(o-phenylenediimino)bis[2-phenylpyrimidine-5-carboxylic acid] di-Et ester, m. 178-9.5.degree..

IT 24755-90-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

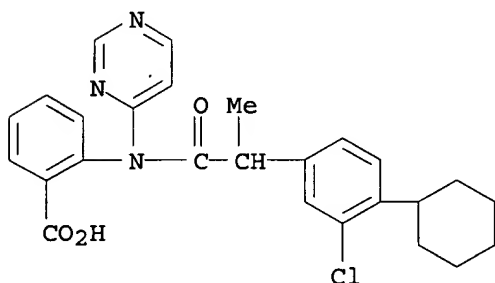
RN 24755-90-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4,4'-(o-phenylenediimino)bis[2-phenyl-, diethyl ester (8CI) (CA INDEX NAME)



L7 ANSWER 264 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:111504 CAPLUS
DOCUMENT NUMBER: 72:111504
TITLE: Analgesic N-[2-(3-chloro-4-cyclohexyl)propionyl]-N-(6-pyrimidinyl)anthranilic acids
INVENTOR(S): Aries, Robert
SOURCE: Fr., 3 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	FR 1573911		19690711	FR	19680123
GI	For diagram(s), see printed CA Issue.				
AB	Acylation of N-pyrimidinylanthranilic acids (I) by conventional methods with an acyl chloride gives the title compds. (II). The examples provided are those for which the acyl chloride, R1, R2, and R3 were: 2-(4-cyclohexyl-3-chlorophenyl)propionyl, H, H, H; 4-isobutylphenylacetyl, H, H, H; 2-(4-biphenyl)acryloyl, H, H, H; 2-(4-biphenyl)propionyl, H, H, H; 2-(4-cyclohexyl-3-chlorophenyl)propionyl, pr, Cl, H; 2-(4-cyclohexyl-3-chloro-phenyl)propionyl, Me, H, EtO; 2-(4-cyclohexyl-3-chlorophenyl)-propionyl, Me, NO2, H; and 4-(4-phenylthiophenyl)butyryl, H, H, H. The last example was isolated as its Na, Et2NCH2CH2OH and morpholine salts. II have analgesic, antipyretic, antiin-flammatory, and antirheumatism activity.				
IT	26852-74-4P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	26852-74-4 CAPLUS				
CN	Benzoic acid, 2-[[2-(3-chloro-4-cyclohexylphenyl)-1-oxopropyl]-4-pyrimidinylamino]- (9CI) (CA INDEX NAME)				



L7 ANSWER 265 OF 326 CAPLUS. COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1970:100748 CAPLUS
 DOCUMENT NUMBER: 72:100748
 TITLE: Antiviral 1,3- and 1,8-diazacarbazoles
 INVENTOR(S): Webb, Godfrey B.; Gregory, Gordon I.; Cocker, John D.
 PATENT ASSIGNEE(S): Glaxo Laboratories Ltd.
 SOURCE: Ger. Offen., 39 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 1916011	A	19700305	DE 1969-1916011	19690328
	GB 1262864	A	19720209	GB 1968-15328	19680329
	NL 6904815	A	19691001	NL 1969-4815	19690328
	FR 2005118	A5	19691205	FR 1969-9437	19690328
	CH 549039	A	19740515	CH 1969-4755	19690328
PRIORITY APPLN. INFO.:				GB 1968-15328	19680329

GI For diagram(s), see printed CA Issue.
 AB The title compds. were prepd. Thus, 2.3 g NaH was added to 13.7 g di-(2-pyridyl)amine in 150 ml toluene, refluxed 7 hr with 5.25 ml MeI and again 6 hr with 2.5 ml MeI to give 5.35 g dihydrobromide, m. 230-4.degree., of I (R = Me, R1 = H) (Ia). I (R = PhCH2, R1 = H), m.

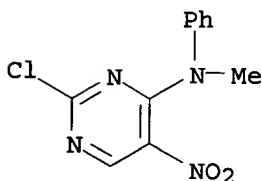
80-2.5.degree., was prepd. similarly. 2-Amino-4-picoline (10.8 g) in 18 ml 2.78 N ethanolic HCl was heated slowly to 240.degree. until all EtOH was distd. off and heated another 24 hr to give 2.97 g I (R = H, R1 = Me), m. 120-2.degree.. Cyclization of 0.58 g Ia in 500 ml cyclohexane by irradiation with a medium pressure Hanovia uv lamp gave 0.226 g II (R = Me, R1 = R2 = R3 = H), m. 128.5-31.5.degree.. Similarly prepd. were the following II (R, R1, R2, R3, and m.p. given): PhCH2, H, H, H, 146-8.degree.; H, H, Me, Me, 304-7.degree. [1-oxide (IIa) m. 294.degree. (decompn.)]; H, H, H, H, 228-31.degree. [1-oxide (IIb) m. 272-7.degree. (decompn.)]. Treatment of 0.9 g IIa in 10 ml HCONMe2 with 0.8 ml POCl3 gave 0.65 g II (R = H, R1 = Cl, R2 = R3 = Me), m. 273-6.degree.. II (R = R1 = R3 = H, R2 = Cl) (IIc), m. 234.5-37.degree., was prepd. similarly from IIb. Treatment of 0.4 g IIc with 4 ml BuNH2 in a closed tube 16 hr at 200.degree. gave 0.4 g II (R = R1 = R3 = H, R2 = BuNH) (IId), m. 199-201.5.degree.. Similarly prepd. were the following II (R, R1, R2, R3, and m.p. given): H, H, HO(CH2)6NH, H, (IIe), hydrobromide m. 218.degree. (decompn.); H, H, Et2NCH2CH2NH, H (IIf), 187.5-9.degree.; H, HO(CH2)6NH, Me, Me, 215-18.degree.. Reaction of 1 g III (R = R2 = H, R1 = R3 = Cl) (IIIa) with 1.5 ml BuNH2 gave 1.12 g III (R = R2 = H, R1 = BuNH, R3 = Cl), m. 74-6.degree.. Refluxing 2.95 g IIIa in MeNHPh 2.5, HCl 0.2 Me2CO 10, and H2O 15 ml 1.5 hr yielded 2.18 g III (R = R2 = H, R1 = Cl, R3 = PhMeN) (IIIb), m. 59-61.degree.. Similarly prepd. were the following III (R, R1, R2, R3, and m.p. given): H, BuNH, H, PhMeN, 71-3.degree.; Cl, PhMeN, NO2, H (IIIc), 130-2.degree.. Redn. of 23 g IIIc with 79 g powd. Fe in 230 ml 10% AcOH yielded 17.6 g III (R = Cl, R1 = PhMeN, R2 = NH2, R3 = H) (IIId), m. 85.7.degree.. Treatment of 4.68 g IIId in 8 ml H2SO4 and 100 ml H2O with 1.39 g NaNO2 in 35 ml H2O at 0.5.degree., followed by the addn. of 8 powd. Cu gave 2.23 g IV (R = Me, R1 = Cl, R2 = H) (IVa), m. 161-5.degree.. Cyclization of 200 mg IIIb in 400 ml cyclohexane by irradiation with a medium pressure Hanovia uv lamp gave 71 mg IV (R = Me, R1 = H, R2 = Cl) (IVb), m. 128-30.degree.. Similarly prepd. were the following IV (R, R1, R2, and m.p. given): H, H, Cl, 274-6.degree.; Me, H, BuNH (IVc), 107-8.degree.. IVc (210 mg) was also prepd. by refluxing 250 mg IVb in 3 ml BuNH2 for 0.5 hr. Similarly prepd. were the following IV (R, R1, R2, and m.p. given): H, H, BuNH (IVd), 197-8.degree.; H, H, BuO(CH2)3NH (IVe), 151-2.degree.; Me, BuNH, H, (IVf), 135-6.degree.. IVa (0.65 g), 5 ml MeOCH2CH2OMe, 0.15 g NaH, and 10 ml HO(CH2)3OH heated at 100.degree. for 2 hr yielded 0.33 g IV [R = Me, R1 = HO(CH2)3O, R2 = H], m. 127-30.degree.. IV [R = Me, R1 = H, R2 = HO(CH2)3O], m. 99-101.degree., was prepd. similarly. The antiviral effects of IIId-f and IVc-f were tested with Herpes simplex, Adenovirus SV 17, Influenza A 2, Parainfluenza, Rhinovirus 1 and 5, and Cocksackie A 21. Tablets, capsules, and mose sprays contg. IVc, IVd, or IVe were prepd.

IT 26773-53-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 26773-53-5 CAPLUS

CN Pyrimidine, 2-chloro-4-(N-methylanilino)-5-nitro- (8CI) (CA INDEX NAME)



TITLE: Analgesic 5-halo-substituted **pyrimidines**
 PATENT ASSIGNEE(S): Badische Anilin- und Soda-Fabrik A.-G.
 SOURCE: Brit., 13 pp.
 CODEN: BRXXAA
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1174165		19691217		
DE 1670069			DE	
DE 1670070			DE	
DE 1670072			DE	
US 3503976		19700000	US	

PRIORITY APPLN. INFO.: DE 19660420

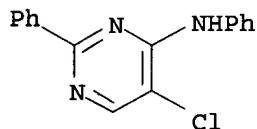
GI For diagram(s), see printed CA Issue.

AB The title compds. (I) are prepd. as analgesic and antiphlogistic agents and as intermediates for the prepn. of pharmaceuticals and plant-protecting agents. To 33.8 g Et 2,3-dichloroacrylate and 31.2 g benzamidine HCl in 200 ml MeOH at 65.degree. was added 32.4 g NaOMe in 100 ml MeOH to give 35 g I (R = Ph, R2 = HO, X = Cl) (II), m. 247-9.degree. (PhMe). Similarly prepd. were the following I (R2 = OH) (R1, X, and m.p. given): Ph, Br, 258-60.degree.; 4-MeC6H4, Cl, 266.degree.; 4-ClC6H4, Cl, 325.degree.; 4-O2NC6H4, Cl, 300.degree.; 3-O2NC6H4, Cl, 272.degree.; Me, Cl, 228.degree.; cyclohexyl, Cl, 198.degree.. Refluxing 20 g II, 250 g POC13, and 3 g PhNMe2 4 hr gave 17 g I (R1 = Ph, R2 = X = Cl) (III), m.p. 127.degree.. Through a suspension of 20 g II in 300 ml PhMe and 2 ml Me2NCHO at 60.degree. was passed COCl2 to give after 0.5 hr 20 g III. The following I were similarly prepd. (R1, R2, X, and m.p. given): Ph, Cl, Br, 134-6.degree.; Me, Cl, Cl, - (b0.3 185.degree.); 4-O2NC6H4, Cl, Cl, 158.degree.; 3-O2NC6H4, Cl, Cl, 172.degree.; 4-ClC6H4, Cl, Cl, 146.degree.; cyclohexyl, Cl, Cl, - (b0.3 110.degree.); 4-MeC6H4, Cl, Cl, 153.degree.; Ph, Br, Cl, 138.degree.. Refluxing 10 g III and 50 ml iso-BuNH2 4 hr gave 10 g I (R1 = Ph, R2 = iso-BuNH, X = Cl), b0.2 140.degree., m. 44.degree.. Similarly were prepd. the following I (R1, R2, X, and m.p. given): Ph, PhNH, Cl, 106.degree.; Ph, piperidino, Cl, 88.degree.; Ph, morpholino, Cl, 79.degree.; Ph, NH2, Cl, 139.degree.; Ph, NH2, Br, 139-41.degree.; Ph, NH2NH, Cl, 199.degree.; Ph, NH2NH, Br, 200.degree.; cyclohexyl, NH2, Cl, 124.degree.; 4-O2NC6H4, cyclohexylamino, Cl, 205.degree..

IT **26740-78-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 26740-78-3 CAPLUS

CN Pyrimidine, 4-anilino-5-chloro-2-phenyl- (8CI) (CA INDEX NAME)



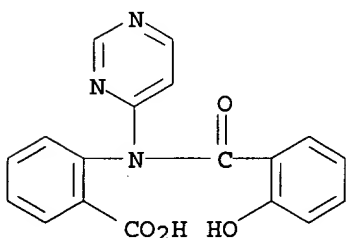
L7 ANSWER 267 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1970:43716 CAPLUS
 DOCUMENT NUMBER: 72:43716
 TITLE: Analgesic **pyrimidinylsalicylanilides**
 INVENTOR(S): Aries, Robert
 SOURCE: Fr., 3 pp.

09/ 922,874

CODEN: FRXXAK

DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	FR 1560633		19690321	FR	19680209
GI	For diagram(s), see printed CA Issue.				
AB	Pyrimidinylsalicylanilides (I) , useful as analgesic, antipyretic, antiinflammatory, and antirheumatic agents were prepd. by treating salicyloyl chloride or 3-methylsalicyloyl chloride with N-pyrimidinylanthranilic compd. Thus, a mixt. of 21.5 g N-(6-pyrimidinyl)anthranilic acid and 10.1 g Et ₃ N in 21. C ₆ H ₆ was stirred at ambient temp., 15.7 g salicyloyl chloride added dropwise, the mixt. stirred 30 min, refluxed 15 min, and filtered, and the solvent eliminated from the filtrate to give N-salicyloyl N-(6-pyrimidinyl)anthranilic acid (II). The following I compds. were prepd. (R ₁ , R ₂ , R ₃ , R ₄ were given): H, H, H, Me; Pr, Cl, H, H; Me, H, OEt, H; Me, NO ₂ , H, H. Na, diethylaminoethanol, and morpholine salts of II were also prepd.				
IT	25509-05-1P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	25509-05-1 CAPLUS				
CN	Benzoic acid, 2-[(2-hydroxybenzoyl)-4-pyrimidinylamino]- (9CI) (CA INDEX NAME)				



L7 ANSWER 268 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1970:31831 CAPLUS
 DOCUMENT NUMBER: 72:31831
 TITLE: Benzamide substituted anilino aminopyrimidines
 INVENTOR(S): Short, James H.
 PATENT ASSIGNEE(S): Abbott Laboratories
 SOURCE: U.S., 2 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3478030	A	19691111	US 1966-560830	19660627
PRIORITY APPLN. INFO.:				US 1966-560830	19660627
GI	For diagram(s), see printed CA Issue.				
AB	The title compds. (I), where R was p-C ₆ H ₄ CONH ₂ or m-C ₆ H ₄ SO ₂ NH ₂ , were prepd. by reacting equimolar amts. of the appropriately substituted chloropyrimidine and the sulfanilamide or benzamide. To 200 ml H ₂ O contg. 8.3 ml concd. HCl was added 13.6 g p-aminobenzamide and 12.9 g				

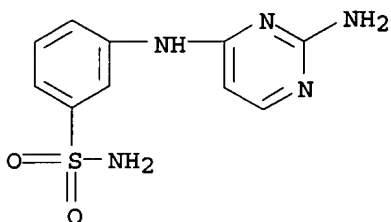
4-amino-6-chloropyrimidine. After refluxing 4 hr, the mixt. was cooled. Filtration of the white ppt., and recrystn. from H₂O yielded p-[(6-amino-4-pyrimidinylamino)]benzamide hydrochloride (I, R = p-C₆H₄CONH₂, R₁ = NH₂, R₂ = H), m. 178-9.degree.. Similarly prepd. were the following I (R, R₁, R₂, and m.p. given): m-C₆H₄SO₂NH₂, H, NH₂, 271-4.degree.; and p-C₆H₄CONH₂, H, NH₂, 280-2.degree.. I increased coronary blood flow in warm-blooded animals.

IT 24912-19-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 24912-19-4 CAPLUS

CN Metanilamide, N3-(2-amino-4-pyrimidinyl)-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L7 ANSWER 269 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:31744 CAPLUS

DOCUMENT NUMBER: 72:31744

TITLE: Pyrimido[4,5-e][1,4] diazepin-5-ones and
4,4'-ethylenediaminobis(2-phenyl-
pyrimidine-5-carboxylic acid) diethyl esters

AUTHOR(S): Kim, Dong Han; Santilli, Arthur A.

CORPORATE SOURCE: Res. Div., Wyeth Lab., Inc., Radnor, PA, USA

SOURCE: Journal of Medicinal Chemistry (1969), 12(5), 1121-2
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

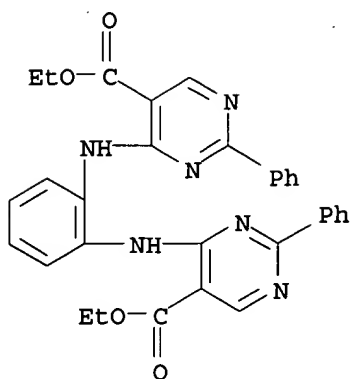
AB 5-Carbethoxy-4-hydroxy-2-phenylpyrimidine and SOCl₂ was refluxed to give 5-carbethoxy-4-chloro-2-phenylpyrimidine (I). I was added to MeNHCH₂CH₂NHMe and Na₂CO₃ in EtOH and the mixt. refluxed to give II (R = Me). II (R = Et) and III were similarly prepd. I, piperazine, and Na₂CO₃ in HCONMe₂ was refluxed to give IV. Similarly, V (R = H, Me, or Et) and VI were prepd.

IT 24755-90-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 24755-90-6 CAPLUS

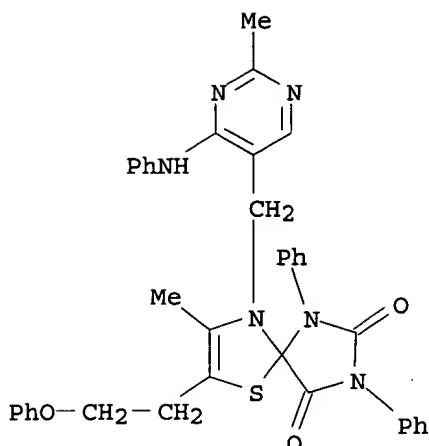
CN 5-Pyrimidinecarboxylic acid, 4,4'-(o-phenylenediimino)bis[2-phenyl-, diethyl ester (8CI) (CA INDEX NAME)



L7 ANSWER 270 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1969:491516 CAPLUS
 DOCUMENT NUMBER: 71:91516
 TITLE: Thiamine derivatives
 INVENTOR(S): Takamizawa, Akira; Ishiha, Teruyuki
 PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
 SOURCE: Jpn. Tokkyo Koho, 3 pp.
 CODEN: JAXXAD
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 44014349	B4	19690626	JP	19670926

GI For diagram(s), see printed CA Issue.
 AB The prepn. of I, a sedative and antiinflammatory drug, is described. Thus, 51 mg. 47% NaH is stirred 1 hr. at 65.degree. in 5 ml. Me2SO in a N stream and the mixt. cooled with ice, stirred 20 min. with 485 mg. 3-(2-methyl-4-phenylcarbamoylamino-5-pyrimidinylmethyl)-4-methyl-5-(2-phenylcarbamoyloxyethyl)thiazolium chloride and 7 hrs. with 480 mg. Ph isocyanate, kept overnight, and extd. with CHCl3 to give 370 mg. I; half ethylate m. 150-1.degree. (decompn.).
 IT **23942-03-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 23942-03-2 CAPLUS
 CN 6-Thia-1,3,9-triazaspiro[4.4]non-7-ene-2,4-dione, 9-[(4-anilino-2-methyl-5-pyrimidinyl)methyl]-8-methyl-7-(2-phenoxyethyl)-1,3-diphenyl- (8CI) (CA INDEX NAME)



L7 ANSWER 271 OF 326 CAPLUS. COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1969:489756 CAPLUS
 DOCUMENT NUMBER: 71:89756
 TITLE: 2,4-Bis(p-chloroanilino)pyrimidine, an uncoupler of oxidative phosphorylation

AUTHOR(S): Ghosh, Dolly; Ghosh, Amal K.
 CORPORATE SOURCE: Bose Inst., Calcutta, India
 SOURCE: FEBS Letters (1969), 4(3), 157-9
 CODEN: FEBLAL; ISSN: 0014-5793

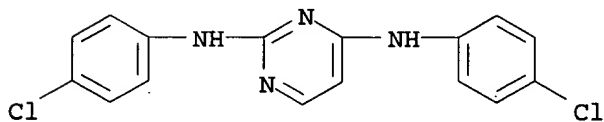
DOCUMENT TYPE: Journal
 LANGUAGE: English

AB 2,4-Bis(p-chloroanilino)-pyrimidine (BCAP) stimulated respiration by *Saccharomyces carlsbergensis* in EtOH and by rat liver mitochondria at resp. concns. of 40 and 20.μM. BCAP-stimulated respiration in yeast was inhibited by both antimycin A (5 .μg./ml.) and Na azide (20.μM). Mitochondrial respiration stimulated by BCAP was also inhibited by antimycin A (5 .μg./ml.) and Na azide (15.μM). Although the mechanism of BCAP-stimulated respiration in yeast cells could not be elucidated, that stimulated in mitochondria was probably due to uncoupling of a site of oxidative phosphorylation between cytochromes b and a by BCAP.

IT 5301-23-5
 RL: BIOL (Biological study)
 (phosphorylation uncoupling by)

RN 5301-23-5 CAPLUS

CN 2,4-Pyrimidinediamine, N,N'-bis(4-chlorophenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 272 OF 326 CAPLUS. COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1969:481300 CAPLUS
 DOCUMENT NUMBER: 71:81300
 TITLE: 5-Substituted pyrimidines. II. Synthesis of 5,6-dihydropyrrolo[2,3-d]pyrimidines (5,7-diazaindolines)

AUTHOR(S): Chkhikvadze, K. A.; Koretskaya, N. I.; Rodnyanskaya, N. S.; Magidson, O. Yu.

CORPORATE SOURCE: Vses. Nauch.-Issled. Khim.-Farm. Inst. im.
Ordzhonikidze, Moscow, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1969), (1),
138-44
CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

LANGUAGE: Russian

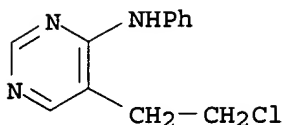
GI For diagram(s), see printed CA Issue.

AB A mixt. of 15 g. 2-thio-4-hydroxy-5-(.beta.-hydroxyethyl)
pyrimidine, 220 ml. H₂O, 25 ml. aq. NH₃, and 30 ml. water
suspension of Raney Ni, was refluxed 3 hrs. with stirring to yield 89.3% I
(R = R₁ = OH, R₂ = Cl) (II), m. 153-5.degree. (abs. EtOH). To 2.8 g. II
and 1.67 g. **pyridine** in 30 ml. CHCl₃ was added dropwise at
5.degree. 3.47 g. SOCl₂ in 7 ml. CHCl₃ and the mixt. stirred 3 hrs. at
20.degree. to yield 67.5% III.HCl, m. 111.5-12.5.degree. (2% HCl-EtOH).
III.HCl (1.15 g.) in 50 ml. H₂O was shaken 2 hrs. with an excess of wet
Ag₂O to yield 67.8% III, m. 78-80.degree. (C₆H₆-petroleum ether). A mixt.
of 5.6 g. II and 40 ml. POCl₃ was refluxed 1.5 hrs., excess POCl₃ evapd.
in vacuo, and the residue poured into 50 ml. ice-water, basified after 40
min. with satd. K₂CO₃ soln., and extd. with CHCl₃ to yield 86% I (R = H,
R₁ = R₂ = Cl) (IV), b₂ 92-4.degree., n_{20D} 1.549; HCl salt m.
138-40.degree. (abs. EtOH). I (R = R₁ = R₂ = OH) (6.24 g.), 60 ml. POCl₃
and 25 g. PCl₅ was refluxed 4 hrs. and worked up as previously to yield
66.3% I (R = R₁ = R₂ = Cl) (V), b₂ 112-15.degree., n_{20D} 1.564. A mixt. of
1.77 g. IV and 3 ml. BuNH₂ in 15 ml. EtOH was kept 3 hrs. at room temp.,
EtOH evapd. in vacuo, and the residue dissolved in 5 ml. H₂O, basified
with satd. K₂CO₃ soln., and extd. with ether to give with HCl-EtOH 75.9% I
(R = H, R₁ = NHBu, R₂ = Cl) hydrochloride m. 151.5-3.degree. (abs.
EtOH-ether).. Similarly prepd. were the following I (R, R₁, R₂, m.p., and
% yield given): H, NHMe, Cl (VI), 115-16.5.degree., 69.5; Cl, NHMe, Cl
(VII), 132-3.degree. (aq. EtOH), 61.2; Cl, NHBu, Cl, 164-5.degree. (abs.
EtOH-Me₂CO), 44.7. VI (1 g.) and 0.75 g. KOH in 15 ml. abs. EtOH refluxed
3 hrs. solvent evapd. in vacuo, and the residue extd. with C₆H₆ gave 81.3%
(VIII), m. 105-6.degree. (C₆H₆-petroleum ether). To 1.03 g. VII in 15 ml.
EtOH was added 0.5 g. PdCl₂ in 5 ml. hot 18% HCl. Hydrogenation was
carried out under 15-20 cm. water pressure until absorption of H ceased to
give 16.3% VI and 25.7% X (R = H, R₁ = Et, R₂ = NHMe), m. 229-30.degree.
(H₂O). Reduced similarly, VI gave X (R = H, R₁ = CH₂CH₂Cl, R₂ = NHMe)
hydrochloride, m. 150-2.degree.. IV (1.77 g.) and 1.52 g. PhNH₂.HCl in 20
ml. 50% aq. EtOH, refluxed 2 hrs., evapd. to half vol. in vacuo, and made
alk. with satd. K₂CO₃ soln. gave 77% X (R = H, R₁ = CH₂CH₂Cl, R₂ = NHPh)
(XI), m. 75-7.degree. (Me₂CO-C₆H₆); HCl salt m. 170-1.degree. (EtOH).
Similarly, V gave 79% X (R = R₂ = NHPh, R₁ = CH₂CH₂Cl), m. 135.5-6.degree.
(C₆H₆); HCl salt m. 188-9.degree. (0.1% HCl). IX (1 g.) in HOCH₂CH₂OH
heated 2 hrs. at 140-50.degree., cooled, treated with 15 ml. H₂O, and
basified with K₂CO₃ soln., gave 82.4% XII (R = Ph, R₁ = H) (XIII),
m. 105-6.degree. (aq. MeOH); HCl salt, m. 262-3.degree. (abs. EtOH). XI
(0.5 g.) and 0.25 g. KOH were refluxed 2 hrs. in abs. EtOH to give 87.7%
XIII. Similarly prepd. were the following XII (R, R₁, m.p. or b.p./mm.,
HCl salt m.p., and % yield given): Ph, NHPh, 213.5-15.degree. (C₆H₆),
257-8.5.degree. (abs. EtOH), 82.7; Me, H, -, 233-4.degree. (abs.
EtOH-Me₂CO), 13.3; Bu, H, 134-5.degree./5, (n_{20D} 1.542), - [picrate m.
105.5-7.degree. (EtOH)], 31.87. N.M.R. spectra are given and discussed.

IT 19144-72-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

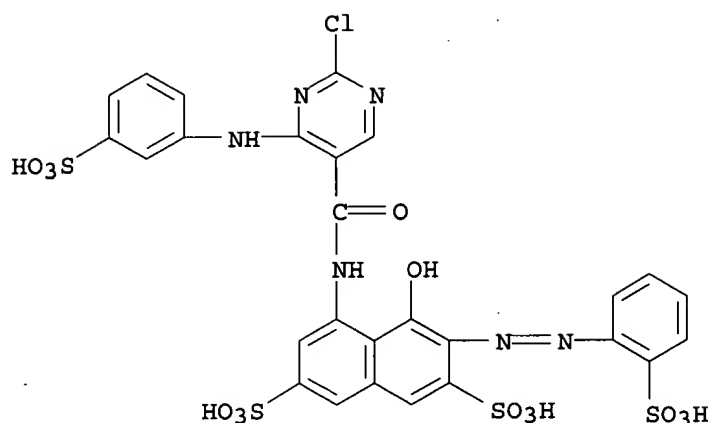
RN 19144-72-0 CAPLUS

CN Pyrimidine, 4-anilino-5-(2-chloroethyl)- (8CI) (CA INDEX NAME)



L7 ANSWER 273 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1969:440226 CAPLUS
 DOCUMENT NUMBER: 71:40226
 TITLE: Fiber-reactive dyes.
 INVENTOR(S): Ackermann, Hans; Frei, Hermann; Meindl, Hubert
 PATENT ASSIGNEE(S): Geigy, J. R., A.-G.
 SOURCE: Patentschrift (Switz.), 5 pp.
 CODEN: SWXXAS
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	CH 464397		19681213	CH	19640228
GI	For diagram(s), see printed CA Issue.				
AB	A suspension of 57.6 parts CuPc(SO ₂ Cl) ₄ (Pc = phthalocyanine) in 500 parts H ₂ O and 300 parts ice was treated with 15 parts 3-H ₂ NC ₆ H ₄ NHAc while maintaining pH 7 with NH ₄ OH, heated to 40-50.degree. while maintaining pH 7-7.5 with NH ₄ OH, treated with 270 parts 30% HCl, heated at 85-90.degree. for hrs., filtered, suspended in 1000 parts H ₂ O, and adjusted to pH 8 with dil. NaOH to give a soln. of CuPc(SO ₃ Na)(SO ₂ NH ₂) ₂ SO ₂ NHC ₆ H ₄ NH ₂ -3 which was treated with a soln. of 23.3 parts 2,4-dichloropyrimidine-5-carbonyl chloride in 100 parts Me ₂ CO, stirred at 0-5.degree. while neutralizing with dil. Na ₂ CO ₃ soln., and salted. The ppt. (77.3 parts) was suspended in 1000 parts H ₂ O, treated with a soln. of 42.1 parts 2,4,8-H ₂ NC ₁₀ H ₅ (SO ₃ H) ₂ .fwdarw. 3-MeC ₆ H ₄ NH ₂ in 400 parts H ₂ O, heated to 30-40.degree. while maintaining pH 6.5-7.5 with Na ₂ CO ₃ soln., and salted to give I, a green powder, green in H ₂ O, which dyed cellulose fibers light- and wetfast green shades. Similarly, II (X = Cl) treated with NH ₄ OH gave II (X = NH ₂), orange on cotton, and III (X = Cl) treated with 3-H ₂ NC ₆ H ₄ SO ₃ H gave III (X = 3-HO ₃ SC ₆ H ₄ NH), red on cotton.				
IT	23612-08-0P RL: IMF (Industrial manufacture); PREP (Preparation) (prepn. of)				
RN	23612-08-0 CAPLUS				
CN	2,7-Naphthalenedisulfonic acid, 5-[2-chloro-4-(m-sulfoanilino)-5-pyrimidinecarboxamido]-4-hydroxy-3-[(o-sulfophenyl)azo]- (8CI) (CA INDEX NAME)				



L7 ANSWER 274 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1969:413089 CAPLUS

DOCUMENT NUMBER: 71:13089

TITLE: Azaindole derivatives. XXVI. Formation of 5,7-diazaindoline derivatives during the reaction of 4-chloro-5-(.beta.-chloroethyl)pyrimidines with secondary amines

AUTHOR(S): Yakhontov, L. N.; Sokolova, M. S.; Koretskaya, N. I.;

Chkhikvadze, K. A.; Magidson, O. Yu.; Rubtsov, M. V.

CORPORATE SOURCE: Vses. Nauch.-Issled Khim.-Farm. Int. im.

Ordzhonikidze, Moscow, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1969), (1), 145-8

CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

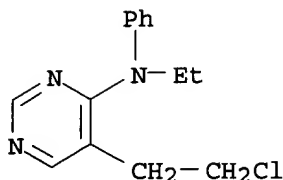
AB A mixt. of 4.2 g. 4-chloro-5(.beta.-chloroethyl)pyrimidine and 2.8 g. PhNHet (I) in 50 ml. EtOH refluxed 7 hrs., evapd. to dryness in vacuo, 50% K₂CO₃ soln. added, and the mixt. extd. with CHCl₃ yielded after chromatog. on Al₂O₃ with ether 67.7% II (R = H, R₁ = CH₂Cl, R₂ = Et) (III), liq., n_D²⁰ 1.5908; picrate m. 137-8.degree. (EtOH). Elution of the column with EtOH gave 26.1% IV (R = H), m. 104-5.degree.. III (0.5 g.) refluxed 3 hrs. in 10 ml. EtOH with 0.5 g. KOH evapd. in vacuo, 10 ml. H₂O added, and extd. with CHCl₃, gave after distn. 37.2% II [(R₁=) CH₂, R₂ = Et], b₁ 143-6.degree., m. 42-3.degree. (petroleum ether). III (0.4 g.) refluxed 24 hrs. in 30 ml. EtOH gave 83.4% IV (R = H). V (2 g.) and 2.3 g. I heated 7 hrs. at 140.degree., treated with 50% soln. of K₂CO₃, extd. with CHCl₃, and distd. in vacuo gave 69% IV (R = NEtPh), b_{0.4} 175-7.degree., m. 136-7.degree. (MeOH). V (1 g.) and 1.15 g. I, refluxed 7 hrs. in 25 ml. EtOH gave 20% IV (R = NEtPh). N.M.R. spectra are discussed in detail.

IT 22874-50-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 22874-50-6 CAPLUS

CN Pyrimidine, 5-(2-chloroethyl)-4-(N-ethylanilino)- (8CI) (CA INDEX NAME)



L7 ANSWER 275 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1969:115103 CAPLUS
 DOCUMENT NUMBER: 70:115103
 TITLE: 5-Substituted **pyrimidine** derivatives. III.
 Synthesis of **pyrrolo**[2,3-d]
pyrimidines (5,7-diazaindoles)
 AUTHOR(S): Koretskaya, N. I.; Chkhikvadze, K. A.; Rodnyanskaya,
 N. S.; Magidson, O. Yu.
 CORPORATE SOURCE: Vses. Nauch.-Issled. Khim.-Farm. Inst. im.
 Ordzhonikidze, Moscow, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1968), 2(6), 5-12
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB A mixt. of 4.62 g. 2-methyl-4-hydroxy-5-(.beta.-hydroxyethyl)
pyrimidine and 50 ml. POCl₃ (I) was boiled 3 hrs., excess I distd.
 under vacuum, and the residue poured into 50 ml. H₂O and ice. The mixt.
 was made alk. with satd. aq. K₂CO₃, and extd. with CHCl₃. The ext. after
 drying with MgSO₄ and removal of CHCl₃ was vacuum-distd. to yield 87-8%
 2-methyl-4-chloro-5-(.beta.-chloroethyl)**pyrimidine** (II), b_{2.5}
 93-4.degree., II.HCl m. 123.5-25.degree. (1:2 Me₂CO-Et₂O). Analogous
 procedures, starting with 2-amino-4-hydroxy-5-(.beta.-hydroxyethyl)
pyrimidine yielded 85.1% 2-amino-4-chloro-5-(.beta.-chloroethyl)
pyrimidine (III), m. 170-1.degree. (CHCl₃). III appears as a
 solid ppt. at the K₂CO₃ treatment step. A soln. of 6 g. II in 25 ml. EtOH
 was treated with 25 ml. 30% aq MeNH₂, kept 20 hrs. at room temp., and
 concd. in vacuo to 10 ml. After adding 5 ml. satd. aq. K₂CO₃, the product
 was extd. with Et₂O yielding 96% 2-methyl-4-methylamino-5-(.beta.-
 chloroethyl)**pyrimidine** (IV), m. 97-8.degree. (hexane). IV is
 sol. in common org. solvents. A mixt. of 9.55 g. II and 7.3 g. BuNH₂ in
 50 ml. EtOH was held for 72 hrs. at room temp. The EtOH was evapd. in
 vacuo, and the residue after treatment with 20 ml. satd. aq. K₂CO₃ was
 extd. with Et₂O, dried, concd. to 50 ml. and satd. with dry HCl, yielding
 65.5% 2-methyl-4-butylamino-5-(.beta.-chloroethyl)**pyrimidine**
 -HCl, m. 138-9.degree. (10% aq. HCl). By analogous procedures
 2-methyl-4-benzylamino-5-(.beta.-chloroethyl)**pyrimidine** (V), m.
 92-4.degree. (hexane), was obtained in 37.4% yield. 4-Benzylamino-5-
 (.beta.-chloroethyl)**pyrimidine**, VI, m. 96-7.5.degree. (CCl₄),
 was obtained in 56.6% yield. Boiling 7.86 g. II and 5.44 g. aniline-HCl
 in 40 ml. 50% aq. EtOH afforded 91.5% 2-methyl-4-phenylamino-5-(.beta.-
 chloroethyl)**pyrimidine**-HCl (VII), m. 198-200.degree. (water).
 Analogously, 2-amino-4-phenylamino-5-(.beta.-chloroethyl)
pyrimidine-HCl, m. 186-7.degree. (1:4 MeOH-Et₂O) was obtained in
 72.1% yield from III. After heating 1 g. IV in 10 ml. (CH₂OH)₂ for 2 hrs.
 at 160.degree., cooling, and adding 10 ml. satd. aq. K₂CO₃, the mixt. was
 extd. with CHCl₃. The residue of the CHCl₃ layer, after evapn. of
 solvent, formed 1.5 g. of a picrate, m. 200-1.degree. (EtOH), on treatment
 with alc. picric acid. Regeneration from the picrate yielded
 2,7-dimethyl-5,6-dihydropyrrolo[2,3-d]**pyrimidine** (VIII), m.
 38-40.degree. (petroleum ether); VIII.HCl m. 230-30.5.degree. (1:5
 CHCl₃-Me₂CO). Similarly prepd. were: 72.6% 2-methyl-7-butyl-5,6-
 dihydropyrrolo[2,3-d]**pyrimidine** picrate, m. 143-4.degree.

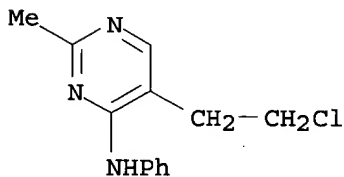
(EtOH); 59.9% 2-methyl-7-benzyl-5,6-dihydropyrrolo[2,3-d]pyrimidine picrate (IX), m. 147-8.degree. (EtOH); the free base of IX, m. 80-1.degree. (hexane); 61.9% 7-benzyl-5,6-dihydropyrrolo[2,3-d]pyrimidine picrate, m. 173-4.degree. (anhyd. EtOH). Boiling 8.5 g. VII and 5.6 g. KOH in 50 ml. EtOH for 2 hrs. afforded 92.0% 2-methyl-7-phenyl-5,6-dihydropyrrolo[2,3-d]pyrimidine (X), m. 92-3.degree. (hexane). It forms a monohydrate. X.HCl m. 320-2.degree. (MeOH). Analogous procedures yielded 89.6% 2-amino-7-phenyl-5,6-dichloropyrrolo[2,3-d]pyrimidine, m. 182-3.degree. (Me2CO). After heating 7.39 g. VIII with 3.7 g. 10% Pd-C under N, at 190-205.degree. for 85 min., the mixt. was cooled, extd. with boiling Et2O, and then with boiling CHCl3. The residue from the Et2O ext., treated with 15 ml. water yielded 78.8% 2,7-dimethylpyrrolo[2,3-d]pyrimidine (XI), m. 62-3.degree. (Et2O); it sublimes at 60.degree. (3 mm.). The aq. soln. contains VIII. The residue from the CHCl3 ext., treated with 3 ml. EtOH yielded 4.1% 2,2',7,7'-tetramethyl-4,4'-bispyrrolo[2,3-d]pyrimidine (XII), m. 271.5-2.5.degree.. Repeating the reaction under more severe conditions (max. temp. 260.degree.), yielded 14.2% XI and 21.8% XII. Similarly prepd. were (m.p. and % yield given): 2-methyl-7-butylpyrrolo-[2,3-d]pyrimidine-HCl, 180-1.degree. (1:3 EtOH-Me2CO), 63.0; 2,2'-dimethyl-7,7'-dibutyl-4,4'-bispyrrolo[2,3-d]pyrimidine-2HCl, 212-14.degree. (EtOH), 7.5; 7-benzylpyrrolo[2,3-d]pyrimidine picrate, 163.5-4.5.degree. (EtOH), 45.8; 2-methyl-7-phenylpyrrolo-[2,3-d]pyrimidine (XIII), 92-3.degree. (hexane), 31.9-68.9 [XIII.HCl, m. 248-50.degree. (EtOH)]; 2,2'-dimethyl-7,7'-diphenyl-4,4'-bis-pyrrolo[2,3-d]pyrimidine, 263-4.degree. (benzene), 3.8-11.3; 2-amino-7-phenylpyrrolo[2,3-d]pyrimidine, 147-8.degree. (CCl4), 57.6; 2,2'-diamino-7,7'-diphenyl-4,4'-bispyrrolo[2,3-d]pyrimidine (XIV), 54-5.degree. (hexane), 49.6 [XIV.HCl 212-13.degree. (1:3 anhyd. EtOH-Me2CO)]; 7,7'-diphenyl-4,4'-bispyrrolo[2,3-d]pyrimidine, 252-4.degree. (1:4 CHCl3-anhyd.EtOH), 9.2.

IT 22386-77-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 22386-77-2 CAPLUS

CN Pyrimidine, 4-anilino-5-(2-chloroethyl)-2-methyl-, monohydrochloride (8CI)
(CA INDEX NAME)



● HCl

L7 ANSWER 276 OF 326 . CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1969:58906 CAPLUS
 DOCUMENT NUMBER: 70:58906
 TITLE: Soluble reactive dyes
 INVENTOR(S): Schuendehuetten, Karl H.; Trautner, Kersten
 PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
 SOURCE: Brit., 47 pp.
 CODEN: BRXXAA
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1131784		19681030		
DE 1544561			DE	
FR 1512646			FR	

PRIORITY APPLN. INFO.: DE 19660216

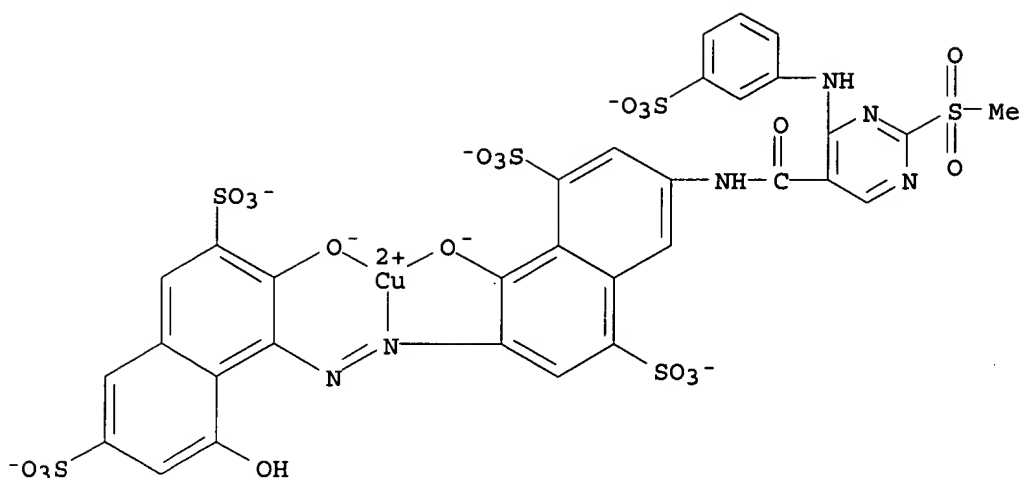
GI For diagram(s), see printed CA Issue.

AB The title dyes are prepd. by condensing suitable amine intermediates with 2-(methylsulfonyl)-6-chloro-5-pyrimidinecarbonyl chloride (QCl). Thus, 34.7 parts 2,4,8-H₂NC₁₀H₅-(SO₃Na)₂ (I) was diazotized and coupled with 10.7 parts 3-MeC₆H₄NH₂ (II) and the aminoazo compd. dissolved in 700 parts H₂O, adjusted to pH 7 with NaOH, treated with 26 parts QCl, stirred at 35.degree. (liberated HCl neutralized with Na₂CO₃), salted with 80 parts NaCl, and vacuum dried at 30.degree. to give a fast yellow dye for cellulose fibers. A similar dye was obtained by using 3-MeC₆H₄NHMe in place of II. Similarly, other dyes were prepd. (components and shade given): 1,8,3,6-H₂N(PhSO₃)-C₁₀H₄(SO₃H)₂ .fwdarw. 2,5,4,8-AcNH(HO)C₁₀H₄(SO₃H)₂ (oxidatively copperized), QCl, blue (treatment with 3-H₂NC₆H₄SO₃H replaced the active Cl and gave a dark powder, blue in H₂O); 2-H₂NC₆H₄SO₃H .fwdarw. 1,8,3,6-HO(QNH)C₁₀H₄(SO₃H)₂, bluish red; 2,4-H₂N(QNH)C₆H₃SO₃H .fwdarw. 1,8,3,6-HO(BzNH)C₁₀H₄(SO₃H)₂, bluish red; Co complex of 3,4-H₂N(HO)C₆H₃SO₃H .fwdarw. (alk.) 4,7,2-HO(H₂N)C₁₀H₅SO₃H, QCl, ruby; Cr complex of 3,4,5-Cl(HO)(H₂N)C₆H₂SO₃H .fwdarw. III (X = H, Y = NHSO₂C₆H₄-NH₂-3), QCl, yellowish brown; 3,7,1,5-AcNH(H₂N)C₁₀H₄-(SO₃H)₂ (IV) .fwdarw. 3,5-(HO)2C₁₀H₄(SO₃H)₂ (oxidatively copperized and deacetylated) .fwdarw. II, QCl, green; IV .fwdarw. 3,2,7-HOC₁₀H₅-(SO₃H)₂ (deacetylated and oxidatively copperized), QCl, bluish violet; 2,4-H₂N(AcNH)C₆H₃SO₃H .fwdarw. 6,1,3-H₂NC₁₀H₅(SO₃H)₂ (deacetylated), QCl, yellowish orange; 2,3,6,8-N₂NC₁₀H₄-(SO₃H)₃ .fwdarw. 3-AcNHC₆H₄NH₂, QCl, reddish yellow; Cu complex of 2,3,5-HO(HO₃S)2C₆H₂NH₂ .fwdarw. 4,6,2-HO(H₂N)C₁₀H₅SO₃H, QCl, ruby; 4-H₂NC₆H₄SO₃H .fwdarw. 1,8-H₂NC₁₀H₆SO₃H, QCl, yellow; 50-50 mixt. of 1:2 Cr and 1:2 Co complexes of 3,4,5-H₂N(HO)-(O₂N)C₆H₂SO₃H (V) .fwdarw. 1,8,3,6-HO(H₂N)C₁₀H₄(SO₃H)₂ (VI), QCl, black; 2,5-H₂N(O₂N)C₆H₃SO₃H .fwdarw. III (X = Cl, Y = OH) (NO₂ group reduced), 2-EtSO₂ homolog (VII) of QCl, yellow; 4-H₂NC₆H₄CH₂SO₃H .fwdarw. 4,6,2,7-HO(QNH)C₁₀H₄(SO₃H)₂, scarlet; 4,7,2-HO(MeNH)C₁₀H₅SO₃H (VIII) (alk.) .fwdarw. 2,1,7-H₂NC₁₀H₅-(SO₃H)₂, VII, reddish orange; Cu complex of 2,4,6-Me(HO₃S)2-C₆H₂NH₂ .fwdarw. 5,2-Me(MeO)C₆H₃NH₂ .fwdarw. VIII, QCl, navy blue; mixed Cr complex of V .fwdarw. 2-C₁₀H₇OH and 5,2,3-Cl(HO)(H₂N)-C₆H₂SO₃H (IX) .fwdarw. VI, QCl, gray to black; mixed Cr complex of 4,3,5-HO(H₂N)(O₂N)C₆H₂SO₃H .fwdarw. 2-C₁₀H₇OH and IX .fwdarw. VI, QCl, blue-black; Cu complex of 3,4,1,5-H₂N(HO)C₁₀H₄(SO₃H)₂ .fwdarw. VI, QCl, reddish blue; CuPc(4-SO₂Cl)₄ (Pc = phthalocyanine), 2-3 moles 2,4-(H₂N)2C₆H₃SO₃H, QCl, blue; 1-amino-4-bromoanthraquinone-2-sulfonic acid, 4,4'-diamino-2,2'-biphenyldisulfonic acid, QCl, blue.

IT 21747-10-4P
RL: IMF (Industrial manufacture); PREP (Preparation) (prepn. of)

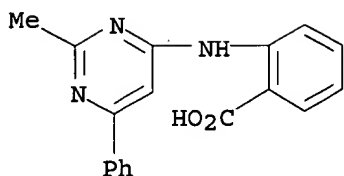
RN 21747-10-4 CAPLUS

CN Copper, [pentahydrogen 3-[(2,8-dihydroxy-3,6-disulfo-1-naphthyl)azo]-4-hydroxy-7-[2-(methylsulfonyl)-4-(m-sulfoanilino)-5-pyrimidinecarboxamido]-1,5-naphthalenedisulfonato(2-)]-, pentasodium salt (8CI) (CA INDEX NAME)



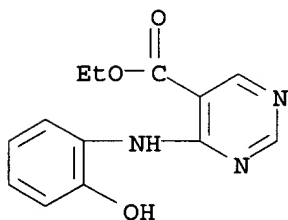
● 5 Na⁺

L7 ANSWER 277 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1968:459179 CAPLUS
 DOCUMENT NUMBER: 69:59179
 TITLE: Substituted heteroaromatic anthranilic acids with
 antiinflammatory activity
 AUTHOR(S): Falch, E.; Weis, J.; Natvig, T.
 CORPORATE SOURCE: Res. Div., Pharmacia AS, Copenhagen-Vanloese, Den.
 SOURCE: Journal of Medicinal Chemistry (1968), 11(3), 608-11
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB Anthranilic acids (I and II) contg. heteroaromatic N-substituents were
 prepd. by the reaction of appropriately substituted chloro heterocycles
 with anthranilic acid in HCl or substituted methylthio heterocycles with
 anthranilic acid in alk. soln. The reaction of o-BrC₆H₄CO₂H with
 5-amino-4-carboxy-2,6-dihydroxypyrimidine gave N-[5-(4-carboxy-2,6-
 dihydroxypyrimidinyl)]anthranilic acid. The exchange of the o-xylyl
 moiety in mefenamic acid with heteroaromatic rings significantly lowers
 the antinflammatory activity.
 IT 17174-00-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 17174-00-4 CAPLUS
 CN Benzoic acid, 2-[(2-methyl-6-phenyl-4-pyrimidinyl)amino]-,
 monohydrochloride (9CI) (CA INDEX NAME)



● HCl

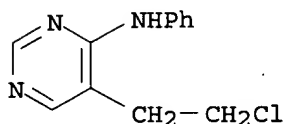
L7 ANSWER 278 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1968:459177 CAPLUS
 DOCUMENT NUMBER: 69:59177
 TITLE: Acid-catalyzed ring-cleavage of some
pyrimidine derivatives
 AUTHOR(S): Andrews, K. J. M.; Tong, B. P.
 CORPORATE SOURCE: Roche Prod. Ltd., Welwyn Garden City, UK
 SOURCE: Journal of the Chemical Society [Section] C: Organic
 (1968), (14), 1753-61
 CODEN: JSOOAX; ISSN: 0022-4952
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB Redn. of Et 4-(o-nitrophenylthio)**pyrimidine**-5-carboxylate (I)
 with powd. Fe in aq. HOAc results in cleavage of the **pyrimidine**
 ring to give Et .alpha.-(aminomethylene)-benzothiazole-2-acetate (II).
 Acid treatment of Et 4-(o-aminophenylthio)**pyrimidine**
 -5-carboxylate, synthesized by an alternative route, gives the same
 benzothiazole deriv. through an isolable formylaminomethylene compd. A
 similar redn. of Et 4-(o-nitrophenoxy)**pyrimidine**-5-carboxylate
 does not cause **pyrimidine** ring-cleavage, but rearrangement of
 the expected primary amino compd. occurs to give Et 4-(o-hydroxyanilino)
pyrimidine-5-carboxylate. Et 4-(o-aminoanilino)**pyrimidine**
 -5-carboxylate forms benzimidazole derivs., e.g. III, on treatment with
 acid. A possible mechanism for these changes is described. 17
 references.
 IT 19573-53-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 19573-53-6 CAPLUS
 CN 5-Pyrimidinecarboxylic acid, 4-(o-hydroxyanilino)-, ethyl ester (8CI) (CA
 INDEX NAME)



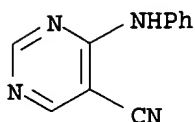
L7 ANSWER 279 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1968:427444 CAPLUS
 DOCUMENT NUMBER: 69:27444
 TITLE: Preparation of 7-substituted 5,6-dihydropyrrolo[2,3-d]

pyrimidines or its derivatives
 INVENTOR(S): Chkhikvadze, K. A.; Koretskaya, N. I.; Magidson, O. Yu.; Rodnyanskaya, N. S.
 PATENT ASSIGNEE(S): Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute
 SOURCE: U.S.S.R. From: Izobret., Prom. Obraztsy, Tovarnye Znaki 1967, 44(9), 45.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

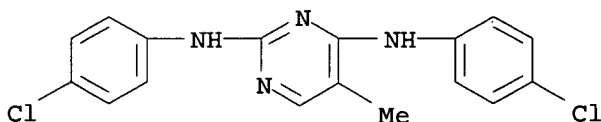
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	SU 194829		19670412	SU	19660708
AB	To obtain 7-alkyl(aryl) derivs. of the title compds., 6-(alkyl)arylamino-5-(.beta.-haloethyl)pyrimidines or derivs. there of are treated at 140-50.degree. in a high boiling solvent, e.g. ethylene glycol.				
IT	19144-72-0P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)				
RN	19144-72-0 CAPLUS				
CN	Pyrimidine, 4-anilino-5-(2-chloroethyl)- (8CI) (CA INDEX NAME)				



L7 ANSWER 280 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1968:12937 CAPLUS
 DOCUMENT NUMBER: 68:12937
 TITLE: Syntheses in the heterocyclic series. X. Syntheses and reactions of 4-chloro-5-cyanopyrimidine. Synthesis of 4-amino- and 4-hydroxypyrimidine-5-carboxaldehyde
 AUTHOR(S): Bredereck, Hellmut; Simchen, Gerhard; Traut, Helga
 CORPORATE SOURCE: Tech. Hochsch., Stuttgart, Fed. Rep. Ger.
 SOURCE: Chemische Berichte (1967), 100(11), 3664-70
 CODEN: CHBEAM; ISSN: 0009-2940
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI For diagram(s), see printed CA Issue.
 AB 4-Chloro-5-cyanopyrimidine was treated with HNRR' (R = H or Me, R' = H, Me, Ph, CH2CH2OH, CH2Ph, NH2, or NHPh) to give 4-amino-5-cyanopyrimidines (I). 4-Chloro-5-cyanopyrimidine treated with NaOMe, EtSNa, or NaSH to give 4-methoxy-5-cyanopyrimidine, 4-ethylthio-5-cyanopyrimidine, or 4-mercapto-5-cyanopyrimidine, resp. The redn. of 4-hydroxy-5-cyanopyrimidine yielded 4-hydroxypyrimidine-5-carboxaldehyde, while that of 4-amino-5-cyanopyrimidine yielded 4-aminopyrimidine-5-carboxaldehyde.
 IT 14246-94-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 14246-94-7 CAPLUS
 CN 5-Pyrimidinecarbonitrile, 4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 281 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1968:2874 CAPLUS
 DOCUMENT NUMBER: 68:2874
 TITLE: 2,4-Bis(arylamino)-5-methylpyrimidines as antimicrobial agents
 AUTHOR(S): Ghosh, Dolly; Mukherjee, Mina
 CORPORATE SOURCE: Bose Inst., Calcutta, India
 SOURCE: Journal of Medicinal Chemistry (1967), 10(5), 974-5
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB The title compds. (I) were prepd. by the acid-catalyzed condensation of 2,4-dichloro-5-methylpyrimidine with the appropriate aromatic amines. The concns. in .gamma./ml. of I necessary for 50% inhibition of growth of microorganisms were detd.
 IT **14992-67-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 14992-67-7 CAPLUS
 CN 2,4-Pyrimidinediamine, N,N'-bis(4-chlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

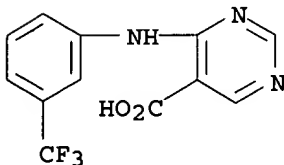


L7 ANSWER 282 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1967:508630 CAPLUS
 DOCUMENT NUMBER: 67:108630
 TITLE: 4-Anilinopyrimidine-5-carboxylic acids and esters with antiinflammatory and analgetic properties
 AUTHOR(S): Juby, Peter F.; Hudyma, T. W.
 CORPORATE SOURCE: Bristol Labs., Div. of Bristol-Myers Co., Syracuse, NY, USA
 SOURCE: Journal of Medicinal Chemistry (1967), 10(5), 954-7
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB cf. Evans, et al., CA 67:43655t. Thirty-five 4-amilinopyrimidine-5-carboxylic acids and esters (33 are new) were prepd. by the method of Brederick, et al. (CA 57: 819b). 4-(.alpha.,.alpha.,.alpha.-Trifluoro-m-tolylamino)pyrimidine-5-carboxylic acid (I) was the most effective in the antiinflammatory screen with Et 4-(2,3-dimethyl-anilino)pyrimidine-5-carboxylate (II) was the most effective in the analgetic screen.
 IT **6454-66-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

09/ 922,874

RN 6454-66-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[3-(trifluoromethyl)phenyl]amino]- (9CI)
(CA INDEX NAME)



L7 ANSWER 283 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1967:499762 CAPLUS

DOCUMENT NUMBER: 67:99762

TITLE: Nonsteroidal hypocholesteremic agents. I. Synthesis and serum sterol lowering properties of substituted 4-(2-dialkylaminoethoxy)diphenylamines and related compounds

AUTHOR(S): Bach, Frederick L., Jr.; Barclay, John C.; Cohen, Elliott

CORPORATE SOURCE: American Cyanamid Co., Pearl River, NY, USA

SOURCE: Journal of Medicinal Chemistry (1967), 10(5), 802-6
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

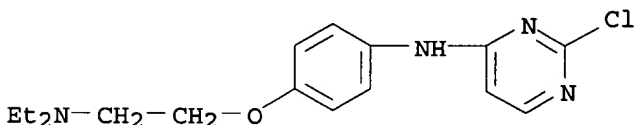
AB The prepn. and serum sterol lowering properties of a series of 4,4'-disubstituted diphenylamines and related compds., e.g. I, are discussed. Initial screening data indicate that several of these compds., synthesized by conventional means, possess oral activity greater than most nonsteroidal hypocholesteremic agents reported to date.

IT 1444-24-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of).

RN 1444-24-2 CAPLUS

CN 4-Pyrimidinamine, 2-chloro-N-[4-[2-(diethylamino)ethoxy]phenyl]- (9CI)
(CA INDEX NAME)



L7 ANSWER 284 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1967:473583 CAPLUS

DOCUMENT NUMBER: 67:73583

TITLE: Syntheses in the purine series. XVIII. Purine syntheses with 4-amino-5-alkyl(aryl) aminopyrimidines. 4,5-Dihydroxypyrimidine

AUTHOR(S): Bredereck, Hellmut; Effenberger, Franz; Oesterlin, Hans G.

CORPORATE SOURCE: Tech. Hochsch., Stuttgart, Fed. Rep. Ger.

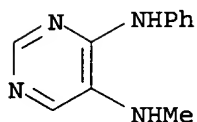
SOURCE: Chemische Berichte (1967), 100(7), 2280-91
CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

09/ 922,874

GI For diagram(s), see printed CA Issue.
AB cf. CA 64: 17597b. Purines, such as 8-thioxo-7,9-disubstituted-dihydropurines (I) were prep'd. by treating 4-amino-5-(R-substituted-amino) **pyrimidines** with amidine hydrochlorides, diphenylcarbodiimide, PhNCO, isothiocyanates, or thiourea. Alk. hydrolysis of I yielded 4,5-bis(substituted amino)**pyrimidines**, which reacted with urea to form 8-oxo-7,9-disubstituted-dihydropurines, and which could be further hydrolyzed to 4,5-dihydroxypyrimidines.
IT **15837-38-4P**
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
RN 15837-38-4 CAPLUS
CN Pyrimidine, 4-anilino-5-(methylamino)- (8CI) (CA INDEX NAME)



L7 ANSWER 285 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1967:454160 CAPLUS
DOCUMENT NUMBER: 67:54160
TITLE: Anthranilic acid derivatives
PATENT ASSIGNEE(S): Haco A.-G.
SOURCE: Neth: Appl., 13 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6516326		19660616		
CH 451945			CH	
DE 1545978			DE	
FR 1469035			FR	
FR 5213			FR	
GB 1132244			GB	
US 3361749		19680000	US	

PRIORITY APPLN. INFO.: CH 19641215

GI For diagram(s), see printed CA Issue.
AB The compds. I were prep'd. by treating halopyrimidines with anthranilic acid (II) or their salts. Thus, to a soln. of 13.7 g. II in 100 cc. NaOH, 14.9 g. 4,6-dichloropyrimidine was added and the mixt. heated to 85-90.degree. to give N-(6-chloro-4-**pyrimidinyl**)anthranilic acid (III), m. 220.degree.. The (2-methyl-6-chloro-4-**pyrimidinyl**) analog m. 229.degree.; 2-propyl analog m. 195.degree.; 2-benzyl analog m. 212.degree.. From 2,4,6-trichloropyrimidine (IV) and II N-(2,6-dichloro-4-**pyrimidinyl**)-anthranilic acid (V) was prep'd., m. 173.degree. (decompd.). V in MeOH and MeONa, by refluxing 5 hrs., gave N-(2-methoxy-6-chloro-4-**pyrimidinyl**)anthranilic acid m. 175.degree.; the 2-butoxy analog m. 178.degree.. From V and MeNH₂ in MeOH by heating 8 hrs. to 100.degree. the 2-methylamino deriv. was obtained; m. 225.degree.; the 2-ethylamino analog m. 217.degree.; the 2-propylamino deriv. m. 228.degree.; the 2-butylamino analog (VI) m. 214.degree.. 4,6-Dichloro-5-nitropyrimidine and II gave N-(5-nitro-6-chloro-4-**pyrimidinyl**)-anthranilic acid, m. 180.degree. (decompd.). From IV and II N-(5,6-dichloro-4-**pyrimidinyl**)anthranilic acid (VII) was prep'd., m. 240.degree.. V and Me₂NH gave N-(2-dimethylamino-6-chloro-4-

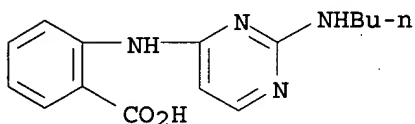
pyrimidinyl)anthranilic acid, m. 212.degree.; the 2-ethylamino analog m. 192.degree.. From III and BuONa the 6-butyloxy deriv. was obtained, m. 169.degree.. VI by hydrogenation with Pd-charcoal gave N-(2-butylamino-4-pyrimidinyl)anthranilic acid, m. >240.degree., at 287.degree. decompd. By heating V with MeONa and MeOH 6 hrs. at 100.degree., both Cl atoms are replaced by MeOH groups, the product m. 165.degree.. To a soln. of 9 g. 2-phenyl-4,6-dichloropyrimidine in 200 cc. Me Cellosolve a soln. of II in 2N NaOH dropwise was added, to obtain N-(2-phenyl-6-chloro-4-pyrimidinyl)anthranilic acid, m. 225.degree.. The 2-anilino analog, m. 236.degree., was prepd. from V and aniline in EtOH by heating 8 hrs. at 100.degree.. The 2-ethylamino analog, m. 217.degree., the 2-propylamino analog, m. 228.degree.. The compds. have analgesic and antiphlogistic properties.

IT 17161-79-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17161-79-4 CAPLUS

CN Anthranilic acid, N-[2-(butylamino)-4-pyrimidinyl]- (8CI) (CA INDEX NAME)



L7 ANSWER 286 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1967:443822 CAPLUS

DOCUMENT NUMBER: 67:43822

TITLE: Aminoethoxyphenyl amine, ether, and sulfide
derivatives of pyrimidine

INVENTOR(S): English, Jackson P.; Bach, Frederick L., Jr.; Gordon,
Samuel

PATENT ASSIGNEE(S): American Cyanamid Co.

SOURCE: U.S., 4 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3321478		19670523	US	19630920

GI For diagram(s), see printed CA Issue.

AB **Pyrimidine** derivs. (I) where NR5R6 is pyrrolidino, piperidino, morpholino, or 4-lower alkyl-1-piperazino, are prepd. The disubstituted aminoethoxyphenyl amines, ethers, and sulfides are hypocholesteremic agents. Thus, 6.2 g. p-(2-diethylaminoethoxy)aniline and 4.4 g. 2,4-dichloropyrimidine in 75 ml. EtOH was warmed to 70.degree., then kept overnight. The volatile material was distd. to leave a dark brown oil which was warmed with 250 ml. water, the aq. phase was sepd. and extd. twice with 50 ml. portions of ether, then neutralized with dil. NH4OH to give N-(2-chloro-4-pyrimidyl)-p-(2-diethylaminoethoxy)aniline (II), m. 75-7.degree.. Similarly prepd. were the following N-substituted II (N-substituent and m.p. given): 2,6-dichloro-4-pyrimidyl, 104-6.degree. (C6H6); 5-chloro-2-pyrimidyl, 92-4.degree. (ether-petroleum ether); 5-nitro-2-pyrimidyl, 143-5.degree.. Alternatively, 6.3 g. p-[(2-(dimethylamino)-2,2-dimethylethoxy)aniline and 3.9 g. 2,5-dichloropyrimidine was sealed in a tube flushed with argon, heated 15

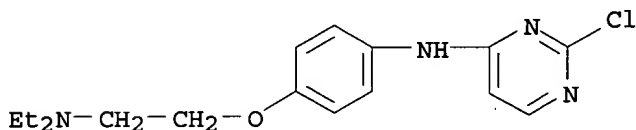
hrs., dissolved in water, decolorized with C, and made basic with dil. NaOH. The insol. material in benzene was chromatographed on Florisil and eluted with C₆H₆-petroleum ether. The eluant was concd. to give N-(5-chloro-2-pyrimidyl)-p-[2-(dimethylamino)-2,2-dimethylethoxy]aniline, m. 120-1.degree. (C₆H₆-petroleum ether). Similarly prepd. was N-(5-chloro-2-pyrimidyl)-p-(2-pyrrolidinoethoxy)aniline. Also prepd. were 4-(2-diethylaminoethoxy)-4-nitrodiphenylamine, m. 86-8.degree. (EtOH), 4-(2-diethylaminoethoxy)-2,4-dinitrodiphenylamine, m. 70-1.degree. (EtOH), 2-(2-diethylaminoethoxy)-2-amino-4-nitrodiphenylamine hydrochloride, m. 179-81.degree., N-(4-pyridyl)-p-[2-(diethylamino)ethoxy]aniline, m. 125-7.degree. (Et₂O-petroleum ether), N-(3-nitro-2-pyridyl)-p-[2-(diethylamino)ethoxy]aniline, m. 47-8.degree. (Et₂O-petroleum ether), 4'-[2-(diethylamino)ethoxy]-4-nitrodiphenyl ether, b_{0.2} 170-5.degree., 4'-(2-diethylaminoethoxy)-4-nitrodiphenyl sulfide, 2 - [p-(2-diethylaminoethoxy)anilino]benzothiazole, m. 92-4.degree. (ether-petroleum ether), and N-(5-nitro-2-pyridyl)-p-[2-(diethylamino)-1-methylethoxy]aniline, m. 59-61.degree. (Et₂O-petroleum ether).

IT 1444-24-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 1444-24-2 CAPLUS

CN 4-Pyrimidinamine, 2-chloro-N-[4-[2-(diethylamino)ethoxy]phenyl]- (9CI)
(CA INDEX NAME)



L7 ANSWER 287 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1967:115725 CAPLUS

DOCUMENT NUMBER: 66:115725

TITLE: 5-Carboxy-4-(2,6-dichloro-3-methylanilino)
pyrimidine

INVENTOR(S): Juby, Peter F.

PATENT ASSIGNEE(S): Bristol-Myers Co.

SOURCE: U.S., 2 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3300496		19670124	US	19650701

GI For diagram(s), see printed CA Issue.

AB The title compd. (I), which is useful as an antiinflammatory agent, was prepd. by treating 4-chloro-5-ethoxy-carbonylpyrimidine (II) with 2,6-dichloro-3-methylaniline (III). Thus, a soln. of 10.3 g. III in 40 ml. dry HCONMe₂ (DMF) was added rapidly to a stirred suspension of NaH (2.4 g. of a 58.6% NaH dispersion in mineral oil) in 30 ml. dry DMF, heated to 60.degree. until H evolution ceased, heated to 120.degree. and treated dropwise with a soln. of 10.9 g. II in 40 ml. DMF, kept 3 hrs. at 120.degree., cooled, the DMF removed in a rotatory evaporator, the residue suspended in a soln. of 70 ml. H₂O, 30 ml. EtOH, and 15 g. KOH, refluxed 2.5 hrs., the EtOH removed, 150 ml. H₂O added to the residue, the mixt.

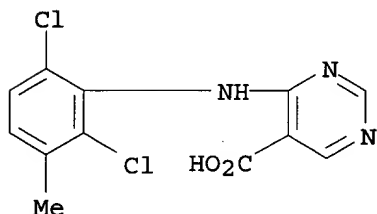
washed with CHCl_3 , the aq. soln. heated to reflux, treated with C, filtered, and the filtrate adjusted to pH 2 with concd. HCl to give I, m. 280-80.5.degree. (decompn.) (MeOH). A soln. of 63 g. II and 100 ml. EtOH in a Parr hydrogenation bottle, spontaneously boiled and gave off HCl fumes, was treated with 34.6 g. Et₃N followed by 1 g. Pd-on-C and hydrogenated 7 hrs. No H was absorbed. The mixt. was filtered, the solvent removed, the residue dissolved in Et₂O, filtered, and the filtrate distd. to give 28.7 g. 5-carbethoxy-4-ethoxypyrimidine (IV), b_{0.2-0.18} 75-80.degree., m. 28-30.degree.. A mixt. of 5 g. IV and 1 g. NaOH in 60 ml. H₂O was stirred vigorously 30 min. at room temp. and acidified with HCl to give 5-carboxy-4-ethoxypyrimidine (V), m. 162-4.degree. (EtOH). A soln. of 16.8 g. V was warmed in 110 ml. dry hexamethylphosphortriamide (VI) with NaH (4.1 g. of a 58.6% NaH dispersion in mineral oil) at 60-80.degree. under N until evolution of H ceased to give the Na salt (Va) of V. Similarly, the Na salt (IIIa) of III was prepd. by this procedure. The soln. of IIIa was added to the suspension of Va, the mixt. heated at 120.degree. with stirring under N for 18 hrs., the VI removed in vacuo, the residue treated with cold H₂O, washed with petroleum ether, and acidified with 10% aq. HCl to give I.

IT 14005-37-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 14005-37-9 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(2,6-dichloro-m-toluidino)- (8CI) (CA
INDEX NAME)



L7 ANSWER 288 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1967:55456 CAPLUS

DOCUMENT NUMBER: 66:55456

TITLE: Substituted **pyrimidine**-5-carbonitriles by
Vilsmeier reaction of malonodinitrile

AUTHOR(S): Jutz, Christian; Mueller, Werner

CORPORATE SOURCE: Tech. Hochsch., Munich, Germany

SOURCE: Angewandte Chemie, International Edition in English
(1966), 5(12), 1042-3

CODEN: ACIEAY; ISSN: 0570-0833

DOCUMENT TYPE: Journal

LANGUAGE: English

AB [Me₂N⁺:CHCl]⁻Cl⁻ (0.3 mole), or a mixt. of HCONMe₂ and POCl₃ (Vilsmeier reagent) was treated with 0.1 mole H₂C(CN)₂ in 60 ml. CHCl₃ at 100.degree.. The CHCl₃ was evapd. in vacuo, the residue dissolved in ice water, and NaClO₄ added to yield 25.5 g. [Me₂NCH:NCNCl:C(C.tplbond.N)CH:N+Me₂] [ClO₄]⁻ (I), m. 171.degree.. I (0.02 mole) in 50 ml. satd. NH₄Cl was heated with 1 ml. concd. NH₄OH for 10 min. on a steam bath to yield on cooling 1.7 g. 4-dimethylaminopyrimidine-5-carbonitrile, m. 114.degree. (iso-PrOH). A mixt. of 0.01 mole I and 3.2 g. PhNH-Me in CHCl₃ was heated for 15 min. The mixt. was triturated with Et₂O to give [Me₂NCH:NC(NMePh):C(C.tplbond.N)CHN+Me₂] ClO₄⁻, m. 192.degree. (MeCN-AcOEt), which heated in 20 ml. dil. NH₄OH yielded 1.7 g. 4-(N-methylanilino)**pyrimidine**-5-carbonitrile, m. 92.degree.. Similarly was obtained 4-anilinopyrimidine-5-carbonitrile m. 168.degree..

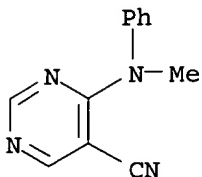
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IT 14246-93-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 14246-93-6 CAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(methylphenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 289 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1967:17161 CAPLUS

DOCUMENT NUMBER: 66:17161

TITLE: Some 5-fluoro-6-anilinoaminopyrimidines

AUTHOR(S): Biressi, M. Gabriella; Cantarelli, G.; Carissimi, Massimo; Ravenna, Franco

CORPORATE SOURCE: Lab. Ric. Maggioni C.-S.p.A., Milan, Italy

SOURCE: Bollettino Chimico Farmaceutico (1966), 105(9), 660-5
CODEN: BCFAAI; ISSN: 0006-6648

DOCUMENT TYPE: Journal

LANGUAGE: Italian

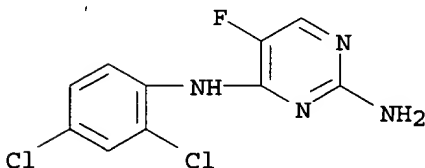
AB Fifteen 2,4-diamino-5-fluoro-6-(substituted anilino)**pyrimidines** and twelve 2-amino-5-fluoro-6-(substituted anilino)**pyrimidines** were synthesized and tested against *Escherichia coli*, *Salmonella typhosa*, *Candida albicans*, *Staphylococcus aureus*, *Klebsiella pneumoniae*, herpes simplex virus, influenza type A virus, PR8 pneumonitis virus and swine pneumonitis virus. 2,4-Diamino-5-fluoro-6-(3,4-dichloroanilino)-**pyrimidine** showed good in vitro antibacterial activity and 2-amino-5-fluoro-6-aminopyrimidine showed good in vitro antiviral activity.

IT 14994-42-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(as bactericide, fungicide and virucide)

RN 14994-42-4 CAPLUS

CN Pyrimidine, 2-amino-4-(2,4-dichloroanilino)-5-fluoro- (8CI) (CA INDEX NAME)



L7 ANSWER 290 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1966:482319 CAPLUS

DOCUMENT NUMBER: 65:82319

ORIGINAL REFERENCE NO.: 65:15397a-d

TITLE: (Disubstituted-amino) ethoxyphenylamines, ethers, and sulfides

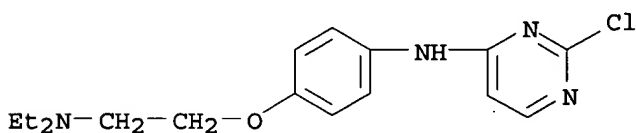
INVENTOR(S): English, Jackson P.; Bach, Frederick L., Jr.; Gordon, Samuel

PATENT ASSIGNEE(S): American Cyanamid Co.

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SOURCE: 9 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 1034538		19660629	GB	19640904
AB	<p>The title compds. p-RZC6H4OCHR1CR2R3X (I) and their salts are hypocholesteremic agents. Thus a soln. of p-(2-diethylaminoethoxy)aniline (II) (4.2 g.) and K 2-chloro-5-nitrobenzoate (3.6 g.) in 50 ml. H2O and 50 ml. EtOH was refluxed 15 hrs. and extd. with CHCl3 (2 .times. 100 ml.). The aq. raffinate acidified pptd. crude 4'-(2-diethylaminoethoxy)-2-carboxy-4-nitrodiphenylamine, which was recovered and decarboxylated at 180.degree./0.1 mm. to afford III. Similarly prepd., without the latter decarboxylation stage, were the tabulated I. With the exception of IV, in which the OCHR1CR2R3X group is ortho to the RZ group, all the others are para. R, Z, R1 R2R3, X, m.p. or b.p./mm.; 4-O2NC6H4, NH, H, Et2N (III), 86-8.degree.; 2,4(O2 N)2C6H3, NH, H, Et2N, 70-1.degree.; 2,4-(H2N)2C6H3, NH, H, Et2N, 90-1.degree.; 2,4-H2N(O2N) C6H3, NH, H, Et2N, 179-81.degree.; 4-pyridyl, NH, H, Et2N, 125-7.degree.; 3-nitro-2-pyridyl, NH, H, Et2N, 47-8.degree.; 4-O2 NC6H4, O, H, Et2N, 170-5.degree./0.2; 4-O2NC6H4, S, H, Et2N (IV), oil; 2,6-dichloro-4-pyrimidinyl, NH, H, Et2N, 104-6.degree.; 2-chloro-4-pyrimidinyl, NH, H, Et2N, 75-6.degree.; 5-chloro-2-pyrimidinyl, NH, H, Et2N, 92-4.degree.; 5-nitro-2-pyridyl, NH, H, Et2N, 143-5.degree.; 2-benzothiazole, NH, H, Et2N, 92-4.degree.; 5-nitro-2-pyridyl, NH, R1 = Me, Et2N 59-61.degree.; , , R2 = R3 = H, , ; 5-chloro-2-pyrimidinyl, NH, R1 = H, Me2N, 120-1.degree.; , , R2 = R3 = Me, , ; 5-chloro-2-pyrimidinyl, NH, H, 1-pyrrolidinyl, --.</p>				
IT	<p>1444-24-2, Pyrimidine, 2-chloro-4-[.beta.-(diethylamino)-p-phenetidino]- (prepn. of)</p>				
RN	1444-24-2 CAPLUS				
CN	4-Pyrimidinamine, 2-chloro-N-[4-[2-(diethylamino)ethoxy]phenyl] - (9CI) (CA INDEX NAME)				

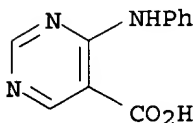


L7 ANSWER 291 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1966:473559 CAPLUS
 DOCUMENT NUMBER: 65:73559
 ORIGINAL REFERENCE NO.: 65:13733b-c
 TITLE: Esters of 4-anilinopyrimidine-5-carboxylic acids
 INVENTOR(S): Juby, Peter F.
 PATENT ASSIGNEE(S): Bristol-Myers Co.
 SOURCE: 2 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3254086		19660531	US	19631216

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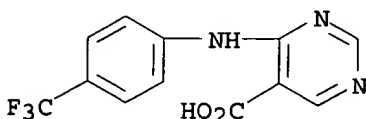
GI For diagram(s), see printed CA Issue.
AB I and II are useful as nontoxic analgesics. 2,3-Dimethylaniline (11.1 g.) and 8.5 g. 4-chloro-5-ethoxycarbonylpyrimidine in 60 ml. dry C₆H₆ was heated 1 hr., the mixt. filtered, and the filtrate evapd. in vacuo to give I, m. 89-90.degree. (aq. MeOH). Ia (0.5 g.) in 12 ml. 6% aq. KOH was heated 0.5 hr. and the soln. cooled and acidified with concd. HCl to give II, m. 255-26.degree. (EtOH).
IT 16100-41-7, 5-Pyrimidinecarboxylic acid, 4-anilino-
(esters)
RN 16100-41-7 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 292 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1966:429491 CAPLUS
DOCUMENT NUMBER: 65:29491
ORIGINAL REFERENCE NO.: 65:5470a-b
TITLE: 5-Carboxy-4-(.alpha.,.alpha.,.alpha.-trifluoro-m-toluidino)pyrimidine
INVENTOR(S): Juby, Peter F.
PATENT ASSIGNEE(S): Bristol-Myers Co.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3254087		19660531	US	19631216

AB Addn. of 13.9 g. m-F₃CC₆H₄NH₂ to a stirred soln. of 8 g. 4-chloro-5-carbethoxypyrimidine (Bredereck, et al., CA 57, 819b) in 50 ml. C₆H₆, refluxing 0.5 hr., filtering, and evapg. to dryness gave 11 g. 5-carbethoxy-4-(.alpha.,.alpha.,.alpha.-trifluoro-m-toluidino)pyrimidine (I), m. 72-3.degree. (aq. EtOH). Sapon. of 0.5 g. I with 13 ml. 5% KOH and acidification to pH 4 with HCl gave 0.3 g. of the title compd. (II), m. 235-7.degree. (wet MeOH); Me ester, m. 95-6.degree. (Skellysolve B). Treatment of II with Na or K 2-ethylhexanoate in BuOH gave the Na salt of II, m. >400.degree., or the K salt, m. 393-5.degree. (decompn.). These products are edema inhibitors.
IT 6454-50-8, 5-Pyrimidinecarboxylic acid,
4-(.alpha.,.alpha.,.alpha.-trifluoro-p-toluidino)-
(prepn. of)
RN 6454-50-8 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-(.alpha.,.alpha.,.alpha.-trifluoro-p-toluidino)- (7CI, 8CI) (CA INDEX NAME)



L7 ANSWER 293 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1966:420821 CAPLUS

DOCUMENT NUMBER: 65:20821

ORIGINAL REFERENCE NO.: 65:3866b-d

TITLE: **Pyrimidines**. XVIII. 2,4-Diamino-5-nitro-6-arylamino-pyrimidines. Nitration study of 2,4-diamino-6-chloropyrimidine and a literature correction

AUTHOR(S): O'Brien, Darrell E.; Cheng, C. C.; Pfleiderer, Wolfgang

CORPORATE SOURCE: Midwest Res. Inst., Kansas City, MO

SOURCE: Journal of Medicinal Chemistry (1966), 9(4), 573-5
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

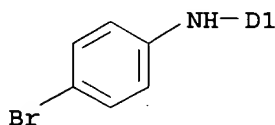
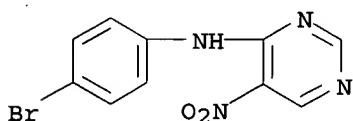
LANGUAGE: English

AB cf. CA 64, 12671d. Nitration of 2,4-diamino-6-chloropyrimidine was studied under a variety of reaction conditions. When equal vols. of concd. H₂SO₄ and fuming HNO₃ were used, the product was 2-amino-4-nitramino-6-chloropyrimidine rather than 2,4-diamino-5-nitro-6-chloropyrimidine (I). I could be obtained in 61% yield when a large excess of concd. H₂SO₄ (5:1 relative to the amt. of HNO₃) was used. The optimum temps. for this reaction is 20-35.degree.. Under these reaction conditions, 2,4-diaminopyrimidine (which was previously considered to be unnitratable) was nitrated directly to give 2,4-diamino-5-nitropyrimidine in 22% yield. Authentic 2,4-diamino-5-nitro-6-(arylamino) **pyrimidines** were readily prepd. from I and substituted anilines. Preliminary antitumor screening indicated that 2,4-diamino-5-nitro-6-(pbromoanilino) **pyrimidine** possessed activity against the Walker 256 (WM) tumor system.

IT 29990-46-3, **Pyrimidine**, 2(or 4)-amino-4,6(or 2,6)-bis(p-bromoanilino)-5-nitro-
(prepn. of)

RN 29990-46-3 CAPLUS

CN Pyrimidine, aminobis(p-bromoanilino)nitro- (8CI) (CA INDEX NAME)

D1-NH₂

L7 ANSWER 294 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1966:414134 CAPLUS

DOCUMENT NUMBER: 65:14134

ORIGINAL REFERENCE NO.: 65:2647c-e

TITLE: 2,4-Bis(arylamino) **pyrimidines** as antimicrobial agents

AUTHOR(S): Ghosh, Dolly

CORPORATE SOURCE: Univ. Coll. Sci., Calcutta

SOURCE: Journal of Medicinal Chemistry (1966), 9(3), 423-4
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

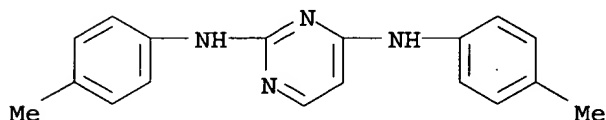
GI For diagram(s), see printed CA Issue.

AB 2,4-Bis(arylamino)pyrimidines (I) were synthesized by the acid-catalyzed condensation of 2,4-dichloropyrimidine with appropriate aromatic amines by a previously described method (Banks, CA 38, 49525) and were tested for their antimicrobial activity against Streptococcus faecalis, Escherichia coli B, and Candida albicans. The compds. with Ar = p-O₂NC₆H₄, p-ClC₆H₄, m-ClC₆H₄, o-ClC₆H₄, p-MeOC₆H₄, pMeC₆H₄, and p-H₂NSO₂C₆H₄ showed antimicrobial activities comparable to or greater than those of 6-azauracil and neomycin. The compd. with Ar = p-AcC₆H₄ at a satg. concn. produced no growth inhibition of the gram-neg. and gram-pos. bacteria, while it was active against the yeast. The compd. with Ar = p-HOC₆H₄ was much less active.

IT 5262-29-3, Pyrimidine, 2,4-di-p-toluidino-
(as bactericide and fungicide)

RN 5262-29-3 CAPLUS

CN 2,4-Pyrimidinediamine, N,N'-bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 295 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1966:27608 CAPLUS

DOCUMENT NUMBER: 64:27608

ORIGINAL REFERENCE NO.: 64:5109h,5110a-b

TITLE: Pyrimidine derivatives

INVENTOR(S): Blanchard, Peter M.

PATENT ASSIGNEE(S): British Petroleum Co. Ltd.

SOURCE: 4 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1010998		19651124	GB	19621009

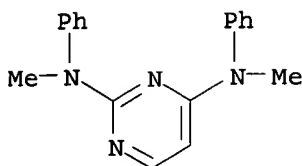
GI For diagram(s), see printed CA Issue.

AB Refluxing a mixt. of 10 g. 2,4-dichloropyrimidine and 39 g. PhNHMe for 4 hrs., processing in the usual way, and distg. gave I (R = R₁ = PhNMe, R₂ = H), b_{0.5} 182.degree., n_{20D} 1.6496, as a pale yellow solid. I (R = R₁ = PhNMe, R₂ = Me), b_{0.8} 182.degree., was similarly prepd. as a pale yellow solid. To a soln. of 0.05 mole 2,4-dichloro-6-methylpyrimidine in EtOH were added equimolar amts. of PhNHMe and aq. NaOH with ice cooling. After leaving at a temp. below ambient for 24 hrs., the NaCl was filtered off and the filtrate distd. to give I (R = PhNMe, R₁ = Cl, R₂ = Me) which was refluxed with a slight molar excess of m-PhOC₆H₄NHMe and NaOH in diethylcarbitol for 6 hrs. to give 15 g. I (R = PhNMe; R₁ = PhOC₆H₄NMe, R₂ = Me) b_{0.1} 210.degree., as an oil. The compds. are thermally stable and useful as high temp. lubricants.

IT 6733-34-2, Pyrimidine, 2,4-bis(N-methylanilino)-
(prepn. of)

RN 6733-34-2 CAPLUS

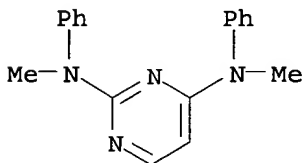
CN Pyrimidine, 2,4-bis(N-methylanilino)- (7CI, 8CI) (CA INDEX NAME)



L7 ANSWER 296 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1966:27607 CAPLUS
 DOCUMENT NUMBER: 64:27607
 ORIGINAL REFERENCE NO.: 64:5109f-h
 TITLE: **Pyrimidine** derivatives
 INVENTOR(S): Blanchard, Peter M.
 PATENT ASSIGNEE(S): British Petroleum Co. Ltd.
 SOURCE: 4 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 1010997		19651124	GB	19621009
AB	A mixt. of 16.3 g. 2,4-dichloro-6-methylpyrimidine and 11.6 g. NaOPh in 200 ml. EtOH was kept in an ice bath for 24 hrs., the NaCl which sepd. was filtered off, and the filtrate poured into H ₂ O and extd. with Et ₂ O to give 19.5 g. 2-phenoxy-4-chloro-6-methylpyrimidine (I), m. 64.5.degree.. Refluxing 10.3 g. I, 5.8 g. NaOPh, and 250 ml. diethyl carbitol 5 hrs. gave 10.6 g. 2,4-diphenoxy-6-methylpyrimidine, b0.8 165.degree., m. 81-2.degree., n ₂₀ D 1.5885. Similarly were prepd. 94% 2,4-diphenoxypyrimidine, b0.1 150-60.degree., m. 112.5-13.degree., and 86% 2-phenoxy-4-(m-phenoxyphenoxy) pyrimidine , b0.1 220-5.degree., a pale yellow oil. The compds. are thermally stable, useful as high temp. lubricants.				
IT	6733-34-2, Pyrimidine , 2,4-bis(N-methylanilino)-(prepn. of)				
RN	6733-34-2 CAPLUS				
CN	Pyrimidine, 2,4-bis(N-methylanilino)- (7CI, 8CI) (CA INDEX NAME)				



L7 ANSWER 297 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1965:463694 CAPLUS
 DOCUMENT NUMBER: 63:63694
 ORIGINAL REFERENCE NO.: 63:11743a-d
 TITLE: **Reactive dyes** containing 2-chloro-5-pyrimidylcarbonylamino groups
 PATENT ASSIGNEE(S): J. R. Geigy A.-G.
 SOURCE: 48 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 644495		19640828	BE	

PRIORITY APPLN. INFO.: CH 19630301

GI For diagram(s), see printed CA Issue.

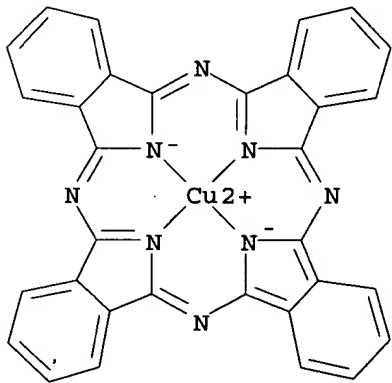
AB Compds. of the general formula I give fast colors on cellulose textiles. Thus, a soln. (pH 4.0-4.5) of 18.8 parts 2,4-(H₂N)₂C₆H₃SO₃H in 400 parts H₂O contg. Na₂CO₃ is treated at 0-5.degree. with 22.2 parts 2,4-dichloropyrimidine-5-carboxylic acid chloride (b0.05 83.degree.) in 100 parts Me₂CO and the product is diazotized and coupled with the Na salt of 28.9 parts 1-(2-chloro-5-sulfophenyl)-3-methyl-5-pyrazolone to give I [X = Cl, R = 4-sulfo-3-[1-(2-chloro-5-sulfophenyl)-3-methyl-5-pyrazolon-4-ylazo]phenyl], yellow powder, yellow on cotton. Also prepd. are the following I (X, R, appearance, and shade on cotton given): Cl, 4-(4,8-disulfonaphth-2-ylazo)-3-methylphenyl, yellow powder, yellow; Cl, -3-(1-hydroxy-3,6-disulfo-8-benzamidonaphth-2-ylazo)-4-sulfophenyl, --, bluish red; Cl, A, dark powder, ruby; Cl, 4-(3,6-disulfo-4-aminoanthraquinon-2-ylamino)-3-sulfophenyl, --, blue; Cl, m-[(XS₂O)₂-3(CuPc)SO₂NH]C₆H₄ (X = mixt. of NH₂, ONa, and ONH₄, CuPc = Cu phthalocyanine residue), --, turquoise blue; Cl, 3,6-disulfo-8-hydroxy-7-(2-sulfophenylazo)-2-naphthyl, red powder, red; 4-(4,8-disulfonaphth-7-ylazo)-3-methylanilino, m-[(H₂NSO₂)₂(NaO₃S)(CuPc)SO₂NH]C₆H₄, green powder, green; 3,6-disulfo-8-hydroxy-7-(o-sulfophenylazo)-naphth-1-ylamino, 3,6-disulfo-8-hydroxy-7-(o-sulfophenylazo)-1-naphthyl, --, red; SO₃H, 4-sulfo-3-(6,8-disulfo-2-hydroxynaphth-1-ylazo)phenyl, -orange; MeO, 4-sulfo-3-(6,8-disulfo-2-hydroxynaphth-1-ylazo)-phenyl, --, orange.

IT 107493-93-6, Copper, [trihydrogen [[m-[2-chloro-4-[4-[(4,8-disulfo-2-naphthyl)azo]-m-toluidino]-5-pyrimidinecarboxamido]phenyl]sulfamoyl]disulfamoylphthalocyaninesulfonato(2-)]-, sodium salt (prepn. of)

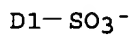
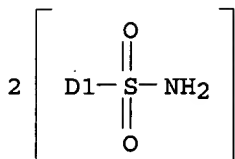
RN 107493-93-6 CAPLUS

CN Copper, [trihydrogen [[m-[2-chloro-4-[4-[(4,8-disulfo-2-naphthyl)azo]-m-toluidino]-5-pyrimidinecarboxamido]phenyl]sulfamoyl]disulfamoylphthalocyaninesulfonato(2-)]-, sodium salt (7CI) (CA INDEX NAME)

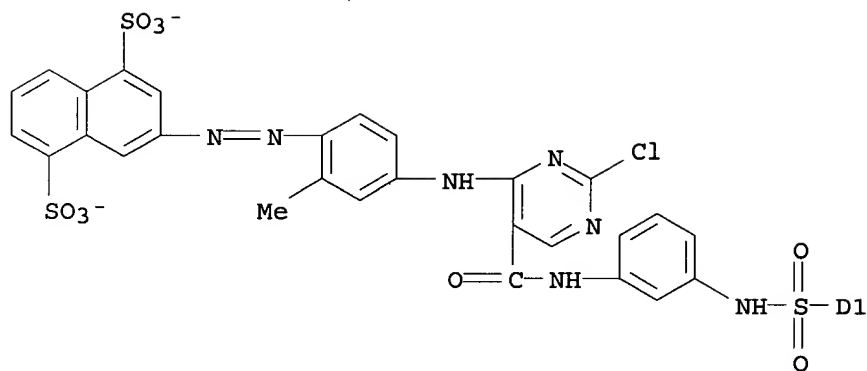
PAGE 1-A



PAGE 2-A



PAGE 3-A



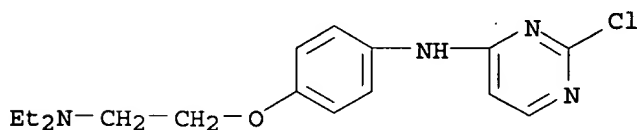
PAGE 4-A



L7 ANSWER 298 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1965:82617 CAPLUS
 DOCUMENT NUMBER: 62:82617
 ORIGINAL REFERENCE NO.: 62:14692e-h,14693a-b
 TITLE: Preparation of disubstituted aminoethoxyphenyl derivatives
 PATENT ASSIGNEE(S): American Cyanamid Co.
 SOURCE: 13 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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NL 6410914		19641125	NL	
PRIORITY APPLN. INFO.:			US	19630920

- AB Disubstituted aminoethoxyphenylamines, ethers, and sulfides, useful as oral hypocholesteremic agents (active with 3-30 mg./kg./day) are prep'd. by standard procedures. A soln. of 4.2 g. p-(2-diethylaminoethoxy)aniline (I), 3.6 g. K 2-chloro-5-nitrobenzoate, 50 ml., H₂O, and 50 ml. EtOH is refluxed 15 hrs. to yield after decarboxylation at 180.degree. and 0.1 mm. 4'-(2-diethylaminoethoxy)-4-nitrodiphenylamine, m. 86-8.degree. (EtOH). A soln. of 4.2 g. I, and 2.8 g. 2,4-dinitrofluorobenzene in 100 ml. EtOH is refluxed 3 hrs. to yield 4'-(2-diethylaminoethoxy)-2,4-dinitrodiphenylamine (II), m. 70-1.degree. (EtOH). Similarly was prep'd. the 2'-isomer of II, m. 90-1.degree.. A soln. of II in EtOH was reduced at boiling temp. with (NH₄)₂S in EtOH to yield 4'-(2-diethylaminoethoxy)-2-amino-4-nitrodiphenylamine-2HCl, m. 179-81.degree.. A soln. of 6.3 g. 2-chloro-3-nitropyridine, and 8.3 g. I in EtOH was heated 1 hr. on a steam-bath to yield N-(3-nitro-2-pyridyl)-p-(2-diethylaminoethoxy)aniline, m. 47-8.degree. (Et₂O-petr. ether b. 30-60.degree.). Similarly are prep'd. the following p-(2-diethylaminoethoxy)-anilines: N-(4-pyridyl), m. 125-7.degree. (Et₂O-petr. ether); N-(2,6-dichloro-4-pyrimidinyl), m. 104-6.degree. (C₆H₆); N-(2-chloro-4-pyrimidinyl), m. 75-7.degree.; N-(5-chloro-2-pyrimidinyl), m. 92-4.degree. (Et₂O-petr. ether); N-(5-nitro-2-pyridyl), m. 143-5.degree. (C₆H₆-petr. ether); 2-benzothiazolyl, m. 92-4.degree. (Et₂O-petr. ether). A mixt. of 3.3 g. p-hydroquinone, 1.2 g. NaOH, and 4.2 g. 4-nitrofluorobenzene (III) is refluxed 15 hrs. (solvent is not given) to yield 4'-hydroxy-4-nitrodiphenyl ether, which is converted with 0.7 g. NaH in toluene into the 4'-NaO analog. The latter is reacted with 4.1 g. diethylaminoethyl chloride in toluene to yield 4'-(2-diethylaminoethoxy)-4-nitrodiphenyl ether, b_{0.2} 170-5.degree.. From 4-nitrophenylsulfenyl chloride and phenol was similarly obtained 4'-(2-diethylaminoethoxy)-4-nitrodiphenyl sulfide. To 131 g. 1-diethylamino-2-propanol was added 7.2 g. NaH at 0-10.degree., followed by 72.3 g. III to yield p-(2-diethylamino-1-methylethoxy)nitrobenzene (IV), b_{0.3-0.4} 130-5.degree.. A soln. of 12 g. IV in EtOH was reduced at room temp. with 5% Pd-C and 2.45 atm. H to yield p-(2-diethylamino-1-methylethoxy)aniline (V), b_{1.0} 147-9.degree.. A soln. of 5.6 g. V and 3.8 g. 2-chloro-5-nitropyridine in 75 ml. EtOH was heated 2 hrs. at 70.degree. to yield N-(5-nitro-2-pyridyl)-p-(2-diethylamino-1-methylethoxy)aniline, m. 59-61.degree. (Et₂O-petr. ether). A mixt. of 6.3 g. p-(2-dimethylamino-2,2-dimethylethoxy)aniline and 3.9 g. 2,5-dichloropyrimidine was heated 15 hrs. under argon in a closed Pyrex glass tube to yield N-(5-chloro-2-pyrimidinyl)-p-(2-dimethylamino-2,2-dimethylethoxy)aniline, m. 120-1.degree. (C₆H₆-petr. ether). Similarly. was prep'd. N-(5-chloro-2-pyrimidinyl)-p-(2-pyrrolidinoethoxy)aniline.
- IT 1444-24-2, Pyrimidine, 2-chloro-4-[.beta.-(diethylamino)-p-phenetidinol]-
(prepn. of)
- RN 1444-24-2 CAPLUS
- CN 4-Pyrimidinamine, 2-chloro-N-[4-[2-(diethylamino)ethoxy]phenyl]- (9CI)
(CA INDEX NAME)



ORIGINAL REFERENCE NO.: 62:5151h,5152a
 TITLE: Antihypertensive agent
 INVENTOR(S): Schoepke, Hollis G.; Short, James H.
 PATENT ASSIGNEE(S): Abbott Laboratories
 SOURCE: 1 p.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

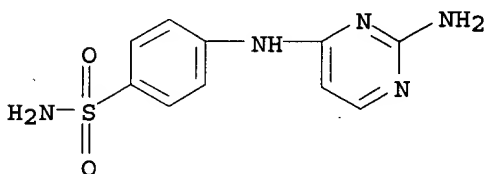
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 3159547		19641201	US	19630628
	BE 649574			BE	
	FR M3539			FR	
	GB 1032266			GB	

AB The use of 4-(2-amino-4-pyrimidylamino)benzenesulfonamide and its acid addn. salts (phosphate and hydrochloride) as blood-pressure depressants for warm blooded animals is described and examples of formulations are given.

IT 2153-13-1, Sulfanilamide, N4-(2-amino-4-pyrimidinyl) - (as blood pressure-lowering substance)

RN 2153-13-1 CAPLUS

CN Benzenesulfonamide, 4-[(2-amino-4-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 300 OF 326 CAPLUS. COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1963:482495 CAPLUS

DOCUMENT NUMBER: 59:82495

ORIGINAL REFERENCE NO.: 59:15376h,15377a-b

TITLE: Pyrimidine nucleosides. XVII.
 Pyrimidinyl amino acids

AUTHOR(S): Ueda, Tohru; Fox, Jack J.

CORPORATE SOURCE: Cornell Univ. Med. Coll., New York, NY

SOURCE: Journal of Medicinal Chemistry (1963), 6(6), 697-701
 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

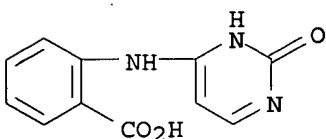
AB cf. CA 58, 11457a. N-(2-Oxo-4-pyrimidinyl) amino acids were prepd. by reaction of 4-methylthio-2-pyrimidinones with amino acids. N-(2Oxo-4-pyrimidinyl)glycine, -L-alanine, -L-phenylalanine (I), -L-tryptophan (II), -beta.-alanine, -o- and p-aminobenzoic acid (III), and -glycylglycine were obtained. N-(2-Thio-4-pyrimidinyl)-L-tryptophan was also prepd. as well as the 5-methyl, 5-fluoro (IV), 5-chloro, and 5-bromo analogs of N-(2-oxo-4-pyrimidinyl)-DL-alanine. The ribonucleosides of I, II, and III were synthesized by treatment of 1-beta.-D-ribofuranosyl-4-methylthio-2-pyrimidinone with the appropriate amino acid. The 1-(2-deoxy-beta.-D-ribofuranosyl) deriv. of IV was synthesized by similar methods. Preliminary results with some of these compds. in exptl. tumors showed no significant antitumor activity. None of the pyrimidinyl

amino acids tested supported the growth of certain **pyrimidine-**
or amino acid-requiring mutants of *Escherichia coli*.

IT 64988-60-9, Anthranilic acid, N-(1,2-dihydro-2-oxo-4-
pyrimidinyl)-
(prepn. of)

RN 64988-60-9 CAPLUS

CN Benzoic acid, 2-[(1,2-dihydro-2-oxo-4-pyrimidinyl)amino]- (9CI) (CA INDEX
NAME)



L7 ANSWER 301 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1963:40026 CAPLUS

DOCUMENT NUMBER: 58:40026

ORIGINAL REFERENCE NO.: 58:6824g-h,6825a

TITLE: Trifluoromethyl compounds related to nucleic acid
bases

AUTHOR(S): Barone, John A.

CORPORATE SOURCE: Fairfield Univ., Fairfield, CT

SOURCE: Journal of Medicinal Chemistry (1963), 6, 39-42
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

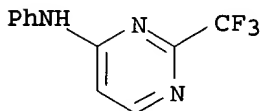
GI For diagram(s), see printed CA Issue.

AB Trifluoromethylpyrimidines (I) were synthesized by conventional means and
used to obtain trifluoromethyl analogs of a v-triazolo[d]
pyrimidine, a pyrazolo[3,4-d] **pyrimidine**, and a
pyrimido[4,5-d]**pyrimidine**. The rearrangement of a
4-(N-nitroamino)-2-trifluoromethylpyrimidine to a 4-amino-5-nitro-2-
trifluoromethylpyrimidine and ring closure of 4-amino-2-trifluoromethyl-5-
pyrimidinecarboxamide to a purine under conditions for a Hofmann
reaction are reported. Some of the compds. prepd. were inactive as tumor
inhibitors.

IT 726-07-8, **Pyrimidine**, 4-anilino-2-(trifluoromethyl)-
(prepn. of)

RN 726-07-8 CAPLUS

CN 4-Pyrimidinamine, N-phenyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 302 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1963:27290 CAPLUS

DOCUMENT NUMBER: 58:27290

ORIGINAL REFERENCE NO.: 58:4554f-h,4555a-c

TITLE: Syntheses and transformations of **pyrimidine**
derivatives. XIII. Activity of the methyl groups in
derivatives of 4-methyl-(1',2',3')-triazolo[5:6-4':5']
pyrimidine

AUTHOR(S): Karlinskaya, R. S.; Khromov-Borisov, N. V.

SOURCE: Zhurnal Obshchei Khimii (1962), 32, 1858-64

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

AB Refluxing 2-hydroxy-6-amino-5-nitro-4-methylpyrimidine with Zn dust in H₂O 6 hrs. gave after concn. 41% 2-hydroxy-5,6-diamino-4-methylpyrimidine (VI) monohydrate, a yellowish solid, which with aq. HCl and NaNO₂ gave after 1 hr. a ppt. of 2-hydroxy-4-methyl-(1',2'3')-triazolo[5:6-4':5'] pyrimidine monohydrate (VII, R = OH, R₁ = Me, R₂ = H) which decompd. in an open flame, was sol. in alkalies, and pptd. from alk. soln. on acidification. Treated with p-O₂NC₆H₄N₂Cl in AcOH-AcONa 3 hrs. it gave VII (R = OH, R₁ = p-O₂NC₆H₄N₂CH₂, R₂ = H) (VIII), m. 250.degree. (decompn.), sol. slowly in aq. alkalies, also formed by diazotization of VI and treatment with p-O₂NC₆H₄N₂Cl as above; red HCl salt m. 278-80.degree.. VIII refluxed 1.5 hrs. with Ac₂O gave 44% mono-N-Ac deriv., m. 300.degree. (decompn.), sol. in alkalies with a violet color, which was refluxed 2 hrs. with aq. HCl to give VIII. 2-Mercapto-6-amino-5-nitro-4-methylpyrimidine and aq. NH₄OH was treated with Na₂S₂O₄ at 75.degree. to give 56% 2-mercapto-4-methyl-5,6-diaminopyrimidine monohydrate, m. 250.degree. (decompn.), which with aq. HCl-NaNO₂ at 5.degree. 0.5 hr. gave 40% VII.cntdot.H₂O (R = SH, R₁ = Me, R₂ = H), m. 225.degree. (decompn.), which with p-O₂NC₆H₄N₂Cl in aq. HCl-NaOAc 5-6 hrs. gave 61% red VII (R = SH, R₂ = p-O₂NC₆H₄N₂CH₂, R₁ = H), decompd. at high temp. Similarly was prepd. red VII (R = NH₂, R₁ = p-O₂NC₆H₄N₂CH₂, R₂ = H), m. 200-5.degree. (decompn.); red-orange HCl salt m. 254.degree. (decompn.). 5-Nitrocytosine and Na₂S₂O₄ gave 5-aminocytosine-HCl, m. 205-10.degree., which treated with aq. NaNO₂-HCl in the cold gave colorless VII.H₂O (R = OH, R₁ = R₂ = H) (aq. Na₂CO₃-AcOH). 2,6-Dichloro-5-nitro-4-methylpyrimidine and PhNH₂ in EtOH gave 80% 2-chloro-6-phenylamino-5-nitro-4-methylpyrimidine, m. 120-2.degree., which with 4N alc. NH₃ 1 hr. gave 62% 2-amino-4-methyl-6-phenyl-amino-5-nitropyrimidine, m. 178-9.degree., which with Na₂S₂O₄ in aq. NH₄OH gave 60% 2,5-diamino-6-phenylamino-4-methyl-pyrimidine, m. 212-13.degree. (Timmis, et al., CA 51, 10531i), which diazotized as above with aq. HCl-NaNO₂ in AcOH-AcONa and treated with p-O₂NC₆H₄N₂Cl gave after 12 hrs. in the cold 88% orange VII (R = NH₂, R₁ = p-O₂NC₆H₄N₂CH₂, R₂ = Ph), m. 270-80.degree. (decompn.); HCl salt m. 292.degree.. 2-Amino-6-phenyl-amino-5-nitropyrimidine was reduced with Na₂S₂O₄ in aq. NaOH to 2,5-diamino-6-phenylaminopyrimidine, isolated as the sulfate, m. 224-5.degree., which was diazotized as above to VII (R = NH₂, R₁ = H, R₂ = Ph) (cf. Timmis, loc. cit.) which failed to couple with p-O₂NC₆H₄N₂Cl in either acid or basic solns. VII (R = R₂ = H, R₁ = Me) did not undergo opening of the triazole ring under the action of HI, active azo compds., or Ac₂O. The .omicron.-quinoidal structure of these pyrimidines may account for the active H.

IT 94782-96-4, Pyrimidine, 2,5-diamino-4-anilino-, sulfate (prepn. of)

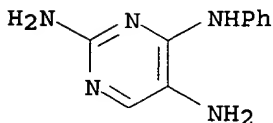
RN 94782-96-4 CAPLUS

CN Pyrimidine, 2,5-diamino-4-anilino-, sulfate (7CI) (CA INDEX NAME)

CM 1

CRN 94782-95-3

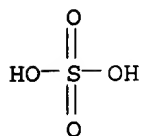
CMF C10 H11 N5



CM 2

CRN 7664-93-9

CMF H2 O4 S



L7 ANSWER 303 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1962:475971 CAPLUS

DOCUMENT NUMBER: 57:75971

ORIGINAL REFERENCE NO.: 57:15112f-i,15113a-f

TITLE: Constitution and reactivity of trichloropyrimidylamino derivatives

AUTHOR(S): Ackermann, H.; Dussy, P.

CORPORATE SOURCE: J. R. Geigy A.-G., Basel, Switz.

SOURCE: Helvetica Chimica Acta (1962), 45, 1683-98

CODEN: HCACAV; ISSN: 0018-019X

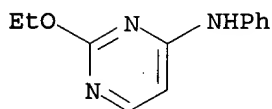
DOCUMENT TYPE: Journal

LANGUAGE: German

AB The treatment of 2,4,5,6-tetrachloropyrimidine (I) with NH₃, aliphatic, and aromatic amines resulted almost exclusively in reaction of the 4-Cl atom. The kinetics of the reaction of 2,5,6-trichloro-4-pyrimidylamino derivs. with NaOEt was studied. The derivs. from primary aromatic amines were found to be weak acids, which explained the relationship between constitution and reactivity satisfactorily. PhNH₂ (9.3 g.) in 50 cc. Me₂CO and 50 cc. H₂O heated at 35-40.degree. with 21.8 g. I while maintaining pH 6-7 by the dropwise addn. of 20% aq. Na₂CO₃, heated briefly to 50.degree., and cooled to 0-5.degree. yielded 86% 4-anilino-2,5,6-trichloropyrimidine (II), m. 83-4.degree. (ligroine). Similarly were prepd. the following 4-substituted-2,5,6-trichloropyrimidines (4-substituent, reaction temp., and m.p. given): p-ClC₆H₄NH (III), 40-50.degree., 153.degree. (ligroine); o-MeOC₆H₄NH, 40-50.degree., 183.degree. (C₆H₆); MePhN (IV), 35-40.degree., 118.degree. (AcOH); p-MeC₆H₄NH, 35-40.degree., 111.degree. (ligroine); p-MeOC₆H₄NH (V), 35-40.degree., 129.degree. (ligroine); o-MeC₆H₄NH, 40-50.degree., 139.degree. (C₆H₆); o-ClC₆H₄NH, 40-50.degree., 158.degree. (C₆H₆); m-HO₃SC₆H₄NH (VI), 40-50%, - (pptd. with KNO₃ as the K salt); m-HO₂CC₆H₄NH, 40-50.degree., 246.degree. (AcOH); p-O₂NC₆H₄NMe (VII), 85-90.degree. (in aq. dioxane), 210.degree. (PhCl); p-HOC₆H₄NH (VIII), 30-40.degree., 112.degree. (C₆H₆); o-HOC₆H₄NH, 40-50.degree., 216.degree. (EtOH); p-MeO₂SC₆H₄NH (IX), 40-50.degree., 225.degree. (PhCl); p-O₂NC₆H₄NH (X), 40-50.degree., 204.degree. (MePh). II (27.5 g.) in 400 cc. concd. NH₄OH heated 20 hrs. at 110-20.degree. in an autoclave and cooled gave 2,6-diamino-4-anilino-5-chloropyrimidine (XI), m. 218.degree. (MeOCH₂CH₂OH). XI (4.4 g.) in 150 cc. MeOH contg. 4.4 g. NaOAc hydrogenated 3 days at room temp. and low pressure, filtered, neutralized with Na₂CO₃, concd., dild. with H₂O, and filtered gave 2,6-diamino-4-anilinopyrimidine, m. 177-8.degree. (EtOH), also obtained from 2,4-diamino-6-chloropyrimidine and PhNH₂. BuNH₂ (7.3 g.) in 125 cc. Me₂CO and 125 cc. H₂O treated during 1 hr. at 30-5.degree. with 21.8 g. I at pH 10-11 and extd. with Et₂O yielded 4-butylamino-2,5,6-trichloropyrimidine (XII), b₁₄ 187-8.degree., m. 62-5.degree.. Similarly was prepd. the 4-Et₂N analog (XIII) of XII, b₈ 174-5.degree., m. 50-1.degree.; the forerun yielded a small amt. of 2-diethylamino-4,5,6-trichloropyrimidine, b₈ 152-3.degree., m. 768.degree.. I (21.8 g.) in 150 cc. concd. NH₄OH stirred 2 hrs. at 80.degree. and cooled gave

4-amino-2,5,6-trichloropyrimidine, m. 168.degree. (PhCl). II (27.5 g.) in 100 cc. abs. EtOH treated dropwise with 4.0 g. KOH in 100 cc. EtOH, heated 2 hrs. at 70.degree., filtered, and cooled gave 4-anilino-2,5-dichloro-5-ethoxypyrimidine (XIV), m. 124.degree. (EtOH); the alc. mother liquor gave 4-anilino-5,6-dichloro-2-ethoxypyrimidine (XV), m. 66.degree. (ligroine). 2,4-Dichloropyrimidine (14 g.) in 100 cc. Me₂CO and 100 cc. H₂O treated at 40-50.degree. with 9.3 g. PhNH₂ at pH 6-7, cooled to 0-5.degree., filtered, the residue recrystd. from PhCl, refluxed 4 hrs. with 1 equiv. KOH in 200 cc. EtOH, dild. with H₂O, and filtered yielded 4-anilino-2-ethoxypyrimidine (XVI), m. 121-2.degree. (ligroine). XV (2.84 g.) in 150 cc. abs. EtOH and 2.2 g. Et₃N hydrogenated 21 hrs. at room temp. over 5 g. Pd-C also yielded XVI. XIV gave similarly 4-anilino-6-ethoxypyrimidine, m. 122-3.degree.. II (27.5 g.) and 4.6 g. Na in 200 cc. abs. EtOH refluxed 3 hrs. gave 4-anilino-5-chloro-2,6-diethoxypyrimidine, m. 131.degree. (EtOH). The appropriate compd. (6.23 .times. 10⁻³ moles) in 400 cc. abs. EtOH treated at the desired temp. with 100 cc. 1.25N NaOH and the liberated chloride detd. titrimetrically in 20-cc. aliquots gave the ks .times. 10⁵ values (detd. at 30.degree.) for the following compds.: 4-methylphenylamino-2,6-dichloro-1,3,5-triazine, above 1000; 4-methylphenylamino-2-amino-6-chloro-1,3,5-triazine, 17; 4-methylphenylamino-2,6-dichloropyrimidine, 9.3; IV, 310; VII, above 500; XIII, 31. The pK values were detd. for the following compds.: VI (Na salt), 11.6; 4-(msulfanilino)-2,6-dichloropyrimidine (Na salt), 13.0; 4-(msulfanilino)-2,6-dichloro-1,3,5-triazine (Na salt), 11.2; 4-(m-sulfanilino)-2-amino-6-chloro-1,3,5-triazine (Na salt), 13.8. The ks .times. 10⁵ (at 30.degree.), k₃ .times. 10⁴ (at 70.degree.), and k₄ .times. 10⁴ (at 70.degree.) values (given in this order) were detd. in the usual manner for the following compds.: 2-anilino-4,6-dichloro-1,3,5-triazine, 350, -, -; 2-amino-4-anilino-6-chloro-1,3,5-triazine, 37, -, -; 4-anilino-2,6-dichloropyrimidine, 2.2, 0.38, 0.22; II, 1.3, 1.8, 1.2. The ks .times. 10⁵ (given) were detd. at 70.degree. in the usual manner for the following compds.: II, 41; VIII, 210; o-isomer of VIII, 170; V, 49; o-isomer of V, 180; III, 21; o-isomer of III, 15; IX, 6; X, about 5.M

IT 88614-08-8, Pyrimidine, 4-anilino-2-ethoxy-
(prepn. of)
RN 88614-08-8 CAPLUS
CN Pyrimidine, 4-anilino-2-ethoxy- (7CI) (CA INDEX NAME)



L7 ANSWER 304 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1962:416919 CAPLUS
DOCUMENT NUMBER: 57:16919
ORIGINAL REFERENCE NO.: 57:3440f-i,3441a-h
TITLE: Syntheses in the heterocyclic series. II. Syntheses of 4,5-disubstituted pyrimidines and their conversions to purines, oxazolo- and pyrazolopyrimidines
AUTHOR(S): Brederick, Hellmut; Effenberger, Franz; Schweizer, Ernst H.
CORPORATE SOURCE: Tech. Hochschule, Stuttgart, Germany
SOURCE: Ber. (1962), 95, 956-63
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 57,819b. The Et ester (I) of 4-chloro-5-carboxypyrimidines yields with amines, alcoholates, and mercaptides exchange of the substituents at C-4. 8-Hydroxypurine (III) can be prepd. in 1 step from the amide (IV) of

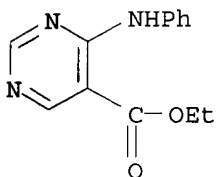
4-amino-5-carboxypyrimidine (V) or the hydrazide (VI) of V by Hofmann or Curtius degradation. 2-Hydroxyoxazolo[5,4-d]pyrimidin-6-one (VII) is obtained similarly from 4-hydroxypyrimidine-5-carboxylic acid hydrazide (VIII). The ring closure of I with hydrazines yields the corresponding 3-hydroxypyrazolo[3,4-d]pyrimidines. I (9.3 g.) in 125 cc. dry petr. ether treated during 4 hrs. at 80.degree. with stirring with dry NH₃, filtered hot, and cooled gave 5.65 g. 4-amino-5-carbethoxypyrimidine (IX), needles, m. 105.degree. (petr. ether). I (3.73 g.) in 40 cc. dry Et₂O treated with stirring with 20 cc. MeNH₂ in 20 cc. dry Et₂O, refluxed 2 hr., filtered, and evapd. gave 3 g. 5-MeNH analog of I, m. 63.degree. (EtOH). I (5 g.) in 50 cc. Et₂O with 20 cc. Me₂NH gave similarly 5 g. 5-Me₂N analog of I, b.p. 90.degree.. I (8.73 g.) in 70 cc. Et₂O and 2.5 g. iso-PrNH₂ gave 3.1 g. 4-iso-PrNH analog of I, b.p. 90.degree.. I (3.73 g.) in 50 cc. dry C₆H₆ treated dropwise with stirring with 5.6 g. PhNH₂, refluxed 0.5 hr., filtered, concd. to 1/5 vol., and filtered again gave 3.5 g. 4-PhNH analog (X) of I, m. 104.degree. (H₂O). 4-SH analog (XI) (1.84 g.) and 2.8 g. PhNH₂ in 25 cc. dry C₆H₆ refluxed 3 hrs., cooled, and filtered yielded 1.4 g. X, m. 103-4.degree.. 4-EtS analog (2.12 g.) of I, 2.8 g. PhNH₂, and 25 cc. dry C₆H₆ refluxed 2 hrs. yielded 1.8 g. X, m. 103.degree.. I (3.73 g.) in 50 cc. dry C₆H₆ and 11.02 g. PhCH₂NH₂ refluxed 3 hrs., cooled, filtered, and distd. gave 5 g. 4-PhCH₂NH analog of I, b.p. 154-5.degree., m. 47-8.degree.. I (3.73 g.) in 50 cc. dry C₆H₆ refluxed 2 hrs. with 3.65 g. H₂NCH₂CH₂OH gave 2.7 g. 4-HOCH₂CH₂NH analog of I, m. 145.degree. (H₂O). IX (19 g.) and 200 cc. liquid NH₃ heated 24 hrs. at 100.degree. in an autoclave and vented, and the residue boiled with petr. ether and filtered off gave 14.8 g. IV, platelets, m. 261.degree. (H₂O). IX (1.1 g.) and 3.3 cc. N₂H₄.H₂O in 8 cc. H₂O refluxed 1 hr., filtered hot, and refrigerated yielded 0.5 g. VI, m. 197.degree. (BuOH). I (3.73 g.), 50 cc. abs. EtOH, and 5 cc. 100% N₂H₄.H₂O in 20 cc. EtOH refluxed 2 hrs. with stirring and kept overnight gave 2.7 g. 4-hydrazinopyrimidine-5-carboxylic acid hydrazide (XII), m. 183.degree. (H₂O-EtOH). 4-OH analog (XIII) (16.8 g.) of I in 50 cc. liquid NH₃ heated 24 hrs. at 100.degree. in an autoclave and vented gave 10 g. 4-hydroxypyrimidine-5-carboxamide, m. 267.degree. (H₂O). XIII (16.8 g.) and 50 cc. N₂H₄.H₂O heated to soln., stirred at room temp., and filtered, and the residue digested with MeOH and filtered off gave 11.5 g. VIII, m. 208.degree.. VIII (3.08 g.) in 20 cc. H₂O treated with 5 cc. Me₂CO yielded 3.1 g. 5-Me₂C: NNH analog of VIII, m. 262.degree. (H₂O). XII (1 g.), 1.55 g. AcCH₂CO₂Et, and 50 cc. abs. EtOH refluxed 3.5 hrs., concd. to 1/3 vol., and refrigerated gave 1.6 g. 4-(2-carbethoxyisopropylidenehydrazino)pyrimidine-5-carboxylic acid 2-carbethoxyisopropylidenehydrazide, m. 1423.degree. (BuOH). IX (1.67 g.) and 15 cc. Ac₂O heated 2 hrs. at 140-50.degree. and evapd. in vacuo, and the residue triturated with cold MeOH yielded the 4-AcNH analog of I. I (7.45 g.) in 25 cc. Et₂O treated dropwise with 1 g. Na in 1.4 cc. abs. MeOH, refluxed 2 hrs., and distd. gave 5.3 g. 4-MeO analog of I, b.p. 86.degree.. Na (1 g.) in 20 cc. EtOH refluxed 4 hrs. with stirring with 7.45 g. I and distd. yielded 5.9 g. 4-EtO analog of I, b.p. 87.degree.. Na(lg.) in 25 cc. BuOH with 7.45g. I gave similarly 7.35 g. 4-BuO analog of I, b.p. 127.degree.. I (3.73 g.) in 25 cc. Et₂O added dropwise to 0.5 g. Na in 2.01 g. PhOH, refluxed 2 hrs., and evapd. gave 4.3 g. 4-PhO analog of I, m. 65.degree. (aq. MeOH). NaSH (3.4 g.) in 100 cc. abs. MeOH treated dropwise with stirring with 9.3 g. I, refluxed 2 hrs., and filtered from 1.6 g. unidentified solid, m. 181.degree., and the filtrate evapd. gave 5.5 g. XI, m. 149-50.degree.. XIII (5 g.), 6.66 g. P₂S₅, and 60 cc. dioxane refluxed 3 hrs. and evapd. in vacuo, and the residue triturated with H₂O and filtered off yielded 1.8 g. XI, m. 149-50.degree.. I (5 g.) added dropwise during 0.5 hr. to 0.7 g. Na and 1.8 g. EtSH in 20 cc. Et₂O, refluxed 4.5 hrs. with stirring, filtered, and yielded 4 g. 4-EtS analog (XIV) of I, b.p. 108.degree. m. about 25.degree.. XI (1.84 g.) in 20 cc. 10% aq. NaOH treated dropwise with stirring with 1.8 g. Et₂SO₄, heated 0.5 hr. on the water bath, cooled, and extd. with Et₂O gave 1.15 g. XIV, b.p. 108.dbldag. m. about 25.degree.. I(1.86g.), 1.36g.

p-MeC₆H₄SH, 0.25g. Na, and 40 cc. Et₂O gave in the usual manner 2.23 g. 4-(p-MeC₆H₄S) analog of I, m. 101-2.degree. (H₂O). IV (1.72 g.) in 30 cc. 10% aq. KOH treated dropwise during 20 min. with 8 cc. 12-13% aq. NaOCl, heated 2 hrs. on the water bath, filtered, and refrigerated gave 1 g. III, m. 308-10.degree.. VI (3.06 g.) in 50 cc. 10% AcOH added dropwise to 1.5 g. NaNO₂ in the min. vol. H₂O with cooling and stirring, heated 2 hrs. on the water bath, concd. to half-vol., and refrigerated yielded 1.5 g. III, m. 307-9.degree.. NaNO₂ (1.5 g.) in the min. vol. H₂O added dropwise to 4 g. VIII in 100 cc. 10% AcOH gave 2.2 g. VII. I (5 g.) in 50 cc. dry Et₂O treated dropwise with stirring at -10.degree. with 2.9 g. PhNHNH₂ in 50 cc. dry Et₂O, stirred 1 hr. at room temp., and evapd., and the residue triturated with warm H₂O yielded 2.9 g. 1-phenyl-3-hydroxypyrazolo[3,4-d]pyrimidine (XV), m. 293-5.degree. (aq. MeOH). I (3.73 g.) in 40 cc. dry Et₂O treated dropwise during 1 hr. at -10.degree. with 2.3 g. MeNHNH₂ (from 1.15 g. Na, 4.75 g. MeNHNH₂.H₂SO₄, and 60 cc. Et₂O) and evapd., and the residue sublimed gave 1.4 g. 1-Me analog of XV, m. 276.degree. (abs. EtOH).

IT 16100-58-6, 5-Pyrimidinecarboxylic acid, 4-anilino-, ethyl ester
(prepn. of)

RN 16100-58-6 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(phenylamino)-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 305 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1962:74764 CAPLUS

DOCUMENT NUMBER: 56:74764

ORIGINAL REFERENCE NO.: 56:14588b-c

TITLE: Radiation prophylaxis by some new purines and pyrimidines

AUTHOR(S): Krasnykh, I. G.; Shashkov, V. S.; Magidson, O. Yu.; Golovchinskaya, E. S.; Chkhikvadze, K. A.

SOURCE: Farmakologiya i Toksikologiya (Moscow) (1961), 24, 572-7

CODEN: FATOAO; ISSN: 0014-8318

DOCUMENT TYPE: Journal

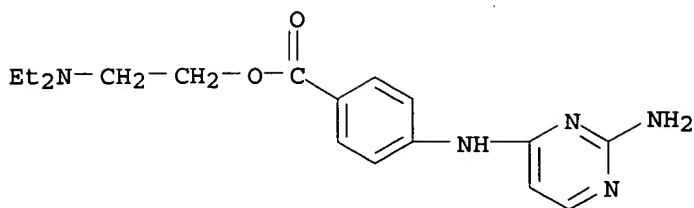
LANGUAGE: Unavailable

AB Mice were given intraperitoneal or subcutaneous injections, 10-15 min. prior to exposure to 700 r. (x-rays), of 4 uracils, 3 caffeine derivs., a theobromine deriv., and 12 pyrimidines. Up to 20% protection against radiation damage was given by compds. contg. aminoethyl or aminomethyl groups, as against 50-60% protection with mercamine. Some compds. caused hypothermia, in no apparent relation to protective effect. Some drugs were given as the free base, others as hydrohalides. Doses and results are tabulated.

IT 94804-03-2, Benzoic acid, p-[(2-amino-4-pyrimidinyl)amino]-, 2-(diethylamino)ethyl ester, dihydrochloride
(in radiation-damage prevention)

RN 94804-03-2 CAPLUS

CN Benzoic acid, p-[(2-amino-4-pyrimidinyl)amino]-, 2-(diethylamino)ethyl ester, dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

L7 ANSWER 306 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1962:38506 CAPLUS

DOCUMENT NUMBER: 56:38506

ORIGINAL REFERENCE NO.: 56:7319g-i,7320a-d

TITLE: The preparation of 4-substituted 5-arylpyrimidines

AUTHOR(S): Tsatsaronis, Georgios; Effenberger, Franz

CORPORATE SOURCE: Tech. Hochschule, Stuttgart, Germany

SOURCE: Chemische Berichte (1961), 94, 2876-81

CODEN: CHBEAM; ISSN: 0009-2940

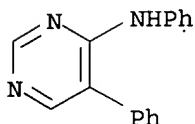
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB Arylacetonitriles heated with $\text{CH}(\text{NHCHO})_3$ (I) give good yields of 4-amino-5-arylpyrimidines. 4-Substituted-5-arylpyrimidines are obtained from the corresponding 4-pyrimidones via the 4-Cl compds. I (29 g.), 25 g. HCONH_2 (II), and 2.5 g. $p\text{-MeC}_6\text{H}_4\text{SO}_3\text{H}$ heated 7 hrs. with stirring with 11.7 g. PhCH_2CN at 170° , poured into aq. K_2CO_3 , and extd. 16 hrs. with CHCl_3 gave 10.4 g. 4-amino-5-phenylpyrimidine (III), m. 157.5° . (EtOAc). $p\text{-O}_2\text{NC}_6\text{H}_4\text{CH}_2\text{CN}$ (16.2 g.), 29 g. I, and 30 cc. II heated 6 hrs. with stirring at 160° , dild. with H_2O , acidified with 8% HCl , decolorized with C, filtered, and basified with dil. aq. NaOH gave 13 g. 5-($p\text{-O}_2\text{NC}_6\text{H}_4$) analog (IV) of III, yellow needles, m. $246-7^\circ$. (EtOH). 4-Chloro-5-($m\text{-nitrophenyl}$)pyrimidine (V) (2.35 g.) and 20 cc. alc. NH_3 heated 2 hrs. at 185° in a sealed tube and evapd. yielded 1 g. IV, m. 245° . (EtOH). $m\text{-O}_2\text{NC}_6\text{H}_4\text{CH}_2\text{CN}$ (16.2 g.), 29 g. I, and 30 cc. II heated 7 hrs. at 170° gave 10.2 g. $m\text{-isomer}$ of IV, yellow needles, m. 196° . $p\text{-H}_2\text{NC}_6\text{H}_4\text{CH}_2\text{CN}$ (13.2 g.), 29 g. I, and 30 cc. II gave 10.5 g. 5-($p\text{-OHCNHC}_6\text{H}_4$) analog (VI) of III, m. $245-6^\circ$. (H_2O). 5-($p\text{-H}_2\text{NC}_6\text{H}_4$) analog (VII) of III (0.25 g.) and 2 cc. II heated 3 hrs. at 180° , cooled, and filtered gave 0.13 g. VI, m. 244° . $p\text{-O}_2\text{NC}_6\text{H}_4\text{CH}_2\text{CN}$ (18 g.), 29 g. I, and 30 cc. II refluxed 6 hrs. with stirring, cooled, dild. with H_2O , treated to soln. with dil. aq. NaOH , decolorized with C, filtered, and acidified with CO_2 gave 12 g. 5-($p\text{-nitrophenyl}$)-4-pyrimidone (VIII), yellowish needles, m. 337° . (decompn.). IV (4.35 g.), 4.4 g. Sn , and 80 cc. EtOH treated dropwise with stirring with 30 cc. HCl below 25° , stirred 2 hrs. at 50° , dild. with H_2O to soln., stirred again at 50° , and evapd., and the residue treated with excess aq. NaOH and filtered gave 3.4 g. VII, m. 210° . (H_2O). VI (1 g.), 20 cc. HCl , and 20 cc. H_2O refluxed 2 hrs., basified with aq. NaOH , cooled, and filtered yielded 0.4 g. VII, m. 209° . VIII (11 g.) and 40 cc. POCl_3 refluxed 1 hr. and evapd., and the residue stirred with crushed ice and filtered gave 9.5 g. V, m. 170° . (EtOH). 4-Chloro-5-phenylpyrimidine (IX) (1.9 g.) and 1.9 g. PhNH_2 refluxed 1 hr., dild. with H_2O , and filtered gave 1.6 g. 4- PhNH analog of IX, m. $111-12^\circ$. (MeOH). IX (1.9 g.) and 5 cc. 20% alc. MeNH_2 gave 1.6 g. 4- MeNH analog of IX, m. 105° . (petr. ether). IX (3.8 g.) and 15 cc. $\text{N}_2\text{H}_4 \cdot \text{H}_2\text{O}$ warmed with swirling a few min., cooled, and filtered yielded 3.15 g. 4- H_2NNH analog (X) of IX, m. 145° . (MeOH). V (2.35 g.) and 20 cc. 20% alc. MeNH_2 heated 2 hrs. at

180.degree. in a sealed tube and evapd., and the residue extd. with CHCl_3 yielded 1.4 g. 4-MeNH analog of V, yellow needles, m. 208-9.degree. (dioxane-petr. ether). V (2.4 g.) and 25 cc. $\text{N}_2\text{H}_4 \cdot \text{H}_2\text{O}$ warmed until the mixt. solidified, cooled, and filtered yielded 1.8 g. 4-H₂NNH analog of V, yellow needles, m. 206.degree. (MeOH). X (1.86 g.) and 2 cc. Ac_2CH_2 refluxed 2 hrs., concd., and refrigerated 24 hrs. yielded 1.55 g. 5-phenyl-4-(3,5-dimethylpyrazolyl)pyrimidine, m. 83-4.degree. (petr. ether).

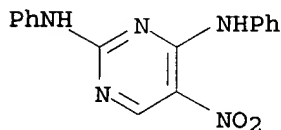
IT 76945-12-5, Pyrimidine, 4-anilino-5-phenyl-
(prepn. of)
RN 76945-12-5 CAPLUS
CN 4-Pyrimidinamine, N,5-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 307 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1962:2399 CAPLUS
DOCUMENT NUMBER: 56:2399
ORIGINAL REFERENCE NO.: 56:470c-i,471a-e
TITLE: Synthesis of 9-substituted purine derivatives. I. 2,9-, 2,6,9-, and 6,9-substituted purines
AUTHOR(S): Goldner, H.; Carstens, E.
CORPORATE SOURCE: VEB Chem. Werke, Radebeul, Germany
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1961), 12, 242-52
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB $\text{N}:\text{CCl}:\text{N}:\text{CCl}:\text{C}(\text{NO}_2):\text{CH}$ (4.85 g.) in 20 ml. EtOH added dropwise slowly to 100 ml. aq. MeNH₂ (contg. 0.15-0.2 mole MeNH₂) with stirring and cooling with cold H₂O, the mixt. stirred 0.5 hr. at room temp., and refrigerated for some time gave 92% $\text{N}:\text{C}(\text{NHR})\text{N}:\text{C}(\text{NHR})\text{C}(\text{NO}_2):\text{CH}$ (I) (R = Me), m. 251-3.degree. (EtOH). Similarly were prepd. the following I (R, % yield, and m.p. given): Et, 71, 171-2.degree.; Pr, 95, 121-2.degree.; iso-Pr, 92, 160-1.degree.; Bu, 96, 129-31.degree.; iso-Bu, 90, 137-8.degree.; undecyl, 81, 104-5.degree.; Ph, 99, 202-3.degree.; benzyl, 97, 176-8.degree.; cyclohexyl, 98, 165.5-6.5.degree.. $\text{N}:\text{CH}:\text{N}:\text{CCl}:\text{C}(\text{NO}_2):\text{CCl}$ (4.85 g.) in 100 ml. EtOH added dropwise slowly to 6.2 g. PrNH₂ in 25 ml. EtOH with stirring, refluxed 45 min., refrigerated, and dild. with H₂O gave 93% $\text{N}:\text{CH}:\text{N}:\text{C}(\text{NHR})\text{C}(\text{NO}_2):\text{CNHR}$ (II) (R = Pr), m. 62-3.degree. (1:1 EtOH-H₂O). The following II were prepd. (R, % yield, and m.p. given): Me, 88, 193-4.5.degree.; Et, 78, 83-4.degree.; iso-Pr, 85.5, 127-8.degree.; Bu, 57, 49-50.5.degree.; iso-Bu, 55, 77-8.degree.; undecyl, 67, 59-61.degree.; Ph, 95, 168-9.degree.; benzyl, 97, 115-16.degree.; cyclohexyl, 90, 136-7.degree.; $\text{CH}_2\text{CH}_2\text{OH}$, 91.5, 168-9.degree.. 6-Me deriv. of I (5.2 g.) in 50 ml. EtOH added dropwise to 10 g. PhNH₂ in 200 ml. EtOH with stirring, refluxed 45 min., and cooled gave 95-100% $\text{N}:\text{C}(\text{NHR})\text{N}:\text{C}(\text{NHR})\text{C}(\text{NO}_2):\text{CMe}$ (III) (R = Ph), m. 144-5.degree. (EtOH). The following III were prepd. (R, % yield, and m.p. given): Me, 92, 227.5-8.5.degree.; Et, 80, 124-5.degree.; Pr, 85, 98-9.degree.; iso-Pr, 95, 75-6.5.degree.; Bu, 74, 93.5-4.0.degree.; iso-Bu, 91, 86-8.degree.; undecyl, 92, 75.degree.; benzyl, 95, 118-19.degree.; cyclohexyl, 87, 118-19.5.degree.. I (R = Bu) (13.4 g.) in 400 ml. MeOH hydrogenated over a small amt. of Raney Ni, after H absorption stopped the catalyst filtered off under N, the filtrate concd. somewhat in vacuo at 60.degree., and

treated with 200 ml. 5% H₂SO₄ gave 86% N:C(NHR).N:C(NHR).C(NH₂):CH (IV) (R = Bu) sulfate, m. 208-9.5.degree. (5% H₂SO₄ with C). The following IV sulfates were prepd. (R, % yield, and m.p. given): Et, 44.6, 210-12.degree.; Pr, 85.5, 210-12.degree.; iso-Pr, 75, 207.5-9.0.degree.; iso-Bu, 90, 210-11.5.degree.; Ph, 84, - (free amine m. 164-6.degree.); benzyl, 90, - (free amine m. 128-30.degree.); cyclohexyl, 95.5, 234-5.degree.. II (R = benzyl) (8.4 g.) in 500 ml. MeOH hydrogenated over a small amt. of Raney Ni, filtered, the filtrate concd. in vacuo, and dild. with H₂O gave 84% N:CH.N:C(NHR).C(NH₂):CNHR (V) (R = benzyl) m. 182-4.degree. (40% EtOH). The following V were prepd. (R, % yield, and m.p. given): Et, 58.5, 210-11.degree.; Pr, 84, 208-9.degree.; iso-Pr, 88, 235.5-6.5.degree.; Bu, 92, 155.5-6.5.degree.; iso-Bu, 93.5, 188.5-9.5.degree.; Ph, 96, 227.5-8.0.degree.; cyclohexyl, 88.5, 296-7.degree.. Similarly were prepd. the following N:C(NHR).N:C(NHR).C(NH₂):CMe (VI) sulfates (R, % yield, and m.p. given): Me, 47, 218-20.degree.; Et, 52, 180-2.5.degree.; Pr, 66, 193.5-5.5.degree., iso-Pr, 71, 189-90.degree.; Bu, 93, 194.5-5.5.degree.; iso-Bu, 82, 203-5.degree.; Ph, 97, above 300.degree. (decompn.); benzyl, 86, 210-12.degree.; cyclohexyl, 85, 346-7.degree.. VI (R = Ph) sulfate (8.8 g.) suspended in 88 ml. HCONH₂ (VII) gently refluxed 20-30 min., cooled, dild. with 40 ml. H₂O, and adjusted with aq. NH₃ to pH 7-8 (addnl. purine was obtained by concg. the filtrate) gave 94% MeC:N.C(NHR):N.C.:C.N:CH.NR (VIII) (R = Ph), m. 263-4.degree. (dioxane); cyclization of the free VI gave the same VIII. Similarly were prepd. the following VIII (R, % yield, and m.p. given): Me, 72, 162-3.5.degree.; Et, 84, - (HCl salt m. 230-2.degree.); iso-Bu, 91, 125-6.degree. benzyl, 90, 138-9.5.degree.; cyclohexyl, 81.5, 225-7.degree.. IV (R = Pr) sulfate (3.6 g.) in 36 ml. VII gently boiled 20-30 min. and cooled (addnl. purine was obtained by concg. the filtrate) gave 70.2% CH:N.C.(NHR):N.C.:C.N:CH.NR (IX) (R = Pr), m. 84-5.5.degree. (1:5 EtOH-H₂O); cyclization of the formyl derivs. of the IV gave the same IX. The following IX were prepd. (R, % yield, and m.p. given): Bu, 75, 64-5.degree.; iso-Bu, 72, 105-5.5.degree.; undecyl, 90, 63-4.degree.; Ph, 85, 215-16.degree.; benzyl, 84, 169-70.degree.; cyclohexyl, 87, 122-4.degree.. V (R = iso-Bu) (8 g.) in 80 ml. VII boiled gently 20 min., the VII distd. in vacuo, and the residual oil treated with dil. HCl gave 87% RHNC:N.CH:N.C:C.N:CH.NR (X) (R = iso-Bu) HCl salt, m. 219-21.degree. (dil. HCl). The following X were prepd. (R, % yield, and m.p. given): Me, 49, 193.5-5.0.degree.; Pr, 67, 64-5.degree.; Bu, 85, - (HCl salt m. 184-6.degree.); Ph, 90-5, 171-2.degree.; benzyl, 90, 170-70.5.degree.; cyclohexyl, 75, 68-70.degree.. II (R = CH₂CH₂OH) (15 g.) in 400 ml. MeOH hydrogenated over Raney Ni, the filtered soln. evapd. in vacuo, the residual dry crude amine refluxed gently 3 hrs. with 35 ml. HC(OEt)₃ and 35 ml. Ac₂O, cooled, the soln. evapd. in vacuo, the residue dissolved in H₂O with aq. NH₃, and the soln. evapd. to dryness on a steam bath gave 52% X(R = CH₂CH₂OH), m. 162-3.degree. (EtOH with C).

IT 92872-53-2, Pyrimidine, 2,4-dianilino-5-nitro-
(prepn. of)
RN 92872-53-2 CAPLUS
CN Pyrimidine, 2,4-dianilino-5-nitro- (6CI, 7CI) (CA INDEX NAME)



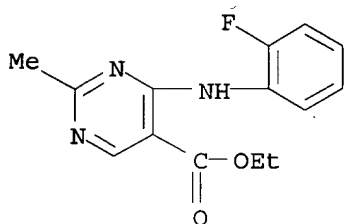
ORIGINAL REFERENCE NO.: 55:9418f-i,9419a-i,9420a-d
 TITLE: Synthesis of some 2,4,5-trisubstituted
pyrimidines
 AUTHOR(S): Peters, Earl; Minnemeyer, Harry J.; Spears, Alexander
 W.; Tieckelmann, Howard
 CORPORATE SOURCE: Univ. of Buffalo, Buffalo, NY
 SOURCE: Journal of Organic Chemistry (1960), 25, 2137-42
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AB Condensation of acetamide (I) and S-alkylthioureas with di-Et
 ethoxymethylenemalonate (II) and di-Et formylsuccinate (III) by known
 methods gave 2-Me- and 2-alkylthio-5-substituted 4-**pyrimidones**.
 The 4-**pyrimidones** were converted to the corresponding
 4-alkylthio- and 4-(substituted-amino)**pyrimidines** through the
 intermediate 4-chloropyrimidines. Several **pyrimidines** were
 converted to 2-hydrazinopyrimidines, 5-**pyrimidinecarboxylic acid**
 hydrazides, or 5-hydroxypyrimidines (IV) were prepd. by treatment of 10 g.
 2 methylthio-4-chloro-5-carbethoxypyrimidine (V) with 1 or 2 equivs.
 amine. The products were isolated by one of the following methods. (A.)
 The mixt. was poured into 250 ml. 5% HCl, dild. with H₂O, and the pptd.
 product washed with H₂O. (B.) The mixt. was poured into 800-900 ml. H₂O,
 refrigerated several hrs., and the solid washed. (C.) The mixt. was
 poured into 800-900 ml. H₂O, extd. with Et₂O, the exts. washed, dried, and
 the residual solid collected by evapn. of the solvent. (D.) Solvent alc.
 was removed at room temp., H₂O or ice added to the residual oil or solid,
 and the product worked up by method B. The following IV were thus
 obtained (4-substituent, method of isolation, % yield, and m.p. given):
 MeNH, -(prepd. by treatment of V in aq. MeNH₂ and alc. and pptn. of the
 product), 93, 93-4.degree.; CH₂:CHCH₂NH, D, 95, 44-5.degree.; tert-BuNH,
 B, 90, 63-4.degree.; morpholino, B, 90, 83-4.degree.; piperidino, B, 93,
 64-5.degree.; furfurylamino, C, -, 56-7.degree.; PhCH₂NH, B, -,
 68-9.degree.; c-ClC₆H₄CH₂NH, A, 86, 86-7.degree.; 2,4-Cl₂C₆H₃CH₂NH, A, 85,
 98-100.degree.; HOCH₂CH₂NH, B, 92, 158-60.degree.; (EtO₂C)₂CHNH, B, 90,
 64-6.degree.; MePhN, B, 83, 74-5.degree.; EtPhN, B, 79, 96-7.degree.;
 o-H₂NC₆H₄NH, -, 80, 123-4.degree.; PhCH₂CH₂NH, D, 88, 45-9.degree.;
 o-CF₃C₆H₄NH, A, 90, 103-4.degree.; m-CF₃C₆H₄NH, A, 90, 97-8.degree.;
 Me₂NNMe, B, 95, 92-3.degree.. V (10 g.) in 50 ml. Me₂CO and 10 g. Na salt
 of taurine shaken 12 hrs. at room temp., evapd., the residue in 75 ml. H₂O
 acidified, and the mixt. cooled pptd. 5.2 g. 2-methylthio-4-(.beta.-
 sulfoethylamino)-5-**pyrimidinecarboxylic acid**-2H₂O, m.
 299-300.degree. (H₂O). An alkanethiol (60 millimoles) was added to 12 g.
 Na₂CO₃ in 120 ml. H₂O, this mixt. added to 13.9 g. V in 210 ml. alc.,
 after 2 min. the mixt. heated to boiling, after removal of the alc. dild.
 to 600 ml. with H₂O, the salts dissolved, and the oil sepd. An exception
 was the 4-methylthiopyrimidine, which was pptd. After drying and removal
 of Et₂O the yields of crude material were above 90%. The oils were
 purified by distn. The 4-(tert-butylthio)**pyrimidine** could not
 be sepd. from V by this method. Instead, the crude oil before Et₂O extn.
 was washed with H₂O then dissolved in 200 ml. alc. and 8 ml. 40% aq.
 MeNH₂; thus, V was converted to the acid sol. 2-methylthio-4-methylamino-5-
 carbethoxypyrimidine. After 0.5 hr., 100 ml 5% HCl was added, the vol.
 brought up to 1 l., the oil dissolved in Et₂O, the soln. washed, and the
 product isolated as above. The following 2-methylthio-4-alkylthio-5-
 carbethoxypyrimidines were thus obtained (4-substituent and m.p. or
 b.p./mm. given): MeS, 86-8.degree.; EtS, 168.degree./0.8; PrS,
 187.degree./2.5; iso-PrS, 161.degree./0.8; BuS, 177.degree./1.0; tert-BuS,
 153.degree./0.4. 2-Methyl-4-hydroxy-5-carbethoxypyrimidine treated with
 POCl₃ at about 80.degree., the POCl₃ removed at 70.degree. in vacuo, the
 residue in CHCl₃ treated with aq. K₂CO₃, dried, and the residue distd.
 gave 65% 2-methyl-4-chloro-5-carbethoxypyrimidine (VI), b1 100.degree..
 VI (1-7 g.) in Me₂CO (10 ml./g. VI) treated with twice the calcd. amt. of
 amine in Me₂CO (when the reaction was slow a few drops of HCl added),

after standing 2-10 hrs. the mixt. poured into H₂O, the mixt. refrigerated a few hrs., the product collected, washed, and recrystd. gave 60-90% 2-methyl-4-(substituted-amino)-5-carbethoxypyrimidines (VII). The following VII were thus obtained (4-substituent and m.p. given): PhNH, 85-6.degree.; o-ClC₆H₄NH, 98-9.degree.; o-BrC₆H₄NH, 110-11.degree.; o-FC₆H₄NH, 92-3.degree.; o-IC₆H₄NH, 97-8.degree.; o-MeC₆H₄NH, 92-3.degree.; 2,6-Me₂C₆H₃NH, 96-7.degree.; PhCH₂NH, 70-1.degree.; furfurylamino, 58-60.degree.. 2-Benzylthio-4-chloro-5-carbethoxypyrimidine (VIII) was prepd. by the procedure for V in 63% over-all yield, b₁ 206.degree.. 2-Benzylthio-4-anilino-(IX) and 2-benzylthio-4-(o-chloroanilino)-5-carbethoxypyrimidine (X) were prepd. by treatment of PhNH₂ and o-ClC₆H₄NH₂, resp., with distd. VIII. Alc.-Me₂CO was used as solvent and the remainder of the procedure followed method B. Yields were >90%. Recrystn. gave IX, m. 76-7.degree. and 91-2.degree.. 2,4-Dichloropyrimidine (XI) (2.21 g.) in 25 ml. alc. cooled to 0.degree., treated during 0.5 hr. with an equimolar amt. of an aniline in 25 ml. alc., the mixt. allowed to warm to room temp., poured into a large excess of H₂O, the product washed, and dried yielded 60-80% of the following compds.: 2-chloro-4-(o-chloroanilino)-5-carbethoxypyrimidine (XII), m. 142-3.degree.; 2-chloro-4-(o-bromoanilino)-5-carbethoxypyrimidine, m. 154-5.degree.; 2-chloro-4-(o-iodoanilino)-5-carbethoxypyrimidine, m. 158-9.degree.. In attempts to prep. the 4-anilino- and the 4-(o-fluoroanilino)pyrimidines, the above method yielded products contaminated with 2,4-diarylamino-pyrimidines. XI treated with 2 equivs. PhNH₂ at room temp. gave 86% 2,4-dianilino-5-carbethoxypyrimidine, m. 187-8.degree. (alc.-H₂O). XII with methanethiol gave 2-methylthio-4-(o-chloroanilino)-5-carbethoxypyrimidine. 2-Methyl-(XIII) and 2-methylthio-4-(substituted-amino)-5-hydroxymethylpyrimidines (XIV) were obtained by redn. with LiAlH₄ in Et₂O or tetrahydrofuran. The crude XIII or XIV were sometimes contaminated by sizable amts. of starting material and some product lost by recrystn. Yields of pure products were 30-55%. The following XIII and XIV were thus obtained (2, and 4-substituents, m.p., and recrystn. solvent given): Me, PhNH, 132-3.degree., alc.-H₂O; Me, o-ClC₆H₄NH, 143-4.degree., EtOAc; MeS, p-ClC₆H₄NH, 200-1.degree., alc.-H₂O; MeS, p-BrC₆H₄NH, 202-4.degree., alc.-H₂O; MeS, o-ClC₆H₄NH, 138-40.degree., EtOAc; MeS, o-BrC₆H₄NH, 143-5.degree., EtOAc; MeS, furfurylamino, 149-50.degree., alc.-H₂O. Et 2-methylthio-4-hydroxy-5-pyrimidineacetate (XV), m. 188-9.degree., (20 g.) and 60 ml. POCl₃ left 1.5 hrs. at room temp. gave Et 2-methylthio-4-chloro-5-pyrimidineacetate (XVI), distd. at 148-9.degree./1 mm. A more satisfactory procedure was to add H₂O, chill the mixt., dry the pptd. product, and distil to give 17.1 g. XVI, m. 38-9.degree. (alc.-H₂O). XVI (0.5 g.), 0.2 g. PhNH₂, and 3 drops 2% HCl in 15 ml. Me₂CO refluxed 1 hr., the solvent evapd., and the residue triturated with H₂O gave crude product, which crystd. gave 0.4 g. Et 2-methylthio-4-anilino-5-pyrimidineacetate, plates, m. 100-1.degree. (alc.). XVI (2 g.) in 25 ml. concd. NH₄OH refluxed 12 hrs., the solvent removed, and the residue triturated gave 1.5 g. 2-methylthio-4-chloro-5-pyrimidineacetamide, m. 168-70.degree. (alc.). XV (10 g.) and 2.2 g. N₂H₄ in 350 ml. alc. refluxed 2 hrs. and left overnight gave 6 g. 2-methylthio-4-hydroxy-5-pyrimidineacetic acid hydrazide, m. 209-10.degree. (decompn.) (85% alc.). 2-Methylsulfonyl-4-amino-5-carbethoxypyrimidine (XVII), obtained in 5.7-g. yield by treating 5 g. corresponding IV in 250 ml. 5% HCl at 0-2.degree. with Cl 20 min., treating the mixt. with NaHSO₃, filtering, washing the ppt., and crystg., 163-4.degree. (alc.). Crude XVII (from 5 g. IV) triturated under 150 ml. concd. NH₄OH and left 1 hr. gave 3.5 g. 2,4-diamino-5-carbethoxypyrimidine, m. 206.degree. (alc.). 2-Methylthio-4-(o-chloroanilino)-5-carbethoxypyrimidine (10 g.) in 30 ml. alc. and 10 ml. N₂H₄ heated 15 min. on the steam bath gave 7.1 g. 2-hydrazino-4-(o-chloroanilino)-5-carbethoxypyrimidine, m. 180-2.degree. (alc.). 2-Methylthio-4-hydroxy-5-carbethoxypyrimidine (10 g.) in 500 ml. alc. and 3 ml. N₂H₄ refluxed 3 hrs., left overnight at room temp., the

solvent removed, and the residue crystd. gave 6.1 g. 2-hydrazino-4-hydroxy-5-carbethoxypyrimidine, m. 237.degree. (gradual decompn.) (alc.-H2O). 2-Hydrazino-4-amino-5-pyrimidinecarboxylic acid hydrazide was obtained in 85% yield from the corresponding IV by heating 1 hr. on the steam bath with 30 ml. H2O and 15 ml. N2H4, m. 247-8.degree. (alc.-H2O). Less of the desired material and more of the C6H6 sol. product, probably 2-hydrazino-4-amino-5-carbethoxypyrimidine, was formed when the period of heating was shortened.

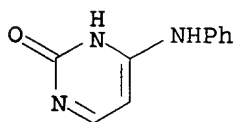
IT 1513-09-3, 5-Pyrimidinecarboxylic acid,
4-o-fluoroanilino-2-methyl-, ethyl ester
(prepn. of)
RN 1513-09-3 CAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-(o-fluoroanilino)-2-methyl-, ethyl ester
(6CI, 8CI) (CA INDEX NAME)



L7 ANSWER 309 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1961:48705 CAPLUS
DOCUMENT NUMBER: 55:48705
ORIGINAL REFERENCE NO.: 55:9416f-i,9417a-e
TITLE: Exchange amination. Alkyl- and arylaminopyrimidines and purines
AUTHOR(S): Whitehead, Calvert W.; Traverso, John J.
CORPORATE SOURCE: Lilly Research Labs., Indianapolis, IN
SOURCE: Journal of the American Chemical Society (1960), 82, 3971-4
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Pyrimidines and purines having primary amino or imino groups on a C adjacent to an endocyclic N reacted with alkyl- and arylamines in the presence of an acid catalyst (the amine hydrochloride) to yield the substituted N-deriv. Thus, a mixt. of 0.1 mole 6-amino-2,4-dimethylpyrimidine-HCl and a 10% molar excess of the appropriate amine was sealed in a glass tube. This was heated 20 hrs. at 170.degree.. The cooled contents of the tube were poured into dil. NH4OH and the product was extd. with Et2O, dried (MgSO4), and distd. under reduced pressure. In some cases, the products were recrystd. from a mixt. of AcOEt and petr. ether. The 2,4-dimethyl-6-(substituted-amino)pyrimidines prepd. were (amino substituent and m.p. given): Bu, 108.degree.; Ph, 102.degree.; n-hexyl, 135.degree.; PhCH2, 78-81.degree. (methiodide m. 190-2.degree.); n-heptyl, 165.degree. (methiodide m. 70-2.degree.); 3,4-dimethylphenethyl, 200.degree.. 2-Amino-4,5-dimethylpyridine (0.1 mole) was mixed with 0.1 mole PhCH2NH2.HCl (I) and heated 5 hrs. at 160.degree.. The cooled residue was triturated with H2O and the solid collected and recrystd. from EtOH to yield 2-benzylamino-4,6-dimethylpyrimidine, m. 107.degree.. 4-Alkyl- and 4-arylino-2-hydroxypyrimidines were prepd. in the following manner. A mixt. of cytosine (0.077 mole), the appropriate amine-HCl (0.077 mole), and enough of the free amine to wet the solids was heated in an oil bath 6 hrs. at 165.degree.. The solid residue was dissolved in dil. EtOH, clarified with C, concd., and allowed to crystallize to yield the following 4-arylino-2-hydroxypyrimidines (aryl group and m.p.

given): Ph, 266.degree.; PhCH₂, 217-18.degree.; Ph(CH₂)₂, 182-5.degree.. The following 4-substituted-amino-6-hydroxypyrimidines were prep'd. in similar fashion from 0.1 mole 4-amino-6-hydroxypyrimidine (II) and 0.1 mole appropriate amine-HCl (same data given): Ph, 245-50.degree.; PhCH₂, 230-4.degree.; and 4-MeC₆H₄CH₂, 210-20.degree.. 6-Hydroxy-2-methyl-4-(substituted-amino)pyrimidines (IIa) were prep'd. by heating a mixt. of 4-amino-6-hydroxy-2-methylpyrimidine (0.1 mole), the appropriate amine-HCl (0.1 mole), and the free amine (10 ml.) for 0.5-1 hr.; the mass melted and then became solid. H₂O was added, the mixt. stirred, and the solid collected and recrystd. from EtOH. The following IIa were prep'd. (substituent and m.p. given): Ph, 270.degree.; PhCH₂, 225-7.degree.; Ph(CH₂)₂, 224.degree.. 4,6-Bis(benzylamino)pyrimidine (III), m. 236-7.degree., was prep'd. by heating (170.degree., 2 hrs.) a mixt. of 0.018 mole 4-amino-6-(n-octylamino)pyrimidine, 0.018 mole I, and a few drops of the free amine. The cooled residue was washed with Et₂O and then with EtOH to yield an insol. solid (1 g.), which was recrystd. to give III. 1,3-Dimethyl-6-(substituted-amino)uracils were prep'd. from 0.1 mole 1,3-dimethyl-6-aminouracil, 0.01 mole appropriate amine hydrochloride, and 15 ml. free amine by heating (145.degree., 3 hrs.), adding H₂O to the cooled mixt., and crystg. the products from AcOEt (furfuryl, m. 190-1.degree.; PhCH₂, m. 143-4.degree.; n-heptyl, m. 85-6.degree.). 6-Benzylaminopurine (IV), m. 225.degree., was prep'd. by heating (165-70.degree., 8 hrs.) 2.5 g. adenine (V), 2.5 g. I, and 5 g. free amine. The mixt. was extd. with warm EtOH, unreacted V filtered off, the filtrate clarified with C, and the soln. concd. and cooled to yield IV. In similar fashion were prep'd. 6-(furfurylamino)purine, m. 266.degree., and 6-(phenylamino)purine, m. 278.degree.. In the absence of an acid catalyst, 20 g. n-C₇H₁₅NH₂ was heated with 10 g. II 4 days at 140.degree.. The cooled mixt. was extd. with AcOEt. II (6 g.) remained undissolved. The filtrate was dild. with Et₂O to ppt. 3 g. of solid, which (on recrystn. from EtOAc) yielded 1,3-di-n-heptylurea, m. 88-9.degree.. Similarly, benzylamine and II yielded a product, m. 127-30.degree., whose infrared and ultraviolet absorption suggested the structure 1(or 3)-benzyl-4-benzylamino-6-oxo-1,6-dihydropyrimidine. Ultraviolet absorption of the parent primary pyrimidylamines was detd. in neutral, acid, and basic alc. solns. In addn., most of the pyrimidines were titrated and the pK_a' values detd. in 66% HCONMe₂.

IT 29840-44-6, Cytosine, N-phenyl-
(prepn. of)
RN 29840-44-6 CAPLUS
CN 2(1H)-Pyrimidinone, 4-(phenylamino)- (9CI) (CA INDEX NAME)



L7 ANSWER 310 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1961:17956 CAPLUS
DOCUMENT NUMBER: 55:17956
ORIGINAL REFERENCE NO.: 55:3597g-i, 3598a-b
TITLE: Synthesis of diethyl 1,4-dihydroxy-5,8-dialkoxypyhenazine-2,3-dicarboxylates
AUTHOR(S): Kawai, Sinichi; Torigoe, Masao; Fujiki, Shun; Shibata, Kiyoko; Otaki, Atsuko; Sakakibara, Yoshiaki; Oguchi, Shoshichi
CORPORATE SOURCE: Tokyo Kyoiku Univ.
SOURCE: Nippon Kagaku Zasshi (1959), 80, 788-91

CODEN: NPKZAZ; ISSN: 0369-5387

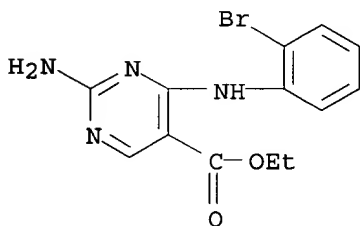
DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

- AB Hydroquinone (I) (230 g.), 569 g. PrBr, 2.1 l. dry acetone, and 750 g. K₂CO₃ refluxed 50 hrs., the solvent removed, 4 l. H₂O added, and the mixt. extd. with ether gave 271 g. hydroquinone dipropyl ether (II), m. 50.5.degree. (on evaporation of ether). Nitration (with HNO₃ in AcOH) of 24 g. hydroquinone diethyl ether (III) yielded 28.6 g. crude nitro compd. (m. 176-7.degree.); mechanical sepn. of the crystals gave the dinitro compd. (IV) of III, m. 141.5.degree.. Similarly, II gave the dinitro compd. (V) of II, m. 69.0-9.5.degree.. IV shaken 50 min. with H in MeOH over Raney Ni (in an autoclave, under 43 kg./sq. cm.) at 130-140.degree. gave 20 g. 2,3-diaminohydroquinone diethyl ether (VI), m. 57.0-7.5.degree.. VI (1 g.) was converted to the tetraacetate (VII), m. 139.5-46.degree., by refluxing it with Ac₂O. VII refluxed 20 min. with KOH in 95% EtOH gave the diacetate (VIII) of VI, m. 178-9.degree.. V (reduced with SnCl₂ or Sn-HCl) gave 38% 2,3-diaminohydroquinone dipropyl ether (IX), m. 27-8.degree., b₈ 176-8.degree.. VI was condensed with dihydroxytartaric acid-Na to give 91% 5,8-diethoxyquinoxaline-2,3-dicarboxylic acid (IX), m. 195-6.degree. (decompn.). IX was converted to the diethyl ester (X), m. 91-1.5.degree., according to the procedure of Adachi (CA 51, 17936b). IX condensed with dihydroxytartaric acid gave 92% 5,8-dipropoxyquinoxaline-2,3-dicarboxylic acid (XI), m. 157.degree. (decompn.), which was converted to the diethyl ester (XII), m. 68.5-69.degree., by the usual method. Diethyl quinoxaline-2,3-dicarboxylate (8.2 g.), 5.2 g. diethyl succinate, 15 cc. xylene, and 1.5 g. finely powdered Na heated at 150-160.degree. (5 hrs.) yielded diethyl 1,4-dihydroxyphenazine-2,3-dicarboxylate, m. 165-7.degree.. Similar runs with diethyl 1,4-dihydroxy-5,8-dimethoxyphenazine-2,3-dicarboxylate, X, and XI gave diethyl 1,4-dihydroxy-5,8-dimethoxyphenazine-2,3-dicarboxylate, m. 181.5.degree., ethyl 1,4-dihydroxy-5,8-diethoxyphenazine-2,3-dicarboxylate, m. 157.degree., and diethyl 1,4-dihydroxy-5,8-dipropoxyphenazine-2,3-dicarboxylate, m. 122.degree., resp.
- IT 100711-98-6, 5-Pyrimidinecarboxylic acid, 2-amino-4-o-bromoanilino-, ethyl ester (prepn. of)
- RN 100711-98-6 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 2-amino-4-o-bromoanilino-, ethyl ester (6CI) (CA INDEX NAME)



L7 ANSWER 311 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1961:17955 CAPLUS

DOCUMENT NUMBER: 55:17955

ORIGINAL REFERENCE NO.: 55:3596h-i,3597a-g

TITLE: Synthesis of some derivatives of methioprim and related pyrimidines

AUTHOR(S): Nairn, J. Graham; Tieckelmann, Howard

CORPORATE SOURCE: Univ. of Buffalo, Buffalo, NY

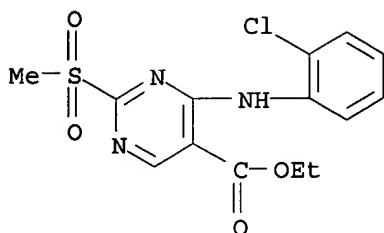
SOURCE: Journal of Organic Chemistry (1960), 25, 1127-30

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

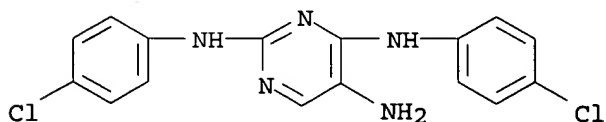
- AB The unusual antimetabolite activity (Guthrie, et al., CA 51, 12208i) of methioprim, $\text{N:C(SMe).N:C(NHR).C(CH}_2\text{OR')}: \text{CH}$ (I) ($\text{R} = \text{R}' = \text{H}$) (II), led to a search for related, more potent compds. for exptl. cancer chemotherapy. Ac_2O (3 ml.) added to 1.0 g. II in 100 ml. EtOAc, the soln. refluxed 2 hrs., evapd. in vacuo, and the residue recrystd. from PhMe with Norit gave 94% I ($\text{R} = \text{H}$, $\text{R}' = \text{Ac}$) (III), m. 137-8.degree.. Similarly were prepd. the following esters of II [$\text{I}(\text{R} = \text{H})$ in all cases] (R' , % yield, and m.p. given): EtCO, 64, 159-61.degree.; BuCO, 47, 110-11.degree.; $\text{HO}_2\text{C(CH}_2)_2\text{CO}$, 47, 184-7.degree.; Bz, 84, 186-7.degree.. By Bretschneider's method (CA 42, 3360a; 45, 579c) was prepd. 69% III.HCl, m. 155-9.degree. (MeOH-EtOAc), converted with 10% aq. NH_3 to III, m. 134-7.degree.. Ac_2O (150 ml.) added to 5.0 g. II in 500 ml. EtOAc, the soln. refluxed 15 hrs., and evapd. in vacuo gave 4.3 g. I ($\text{R} = \text{R}' = \text{Ac}$), m. 141-2.degree. (CCl_4). $(\text{EtCO})_2\text{O}$ (8 ml.) added to 1.7 g. II in 50 ml. EtOAc, the soln. refluxed 15 hrs., evapd. in vacuo, the residue mixed with MeOH, and the MeOH removed gave 1.85 g. I ($\text{R} = \text{R}' = \text{EtCO}$), m. 93-4.degree. (EtOH-H₂O). $(\text{PrCO})_2\text{O}$ (20 ml.) refluxed 22 hrs. with 1.7 g. II in 40 ml. EtOAc, the soln. evapd. in vacuo, the residual oil treated with EtOH, the soln. evapd. in vacuo, this procedure repeated twice with C₆H₆, the residual oil allowed to stand, the resulting solid washed with 3% aq. HCl, and recrystd. from EtOH-H₂O gave 0.95 g. I ($\text{R} = \text{R}' = \text{PrCO}$), m. 88-9.degree. (ligroine-Et₂O). III (5 g.) in 300 ml. 1% aq. HCl treated rapidly 10 min. with Cl at 1-2.degree., treated with 7 g. NaHSO₃ with stirring below 5.degree., the mixt. stirred 5 min., the ppt. filtered off, washed with ice cold H₂O, and dried in vacuo at room temp. gave 3.1 g. $\text{N:C(SO}_2\text{Me).N:CR.CR'}: \text{CH}$ (IV) ($\text{R} = \text{NH}_2$, $\text{R}' = \text{CH}_2\text{OAc}$) (V), m. 154-5.degree. (iso-PrOH). Similarly were prepd. the following IV (R , R' , % yield, and m.p. given): NH_2 , CN, 67, 211-14.degree.; NH_2 , CONH₂, 29, 216-18.degree.; o-ClC₆H₄NH, CO₂Et (VI), 87, 180-1.degree.; o-BrC₆H₄NH, CO₂Et (VII), 92, 172-4.degree.; Cl, CO₂Et, 94, 129-30.degree.. Chlorination of 5.0 g. V in 300 ml. 5% HCl 17 min. at 3.degree. gave 15% 4-amino-5-acetoxymethyl-5-chloro-5,6-dihydro-6-hydroxypyrimidin-2(1H)-one, m. 217-20.degree. (H₂O). Chlorination (75 min. below 5.degree.) of 1 g. V in 60 ml. 5% HCl gave 0.95 g. 4-amino-2,5-dichloro-5,6-dihydro-6-hydroxy-5-hydroxymethylpyrimidine, m. 191-2.degree. (H₂O). V (0.9 g.) dissolved in 18 ml. warm MeOH, the soln. treated with 9 ml. concd. aq. NH_3 , allowed to stand 2 hrs. at room temp., cooled overnight at 0-5.degree., and evapd. in vacuo gave 0.48 g. IV ($\text{R} = \text{NH}_2$, $\text{R}' = \text{NH}_2$, $\text{R}' = \text{CH}_2\text{OH}$), m. 172-3.degree. (iso-PrOH-MeOH). V (5 g.) in 75 ml. MeOH satd. with NH_3 at 0.degree. in a Carius tube, heated 9 hrs. at 110-15.degree., and cooled to 0.degree. gave 1.5 g. $\text{N:C(NHR).N:C(NH}_2). \text{C(CH}_2\text{OH)}: \text{CH}$ (VIII) ($\text{R} = \text{H}$), m. 231-4.degree. (MeOH). MeNH₂ absorbed in 30 ml. abs. MeOH contg. 2 g. V in a Carius tube until the total vol. was 50 ml., the tube heated 12 hrs. at 110-15.degree., the soln. evapd. in vacuo, the residual oil treated with Me₂CO, the mixt. cooled to 0-5.degree., the resulting solid dissolved in 4 ml. 5% aq. NaOH, the soln. extd. 4 times with 10-ml. portions of Me₂CO, and the exts. evapd. gave 0.89 g. VIII ($\text{R} = \text{Me}$), m. 142-4.degree. (iso-PrOH). Similarly were prepd. 32% VIII ($\text{R} = \text{Et}$), m. 133-6.degree., and 54% VIII ($\text{R} = \text{Pr}$), m. 114-17.degree. (C₆H₆). $\text{N:C(SMe).N:C(NH}_2). \text{C(CN)}: \text{CH}$ (10 g.) added to 11.0.1N boiling NaOH, the mixt. refluxed 15 min., cooled rapidly, and cooled overnight in a refrigerator gave 8.9 g. 5-CONH₂ compd., m. 280-1.degree. (MeOH). VI (0.5 g.) heated in 15 ml. abs. MeOH, treated 10 min. with NH_3 while still warm, the mixt. kept 12 hrs. at room temp., and cooled 12 hrs. at 0-5.degree. gave 0.3 g. $\text{N:C(NH}_2). \text{N:CR.C(CO}_2\text{Et)}: \text{CH}$ (IX) ($\text{R} = \text{NHC}_6\text{H}_4\text{Cl-o}$), m. 215-16.degree. (MeOH). Similarly, from 0.5 g. VII was prepd. 0.3 g. IX ($\text{R} = \text{NHC}_6\text{H}_4\text{Br-o}$), m. 213-14.degree. (MeOH).
- IT 100869-43-0, 5-Pyrimidinecarboxylic acid, 4-o-chloroanilino-2-(methylsulfonyl)-, ethyl ester (prepn. of)
- RN 100869-43-0 CAPLUS
- CN 5-Pyrimidinecarboxylic acid, 4-o-chloroanilino-2-(methylsulfonyl)-, ethyl ester (6CI) (CA INDEX NAME)



L7 ANSWER 312 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1960:63242 CAPLUS
 DOCUMENT NUMBER: 54:63242
 ORIGINAL REFERENCE NO.: 54:12262i,12263a-c
 TITLE: Stimulation of enzyme induction by
 5-amino-2,4-bis(substituted-amino)pyrimidines
 AUTHOR(S): Kunkee, Ralph E.
 CORPORATE SOURCE: E. I. du Pont de Nemours Co., Wilmington, DE
 SOURCE: Journal of Bacteriology (1960), 79, 43-50
 CODEN: JOBAAY; ISSN: 0021-9193
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB Inhibition of .beta.-galactosidase and D-serine deaminase in *Escherichia coli* was stimulated by several 5-amino-2,4-bis(substituted-amino)pyrimidines on minimal and enriched media. Other natural or substituted pyrimidines and purines tested did not cause or reverse the stimulation. The stimulation was not the result of an increase in cofactors for the induced enzyme, or of an increase in cellular permeability to the enzyme substrate. The stimulatory compds. did not stimulate the activity of the enzyme after it was formed. Apparently the stimulation occurred by an increased formation of enzyme. The stimulation seemed to be involved particularly in enzyme induction rather than enzyme formation in general. Total synthesis of protein, or nucleic acid, was not stimulated, and enzyme formation in either fully induced cells, or in cells which form the enzyme constitutively, also was not stimulated. The stimulation did not occur by increasing the intracellular concn. of inducer by stimulating the permease system. It was different from the stimulation of induction obtained under atms. of high CO₂ content, since it occurred at all levels of inducer, whereas CO₂ stimulation was much more striking at low levels of inducer. 22 references.

IT 101273-14-7, Pyrimidine, 5-amino-2,4-bis(p-chloroanilino)-
 (effect on enzyme induction in *Escherichia coli*)
 RN 101273-14-7 CAPLUS
 CN Pyrimidine, 5-amino-2,4-bis(p-chloroanilino)- (6CI) (CA INDEX NAME)



L7 ANSWER 313 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1960:34289 CAPLUS
 DOCUMENT NUMBER: 54:34289

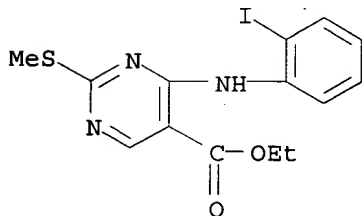
ORIGINAL REFERENCE NO.: 54:6732b-f
 TITLE: Synthesis and tumor-inhibitory properties of
 2-methylthio-4-(substituted-anilino)-5-
 carbethoxypyrimidines
 AUTHOR(S): Peters, Earl; Holland, James F.; Bryant, Bradley;
 Minnerneyer, Harry J.; Hohenstein, Carol; Tieckelmann,
 Howard
 CORPORATE SOURCE: Univ. of Buffalo, Buffalo, NY
 SOURCE: Cancer Research (1959), 19, 729-37
 CODEN: CNREA8; ISSN: 0008-5472
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB 2-Methyl-2-thiopseudourea sulfate (556 g.) was added to 320 g. NaOH in 8
 l. H₂O at 20.degree., the mixt. stirred 5 min., then 864 g. diethyl
 (ethoxymethylene)malonate in 1 l. EtOH was added with stirring in 1 hr.,
 the mixt. stirred 3 hrs., kept 24 hrs. and filtered. Extn. of the filter
 cake with hot EtOH gave 565-660 g. 2-methylthio-4-hydroxy-5-
 carbethoxypyrimidine (I). POCl₃ (900 ml.) was added to 300 g. I below
 50.degree., the mixt refluxed 3 hrs., evapd. and the residue shaken with
 ice and Et₂O. Evapn. of the Et₂O gave 240-270 g. 2-methylthio-4-chloro-5-
 carbethoxy-pyrimidine (II), b.p. 143.degree., m. 59-61.degree..
 II (10 g.) in 100-125 ml. EtOH was kept 2-10 hrs. at room temp. with
 slight excess of substituted aniline, poured into 250 ml. 5% HCl and dild.
 to 800-1000 ml. to ppt. the 2-methylthio-4-(substituted-anilino)-5-
 carbethoxypyrimidine (aniline substituents, % yield and m.p. given): H,
 86, 87-8.degree.; o-Me, 86, 105-6.degree.; m-Me, 86, 90-91.degree.; p-Me,
 86, 121-2.degree.; 2,4-Me₂, 82, 110-11.degree.; 2,5-Me₂, 83, 112-13.degree.;
 2,6-Me₂, 58, 92-3.degree.; o-MeO, 90, 108-10.degree.; m-MeO, 92,
 119-20.degree.; p-MeO, 89, 108-9.degree.; EtO, 93, 144-5.degree.; o-O₂N,
 90, 134-5.degree.; m-O₂N, 94, 155-6.degree.; p-O₂N, 87, 195-6.degree.;
 o-Br (III), 92, 108-9.degree.; m-Br, 94, 117-18.degree.; p-Br,
 93, 127-32.degree.; o-F, 95, 99-101.degree.; p-F, 96, 99-101.degree.; o-I,
 96, 96-7.degree.; o-Cl (IV), 93, 114-15.degree.; m-Cl, 92, 127-8.degree.;
 p-Cl, 93, 124-5.degree.; 2,3-Cl₂, 93, 130-31.degree.; 2,4-Cl₂, 91,
 127-8.degree.; 2,5-Cl₂, 91, 166-8.degree.; 2,6-Cl₂, 74, 120-21.degree.;
 3,4-Cl₂, 94, 143-4.degree.; 3,5-Cl₂, 95, 152-3.degree.. The compds. were
 tested for tumor-inhibitory effects in mouse neoplasms transplanted to
 subcutaneous tissues: Ehrlich carcinoma clone 2, Krebs-2 carcinoma,
 leukemia L1210, Carcinoma 755, and lymphocytic neoplasm P-288. III and IV
 were the most active compds. prepd., substantially inhibiting growth of
 the test tumors.

IT 100869-75-8, 5-Pyrimidinecarboxylic acid,
 4-o-iodoanilino-2-(methylthio)-, ethyl ester
 (prepn. of)

RN 100869-75-8 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-o-iodoanilino-2-(methylthio)-, ethyl ester
 (6CI) (CA INDEX NAME)



ORIGINAL REFERENCE NO.: 53:17136e-i,17137a-b
 TITLE: 2-Trifluoromethylpyrimidines
 AUTHOR(S): Barone, John A.; Peters, Earl; Tieckelmann, Howard
 CORPORATE SOURCE: Univ. of Buffalo, Buffalo, NY
 SOURCE: Journal of Organic Chemistry (1959), 24, 198-200
 CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

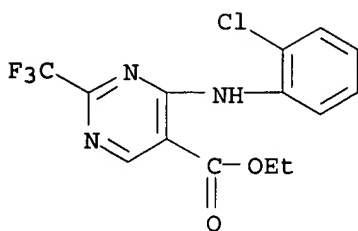
LANGUAGE: Unavailable

AB A series of 2-trifluoromethylpyrimidines was synthesized from trifluoroacetamide (I). Included was 4-amino-2-trifluoromethyl-5-(hydroxymethyl)pyrimidine (II) which showed biol. activity. NaOEt (0.4 mole) in alc. treated in one portion with 44.8 g. I in 40 ml. alc., 86.4 g. di-Et ethoxymethylenemalonate added during 15 min., the mixt. refluxed 3 hrs., and left overnight gave 75 g. 5-carbethoxy-2-trifluoromethyl-4-hydroxypyrimidine Na salt, m. 325-6.degree.; free pyrimidine (III), m. 81-2.degree. (ligroine). Larger amts. of III Na salt were not prepd. because a preliminary run with 4.4 g. III Na salt indicated an over-all yield of only 24% 4-amino-5-carbethoxy-2-trifluoromethylpyrimidine (IV) from II could be obtained. POC13 (27 ml.) added dropwise to 9 g. III, and the mixt. refluxed 3 hrs. gave 5.2 g. 5-carbethoxy-4-chloro-2-trifluoromethylpyrimidine (V), m. 41-2.degree. (alc.-H2O). V (1.02 g.) and 1.02 g. .omicron.-ClC6H4NH2 in 10 ml. alc. left overnight gave 1.03 g. 5-carbethoxy-4-(.omicron.-chloroanilino)-2-trifluoromethylpyrimidine, m. 138-9.degree. (alc.-H2O). V (0.005 mole) in 10 ml. alc. stirred 0.5 hr. at room temp. with 0.005 mole NaOPh in 15 ml. alc., refluxed 0.5 hr., and NaCl removed gave 0.82 g. 5-carbethoxy-2-trifluoromethyl-4-phenoxy pyrimidine, m. 65-6.degree. (alc.-H2O). Crude V (10.2 g.) in 60 ml. alc. at 5.degree. treated with passage of NH3, kept cold 1 hr., H2O added, and the mixt. cooled gave 8.9 g. IV, m. 150-1.degree. (alc.-H2O). V can also be converted to IV by using concd. NH4OH and 95% alc. IV (7.05 g.) in 150 ml. anhyd. Et2O added dropwise during 1 hr. to 1.98 g. LiAlH4 in 300 ml. Et2O, refluxed 80 min., decompd., and extd. with Et2O gave 3.4 g. II, m. 180.5-1.5.degree. (alc.-C6H6). Ethoxymethylenemalononitrile (48.8 g.) in 300 ml. alc. added dropwise during 45 min. to 44.8 g. I in 100 ml. alc., stirred 1 hr., filtered, and the solid washed with cold alc. gave 54.2 g. 4-amino-5-cyano-2-trifluoromethylpyrimidine (VI), m. 245-6.degree. (with sublimation) (MeOH). VI (917 g.) in 130 ml. MeOH contg. 13 g. dry NH3 hydrogenated 1 hr. at 60 lb./sq. in. with 8 g. Raney Ni, shaken a further hr., the Raney Ni removed, the filtrate evapd. to dryness, and the solid treated with hot C6H6 gave 7.3 g. 4-amino-5-aminomethyl-2-trifluoromethylpyrimidine (VII), m. 147-8.5.degree. (C6H6). The procedure for VII was repeated except that after evapn. of the MeOH, the mixt. acidified, and evapd. to dryness gave 8.1 g. VII.HCl, m. 279-80.degree. (alc.). Treatment of crude VII.HCl with N NaOH gave VII. Hydrolysis of 1 g. VI with 25 ml. 10% NaOH, acidification, filtration, and Et2O extn. gave 0.85 g. 4-amino-2-trifluoromethyl-5-pyrimidinecarboxylic acid, m. 312-14.degree. (decompn.) (alc.-H2O). VI (1 g.) heated 15 min. with 10 ml. 0.1N NaOH gave 4-amino-2-trifluoromethyl-5-pyrimidinecarboxamide (VIII), m. 292-3.degree. (sublimation) (alc.-H2O). Acidification of the alk. filtrate from prepn. of VIII gave 0.1 g. free acid. I (0.1 mole), 0.1 mole Et ethoxymethylenecyanoacetate, and 0.1 mole NaOEt in alc. refluxed 2 hrs. gave 5.2 g. 1,3-dicarbethoxy-1,3-dicyano-1-propene Na salt dihydrate, m. 270-2.degree.; acidification gave the free propene-0.5H2O, m. 188-9.degree..

IT 742-37-0, 5-Pyrimidinecarboxylic acid,
 4-o-chloroanilino-2-(trifluoromethyl)-, ethyl ester
 (prepn. of)

RN 742-37-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-(o-chloroanilino)-2-(trifluoromethyl)-,
 ethyl ester (6CI, 8CI) (CA INDEX NAME)



L7 ANSWER 315 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1959:56483 CAPLUS

DOCUMENT NUMBER: 53:56483

ORIGINAL REFERENCE NO.: 53:10243f-i,10244a-i,10245a-b

TITLE: Trypanocidal activity of some
pyrimidinylaminophenylarsonic compounds

AUTHOR(S): Ainley, A. D.; Davey, D. G.

CORPORATE SOURCE: Imp. Chem. Inds. Ltd., Macclesfield, UK

SOURCE: British Journal of Pharmacology and Chemotherapy
(1958), 13, 244-9

CODEN: BJPCAL; ISSN: 0366-0826

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB A series of substituted **pyrimidinylaminophenylarsonic** acids (I) were prepd., reduced to the corresponding arsine oxides, and finally, in some cases, to the arsenobenzenes (II). The tervalent arsenicals were highly active against *Trypanosoma rhodesoense* in mice with N:C(NH₂):N:C(NH₂).CH:CR (R = NHC₆H₄AsO-p in this abstr.) either as the insol. carbonate (III) or the sol. isethionate (IV) being the most promising. The I were generally prepd. by refluxing 1.5 hrs. 0.1 mole substituted **pyrimidine**, 0.1 mole p-H₂NC₆H₄AsO₃H₂ (V), 120 ml. H₂O, and 10 ml. concd. HCl, cooling, collecting the solid, and crystg. the solid from dil. HCl to give the HCl salt of the particular I. The following N:CX:N:CY.CH:CR' (R' = NHC₆H₄AsO₃H₂-p in this abstr.) were prepd. (X, Y, salt, m.p. (decompn.) given): NH₂, Me, HCl.O.5H₂O, 301.degree.; NH₂, H, HCl.H₂O, 331.degree.; NH₂, Cl, -, 293.degree.; NH₂, NH₂ (VI), HCl. H₂O, 278.degree.; NHMe, NHMe, HCl, 283-4.degree.; NH₂, NHMe, HCl, 280.degree.; H, NHMe, HCl.H₂O, 268.degree.. NMeI:C(NH₂).N:C(Cl).CH:CMe (5.72 g.) in 50 ml. hot H₂O shaken vigorously 3 min. with 14.3 g. freshly prepd. AgCl, filtered, the filtrate added to a hot soln. of 4.34 g. V in 28 ml. H₂O and 2 ml. concd. HCl, the mixt. refluxed 15 min., excess NaCl added, the mixt. cooled in ice, the ppt. collected, and crystd. from 0.2N aq. HCl gave NMeCl:C(NH₂).N:CR'.CH:CMe.H₂O, m. 336-7.degree. (decompn.) [anhydro base, m. 344.degree. (decompn.)]. NMeI:C(NH₂).N:C(Cl).CH:CNH₂ (5.7 g.) in 120 ml. boiling H₂O shaken with excess AgCl, filtered, 8.7 g. V added to the filtrate, the mixt. boiled 5 hrs., cooled and the ppt. repeatedly crystd. from 1% aq. HCl gave NMeI:C(NH₂).N:CR'.CH:CNH₂, m. 265.degree. (decompn.). NMeI:C(NH₂).N:C(NH₂).CH:C(Cl) (5.7 g.) converted to the chloride as above, the aq. soln. added to 8.7 g. V in 40 ml. 4% NaOH, the soln. refluxed 5 hrs., treated with C, filtered, the filtrate acidified to Congo red with HCl, excess NaCl added, the mixt. cooled in ice, the ppt. filtered off, the filtrate kept 2 days, the solid which sepd. filtered off, extd. with 10% aq. Na₂CO₃, the ext. acidified with AcOH, satd. with NaCl, and the resulting ppt. repeatedly crystd. from 1% HCl gave NMeCl:C(NH₂).N:C(NH₂).CH:CR'.H₂O, m. 289.degree. (decompn.). N:C(Cl).N:CMe.CH:CMe (2.85 g.) added to 4.34 g. V in 100 ml. H₂O contg. 10 ml. 8% aq. HCl, the mixt. refluxed 10 min., sufficient NaOH soln. added to dissolve the ppt. which sepd. on cooling, filtered, the filtrate acidified

with AcOH, the ppt. collected, and crystd. from 8% aq. HCl gave N:CR'.N:CMe.CH:CMe HCl salt, m. 246.degree. (decompn.).

4,3-Cl(O₂N)C₆H₃AsO₃-H₂ (35.2 g.) in 250 ml. H₂O treated with sufficient NaOH until the soln. was neutral to litmus, 17.5 g. N:C(NH₂).N:CMe.C(NH₂):CMe added, the mixt. refluxed 3 hrs., made acid to litmus with HCl, cooled, the ppt. (50 g.) collected, and crystd. from H₂O gave N:C(NH₂).N:CMe.C[C₆H₃(AsO₃H₂NO₂)-4,2]:CMe.2H₂O, m. 180.degree. (decompn.).

The I dissolved in 12 vols. 20% HCl, 0.5 g. KI added, the mixt. warmed to 60.degree., SO₂ introduced until no iodine was liberated on standing 30 min. with the SO₂ stopped, the solid which sepd. collected, dissolved in a slight excess NaOH, the soln. filtered, and the filtrate neutralized with HCl gave the N:CX.N:CY.CH:CR (VII) (general method of prepn.). In the cases of 2,4-diamino- or methylaminopyrimidines the above conditions were unsatisfactory and the following typical procedure was used: to 14.4 g. VI in 500 ml. concd. HCl was added 0.5 g. KI in 5 ml. H₂O, the stirred soln. warmed to 40.degree., SO₂ introduced 2 hrs. at 40.degree., the mixt. let stand, the supernatant liquor decanted, the residue suspended in 200 ml. H₂O, the mixt. made alk. to Brilliant Yellow with 50 ml. 10% aq. Na₂CO₃, the solid dissolved in 250 ml. 2% aq. NaOH, CO₂ introduced until the soln. was no longer alk. to Clayton yellow, the ppt. collected, washed, and dried to give III.2H₂O, m. 273-5.degree. (decompn.).

III.2H₂O (5 g.) suspended in 50 ml. H₂O, isethionic acid added until the resulting soln. was neutral to litmus, filtered, the filtrate evapd. to dryness in vacuo, the solid dissolved in EtOH, and the soln. dild. slowly with Et₂O to give IV.2H₂O, m. 108.degree. (decompn.) (shrinks at 74-5.degree.).

The following VII were prepd. [X, Y, salt, m.p. (decompn.) given]: NH₂, H, 2HCl.0.5H₂O, 185-6.degree.; NH₂, Me (VIII), -, 200-1.degree.; NH₂, Me, dithioglycolate-H₂O, 248.degree.; NH₂, Me, 1,2-dimercaptopropanol-HCl (IX.HCl), 129-30.degree.; NH₂, Cl, IX, 193-4.degree.; NHMe, NHMe, 0.5H₂O, 130.degree.; NH₂, NHMe, H₂O, 100; H, NHMe, 2H₂O, 110.degree.; NHMe, NH₂, H₂O, 195-200.degree..

VIII (8.7 g.), 100 ml. EtOCH₂CH₂OH, and 4.0 ml. MeI heated 5 hrs. on the steam bath, cooled, the mixt. ground with Me₂CO, the ppt. filtered off, and crystd. from H₂O gave NMeI:C(NH₂).N:CR.CH:CMe.1/2H₂O (X), m. 248-50.degree. (decompn.).

II.2HCl (XI) were generally prepd. by slowly adding during 25 min. under N a soln. of H₃PO₂ (from 15 g. NaH₂PO₂, 30.0 ml. concd. HCl, 200 ml. MeOH, and 0.5 ml. HI) to 0.03 mole I in 250 ml. 3.5% aq. HCl preheated to 70-5.degree., heating the mixt. 1 hr., cooling, collecting the ppt., and washing with abs. EtOH and Et₂O; the bases were prepd. by suspending XI in H₂O, adding NaOH soln. until alk. to Clayton yellow, filtering off the ppt., and washing with H₂O.

The following (p-N:CX.N:CY.CH:CNHC₆H₄As:)₂ were prepd. [X, Y, salt, m.p. (decompn.) given]: NH₂, Me, 2HCl.3.5H₂O, 270-5.degree.; NH₂, H, 2HCl.3.5H₂O, 260-2.degree.; NH₂, Cl, 1.5H₂O, 224-7.degree.; NH₂, NH₂, 1.5H₂O, 286-7.degree..

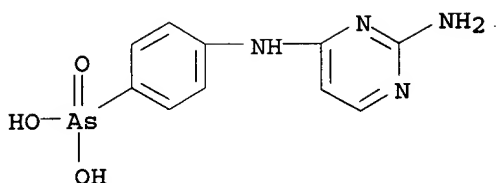
[N:C(NH₂).NMe(H₂PO₄):CMe.CH:CNHC₆H₄As:]₂.1.5H₂O, m. 249-50.degree. (decompn.), was prepd. similarly from the Cl analog of X.

None of the compds. showed any activity against T. cruzi and only slight action against T. congolense but several compds. had outstanding activity against T. rhodesiense. The quaternized compds. showed decreased activity.

IT 112534-54-0, Arsanilic acid, N-(2-amino-4-pyrimidinyl)-, hydrochloride
(prepn. of)

RN 112534-54-0 CAPLUS

CN Arsanilic acid, N-(2-amino-4-pyrimidinyl)-, hydrochloride (6CI) (CA INDEX NAME)



● HCl

L7 ANSWER 316 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1958:40619 CAPLUS
 DOCUMENT NUMBER: 52:40619
 ORIGINAL REFERENCE NO.: 52:7328a-i,7329a-b
 TITLE: Pteridine derivatives. V. Synthesis of
 2,8-dihydro-2-imino-8-alkylpteridines
 AUTHOR(S): Fidler, W. E.; Wood, H. C. S.
 CORPORATE SOURCE: Roy. Coll. Sci. Technol., Glasgow, UK
 SOURCE: Journal of the Chemical Society, Abstracts (1957)
 4157-62
 CODEN: JCSAAZ; ISSN: 0590-9791
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

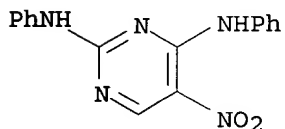
AB cf. C.A. 51, 3614g. The condensation of several 2,5-diamino-4-alkyl (and aryl)aminopyrimidines with 1,2-diketones to give 2,8-dihydro-2-imino-8-alkyl (and aryl)pteridines is described. The structures assigned to a pteridine (Ia) isolated from the eyes of *Drosophila melanogaster* and to luciferesceine (I), are discussed in the light of the properties of these synthetic compds. 2-Amino-4-chloro-6-hydroxypyrimidine (8.5 g.) and 25 cc. 33% alc. MeNH₂ heated 4 hrs. in a sealed tube at 120.degree., the ppt. dissolved in 30 cc. hot dil. HCl, and the soln. treated with C then with NaHCO₃ gave 3.7 g. 2-amino-4-hydroxy-6-(methylamino)pyrimidine (II), plates, m. 255-7.degree. (alc.). II (2.5 g.) in 45 cc. 2N HCl treated dropwise at 0.degree. with 2 g. NaNO₂ in 25 cc. H₂O gave 2.3 g. 2-amino-4-hydroxy-6-(methylamino)-5-nitrosopyrimidine (III), red needles, m. above 300.degree. (H₂O). III (0.5 g.) in 8 cc. hot NaOH soln. (contg. 0.72 g. NaOH) treated 5 min. at 80.degree. with 2 g. Na₂S₂O₄, the mixt. heated a further 20 min., and HCl added to adjust the pH to 0.5 gave 0.35 g. 2,5-diamino-4-hydroxy-6-(methylamino)pyrimidine (IV), m. 204-10.degree. (decompn.), decompg. rapidly on attempted recrystn. IV (0.31 g.), 3 cc. AcOH, and 20 cc. H₂O heated 0.5 hr. at 60-70.degree. with 0.16 g. Ac₂ gave 0.35 g. 2,8-dihydro-4-hydroxy-2-imino-6,7,8-trimethylpteridine (V), needles, decomp. above 270.degree., pKa 5.85 (imino group) and 8.90 (OH group). V has a chromophoric system similar to that of Ia. II (0.3 g.), 3 cc. AcOH, and 20 cc. H₂O heated 8 hrs. with 0.42 g. Bz₂ and 12 cc. alc. gave 0.04 g. 2,8-dihydro-4-hydroxy-2-imino-8-methyl-6,7-diphenylpteridine (VI), prisms, m. above 300.degree. The infrared spectrum of VI was identical with that of a sample prepd. from pyrazine intermediates. II (0.25 g.), 0.4 g. benzoin, 2 cc. AcOH, and 3 cc. alc. refluxed 2 hrs. gave 0.29 g. 2-amino-7,8-dihydro-4-hydroxy-8-methyl-6,7-diphenylpteridine, plates, m. above 300.degree. (HCONMe₂). 2-Amino-4-chloro-6-(methylamino)pyrimidine (VII) (6.7 g.) and 1.05 g. Na in 60 cc. alc. heated 3 hrs. at 130.degree. in an autoclave gave 2-amino-4-ethoxy-6-(methylamino)pyrimidine (VIII), prisms, m. 123-6.degree. (H₂O). VIII (0.6 g.) in 8 cc. H₂O treated at 0.degree. dropwise with 1.1 g. diazotized p-ClC₆H₄NH₂ in 20 cc. N HCl, then kept 5 min. at 0.degree., and 3.5 g. Na₂CO₃ added slowly gave 0.8 g. 2-amino-5-(p-chlorophenylazo)-4-ethoxy-6-(methylamino)pyrimidine

(IX), orange needles, m. 169-72.degree. (aq. Me₂CO). IX (0.6 g.) reduced in the usual way in alc. over Raney Ni and the filtrate refluxed 20 min. with 2 drops AcOH and 0.3 cc. Ac₂ gave 4-ethoxy-2,8-dihydro-2-imino-6,7,8-trimethylpteridine (X), needles, m. 178-80.degree. (aq. alc.), not hydrolyzed by acid or alkali. VII (1.24 g.) hydrogenated in 100 cc. H₂O 12 hrs. at room temp. and pressure over 0.6 g. freshly reduced 2.5% Pd-C in the presence of 0.9 g. MgO, the mixt. heated to reflux, the solids removed, and 6 cc. 2N NaOH added gave 0.94 g. 2-amino-4-(methylamino)pyrimidine (XI), needles, m. 161-3.5.degree. (iso-BuCOMe). XI (0.94 g.) in 11 cc. H₂O treated at 0.degree. with 1.4 g. p-ClC₆H₄NH₂ diazotized with 28 cc. N HCl and 0.81 g. NaNO₂, after 5 min. 3.5 g. Na₂CO₃ added, and the mixt. left 2 hrs. at 20.degree. gave 2.25 g. 2-amino-5-(p-chlorophenylazo)-4-(methylamino)pyrimidine (XII), red needles, m. 227-9.degree. (alc.). XII (3 g.) in 100 cc. MeOH hydrogenated 16 hrs. at 4 atm. over Raney Ni and the product refluxed 0.5 hr. with 1 cc. Ac₂O gave 0.5 g. crude 2,8-dihydro-2-imino-6,7,8-trimethylpteridine (XIII), needles, m. 235-40.degree. (decompn.), pK' 5.60, evolving NH₃ when heated with concd. KOH. The ultraviolet spectrum of neutral XIII closely resembled those of the 8-alkyl-2-pteridones. There was no tendency to hydration. XIII (0.1 g.) and 3 cc. Ac₂O heated 1 hr. gave 0.07 g. Ac deriv. (XIV), m. 165-70.degree. (MeOH-EtOAc). XIV absorbed at longer wavelength in the ultraviolet region than the parent XIII. This anomaly was due to the increase in conjugation provided by the Ac group; no such increase in conjugation was conferred by the Ac group in an acetamidopteridine. 2,4-Bis(methylamino)-5-nitropyrimidine (0.6 g.) in alc. reduced over Raney Ni as above and the filtered soln. refluxed 10 min. with 0.5 cc. Ac₂O gave 0.4 g. 2,8-dihydro-6,7,8-trimethyl-2-(methylimino)pteridine (XV), m. 197-8.degree. (decompn.), pKa, 6.1, liberating MeNH₂ when heated with concd. NaOH. 2,4-Dichloro-5-nitropyrimidine (0.5 g.) in 10 cc. C₆H₆ stirred 0.5 hr. with 4 cc. PhNH₂ in 10 cc. C₆H₆ gave 1.3 g. crude 2,4-dianilino-5-nitropyrimidine (XVI), needles, m. 198-202.degree. (alc.). XVI (0.3 g.) in 200 cc. alc. reduced over Raney Ni the usual way and the filtered soln. heated 20 min. with 0.3 g. Bz₂, 0.3 cc. AcOH, and 3 cc. H₂O gave 0.25 g. 2,8-dihydro-6,7,8-triphenyl-2-(phenylimino)pteridine, green plates, m. 225-7.degree. (decompn.) (alc.), giving a deep green color in concd. H₂SO₄. XVI (0.4 g.) reduced and condensed with Ac₂O gave 0.15 g. 2,8-dihydro-6,7-dimethyl-8-phenyl-2-(phenylimino)pteridine, m. 241-2.degree. (decompn.). Comparison of the ultraviolet spectrum of I with that of XIII showed that no similarity existed. The pKa value of XIII was also much lower than that quoted for I. The structure given by Albert (C.A. 49, 2295f) is not considered to be correct. The ultraviolet absorption spectra and the pH values for many of the pteridines are given in a table.

IT 92872-53-2, Pyrimidine, 2,4-dianilino-5-nitro-
(prepn. of)

RN 92872-53-2 CAPLUS

CN Pyrimidine, 2,4-dianilino-5-nitro- (6CI, 7CI) (CA INDEX NAME)



L7 ANSWER 317 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1957:56795 CAPLUS

DOCUMENT NUMBER: 51:56795

ORIGINAL REFERENCE NO.: 51:10531i,10532a-i,10533a-h

TITLE: Structure-activity relations in two new series of
antifolic acids

AUTHOR(S): Timmis, G. M.; Felton, D. G. I.; Collier, H. O. J.;
Huskinson, Patricia L.

SOURCE: J. Pharm. and Pharmacol. (1957), 9, 46-67

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB Amino-5-arylazopyrimidines and amino-8-aryl-8-azapurines and related compds. were prepd. and tested for antifolic acid activity. Compds. $N:C(NH_2).N:C(NH_2).C(N:NR):CR'$ (A), $N:CR.N:C(NH_2).C(N:NR'):CR''$ (B), and C are designated by the type letter followed in parentheses by the substituents in the order R, R', and R''. 2,4-Diamino-6-chloropyrimidine (I) (1.44 g.) in 75 ml. 3N AcOH, cooled in ice H₂O, and p-O₂NC₆H₄N₂Cl (from 1.38 g. p-O₂NC₆H₄NH₂) stirred 5 min., NaOAc added to pH 6-7, the mixt. stirred 5 hrs., and the thick orange-red ppt. washed with H₂O, dried, and recrystd. from aq. EtOCH₂CH₂OH (II) gave A(p-O₂NC₆H₄, Cl) (III), scarlet needles, m. 298-9.degree. (decompn.). I with p-ClC₆H₄N₂Cl formed A(p-ClC₆H₄, Cl) (IIIa), m. 271-2.degree. (decompn.), fine yellow needles from aq. II. III in dry II + Me₂NH refluxed 1 hr. at 100.degree. formed A(p-O₂NC₆H₄, Me₂N) (IV), m. 252-5.degree., dark maroon crystals with green luster from aq. II. III in warm HCONMe₂ and guanidine in EtOH formed a red ppt. which on fractional crystn. from aq. II yielded IV and A(p-O₂NC₆H₄, EtO), m. 214-15.degree., deep orange needles from aq. II, forming a sparingly sol. HCl salt with dil. HCl. III refluxed with dry morpholine formed A [p-O₂NC₆H₄, morpholino], m. 275.degree. (decompn.), deep red prisms from aq. II. III and dry (HOCH₂CH₂)₂NH formed A[p-O₂NC₆H₄, (HOCH₂CH₂)₂N], m. 211-12.degree. (decompn.), deep maroon plates with metallic luster from dil. EtOH, very sol. in EtOH. IIIa and guanidine with Na in II formed A(p-ClC₆H₄, EtOCH₂CH₂O), m. 161-2.degree., yellow lustrous silky needles from aq. II. IIIa and Me₂NH in EtOH refluxed 1 hr. or with guanidine and NaOH in EtOH refluxed 4 hrs. at 60-70.degree. formed A(p-ClC₆H₄, Me₂N). A(p-ClC₆H₄, Cl) and morpholine refluxed 1 hr. formed A(p-ClC₆H₄, morpholino), m. 221-2.degree., golden-yellow lustrous plates from aq. II. With (HOCH₂CH₂)₂NH was formed A [p-ClC₆H₄, (HOCH₂CH₂)₂N], m. 160-1.degree., orange-brown prisms from dil. EtOH. The following 2,4,6-triamino-5-arylazopyrimidines were prepd. by stirring a mixt. of 5 g. (0.04 mole) 2,4,6-triaminopyrimidine in H₂O contg. 16 g. cryst. NaOAc at 0.degree. with addn. of a filtered soln. of 0.04 mole of the required diazotized arylamine (aryl group, m.p., and solvent given): Ph, yellow leaflets, 262-3.degree., aq. II; 2-ClC₆H₄, yellow needles, 290-1.degree., aq. pyridine (Py); 3-ClC₆H₄, yellow needles, 264-5.degree., aq. Py; 4-ClC₆H₄, yellow flat needles, 262.degree., aq. II; 2-BrC₆H₄, golden-yellow crystals, 298-9.degree., aq. II; 4-BrC₆H₄, yellow prisms, 261-2.degree., aq. II; 2,3-Cl₂C₆H₃, orange-yellow leaflets, 316-17.degree., aq. II; 2,4-Cl₂C₆H₃, long yellow needles, 304-5.degree. (decompn.), aq. Py; 2,5-Cl₂C₆H₃, yellow needles, 319-20.degree., aq. Py; 3,4-Cl₂C₆H₃, yellow leaflets, 269-70.degree., aq. Py; 2,4-Br₂C₆H₃, orange-yellow leaflets, 328-9.degree., aq. Py; 2,4,6-Br₃C₆H₂, orange-yellow needles, 304-5.degree. (decompn.), aq. II; 4-MeOC₆H₄, orange-yellow prisms, 231-2.degree., aq. II; 4-EtOC₆H₄, yellow needles, 280-2.degree., aq. II; 4-O₂NC₆H₄, red needles, 352-8.degree., AcOH; 1-ClO₂H₇, golden-brown plates, 264-5.degree. (decompn.), aq. II; 2-ClO₂H₇, yellow needles, 298.degree. (decompn.), BuOH; 3-quinolyl, orange leaflets with blue luster, 315-16.degree. (decompn.), aq. Py. Compds. of type A (R and R' given) and type B are (R, R', and R' given): A (p-ClC₆H₄, HO), yellow microcryst. powder, m. 314-15.degree.; A (p-BrC₆H₄, HO), yellow crystals from aq. Py and aq. II; B (HO, p-ClC₆H₄, HO), fine yellow powder; A(p-ClC₆H₄, H), yellow needles from aq. II, m. 282-3.degree. (decompn.) (reported 271-2.degree.); B (H, p-ClC₆H₄, HO), orange needles, m. 300.degree. (from aq. II). 4,6-Diamino-5-(p-chlorophenylazo)-2-thiopyrimidine, yellow needles, m. 278-9.degree. (decompn.) (from aq. Py), and 4,6-diamino-5-(p-chlorophenylazo)-2-(p-chlorophenylthio)pyrimidine, yellow feathery needles, m. 211-12.degree. (from aq. EtOH). B(H, p-ClC₆H₄, H₂N), flat yellow needles,

m. 299-300.degree. (decompn.) (from aq. II). The following C were prepd. in quant. yield from the corresponding N:CR''N:CR'.C(N2R):CNH2 in pyridine and H2O contg. CuSO4 refluxed with a stream of O until the color changed from greenish yellow to blue, and the hot soln. allowed to stand to complete pptn. [R, m.p., and solvent (a = 20% aq. HCO2H, b = 80% aq. HCO2H, c = HCONH2) given; R' and R'' = H2N unless otherwise stated]: Ph, 344-5.degree. (decompn.), a; o-ClC6H4, 283-4.degree., a; m-ClC6H4, 350.degree. (decompn.), a; p-ClC6H4, above 360.degree., a; o-BrC6H4, 271-2.degree., 10% a; p-BrC6H4, C10H8N7Br. 0.5HCO2H, above 360.degree., a; 2,3-Cl2C6H3, C10H7N7Cl2.0.5H2O, 287-8.degree., a; 2,4-Cl2C6H3, 320-1.degree., a; 2,5-Cl2C6H3, 312-13.degree., a; 3,4-Cl2C6H3, above 360.degree., a; 2,4-Br2C6H3, C10H7N7Br2.HCO2H, 279-81.degree. (decompn.), a; 2,4,6-Br3C6H2, 286-7.degree., H2O-EtOH; p-EtOC6H4, C12H13N7O.0.25HCO2H, 310-11.degree., a; 1-C10H7, 290-1.degree., a; p-ClC6H4 (R' = HO), above 360.degree., b; p-BrC6H4 (R' = HO), above 360.degree., b; p-ClC6H4 (R' = Me2N), HCl salt, 287-8.degree., 2N HCl; p-O2NC6H4 (R' = Me2N), 316-18.degree., c; p-ClC6H4 (R'' = p-ClC6H4S), 325-6.degree. (decompn.), b; p-ClC6H4 (R'' = H), 367.degree. (decompn.), AcOH; p-ClC6H4 (R' = HO, R'' = H), 339-40.degree., aq. II. The HO derivs. refluxed with P2S5 in dry pyridine formed 8-(p-chlorophenyl)-6-thio-8-azapurine, pale golden lustrous needles, m. 357-8.degree. (decompn.) (from aq. Py and C); and 2-amino (from Py and C). A (3-quinolyl, H2N) refluxed with H2O, Py, and CuSO4.5H2O in H2O with an O stream until clear blue formed C (3-quinolyl, HO, H2N), pale cream solid, m. above 360.degree. (repptd. from 2N HCl with 2N NH3). Closure of the ring in the triamino deriv. formed C (3-quinolyl), pale cream solid, m. above 360.degree. (from propylene glycol + C). 2,6-Diamino-3-(4-chlorophenylazo)pyridine, Py, CuSO4.5H2O in H2O, and O formed 5-amino-2-(4-chlorophenyl)triazolo[4',5'-2,3]pyridine, long felted needles from aq. II changing to pale yellow prismatic needles, both forms m. 258-9.degree.. 2-Amino-4-anilino-5-nitropyrimidine, yellow needles, m. 206-7.degree. (from 4-anilino-2-chloro-5-nitropyrimidine), + SnCl2 formed 2,5-diamino-4-anilinopyrimidine, di-HCl salt, m. 249-50.degree. (decompn.); monopicate, m. 249-50.degree. (decompn.). Diazotization of the HCl salt yielded 2-amino-9-phenyl-8-azapurine, rosettes of needles, m. 167-8.degree. (from H2O-MeOH and C). 2-Amino-4-anilino-6-methyl-5-nitropyrimidine, yellow needles, m. 192-3.degree. (from BuOH) (from the 2-Cl compd.), was reduced with SnCl2 to the 2,5-diamino compd. [di-HCl salt, lustrous needles, m. 239-40.degree.; monopicate, orange-yellow leaflets m. 252-3.degree. (decompn.)], diazotized to 2-amino-6-methyl-9-phenyl-8-azapurine, needles, m. 188-9.degree. (from aq. MeOH). 2,4-Dichloro-5-nitropyrimidine in EtOH at -10.degree. and ClC6H4NH2 in EtOH formed 2-chloro-4-(4-chloroanilino)-5-nitropyrimidine, yellow needles m. 150-1.degree. (from EtOH), which reacted with satd. NH3 in EtOH in a sealed tube at 100.degree. for 3 hrs. to form 2-amino-4-(4-chloroanilino)-5-nitropyrimidine, golden-yellow leaflets m. 241.degree. (from BuOH), which was reduced with SnCl2 to 2,5-diamino-4-(4-chloroanilino)pyrimidine, [di-HCl salt (1H2O), m. 286-7.degree. (decompn.); monopicate, lemon-yellow rosettes m. 256.degree. (decompn.)]. 2-Amino-9-(4-chlorophenyl)-8-azapurine, rosettes of fine white needles, m. 236.degree. (from aq. MeOH). 8-Azapurine, m. 175.degree., from 4,5-diaminopyrimidine refluxed with AmNO2. 2,6-Diaminopyridine, in 2N HCl cooled in ice, stirred and treated slowly with p-ClC6H4N2Cl formed 2,6-diamino-3-(4-chlorophenylazo)pyridine, yellow prisms, m. 184-5.degree. (from aq. EtOH). Removal of the aryl or aryl and amino groups from diamino-8-aryl-8-azapurines gave inactive compds. The position of the aryl group in the aryl-8-azapurine relative to the pyrimidine ring is important. Substitution of a pyrimidine by a pyridine ring led to inactivity. Screened with Streptococcus faecalis and pteroylglutamic acid 23 arylazopyrimidines and 15 8-azapurines showed antifolic acid activity, C (R' = R'' = NH2, R = 2,4-BrC6H3) showing about 1/50 the potency of

09/ 922,874

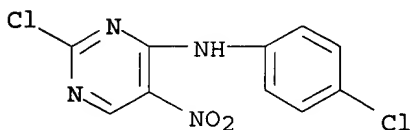
A-methopterin.

IT 98949-31-6, Pyrimidine, 2-chloro-4-p-chloroanilino-5-nitro-

(prepn. of)

RN 98949-31-6 CAPLUS

CN Pyrimidine, 2-chloro-4-p-chloroanilino-5-nitro- (6CI) (CA INDEX NAME)



L7 ANSWER 318 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1957:17528 CAPLUS

DOCUMENT NUMBER: 51:17528

ORIGINAL REFERENCE NO.: 51:3675b-d

TITLE: 1-(4-Anilino-2-pyrimidino)-3-alkylureas

INVENTOR(S): Burtner, Robert R.

PATENT ASSIGNEE(S): G. D. Searle & Co.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

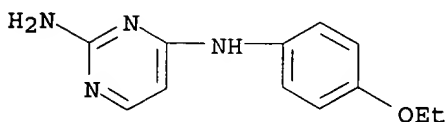
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2748124		19560529	US	

AB 1-[4-(N,4-Disubstituted anilino)-2-pyrimidino]-3-ethylureas (I) (the substituents = R and Y, resp., where Y is H and lower alkoxyl radicals contg. less than 3 C atoms, and R is H and lower alkyl radicals contg. less than 3 C atoms) are prepd. from a 2-amino-4-halopyrimidine treated with aniline or a substituted aniline to give the 4-anilino-2-aminopyrimidine (II), and II is treated with an alkyl isocyanate in PhMe or dioxane at 85-120.degree. to yield I. The I are useful in the field of cardiac pathology, showing digitalis-like activity. 2-Amino-4-chloropyrimidine (III) 43, PhNHET 133, HCl 13, and in H2O 1100 parts (all by wt.) refluxed 30 min., the mixt. cooled, made alk. with an excess of 50% aq. NaOH, and the solidified oil rinsed with H2O, dried at 75.degree., and crystd. from approx. 5 vols. PhMe with decolorizing C yield 2-amino-4-(N-ethylanilino)pyrimidine (IV), white crystals, m. 142-4.degree.. IV 41, EtNCO approx. 14, and dry PhMe 435 parts refluxed 12 hrs., the mixt. chilled, filtered, and the cryst. product rinsed with PhMe, dried at 75.degree. and recrystd. from 10 vols. EtOH yield white I (Y = H, R = Et), m. 186-7.degree.. Similarly III, p-phenetidine, and HCl yield colorless 2-amino-4-(p-phenetidino)pyrimidine (V), m. approx. 163.degree. (from EtOH). V and EtNCO in dioxane yield I (Y = EtO, R = H), feathery white needles, m. approx. 218.degree. (from EtOH).

IT 100120-45-4, Pyrimidine, 2-amino-4-p-phenetidino- (prepn. of)

RN 100120-45-4 CAPLUS

CN Pyrimidine, 2-amino-4-p-phenetidino- (6CI) (CA INDEX NAME)



L7 ANSWER 319 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1956:20104 CAPLUS

DOCUMENT NUMBER: 50:20104

ORIGINAL REFERENCE NO.: 50:4159i,4160a-f

TITLE: Purines. V. The preparation of certain 2,9-substituted purines and azapurines

AUTHOR(S): Dille, K. L.; Sutherland, M. L.; Christensen, B. E.

CORPORATE SOURCE: Oregon State Coll., Corvallis

SOURCE: Journal of Organic Chemistry (1955), 20, 171-7

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

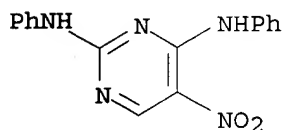
LANGUAGE: Unavailable

AB cf. C.A. 49, 12130h, 13256a. Adding 10 g. PhNH₂ in 200 cc. abs. EtOH to 5 g. 2,4-di-chloro-5-nitropyrimidine (I) in 20 cc. abs. EtOH with stirring and refluxing the mixt. 45 min. give 94% 2,4-di-anilino-5-nitropyrimidine (II), fluffy light yellow needles, m. 203-4.degree.. Reducing 2 g. II in 150 cc. abs. EtOH 3-6 hrs. with 2 g. Raney Ni gives 70% 2,4-dianilino-5-aminopyrimidine (III), m. 165-8.degree. (decompn.). Adding 0.16 g. NaNO₂ to 0.57 g. III dissolved in 400 cc. 5% AcOH at 10-20.degree., stirring the mixt. 15 min., and adjusting the soln. to pH 8-9 give 62% 3-phenyl-5-anilino-1H-v-triazolo[d]pyrimidine, light green needles, m. 195.degree.. Refluxing 0.91 g. III in 10 cc. 90% HCO₂H 15 min., evapg. the HCO₂H, dissolving the residue in 5 cc. H₂O, and adjusting the soln. to pH 7-8 with NH₄OH give 0.97 g. 2,4-dianilino-5-formamidopyrimidine (IV), needles, m. 193.5-5.degree. (the rate of heating affects the m.p.; another detn. gives 187-9.degree., resolidifying and remelting at 215.degree.). Gently refluxing 1 g. IV 15 min. with 10 cc. HCO-NH₂, adding 10 cc. H₂O, and adjusting the soln. to pH 7-8 give 92% 2-anilino-9-phenylpurine, needles, m. 215-16.degree.. Adding slowly 5 g. I in 20 cc. EtOH to 8.5 cc. PrNH₂ in 100 cc. EtOH and refluxing the mixt. 0.5 hr. give 91% 2,4-di-propylamino-5-nitropyrimidine (V), m. 121-2.degree., which (2 g.) is reduced in 115 cc. MeOH with 2 g. Raney Ni 2-3 hrs. at 30 lb. and the residue of the filtered and evapd. soln. treated with H₂SO₄, giving 72% 2,4-dipropylamino-5-aminopyrimidine sulfate (VI). Stirring 1.84 g. VI in 200 cc. H₂O contg. 2 drops H₂SO₄ 0.5 hr. at 10-20.degree. with 0.55 g. NaNO₂ and adjusting the soln. to pH 7-8 give 49% 3-propyl-5-propylamino-1H-v-triazolo[d]pyrimidine, long needles, m. 97.5-8.degree.. Refluxing the reduction product of 2.65 g. V with 15 cc. HCO₂H, adding 5 cc. H₂O, and adjusting the soln. to pH 7-8 give 1.5 g. 2,4-dipropylamino-5-formamidopyrimidine, shiny platelets, m. 159.5-60.5.degree., which (0.94 g.), refluxed 15 min. with 10 cc. HCONH₂, gives 0.35 g. 2-propylamino-9-propyl-purine, m. 84-5.degree.. Refluxing 8.25 g. 2-chloro-4-(2-hydroxyethylamino)-5-nitropyrimidine in 120 cc. EtOH satd. with NH₃ in an NH₃ atm. gives 93% 2-amino-4-(2-hydroxyethylamino)-5-nitropyrimidine (VII), m. 192-4.degree.. Reduction of 2 g. VII in MeOH 1-2 hrs. with Raney Ni at 30 lb. and acidification of the filtered and concd. soln. with H₂SO₄ give 1.46 g. sulfate, m. 169-70.degree., which, treated with NaNO₂, gives 65% 3-(2-hydroxyethyl)-5-amino-1H-v-triazolo[d]pyrimidine, m. 220-1.degree.. Reducing 4 g. VII with 5 g. Raney Ni in 200 cc. MeOH and concg. the filtered soln. give 1 g. 2,5-diamino-4-(2-hydroxyethylamino)pyrimidine, m. 140-1.5.degree., which, refluxed 15 min. with 10 cc. HCO₂H, gives 0.3 g. 5-formamido deriv. (VIII), m. 165-6.degree.. Refluxing 0.55 g. VIII 15 min. with 10 cc. HCONH₂ gives 0.3 g. 2-amino-9-(2-formyloxyethyl)purine, needles, m. 172-3.degree.. Adding AcOH dropwise to 2 g. 2-mercapto-4,5-diaminopyrimidine in 1.2 l. H₂O contg. 2 g. NaNO₂ at 30.degree. gives 1.6 g. 5-mercapto-1H-v-triazolo[d]pyrimidine, exploding on a m.p. block.

IT 92872-53-2, Pyrimidine, 2,4-dianilino-5-nitro-
(prepn. of)

09/ 922,874

RN 92872-53-2 CAPLUS
CN Pyrimidine, 2,4-dianilino-5-nitro- (6CI, 7CI) (CA INDEX NAME)



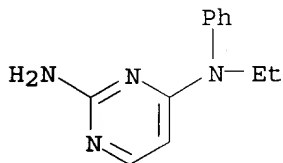
L7 ANSWER 320 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1954:8414 CAPLUS
DOCUMENT NUMBER: 48:8414
ORIGINAL REFERENCE NO.: 48:1581h-i
TITLE: Chemotherapy of experimental relapsing fever in mice with antibiotics and synthetic compounds
AUTHOR(S): Thompson, Paul E.; Walker, D. F.; Dunn, Mary C.
CORPORATE SOURCE: Parke, Davis & Co., Detroit, MI
SOURCE: Journal of the American Pharmaceutical Association (1912-1977) (1953), 42, 647-52
CODEN: JPHAA3; ISSN: 0003-0465

DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Out of 4 antibiotics and 206 synthetic compds., only subtilin, methylated subtilin, bacitracin, and melarsen oxide were effective in suppressing the spirochetemia in standardized relapsing fever infections in mice.

IT 108668-71-9, Pyrimidine, 2-amino-4-N-ethylanilino-
(antispicrochetal action of)

RN 108668-71-9 CAPLUS
CN 2,4-Pyrimidinediamine, N4-ethyl-N4-phenyl- (9CI) (CA INDEX NAME)

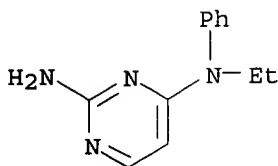


L7 ANSWER 321 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1954:8413 CAPLUS
DOCUMENT NUMBER: 48:8413
ORIGINAL REFERENCE NO.: 48:1581g-h
TITLE: The effects of administration of sodium iodate to man and animals
AUTHOR(S): Murray, Margaret M.
CORPORATE SOURCE: Univ. London
SOURCE: Bull. World Health Organization (1953), 9, 211-16
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Mice tolerated single oral doses of 250 mg. NaIO3/kg. and rabbits tolerated 10 mg./kg. in twice-weekly oral doses for 6 weeks. Chronic oral administration twice weekly at the 1 mg./kg. level produced no signs of ill health or histological changes in rabbits. NaCl contg. 0.005% NaIO3 should be safe for man based on a weekly intake of 70 g. of salt.

IT 108668-71-9, Pyrimidine, 2-amino-4-N-ethylanilino-
(antispicrochetal action of)

RN 108668-71-9 CAPLUS
CN 2,4-Pyrimidinediamine, N4-ethyl-N4-phenyl- (9CI) (CA INDEX NAME)



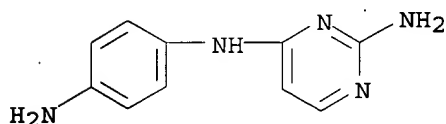
L7 ANSWER 322 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1948:13787 CAPLUS
 DOCUMENT NUMBER: 42:13787
 ORIGINAL REFERENCE NO.: 42:2992f-i,2993a-c
 TITLE: Anilinopyrimidine arsenicals
 INVENTOR(S): Hamilton, Cliff S.; Banks, Clarence K.
 PATENT ASSIGNEE(S): Parke, Davis & Co.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2435393		19480203	US	

AB A halopyrimidine is treated with an aminobenzenearsonic acid, or an aminopyrimidine is treated with a halobenzenearsonic acid to yield anilinopyrimidine arsenicals useful chemotherapeutically and as intermediates. The **pyrimidine** may be substituted with OH, NH₂, halogen, NO₂, alkyl, or alkoxy, and the benzenearsonic acid may be substituted with NO₂, OH, NH₂, hydroxyalkoxy, or halogen. The arsenicals can also be prep'd. by treating a diamino comp'd. (or comp'd. contg. groups readily convertible to a diamine) with a halopyrimidine. The free amino group of this product is then diazotized and coupled with an inorg. arsenic comp'd. In an example of the first method 40 g. p-arsanilic acid, 20 g. 2-amino-4-chloropyrimidine, 5 ml. HCl, and a trace of ethylhexyl alc. (antifoam) are refluxed 30 min. in 2 l. water. The mixt. is decolorized with C, treated with 200 ml. concd. HCl, then cooled to yield 2-amino-4-(4-arsono-anilino)**pyrimidine**-HCl (I), m. above 250.degree.. Neutralization with alkali to Congo red paper gives the free base dihydrate which is rendered anhyd. on drying. Similarly prep'd. were the following 2-aminopyrimidines: 4-(4-arsono-3-hydroxyanilino), 4-[4-arsono-3-(2-hydroxyethyl)-anilino], 4-(5-arsono-2-hydroxyanilino), 4-[5-arsono-2-(2-hydroxyetkoxy)anilino], 4-(3-arsonoanilino), 4-(2-arsonoanilino); 2,4 - Diamino - 6 - (4 - arsonoanilino) **pyrimidine**; 2,4-diamino-6-(4-arsono-3-hydroxyanilino) **pyrimidine**; 2-amino-4-(4-arsonoanilino) - 6 - chloropyrimidine; 2-amino-4-(4-arsonoanilino)-6-methoxypyrimidine; 2-amino-4-(4-arsonoanilino)-6-methylpyrimidine; 2-amino-4-(4-arsono-2-hydroxyanilino) **pyrimidine**; 4-amino-2-(4-arsonoanilino) **pyrimidine**; 2-amino-4-(4-arsono-3-hydroxyanilino) **pyrimidine**; and 2-(4-arsonoanilino)-5-nitropyrimidine. In the 2nd method of prepn. 18 g. 4,3-Br-(O₂N)C₆H₃AsO₃H₂ and 10.5 g. 2-aminopyrimidine are dissolved in water, then made alk. to litmus with KOH and refluxed 5 hrs., more KOH being added at 0.5-hr. intervals. Cooling and acidifying to Congo red paper ppts. 2-(4-arsono-2-nitroanilino)**pyrimidine**, m. 148-56.degree. to a liquid crystal which m. 160-3.degree. to a clear liquid. Reduction of this with H and Raney Ni at 40 lb. pressure gives 2-(4-arsono-2-aminoanilino)**pyrimidine**. The 3rd method consists of condensing p-nitroaniline with 2-amino-4-chloropyrimidine, and reducing the condensate with H and Raney Ni to 2-amino-4-(4-aminoanilino)-**pyrimidine** which is dissolved in dil. HCl, diazotized with 0.1

mol. NaNO_2 , and coupled with 0.15 mol. Na arsenite soln. contg. a trace of Cu salts. The soln. is freed of tars, then treated with HCl to ppt. I. Reduction of I with SO_2 in HCl soln. yields 2-amino-4-[4-(dichloroarsino)anilino]-pyrimidine-HCl, m. above 250.degree.. Neutralization with NH_4OH gives 2-amino-4-(4-arsenosoanilino)pyrimidine- H_2O (II). Treating II with $\text{HSCH}_2\text{CO}_2\text{H}$ in excess NaOH soln., followed by acidification, yields 2-amino-4-{4-[bis-(carboxymethylmercapto)arsino]anilino} pyrimidine, which forms water-sol. Na salts.

IT 20719-41-9, Pyrimidine, 2-amino-4-(p-aminoanilino)-
(prepn. of)
RN 20719-41-9 CAPLUS
CN Pyrimidine, 2-amino-4-(p-aminoanilino)- (8CI) (CA INDEX NAME)



L7 ANSWER 323 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1947:764 CAPLUS
DOCUMENT NUMBER: 41:764
ORIGINAL REFERENCE NO.: 41:132f-i,133a-i,134a
TITLE: Synthetic antimalarials. IX. 4-Arylamino-2-aminoalkylamino-6-methylpyrimidines. Further variations
AUTHOR(S): Curd, F. H. S.; Davis, M. I.; Owen, E. O.; Rose, F. L.; Tuey, G. A. P.
CORPORATE SOURCE: Imperial Chemical Industries, Ltd., Manchester, UK
SOURCE: Journal of the Chemical Society, Abstracts (1946) 721-9
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB In view of the high antimalarial activity exhibited by 4-(p-chloroanilino)-2-(3-dibutylaminopropylamino)-6-methylpyrimidine (C.A. 40, 5060.6), compds. of this type have been investigated in a no. of directions, 1 of which was the variation in the dialkylaminoalkylamino group. 4-Hydroxy-2-methylmercapto-6-methylpyrimidine (I) (31.2 g.) and 34.8 g. $\text{Et}_2\text{N}(\text{CH}_2)_2\text{N}(\text{CH}_2)_3\text{NH}_2$, heated 3 hrs. at 150-60.degree., give 2-[3-(2-diethylaminoethoxy)propylamino]-4-hydroxy-6-methylpyrimidine (II), very viscous oil (dipicrate, yellow, m. 161-3.degree.); II (56.4 g.) and 100 cc. POCl_3 , refluxed 10 min., give 4-chloro-2-[3-(2-diethylaminoethoxy)propylamino]-6-methylpyrimidine (III), b0.15 150-2.degree. (dipicrate, yellow, m. 111-13.degree.). III (7.5 g.) and 3.2 g. p- $\text{ClC}_6\text{H}_4\text{NH}_2$ in 25 cc. H_2O and 2.5 cc. 10 N HCl, refluxed 1 hr., give 4-(p-chloroanilino)-2-[3-(2-diethylaminoethoxy)propylamino]-6-methylpyrimidine, whose dipicrate, yellow, m. 148-50.degree.; di-HCl salt, with 1 mol. H_2O , m. 178-80.degree.. I (15.6 g.) and 18.75 g. $\text{Et}_2\text{N}(\text{CH}_2)_2\text{N}(\text{CH}_2)_3\text{NH}_2$, heated 3 hrs. at 150-60.degree., give 2-{3-[N-methyl-N-(2-diethylaminoethyl)amino]propylamino}-4-hydroxy-6-methylpyrimidine, viscous oil, whose dipicrate m. 205-7.degree. (decompn.); POCl_3 gives the 4-Cl deriv., b0.12 142-4.degree. (tripicrate, m. 180-1.degree.); on refluxing with p- $\text{ClC}_6\text{H}_4\text{NH}_2$ in dil. HCl for 1 hr., there results the 4-(p-chloroanilino) deriv., which forms a tri-HCl salt, with 1 mol. H_2O , m. 239-40.degree. (decompn.). I and $\text{Et}_2\text{N}(\text{CH}_2)_2\text{N}(\text{CH}_2)_3\text{NHMe}$, heated 3 hrs. at 140-50.degree., give 2-[N-methyl-N-(2-diethylaminoethyl)amino]-4-hydroxy-6-methylpyrimidine, whose dipicrate, yellow, m. 167-9.degree. 4-Cl deriv., b0.8 133-5.degree. (picrate, yellow,

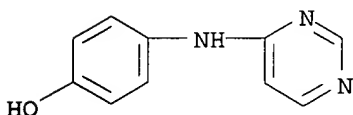
m. 144-5.degree.); 4-(p-chloroanilino) deriv., m. 83-5.degree. (di-HCl salt, m. 244-6.degree.); this is active in a dose of 120 mg./kg.

2-Chloro-4-(p-chloroanilino)-6-methylpyrimidine (IV) (6.35 g.) and 3.2 g. $\text{AcNH}(\text{CH}_2)_2\text{NH}_2$, heated 8 hrs. at 120-30.degree., give the 2-(2-acetamidoethylamino) deriv., m. 189-91.degree.; hydrolysis of 3 g. by refluxing 4 hrs. in 20 cc. EtOH, 10 cc. H₂O, and 10 cc. HCl gives the 2-(2-aminoethylamino) deriv., m. 161-3.degree.; this results from IV and 65% $\text{C}_2\text{H}_4(\text{NH}_2)_2$ on heating on the steam bath for 3 hrs.; this shows some activity in a dose of 80 mg./kg. 2-Substituted derivs. of 4-p-nitroanilino-6-methylpyrimidines: 3-diethylaminopropylamino as di-HCl salt, with 0.5 mol. H₂O, pale yellow, m. 273-5.degree.; 3-piperidinopropylamino, with 1 mol. H₂O, yellow, m. 174-5.degree. (di-HCl salt, with 2 mols. H₂O, pale yellow, m. 277-9.degree.); 3-dibutylaminopropylamino, yellow, m. 118-19.degree. (di-HCl salt, with 1.5 mols. H₂O, pale yellow, m. 224-5.degree.); 3-dimethylaminopropylamino, m. 184.degree.; 4-diethylamino-1-methylbutylamino as dipicrate, yellow, m. 173-6.degree., or di-HCl salt, with 2 mols. H₂O, yellow, m. about 116.degree.; 3-butylaminopropylamino, m. 141-3.degree.; 3-(2-diethylaminoethoxy)propylamino, yellow, m. 108-9.degree.; these compds. are active in doses of from 20 to 80 mg./kg. 2-Substituted derivs. of 4-p-cyanoanilino-6-methylpyrimidine: 3-diethylaminopropylamino di-HCl salt, with 1 mol. H₂O, m. 274-5.degree.; 3-piperidinopropylamino di-HCl salt, with 0.5 mol. H₂O, m. 280-2.degree. 3-dibutylaminopropylamino di-HCl salt, m. 224-6.degree.; 4-diethylamino-1-methylbutylamino, b0.15 233-9.degree. (dipicrate, yellow, m. 199-200.degree.; di-HBr salt, m. 216-20.degree.); these compds. are active. 4-m-Chloroanilino-2-(3-dibutylaminopropylamino)-6-methylpyrimidine-2HCl, with 0.5 mol. H₂O, m. 221-3.degree.; dipicrate, yellow, m. 180-1.degree.. 4-m-Nitroanilino-2-(3-piperidinopropylamino)-6-methylpyrimidine-2HCl, with 1.5 mols. H₂O, m. 262-4.degree.. 4-(3,4-Dichloroanilino)-2-(3-dibutylaminopropylamino)-6-methylpyrimidine dipicrate, yellow, m. 192-3.degree.; 4-(2,4-dichloroanilino) isomer, m. 226-7.degree. (the free base b0.12 220-2.degree., m. 50-2.degree.). 4-p-Hydroxyanilino-2-(3-diethylaminopropylamino)-6-methylpyrimidine-2HCl, m. 269-71.degree.; the 2-(3-dibutylaminopropylamino) analog, with 2 mols. H₂O, m. 120-2.degree.. All but the last 2 of this series showed some activity.

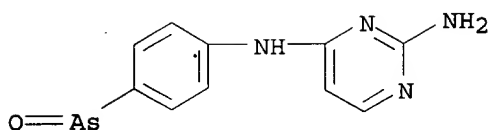
4-Hydroxy-2-methylmercaptopyrimidine and $\text{Bu}_2\text{N}(\text{CH}_2)_3\text{NH}_2$, heated 2 hrs. at 170.degree., give 2-(3-dibutylaminopropylamino)-4-hydroxypyrimidine, whose dipicrate m. 198-9.degree.; POCl_3 gives the 4-Cl deriv. (dipicrate, m. 150-1.degree.); 4-(p-chloroanilino) deriv. di-HCl salt, with 0.5 mol. H₂O, m. 155-7.degree.; 4-(p-nitroanilino) deriv., yellow, m. 112-14.degree. (dipicrate, yellow, m. 206-7.degree.). 4-Chloro-2-ethylmercapto-5,6-dimethylpyrimidine (10.1 g.), 6.4 g. p-ClC₆H₄NH₂, 40 cc. H₂O, 10 cc. Me₂CO, and 0.5 cc. 10 N HCl, refluxed 2 hrs., give 4-(p-chloroanilino)-2-ethylmercapto-5,6-dimethylpyrimidine, m. 165-6.degree.; refluxed with 48% HBr for 40 hrs., it yields the 2-HO deriv., m. 305-10.degree. (decompn.); POCl_3 gives the 2-Cl deriv., m. 173-4.degree.; on heating with $\text{Et}_2\text{N}(\text{CH}_2)_3\text{NH}_2$ at 120-30.degree. for 8 hrs., there results 4-(p-chloroanilino)-2-(3-diethylaminopropylamino)-5,6-dimethylpyrimidine, m. 64-5.degree. (dipicrate, yellow, m. 175-6.degree.); the 2-(3-dibutylaminopropylamino) analog forms a dipicrate, yellow, m. 188-90.degree., and a di-HCl salt, with 0.5 mol. H₂O, m. 204-5.degree.. 4-Chloro-5-bromo-2-methylmercapto-6-methylpyrimidine, m. 72-3.degree., results from the 4-HO deriv. and POCl_3 ; 6.3 g., 3.2 g. p-ClC₆H₄NH₂, 20 cc. H₂O, 5 cc. Me₂CO, and 0.25 cc. 10 N HCl, refluxed for 3 hrs., give the 4-p-chloroanilino deriv., m. 116-17.degree.; hydrolysis with 48% HBr (boiling 22 hrs.) gives 4-(p-chloroanilino)-2-hydroxy-6-methylpyrimidine. 4-Hydroxy-2-methylmercapto-6-methyl-5-ethylpyrimidine (V) (36.8 g.) and 26 g. $\text{Et}_2\text{N}(\text{CH}_2)_3\text{NH}_2$, heated at 130.degree. for 0.5 hr. and at 160.degree. for 2 hrs., give 2-(3-diethylaminopropylamino)-4-hydroxy-6-methyl-5-ethylpyrimidine (VI), a viscous oil, whose dipicrate, yellow, m. 191-2.degree.; the 4-Cl deriv., b0.1 138.degree. (dipicrate, yellow, m. 160-1.degree.); 4-(p-chloroanilino) deriv., as the di-HCl salt, m.

245-6.degree.; 4-(p-nitroanilino) deriv., yellow, m. 126-8.degree.; 4-(p-cyanoanilino) deriv., m. 151-2.degree.. V (8.3 g.) and 8.2 g. Bu₂N(CH₂)₃NH₂, heated 2 hrs. at 160-70 .degree., give the 2-(3-dibutylaminopropylamino) analog of VI, a highly viscous oil; monopicrate, yellow, m. 182-3.degree.; dipicrate, yellow, m. 166-7.degree.; the 4-Cl deriv. yields a dipicrate, yellow, m. 140-1 .degree.; 4-(p-chloroanilino) deriv., as di-HCl salt, m. 215-16.degree..

IT 5677-62-3, Phenol, p-4-pyrimidinylamino-
(derivs.)
RN 5677-62-3 CAPLUS
CN Phenol, p-(4-pyrimidinylamino)- (8CI) (CA INDEX NAME)



L7 ANSWER 324 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1946:24016 CAPLUS
DOCUMENT NUMBER: 40:24016
ORIGINAL REFERENCE NO.: 40:4728g-i,4729a-b
TITLE: Arylamino heterocycles. IV. Arsenicals of anilinopyrimidines
AUTHOR(S): Banks, C. K.; Controulis, John
CORPORATE SOURCE: Parke, Davis & Co., Detroit, MI
SOURCE: Journal of the American Chemical Society (1946), 68, 944-5
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C.A. 39, 1170.9. The unusual trypanocidal properties of the As derivs. of anilinothiazines (Part III) suggested that the As derivs. of other anilino heterocycles might be of interest. The arsonic acids were prep'd. by refluxing a mixt. of the appropriate aminobenzenearsonic acid with the desired halopyrimidine in aq. suspension or soln. contg. a trace of HCl; it was not necessary to use a polar org. solvent. Derivs. of 2-amino-4-(X-anilino)pyrimidine: 4-arsono, 87% (HCl salt, 93%; di-Na salt (I), 95%); 4-arsenoso, 72%; 4-dichloroarsino, HCl salt (II), 89%; 4-bis(carboxymethylmercapto)arsino, di-Na salt (III), 67%; 4-arsono-3-hydroxy, 82% (di-Na salt (IV), 86%); 4-arsenoso-3-hydroxy, 46%; 5-arsono-2-hydroxy, 78% (di-Na salt, 87%) 5-arsenoso-2-hydroxy, 78%; 5-dichloroarsino-2-hydroxy, HCl salt, 72%; 5-arsono-2-(2-hydroxyethoxy), 75% (di-Na salt, 87%); 5-dichloroarsino-2-(2-hydroxyethoxy), HCl salt, 79%. 4-Amino-2-(4-arsonoanilino)pyrimidine (V), 91%. 4-Amino-2-(4-dichloroarsinoanilino)pyrimidine-HCl (VI), 93%. 2-Amino-4-(4'-arsonophenoxy)pyrimidine, m. 227-8.degree., 50% (di-Na salt, 82%). Compds. I, IV, and V are superior to atoxyl and equal to or superior to tryparsamide in exptl. infections. Trivalent compds. derived from I-III and VI are correspondingly active. None of the above compds. is comparable with Melarsen or its oxide in trypanocidal activity.
IT 116532-41-3, Pyrimidine, 2-amino-4-p-arsenosoanilino-
(prep'n. of)
RN 116532-41-3 CAPLUS
CN Pyrimidine, 2-amino-4-p-arsenosoanilino- (6CI) (CA INDEX NAME)



L7 ANSWER 325 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1944:33308 CAPLUS

DOCUMENT NUMBER: 38:33308

ORIGINAL REFERENCE NO.: 38:4953b-f

TITLE: Erythrina alkaloids. XIV. Isolation and characterization of erysothiovine and erysothiopine, new alkaloids containing S

AUTHOR(S): Folkers, Karl; Koniuszy, Frank; Shavel, John, Jr.

SOURCE: Journal of the American Chemical Society (1944), 66, 1083-7

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

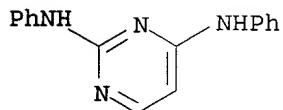
LANGUAGE: Unavailable

AB cf. C. A. 36, 6542.8. The ground seeds of *Erythrina glauca* Willd. (2 kg.) were extd. with petr. ether and then with MeOH; the residue from the MeOH ext. was dissolved in 1 l. H₂O, centrifuged and the aq. soln. (covered with a thin layer of petr. ether) allowed to stand at 25.degree. for 4 months, giving 962 mg. of erysothiovine (I), C₂₀H₂₃NO₇S.2H₂O, m. 187.degree., [.alpha.]_D²⁵ 208.degree. (EtOH, c 0.359); the H₂O is lost at 140.degree. in vacuo. The filtrate (1/3 of the total), on refrigeration for an addnl. 40 days, gives 300 mg. of a product m. 196-7.degree.; crystn. from 50% EtOH gives erysodine (II); crystn. from 95% EtOH gives 248 mg. of erysothiopine (III), C₁₉H₂₁NO₇S.H₂O, m. 168-9.degree., [.alpha.]_D²⁵ 194.degree. (EtOH, c 0.103); the H₂O is lost at 100.degree. in vacuo in 3 hrs.; from 95% EtOH III appears to sep. with 2 H₂O, 1 of which is lost rather readily. Hydrolysis of I with 2% HCl, refluxed 15 min., gives erysovine (IV); 1% aq. H₂SO₄ gives HO₃SCH₂CO₂H, whose PhNH₂ salt m. 187-9.degree. and the sulfapyridine (V) salt (with 3 H₂O), m. 162-3.degree.; the latter salt gives a 30% soln. in hot H₂O, from which V separates on cooling. Hydrolysis of III gives II. The seeds of *E. pallida* Britton and Rose (300 g.) give 495 mg. of I; 68 lb. of the seeds from *E. poeppigiana* (Walp.) O. F. Cook yielded 8.1 g. of I; III was not isolated from these species. *E. sandwicensis* Deg. did not yield I or III. It is thought that I and III are sulfonic and not carboxylic esters, involving a phenolic HO group of the alkaloid moiety. I and III (1.8% aq. solns. at 25.degree.) are not pptd. from soln. by Pb(OAc)₂ or BaCl₂. An attempt to prep. HO₂CCH₂SO₂Cl with SOCl₂ led to a violent explosion. The min. doses (mg./kg.) which, upon intralymphatic injection, produce curare-like paralysis in frogs are: erysonine (as HCl salt) 100, II (as HCl salt) 15, erysopine (as HCl salt) 4, III (as Na salt) 1, IV (as Na salt) 3, I (as Na salt) 1.

IT 28458-89-1, Pyrimidine, 2,4-dianilino- (prepn. of)

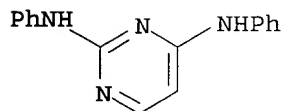
RN 28458-89-1 CAPLUS

CN Pyrimidine, 2,4-dianilino- (8CI) (CA INDEX NAME)



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L7 ANSWER 326 OF 326 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1944:33307 CAPLUS
DOCUMENT NUMBER: 38:33307
ORIGINAL REFERENCE NO.: 38:4952h-i,4953a-b
TITLE: Arylaminoheterocyclic compounds. II.
Arylaminopyrimidines
AUTHOR(S): Banks, C. Kenneth
SOURCE: Journal of the American Chemical Society (1944), 66,
1131
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB PhNH₂ and 2-amino-4-chloropyrimidine (0.1 mole each) and 1 ml. HCl in 100 ml. H₂O, refluxed 30 min. and the product made strongly alk. with 10 N NaOH, give 92% of 2-amino-4-anilinopyrimidine, m. 155-6.degree. (m. ps. cor.); soln. in glacial AcOH and pptn. with ether give the diacetate, m. 170.degree.; heating, in vacuo, or soln. of the base in dil. AcOH gives the monoacetate, m. 176-8.degree.; alc. HCl with addn. of 5 vols. AcOBu gives the HCl salt, m. 184-5.degree.. The following 4 substituted 2-aminopyrimidines were similarly prepd.: 2,6-dimethylanilino, m. 186-7.degree.; 4-phenylanilino, m. 193-5.degree.; 2-isomer, m. 130-2.degree.; 1-naphthylamino, m. 133-4.degree.; morpholino, m. 157-61.degree.; 4-acetylanilino-HCl, m. 275-6.degree.; 4-acetamidoanilino-HCl, m. 299-300.degree.; 3,4-dimethoxyanilino-HCl, m. 270.degree.; 4-methoxyanilino-HCl, m. 276-8.degree.; 2,6-dihydroxyanilino-2HCl, m. 123-4.degree.; 2-hydroxyanilino-2HCl, m. above 200.degree.; 3-isomer-HCl, m. 178-80.degree.; 4-isomer, m. 245-7.degree. (decompn.) (HCl salt, m. 275-7.degree.); 4-carboxyanilino, m. 295-7.degree. (decompn.) (diethylaminoethanol ester-3HCl, m. above 250.degree.); 2-carboxyanilino (Na salt), m. above 250.degree.. 4-Amino-2-anilinopyrimidine-HCl, m. 149-50.degree.; 2-amino-4-anilino-6-methylpyrimidine, m. 170-2.degree.; 2,4-dianilinopyrimidine, m. 136-8.degree. (HCl salt, m. 194-5.degree.).
IT 28458-89-1, Pyrimidine, 2,4-dianilino-
(prepn. of)
RN 28458-89-1 CAPLUS
CN Pyrimidine, 2,4-dianilino- (8CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 16:21:35 ON 04 NOV 2003)

FILE 'REGISTRY' ENTERED AT 16:21:44 ON 04 NOV 2003

L1 STRUCTURE UPLOADED

L2 3973 S L1 FUL

FILE 'CAPLUS' ENTERED AT 16:22:10 ON 04 NOV 2003

L3 353 S L2

L4 130 S L2/BIOL

L5 326 S L3 AND (FURAN? OR BENZOFURAN? OR THIEN? OR PYRROL? OR PHENYL

L6 2 S L3 AND 'BENZO[B]THIEN'

L7 326 S L5 OR L6

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

1508.75

1657.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-212.23

-212.23

STN INTERNATIONAL LOGOFF AT 16:34:06 ON 04 NOV 2003